QUINOXALINES

Supplement II

This is the sixty-first volume in the series

THE CHEMISTRY OF HETEROCYCLIC COMPOUNDS

THE CHEMISTRY OF HETEROCYCLIC COMPOUNDS

A SERIES OF MONOGRAPHS

EDWARD C. TAYLOR and PETER WIPF, *Editors*

ARNOLD WEISSBERGER, *Founding Editor*

QUINOXALINES

Supplement II

D. J. Brown

Research School of Chemistry
Australian National University
Canberra

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Dedicated to the Memory of
John Campbell Earl[†]
1890–1978

[†]J. C. Earl was born and died in Adelaide but spent the greater part of his working life in the Chair of Organic Chemistry at Sydney University. A man of great integrity, an exemplary chemist, and an inspiring teacher, he was, alas, often misunderstood by his colleagues. He is remembered especially for his discovery of the sydnones and (in collaboration with the late Wilson Baker) for their structural elucidation as mesionic 1,2,3-oxadiazoles.

The Chemistry of Heterocyclic Compounds
Introduction to the Series

The chemistry of heterocyclic compounds is one of the most complex and intriguing branches of organic chemistry, of equal interest for its theoretical implications, for the diversity of its synthetic procedures, and for the physiological and industrial significance of heterocycles.

The Chemistry of Heterocyclic Compounds has been published since 1950 under the initial editorship of Arnold Weissberger, and later, until his death in 1984, under the joint editorship of Arnold Weissberger and Edward C. Taylor. In 1997, Peter Wipf joined Prof. Taylor as editor. This series attempts to make the extraordinarily complex and diverse field of heterocyclic chemistry as organized and readily accessible as possible. Each volume has traditionally dealt with syntheses, reactions, properties, structure, physical chemistry, and utility of compounds belonging to a specific ring system or class (e.g., pyridines, thiophenes, pyrimidines, three-membered ring systems). This series has become the basic reference collection for information on heterocyclic compounds.

Many broader aspects of heterocyclic chemistry are recognized as disciplines of general significance that impinge on almost all aspects of modern organic chemistry, medicinal chemistry, and biochemistry, and for this reason we initiated several years ago a parallel series entitled General Heterocyclic Chemistry, which treated such topics as nuclear magnetic resonance, mass spectra, and photochemistry of heterocyclic compounds, the utility of heterocycles in organic synthesis, and the synthesis of heterocycles by means of 1,3-dipolar cycloaddition reactions. These volumes were intended to be of interest to all organic, medicinal, and biochemically oriented chemists, as well as to those whose particular concern is heterocyclic chemistry. It has, however, become increasingly clear that the above distinction between the two series was unnecessary and somewhat confusing, and we have therefore elected to discontinue *General Heterocyclic Chemistry* and to publish all forthcoming volumes in this general area in *The Chemistry of Heterocyclic Compounds* series.

Dr. D. J. Brown is once again to be applauded and profoundly thanked for another fine contribution to the literature of heterocyclic chemistry. This volume on *Quinoxalines* brings the field up to the end of 2002 (with some 2003 citations) with a comprehensive compilation and discussion of the 23 years of quinoxaline chemistry that followed our latest volume on this subject by G. W. H. Cheeseman and R. F. Cookson. It should be noted with admiration that many of the books in this series that have come to be regarded as classics in heterocyclic chemistry (*The Pyrimidines, The Pyrimidines Supplement I, The Pyrimidines Supplement II,*

Pteridines, Quinazolines Supplement I, and The Pyrazines, Supplement I), are also from the pen of Dr. D. J. Brown.

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Preface

Quinoxalines have been reviewed twice in this *Chemistry of Heterocyclic Compounds* series: first by J. C. E. Simpson as part of Volume 5 in 1953 and later in a supplementary way by G. W. H. Cheeseman and R. F. Cookson as part of Volume 35 in 1979. The present *Second Supplement* seeks to build on these excellent foundations by covering the quinoxaline literature from ~1976 to the end of 2002 and a little beyond. In doing so, it seemed wise to make certain changes in format to conform with the treatments of related diazines and benzodiazines in recent (as of 2003) volumes of the series. Thus all types of primary synthesis have been collected for the first time into a single chapter; quinoxalines, quinoxaline *N*-oxides, and hydroquinoxalines are no longer considered as separate systems; the content of each chapter has been expanded to embrace families rather than single types of derivative; and the scattered tables of quinoxaline derivatives have been replaced by a single user-friendly alphabetical table of clearly defined simple quinoxalines that aims to list *all* such quinoxalines reported to date (including those already listed in the tables of earlier reviews). In view of these and other necessary changes, the status of the present volume as a supplement has been maintained by many cross-references (e.g., *H* 235 or *E* 78) to pages of Simpson's original review (*Hauptwerk*) or the Cheeseman and Cookson supplementary review (*Ergänzungswerk*), respectively.

The chemical nomenclature used in this supplement follows current IUPAC recommendations [*Nomenclature of Organic Chemistry, Sections A–E*, *H* (J. Rigaudy and S. P. Klesney, eds., Pergamon Press, Oxford, 1970)] with one important exception—in order to keep ''quinoxaline'' as the principal part of each name, those groups that would normally qualify as principal suffixes but are not attached directly to the nucleus, are rendered as prefixes. For example, 1-carboxymethyl-2(1*H*)quinoxalinone is used instead of 2-(2-oxo-1,2-dihydroquinoxalin-1-yl)acetic acid. Secondary, tertiary, or quaternary amino substituents are also rendered as prefixes. Ring systems are named according to the *Chemical Abstracts* Service recommendations [*Ring Systems Handbook* (eds. anonymous, American Chemical Society, Columbus, Ohio, 1998 edition and supplements)]. In preparing this supplement, the patent literature has been largely ignored in the belief that useful factual information therein usually appears subsequently in the regular literature.

Throughout this book, an indication such as 0°C→70°C (within parenthesized reaction conditions) means that the reaction was commenced at the first temperature and completed at the second; in contrast, an indication such as 20–30°C means that the reaction was conducted somewhere within that range. Terms such as ''recent literature'' invariably refer to publications within the period 1975 to 2003.

I am greatly indebted to my good friend and coauthor of the first supplement, Dr. Gordon Cheeseman, for encouraging me to undertake this update on

quinoxalines; to the Dean of the Research School of Chemistry, Professor Denis Evans, for the provision of postretirement facilities within the School; to the branch librarian, Mrs. Joan Smith, for patient assistance in library matters; and to my wife, Jan, for her continual encouragement and practical help during indexing, proofreading, and other such processes.

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DES BROWN

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CHAPTER 1

Primary Syntheses

The primary synthesis of quinoxalines may be accomplished by cyclization of benzene substrates already bearing appropriate substituents; by cyclocondensation of benzene substrates with acyclic synthons to provide one or more of the ring atoms required to complete the pyrazine ring; by analogous processing of preformed pyrazine substrates; or by rearrangement, ring expansion/contraction, degradation, or modification of appropriate derivatives of other heterocyclic systems. Partially of even fully reduced quinoxalines may often be made by somewhat similar procedures; such cases are usually illustrated toward the end of each subsection. Examples of any pre-1977 syntheses in each category may be found from the cross-references to Simpson's volume[1013] (e.g., *H* 203) or to Cheeseman and Cookson's volume[1014] (e.g., *E* 79) that appear on some section headings; some post-1977 material on primary syntheses has been reviewed less comprehensively elsewhere.[1021–1030]

1.1. FROM A SINGLE BENZENE SUBSTRATE

Such syntheses are subdivided according to whether the N1,C8a, N1,C2, or C2,C3 bond is formed during the procedure to afford a quinoxaline.

1.1.1. By Formation of the N1,C8a Bond

Given the relatively unreactive nature of the carbon atoms in benzene, this synthesis appears unappealing. However, several such processes have been devised, as illustrated in the following examples. All deserve further development.

By Intramolecular Aminolysis of *N*-(2-Aminoethyl)-*o*-halogenoanilines

Note: The *N*-substituent may be varied considerably; for example, the amino group may be part of a carbamoyl group.

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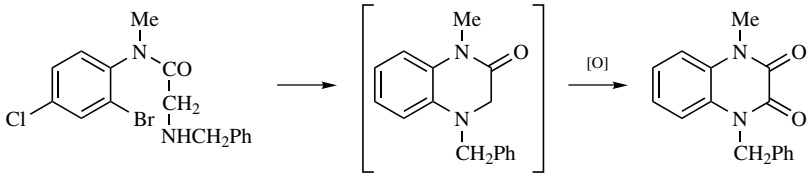
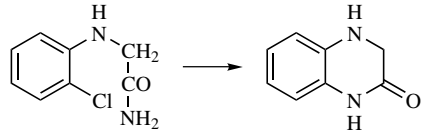
N-(Benzylaminoacetyl)-2-bromo-4-chloro-*N*-methylaniline (**1**) gave 1-benzyl-4-methyl-2,3(1*H*,4*H*)-quinoxalinedion (**3**), probably by aerial oxidation of the dihydro intermediate (**2**) [Bu_3N, Ph_3P, $Pd(OAc)_3$, $OP(NMe_2)_3$, 110°C, CO or A (4 atm), 26 h: 68% or 38%, respectively; mechanism remains unclear].[130]



(**1**) (**2**) (**3**)

N-(Carbamoylmethyl)-*o*-chloroaniline (**4**) gave 3,4-dihydro-2(1*H*)-quinoxalinone (**5**) (''base-catalyzed cyclization'': >80%).[346]

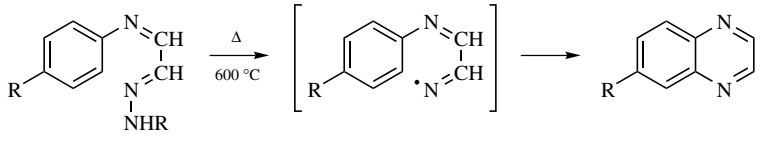


(**4**) (**5**)

Also other examples.[1063]

By Thermolysis of *N*-(Phenylhydrazonoethylidene)anilines

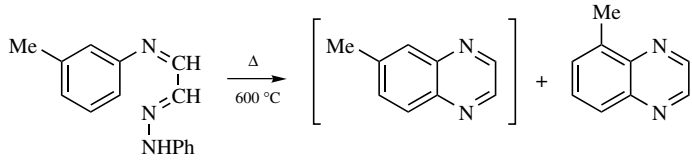
N-(Phenylhydrazonoethylidene)aniline (**6**, R = H) gave quinoxaline (**8**, R = H) via the intermediate radical (**7**) (vacuum-distilled through a tube at 600°C: 35%).[94,522]



(**6**) (**7**) (**8**)

N-(*p*-Tolylhydrazonoethylidene)-*p*-toluidine (**6**, R = Me) gave 6-methylquinoxaline (**8**, R = Me) (likewise: 36%) but the unsymmetric substrate, *N*-(phenylhydrazonoethylidene)-*m*-toluidine (**9**), gave a separable mixture of

6- (**10**) and 5-methylquinoxaline (**11**) (likewise: 15% and 23%, respectively).[528]

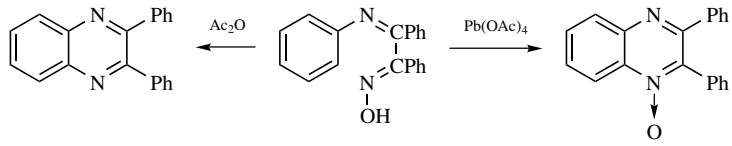


(**9**) (**10**) (**11**)

Also other examples that include observations on mechanism.[531–533]

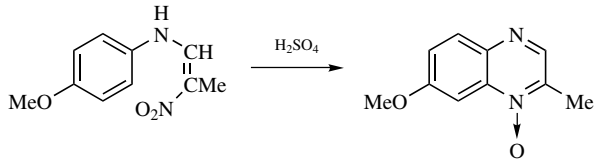
By Cyclization of *N*-(Hydroxyiminoethylidene)anilines

N-(2-Hydroxyimino-1,2-diphenylethylidene)aniline (**13**) gave 2,3-diphenylquinoxaline (**12**) [neat Ac_2O, reflux, <24 h [monitored by thin-layer chromatography (t1c)]: 57%; via the isolable acetoxyimino intermediate by a radical mechanism][1011] or 2,3-diphenylquinoxaline 1-oxide (**14**) [$Pb(OAc)_4$, CH_2Cl_2, 25°C, 1 h: 48%];[583] when unsymmetric aniline substrates were used, two isomers were formed in each case.[583,1011]



(**12**) (**13**) (**14**)

The somewhat analogous substrate, *p*-methoxy-*N*-(2-nitroprop-1-enyl)aniline (**15**), afforded 6-methoxy-3-methylquinoxaline 4-oxide (**16**) (98% H_2SO_4: ?%).[252]

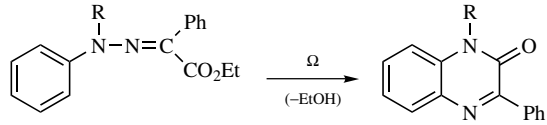


(**15**) (**16**)

By Cyclorearrangement of *N*-(Alkoxycarbonylmethylene)-*N′*-phenylhydrazines

N-(α-Ethoxycarbonylbenzylidene)-*N′*-phenylhydrazine (**17**, R = H) gave 3-phenyl-2(1*H*)-quinoxalinone (**18**, R = H) [neat polyphosphoric acid, 90°C→~130°C

(exothermic), ~5 min (?): 20%]; *N*-(α-ethoxycarbonylethylidene)-*N*′,*N*′-diphenylhydrazine (**17**, R = Ph) likewise gave 1,3-diphenyl-2(1*H*)-quinoxalinone (**18**, R = Ph) (polyphosphoric acid, 105°C, 30 min: 20%); and several analogs were made similarly.[539]



(**17**) (**18**)

1.1.2. By Formation of the N1,C2 Bond

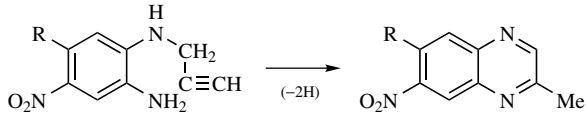
This synthesis has proved quite useful. In practice, it involves the cyclization of derivatives of *o*-(ethylamino)aniline or *o*-(ethylamino)nitrobenzene: available examples fit naturally into three broad categories outlined in the following subsections.

1.1.2.1. Cyclization of o-(Ethylamino)aniline Derivatives

The cyclization of several types of these derivatives is illustrated in the following examples.

From *o*-(Alk-2-ynylamino)anilines

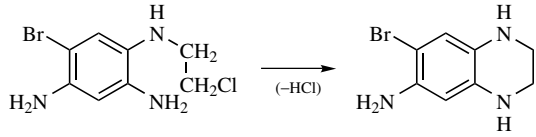
3-Nitro-6-(prop-2-ynylamino)aniline (**19**, R = H) gave 2-methyl-7-nitroquinoxaline (**20**, R = H)[$(MeCN)_4CuBF_4$, PhMe, 85°C, 20 h: 75%; aerial oxidation?]; 2,6-dimethyl-7-nitroquinoxaline (**20**, R = Me) was made similarly (78%).[640]



(**19**) (**20**)

From *o*-(2-Halogenoethylamino)anilines or the Like

4-Bromo-6-(2-chloroethylamino)-1,3-benzenediamine (**21**) gave 7-bromo-1,2,3,4-tetrahydro-6-quinoxalinamine (**22**) (Na_2CO_3, Me_2NCHO, reflux, 1 h: 85%).[39]

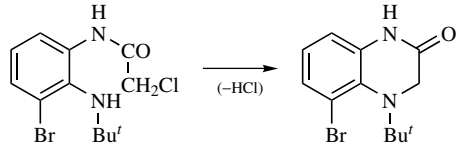


(**21**) (**22**)

o-(2-Chloro-2-ethoxycarbonyl-1-methylvinyl)aniline (**23**) gave ethyl 3-methyl-2-quinoxalinecarboxylate (**24**) (Et_3N, xylene, or Me_2NCHO, reflux, 4 h: 57%; presumably, aerial oxidation was involved).[764]

(**23**) (**24**)

2-Bromo-*N*-*tert*-butyl-6-(2-chloroacetamido)aniline (**25**) gave 5-bromo-4-*tert*-butyl-3,4-dihydro-2(1*H*)-quinoxalinone (**26**) ($EtPr^i_2N$, NaI, MeCN, reflux, 22 h: 79%).[732]

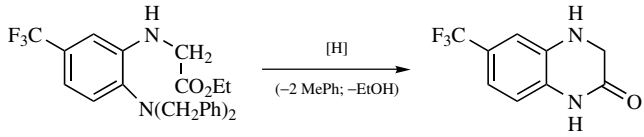


(**25**) (**26**)

Also other examples.[181,322,390,635,997]

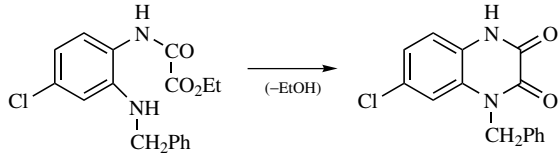
From *o*-[(Alkoxycarbonylmethyl)amino]anilines or the Like

N,*N*-Dibenzyl-2-(ethoxycarbonylmethyl)amino-4-(trifluoromethyl)aniline (**27**) underwent reductive debenzylation and spontaneous cyclization to 6-trifluoromethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**28**) [$Pd(OH)_2$/C, EtOH, H_2 (3 atm), 3 days: 97%].[740]



(**27**) (**28**)

N-Benzyl-3-chloro-6-(ethoxalylamino)aniline (**29**) gave 1-benzyl-7-chloro-2,3(1*H*,4*H*)-quinoxalinedione (**30**) (EtONa/EtOH or HCl/EtOH, 20°C, ? h: >95%).[17]

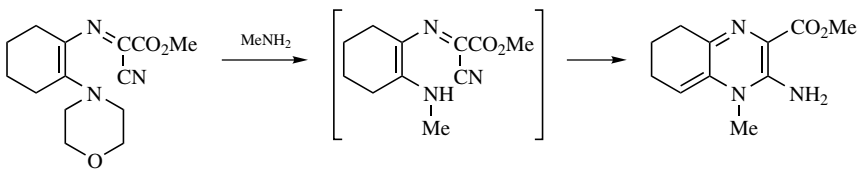


(**29**) (**30**)

Also other examples.[998,1066,1104]

From *o*-[(Cyanomethyl)amino]aniline Analogs

1-(α-Cyano-α-methoxycarbonylmethyleneamino)-2-methylaminocyclohexene (**32**), made in situ by transamination of the 2-morpholino analog (**31**), cyclized spontaneously to a reduced bicyclic product formulated confidently as methyl 3-amino-4-methyl-4,6,7,8-tetrahydro-2-quinoxalinecarboxylate (**33**) [$MeNH_2$, MeOH (?), 20°C, ? h: 84%];[50,655] the 4-(2-methoxyethyl) (90%) and other analogs were made similarly.[50,655] (See also Section 1.2.1.)



(**31**) (**32**) (**33**)

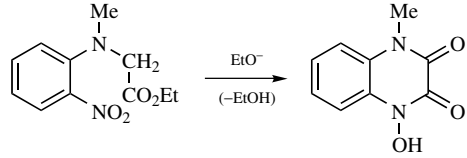
1.1.2.2. Direct Cyclization of o-(Ethylamino)nitrobenzene Derivatives (E 33)

Such direct cyclizations usually occur in basic media to afford quinoxaline *N*-oxides. For success, C2 in the ethyl group needs to be a carbonyl entity or to be suitably activated. The following examples illustrate this valuable route to such *N*-oxides (and thence to quinoxalines; see Section 4.6.2.1).

From *o*-[(Alkoxycarbonylmethyl)amino]nitrobenzenes

o-(*N*-Ethoxycarbonylmethyl-*N*-methylamino)nitrobenzene (**34**) gave 1-hydroxy-4-methyl-2,3(1*H*,4*H*)-quinoxalinedione (**35**) (EtONa, EtOH, <5°C, 15 h:

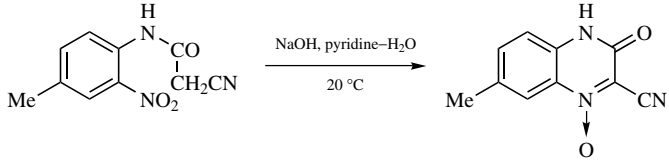
44%);[645,677] analogs were made similarly (or in the presence of other bases) in mediocre yield.[542,556,648,677]



(**34**) (**35**)

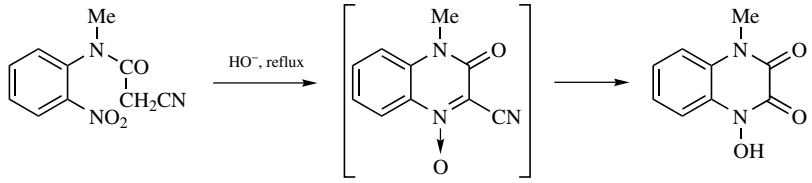
From *o*-Acetamidonitrobenzene

1-(2-Cyanoacetamido)-4-methyl-2-nitrobenzene (**36**) gave 7-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile 1-oxide (**37**) (NaOH, pyridine-H_2O, 20°C, 30 min: ? %).[98]



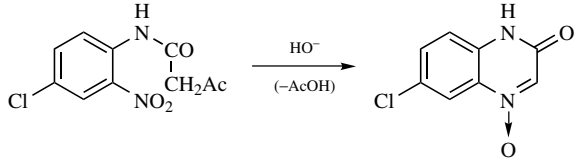
(**36**) (**37**)

In contrast, *o*-(2-cyano-*N*-methylacetamido)nitrobenzene (**38**) gave 1-hydroxy-4-methyl-2,3(1*H*,4*H*)-quinoxalinedione (**40**), presumably by hydrolysis of the intermediate carbonitrile (**39**) (NaOH, H_2O, reflux, 30 min: 53%; or EtONa, EtOH, reflux, 30 min, aqueous workup: 69%).[542]



(**38**) (**39**) (**40**)

1-(Acetoacetylamino)-4-chloro-2-nitrobenzene (**41**) gave 6-chloro-2(1*H*)-quinoxalinone 4-oxide (**42**) (KOH, H_2O, 60°C, 20 min: 86%);[391] analogs likewise.[391,413]

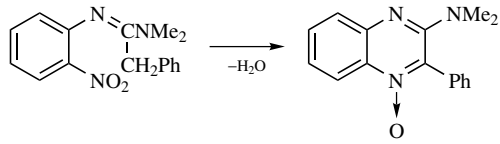


(**41**) (**42**)

Also other examples.[742]

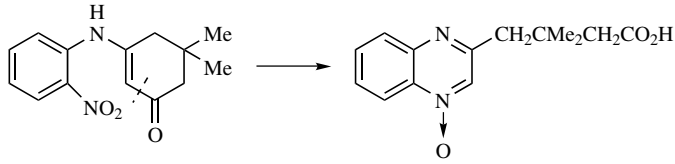
From *o*-(Ethylideneamino)nitrobenzenes

o-(1-Dimethylamino-2-phenylethylideneamino)nitrobenzene (**43**) gave 2-dimethylamino-3-phenylquinoxaline 4-oxide (**44**) (EtONa, EtOH, 20°C, 30 min: 65%); several analogs similarly.[579]



(**43**) (**44**)

o-(5,5-Dimethyl-3-oxocyclohex-1-en-1-yl)nitrobenzene (**45**) gave 2-(3-carboxy-2,2-dimethylpropyl)quinoxaline 4-oxide (**46**), probably via ring fission of a tricyclic intermediate (NaOH, Bu^{t}OH, reflux, 1 h: 92%); several analogs similarly.[568]



(**45**) (**46**)

Also somewhat less practical examples.[528,820]

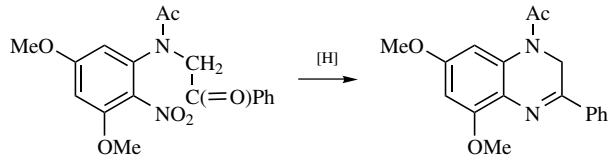
1.1.2.3. Reductive Cyclization of o-(Ethylamino)nitrobenzene Derivatives

Catalytic hydrogenation or chemical reduction with concomitant cyclization has been used to convert several types of such nitro substrates into a variety of quinoxalines. The following examples, classified according to type of substrate, illustrate the possibilities available.

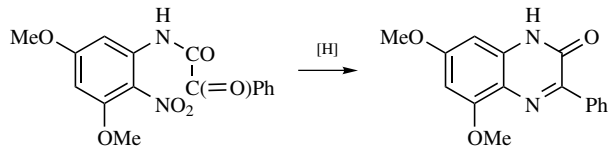
From *o*-[(Acylmethyl(amino]nitrobenzenes and the Like

1-(*N*-Acetyl-*N*-phenethylamino)-3,5-dimethoxy-2-nitrobenzene (**47**) gave 1-acetyl-5,7-dimethoxy-3-phenyl-1,2-dihydroquinoxaline (**48**) ($Na_2S_2O_4$, H_2O–MeOH, reflux, 30 min: 65%);[486] by a similar procedure, 1,3-dimethoxy-4-

nitro-5-phenyloxalylaminobenzene (**49**) gave 5,7-dimethoxy-3-phenyl-2(1*H*)-quinoxalinone (**50**) (72%).[486]

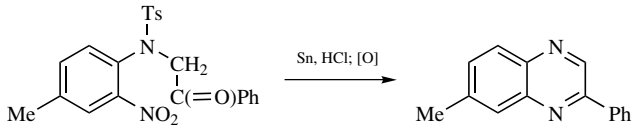


(**47**) (**48**)



(**49**) (**50**)

1-(*N*-Phenacyl-*N*-tosylamino)-4-methyl-2-nitrobenzene (**51**) gave 6-methyl-3-phenylquinoxaline (**52**) ($SnCl_2$, HCl–AcOH, 60°C, 90 min: 54%; aromatization by aerial oxidation during workup?).[530]

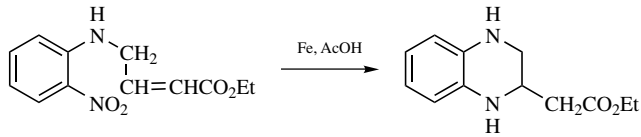


(**51**) (**52**)

1-(*N*-Acetonyl-*N*-benzenesulfonylamino)-4-fluoro-2-nitrobenzene somewhat similarly gave 6-fluoro-3-methylquinoxaline (Raney Ni, H_2, AcOEt, 20°C, 5 min: 22%; aerial aromatization?).[5]

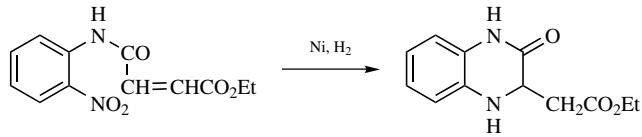
From *o*-(2-Alkylideneethylamino)nitrobenzenes or the Like

o-(3-Ethoxycarbonylallylamino)nitrobenzene (**53**) gave 2-ethoxycarbonylmethyl-1,2,3,4-tetrahydroquinoxaline (**54**) (Fe, AcOH, N_2, reflux, 30 min: 89%); also a homolog likewise.[329]



(**53**) (**54**)

O-(3-Ethoxycarbonylacrylamido)nitrobenzene (**55**) gave 3-ethoxycarbonylmethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**56**) [Raney Ni, H_2 (3 atm), MeOH, 20°C, 2 h: 78%]; also analogs.[428]

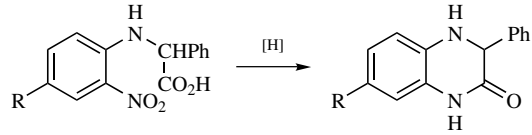


(**55**) (**56**)

Also other examples.[319]

From *o*-[(Carboxymethyl)amino]nitrobenzenes

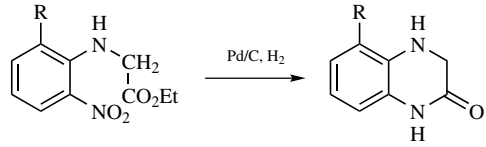
1-Acetyl-4-(α-carboxybenzylamino)-3-nitrobenzene (**57**, R = Ac) gave 7-acetyl-3-phenyl-3,4-dihydro-2(1*H*)-quinoxalinone (**58**, R = Ac) [Pd/C, H_2 (3 atm), EtOH, 20°C, 30 min: 64%];[885] 7-fluoromethyl-3-phenyl-3,4-dihydro-2(1*H*)-quinoxalinone (**58**, $R = CF_3$) was made similarly from substrate (**57**, $R = CF_3$) [Pd/C, H_2 (1 atm), EtOH, 18°C, 1 h: 57%].[840]



(**57**) (**58**)

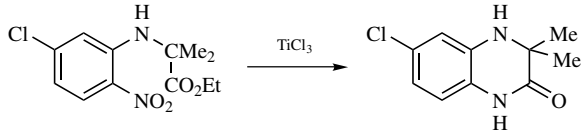
From *o*-[(Alkoxycarbonylmethyl)amino]nitrobenzenes or the Like

o-[(Ethoxycarbonylmethyl)amino]nitrobenzene (**59**, R = H) gave 3,4-dihydro-2(1*H*)-quinoxalinone (**60**, R = H) [Pd/C, H_2 (3 atm), MeOH, 20°C, 90 min: 88%];[724] 1-[(ethoxycarbonylmethyl)amino]-2-methyl-6-nitrobenzene (**59**, R = Me) gave 5-methyl-3,4-dihydro-2(1*H*)-quinoxalinone (**60**, R = Me) [Pd/C, H_2 (3 atm), EtOH, 20°C, 3.5 h: 93%; note that the product is incorrectly named in the original paper].[1042]



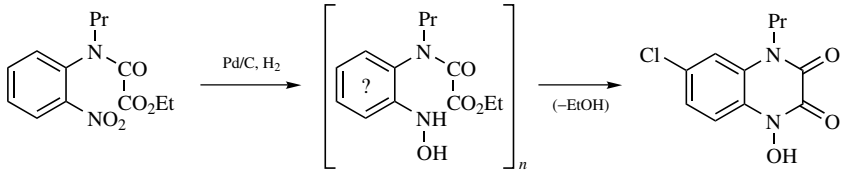
(**59**) (**60**)

1-Chloro-3-[(1-ethoxycarbonyl-1-methylethyl)amino]-4-nitrobenzene (**61**) gave 6-chloro-3,3-dimethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**62**) ($TiCl_3$, AcONa, HeO–MeOH, 20°C, 2.5 h: >95%).[1042]

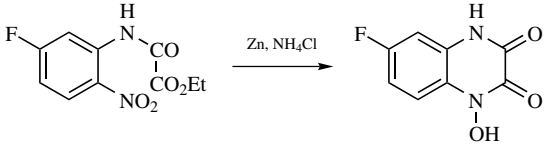


(**61**) (**62**)

In contrast, *o*-(*N*-ethoxalyl-*N*-propylamino)nitrobenzene (**63**) gave 1-hydroxy-4-propyl-2,3(1*H*,4*H*)-quinoxalinedione (**65**), perhaps via the partly reduced substrate (**64**) [Pd/C, H_2 (3 atm), Me_2NCHO, 3 h: 85%);[713] likewise, 1-(ethoxalylamino)-3-fluoro-6-nitrobenzene (**66**) gave 6-fluoro-1-hydroxy-2,3(1*H*,4*H*)-quinoxalinedione (**67**) (Zn, NH_4Cl, H_2O–Me_2NCHO, <35°C, 57%) and the 4-hydroxy isomer was made in even better yield using hydrogenation over Ir/C.[730]



(**63**) (**64**) (**65**)



(**66**) (**67**)

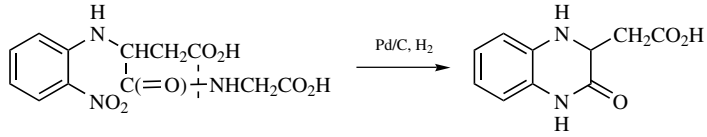
Also many other examples,[12,16,606,681,708,880,1010] including some solid-phase syntheses.[176,187]

From *o*-[(Carbamoylmethyl)amino]nitrobenzene Derivatives

Note: Several complicated but interesting examples of this cyclization have been reported; all involve loss of a substituted-amino portion of the carbamoyl grouping.

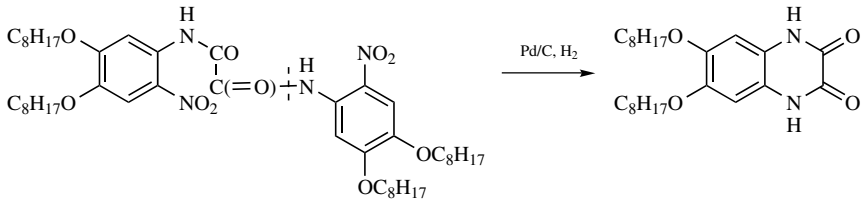
o-{1-Carboxymethyl-2-[*N*-(carboxymethyl)carbamoyl]ethylamino}nitrobenzene (**68**) gave 3-carboxymethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**69**) (Pd/C, H_2, H_2O–EtOH, 20°C: 17%), confirmed in structure by oxidative decarboxylation

during sublimation at 180°C to afford 3-methyl-2(1*H*)-quinoxalinone in 50% yield.[81]



(**68**) (**69**)

N,*N*′-Bis(2-nitro-4,5-dioctyloxyphenyl)oxamide (**70**) gave 6,7-dioctyloxy-2,3(1*H*,4*H*)-quinoxalinedione (**71**) [Pd/C, H_2 (''medium pressure''), $CHCl_3$–MeOH, 20°C, 12 h: 27%).[27]



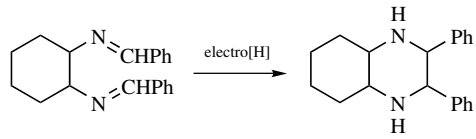
(**70**) (**71**)

Also other examples.[739]

1.1.3. By Formation of the C2,C3 Bond

The only recent (as of 2003) use of such bond formation involves two carbon atoms that are activated by double bonds or as isocyanides. The following examples illustrate the present limited scope of this type of synthesis.

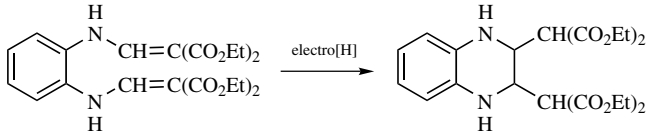
1,2-Bis(benzylideneamino)cyclohexane (**72**) gave 2,3-diphenyldecahydroquinoxaline (**73**) (Pb cathode, C anode, Et_4NOTs, MsOH, Me_2NCHO: 59%); analogs likewise.[118]



(**72**) (**73**)

o-Bis[(2,2-diethoxycarbonylvinyl)amino]benzene (**74**) gave 2,3-bis(diethoxycarbonylmethyl)-1,2,3,4-tetrahydroquinoxaline (**75**) (Hg cathode, Pt anode,

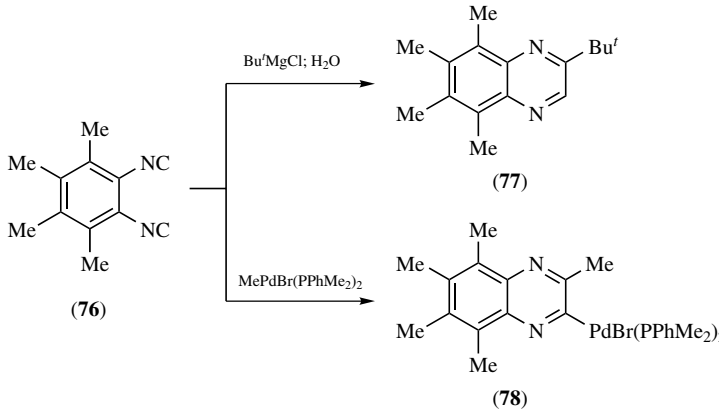
Et_4NClO_4, MeCN–H_2O: 92%); analogs likewise.[127,905]



(**74**) (**75**)

1,2-Diisocyano-5,6,7,8-tetramethylbenzene (**76**) gave a separable mixture of 2-*tert*-butyl-5,6,7,8-tetramethylquinoxaline (**77**) and several oligomers (Bu^tMgCl, THF, 0°C; then $H_2O\downarrow$: 12% isolated yield of monomer; for mechanism, see original).[102]

In contrast, the same substrate (**76**) gave only the monomeric palladium complex (**78**), characterized by X-ray analysis and spectra [$MePdBr(OPPhMe_2)_2$, THF, 20°C: >95%].[999]



(**76**) (**77**) (**78**)

1.2. FROM A BENZENE SUBSTRATE WITH AN ANCILLARY SYNTHON

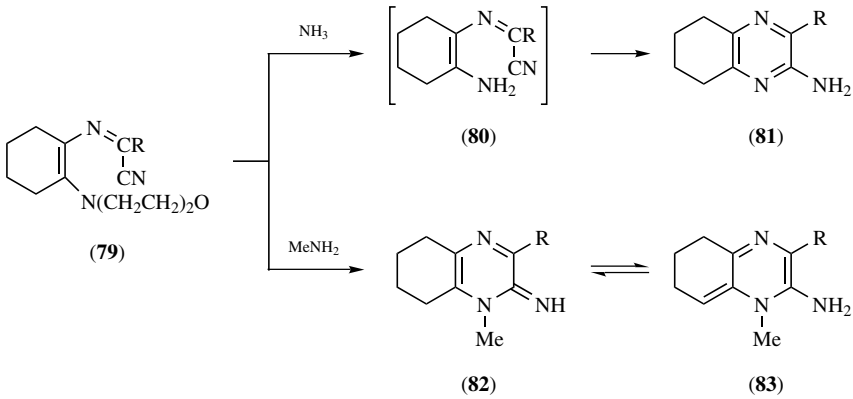
Most published primary syntheses of quinoxalines fall into this category, which is subdivided according to the ring atom(s) supplied by the synthon. The rare cases, in which the synthon is a heterocyclic compound, are covered in Sections 1.5–1.7.

1.2.1. When the Synthon Supplies N1 of the Quinoxaline

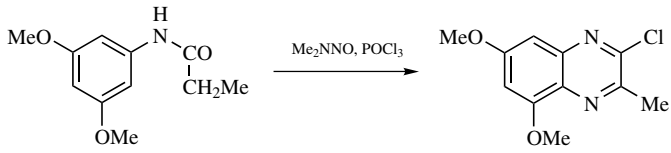
Although rarely used, this synthesis is represented by two distinct procedures illustrated in the following examples.

1-(Dicyanomethyleneamino)-2-morpholinocyclohexene (**79**, R = CN) gave 3-amino-5,6,7,8-tetrahydro-2-quinoxalinecarbonitrile (**81**, R = CN), presumably by initial transamination and subsequent cyclization of the intermediate (**80**) (NH_3, MeOH–CH_2Cl_2, 20°C: 84%);[54] also, 1-(α-cyano-α-methoxycarbonylmethyleneamino)-2-morpholinocyclohexene (**79**, R = CO_2Me) gave methyl 3-amino-5,6,7,8-tetrahydro-2-quinoxalinecarboxylate (**81**, R = CO_2Me) (likewise: 49%).[54]

The substrates (**79**, R = CN or CO_2Me) also gave 3-imino-4-methyl-3,4,5,6,7,8-hexahydro-2-quinoxalinecarbonitrile (**82**, R = CN) or methyl 3-imino-4-methyl-3,4,5,6,7,8-hexahydro-2-quinoxalinecarboxylate (**82**, R = CO_2Me), rspectively, that appear to exist largely as such in solution but as the amino tautomers (**83**) in the solid state ($MeNH_2$, MeOH—$CHCl_3$, 20°C, 12 h: 69% or 84%, respectively).[50,665] (See also Section 1.1.2.1.)



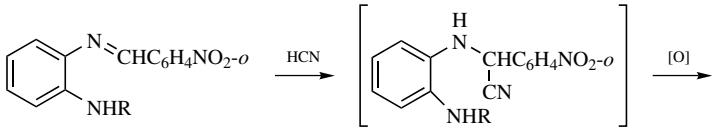
1,3-Dimethoxy-5-propionamidobenzene (**84**) gave 2-chloro-5,7-dimethoxy-3-methylquinoxaline (**85**) (Me_2NNO, $POCl_3$, 0°C→56°C, 90 min: 11%);[524] analogs were made similarly but in even lower yields, probably because of the formation of isomers when unsymmetric substrates were used.



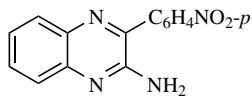
1.2.2. When the Synthon Supplies C2 of the Quinoxaline

Surprisingly little use has been made of this type of synthesis, but it is represented in the following examples.

o-Amino-*N*-(*o*-nitrobenzylidene)aniline (**86**, R = H) gave 3-*o*-nitrophenyl-2-quinoxalinamine (**88**), probably by addition to HCN to give the intermediate (**87**) with subsequent cyclization and aerial aromatization (KCN, H_2O–Me_2NCHO, 20°C, 3 h: 60%);[537] similar treatment of the acetamido substrate (**86**, R = Ac) gave the same product (**88**) (72%).[537]

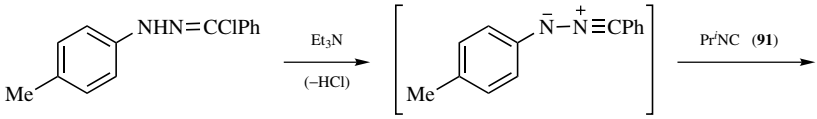


(**86**) (**87**)

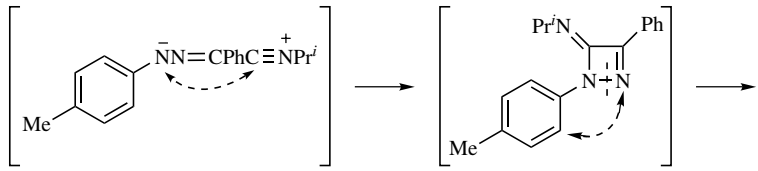


(**88**)

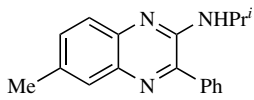
p-[(α-Chlorobenzylidene)hydrazino]toluene (**89**), converted into the zwitterion (**90**), reacted with isopropyl isocyanate (**91**) to give (among other separable products) 2-isopropylamino-6-methyl-3-phenylquinoxaline (**94**), probably via the intermediates (**92** and **93**) (Et_3N, PhH, reflux, 1 h: 5%); several analogs were made similarly but in even lower yield, making this an interesting but impractical synthetic procedure.[207] However, later modifications did produce 2-(ethoxycarbonylmethyl)amino-6-methoxy-3-phenylquinoxaline in 18% yield.[1084]



(**89**) (**90**)



(**92**) (**93**)



(**94**)

1.2.3. When the Synthon Supplies C2 + C3 of the Quinoxaline
(*H* 203; *E* 79, 94, 205)

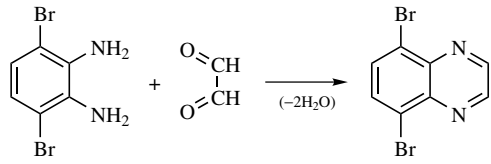
This is by far the most used type of primary synthesis for quinoxalines. It usually involves the cyclocondensation of an *o*-phenylenediamine (or closely related substrate) with a synthon containing an oxalyl [–C(=O)–C(=O)–] or equivalent [e.g., HC(=O)–C≡N] grouping. For convenience, discussion of this synthesis is subdivided according to the type of synthon used to produce formally aromatic quinoxalines; the formation of similar ring-reduced quinoxalines (mostly from related synthons at a lower oxidation state) is included in each such category.

1.2.3.1. Using a Dialdehyde (Glyoxal) or Related Synthon

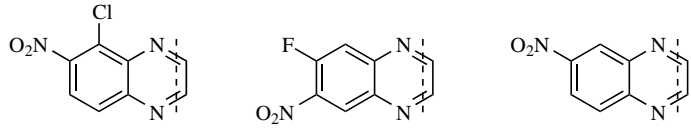
Commercial 40% aqueous glyoxal or the glyoxal–sodium bisulfite adduct may be used satisfactorily with *o*-phenylenediamines to afford 2,3-unsubstituted quinoxalines; the use of an irregular synthon or substrate is also illustrated in the following examples.

With Free Glyoxal as Synthon

3,6-Dibromo-1,2-benzenediamine (**95**) and glyoxal (**96**) gave 5,8-dibromoquinoxaline (**97**) (H_2O–EtOH, reflux, 3 h: 71%);[108] appropriate substrates also gave 5-chloro-6-nitro- (**98**) (likewise, 1 h: 96%),[147] 6-fluro-7-nitro- (**99**) (likewise, 1 h: 81%),[368] and 6-nitroquinoxaline (**100**) (MeCN–H_2O, 50°C, 12 h: 62%).[501]



(**95**) (**96**) (**97**)



(**98**) (**99**) (**100**)

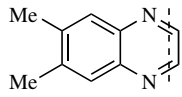
Also other examples.[172,470,533,918,949,970,975]

With Glyoxal–Sodium Bisulfite Adduct as Synthon

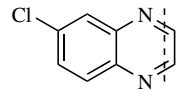
4,5-Dimethyl-1,2-benzenediamine gave 6,7-dimethylquinoxaline (**101**) (OHC-CHO·2NaHSO$_3$, H$_2$O, 70°C, 1 h: 78%;[561] OHCCHO, NaHSO$_3$, H$_2$O, 70°C→20°C: 76%;[1043] likewise, 60°C, 45 min: 71%).[160]

4-Chloro-1,2-benzenediamine gave 6-chloroquinoxaline (**102**) (OHCCHO·2NaHSO$_3$·H$_2$O, AcONA, AcOH–H$_2$O, 50°C→60°C, 2 h: 79%;[263] OHC-CHO·2NaHSO$_3$·H$_2$O, H$_2$O, 70°C, 1 h: 79%).[561]

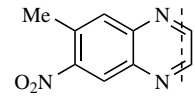
4-Methyl-5-nitro-1,2-benzenediamine gave 6-methyl-7-nitroquinoxaline (**103**) (OHCCHO·2NaHSO$_3$·H$_2$O, H$_2$O, 70°C, ? min: 94%).[936]



(**101**)



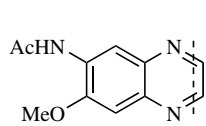
(**102**)



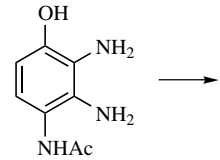
(**103**)

4-Acetamido-5-methoxy-1,2-benzenediamine (prepared in situ by reduction of 1-acetamido-2-methoxy-4,5-dinotrobenzene) gave 6-acetamido-7-methoxy-quinoxaline (**104**) (OHCCHO·2NaHSO$_3$·H$_2$O, 70°C, 2 h: 96%).[282]

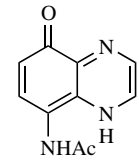
4-Acetamido-2,3-diaminophenol (**105**) (prepared in situ by reduction of the 2,3-dinitro analog) gave 8-acetamido-5(1*H*)-quinoxalinone (**106**) (OHCCHO·2NaHSO$_3$·H$_2$O, reflux, N$_2$↓, 2 h: 79%).[620]



(**104**)



(**105**)



(**106**)

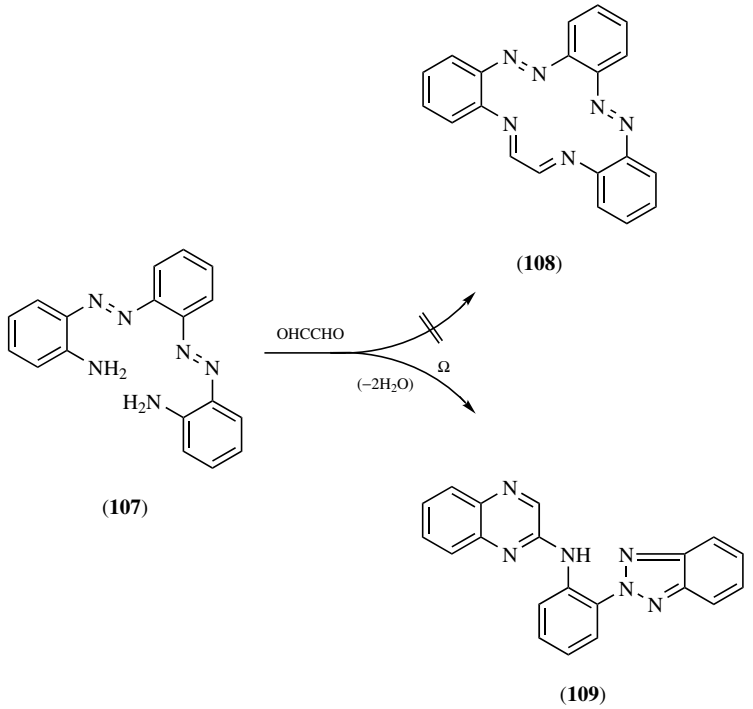
Also other examples in the references cited above and elsewhere.[161,205,247,267,715,750]

With an Irregular Synthon or Substrate

1,2-Diaminocyclohexane and glycol gave decahydroquinoxaline [Ru$_2$(CO)$_{12}$, PBu$_3$, THF, 220°C, A, sealed, 15 h: 88%].[927]

o-Bis(*o*-aminophenylazo)benzene (**107**) and aqueous glyoxal gave, not the expected macrocyclic product (**108**), but 6-[*o*-(benzotriazol-2-yl)anilino]quinoxaline (**109**) (MeOH–H$_2$O, <5°C, 23 h: 59%);[1006] the structure was

confirmed by X-ray analysis and an unambiguous synthesis;[74] and a possible mechanism for the rearrangement has been discussed.[1006]



(**108**)

(**107**)

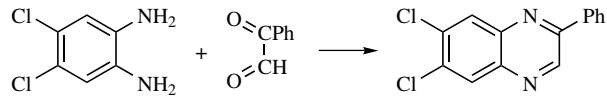
(**109**)

1.2.3.2. Using an Aldehydo Ketone or Related Synthon

Unlike the dialdehyde (glyoxal), aldehydo ketones are essentially unsymmetric; accordingly, they will still give a single product on cyclocondensation with a symmetric *o*-phenylenediamine derivative as substrate, but two isomeric products with an unsymmetric *o*-phenylene derivative. Such isomers are usually separable but often with considerable loss. Mainly to avoid this situation by achieving regioselectivity in syntheses, a variety of aldehydo ketone equivalents have been employed as synthons but with mixed results. The following classified examples illustrate the generalities mentioned above.

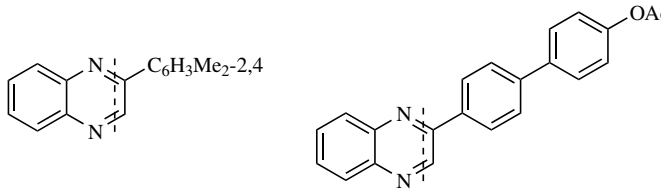
Aldehydo ketones with Symmetric Substrates

4,5-Dichloro-1,2-benzenediamine (**110**) and phenylglyoxal (**111**) gave 6,7-dichloro-2-phenylquinoxaline (**112**) (MeOH, 55°C, 30 min: 73%).[551]



(**110**) (**111**) (**112**)

1,2-Benzenediamine gave 2-(2,4-dimethylphenyl)quinoxaline (**113**) [2,4-$Me_2C_6H_3C(=O)CHO$, AcOH, 100°C, 30 min: 55%][826] or 2-(4′-acetoxybiphenyl-4-yl)quinoxaline (**114**) (*p*-$AcOC_6H_4C_6H_4COCHO$-*p*, EtOH, reflux, ? min: ~70%).[626]

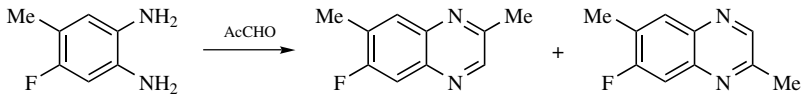


(**113**) (**114**)

Also other examples.[142,186,214,265,333,340,343,526,563,593,728,874]

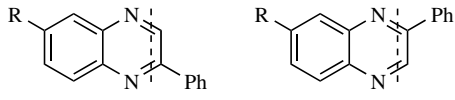
Aldehydo Ketones with Unsymmetric Substrates

4-Fluoro-5-methyl-1,2-benzenediamine (**115**) gave an apparently inseparable mixture of 6-fluoro-2,7-dimethyl-(**116**) and 6-fluoro-3,7-dimethylquinoxaline (**117**) (AcCHO, H_2O, reflux, 15 min: 75%).[6]



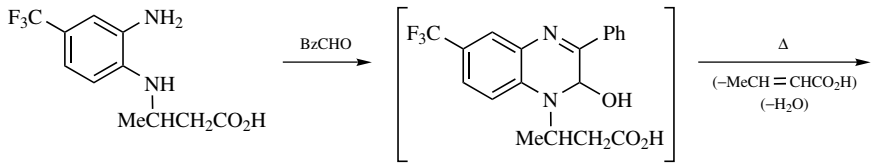
(**115**) (**116**) (**117**)

4-Acetyl-1,2-benzenediamine gave an easily separable mixture of 6-acetyl-2-phenyl- (**118**, R = Ac) and 6-acetyl-3-phenylquinoxaline (**119**, R = Ac) (BzCHO, EtOH, reflux, 3 h: 61% and 18%, respectively);[885] similarly, 4-trifluoromethyl-1,2-benzenediamine gave 2-phenyl-6-trifluoromethyl- (**118**, $R = CF_3$) and 2-phenyl-7-trifluoromethylquinoxaline (**119**, $R = CF_3$) (likewise: 45% and 39%, respectively).[840]

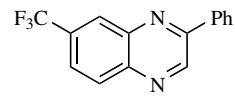


(**118**) (**119**)

2-[(2-Carboxy-1-methylethyl)amino]-5-trifluoromethylaniline (**120**) gave 2-phenyl-7-trifluoromethylquinoxaline (**122**), probably by loss of crotonic acid and water from the unisolated intermediate (**121**) (neat BzCHO, 155°C, 3 h: 82%; note that no isomer was detected).[841]



(**120**) (**121**)

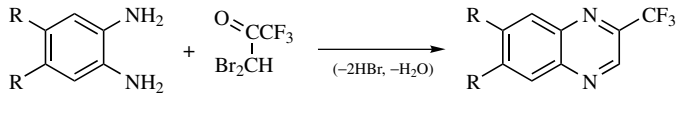


(**122**)

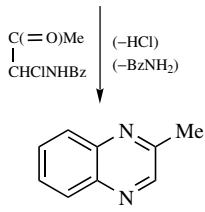
Also other examples affording isomeric pairs that are easy, difficult, or impossible to separate.[5,7,9,25,35,37,296,374,389,756,769,839,843,849]

Aldehydo Ketone Equivalents as Synthons

4,5-Dichloro-1,2-benzenediamine (**123**, R = Cl) and 1,1-dibromo-3,3,3-trifluoroacetone (**124**) gave 6,7-dichloro-2-trifluoromethylquinoxaline (**125**, R = Cl) (MeONa, H_2O, 98°C, 30 min: 83%);[129] 6,7-dimethyl-2-trifluoromethylquinoxaline (**125**, R = Me) was made similarly (57%).[129]



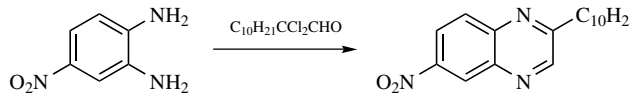
(**123**) (**124**) (**125**)



(**126**)

1,2-Benzenediamine (**123**, R = H) and 1-benzamido-1-chloroacetone gave 2-methylquinoxaline (**126**) (Na_2CO_3, H_2O–EtOH, reflux, 6 h: 70%); homologs likewise.[350]

4-Nitro-1,2-benzenediamine (**127**) gave mainly 2-decyl-6-nitroquinoxaline (**128**) ($C_{10}H_{21}CCl_2CHO \cdot H_2O$-dioxane, pH 9, by $Na_2CO_3\downarrow$, reflux, 2 h: 34% after separation from a little of the 7-nitro isomer).[123]



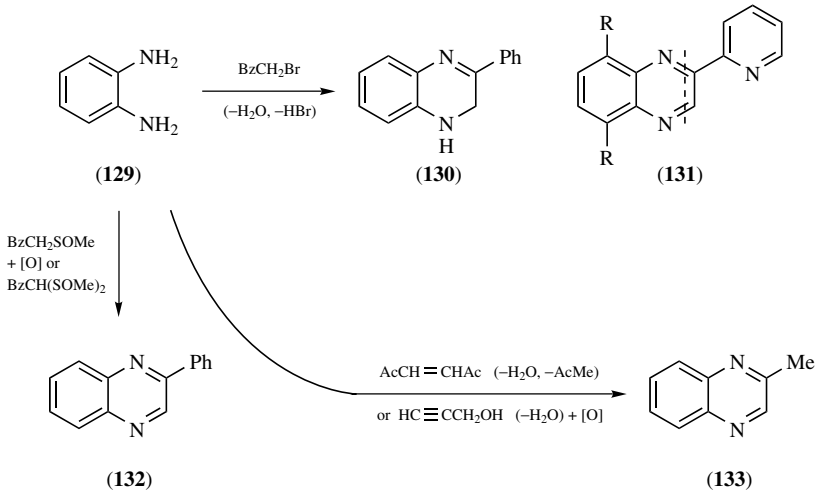
(**127**) (**128**)

Also other examples,[36,258,667,758]

Reduced Analogs of Aldehydo Ketones as Synthons

Note: These synthons will usually give hydroquinoxalines, but some such products may undergo aerial aromatization during the reaction or workup.

1,2-Benzenediamine (**129**) gave 2-phenyl-3,4-dihydroquinoxaline (**130**) (AcONa, MeOH, reflux, $CH_4\downarrow$, 2 h: 55%;[783] with unsymmetric analogs of substrate (**129**), two isomers resulted in each case;[783] and the kinetics of such cyclizations have been studied.[821]



(**129**) (**130**) (**131**)

(**132**) (**133**)

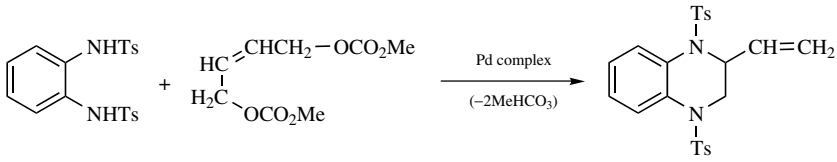
3,6-Diiodo-1,2-benzenediamine gave 5,8-diiodo-2-(pyridin-2-yl)quinoxaline (**131**, R = I) [2-(bromoacetyl)pyridine · HBr, Me_2SO, 60°C, 1 h: 20%; aerial or solvent oxidation?];[172] perhaps by a comparable mechanism, 1,2-benzenediamine

gave 2-(pyridin-2-yl)quinoxaline (**131**, R = H) (2-acetylpyridine, $ClCO_2Me$, PrOH, 50°C, 48 h: 60%).[888]

1,2-Benzenediamine (**129**) gave 2-phenylquinoxaline (**132**) [$BzCH_2SOMe$ or $BzCH(SOMe)_2$, PhH, AcOH, reflux, 2 h: 35% after separation from another product; aerial or sulfoxide oxidation required with the first reagent).[249,cf. 567]

1,2-Benzenediamine (**129**) gave 2-methylquinoxaline (**133**) [AcCH=CHAc (0.5 equiv), CH_2Cl_2, 20°C, 3 days: 80%, with loss of water and acetone;[492] $HC{\equiv}CCH_2OH$, $Hg(OAc)_2$, THF, 20°C, 14 h: 51%].[575]

1,2-Bis(tosylamino)benzene (**134**) and 1,4-bis(methoxycarbonyloxy)but-2-ene (**135**) gave 1,4-ditosyl-2-vinyl-1,2,3,4-tetrahydroquinoxaline (**136**) [Pd complex (made in situ: see original), THF, 25°C, 24 h: 51%]; analogs likewise.[892]



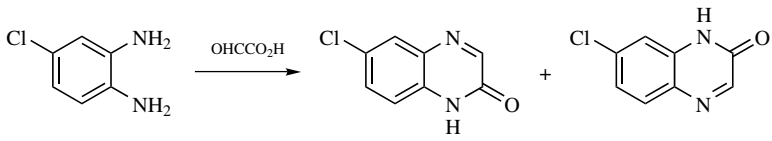
(**134**) (**135**) (**136**)

Also other examples.[402,411,504,1091,1100]

1.2.3.3. Using an Aldehydo Acid or Related Synthon

Such synthons with *o*-phenylenediamines afford 2(1*H*)-quinoxalinones; a single product or two isomers will be formed according to the symmetry of the substrate. Related synthons at a lower oxidation state produce dihydroquinoxalinones. The following examples illustrate typical results.

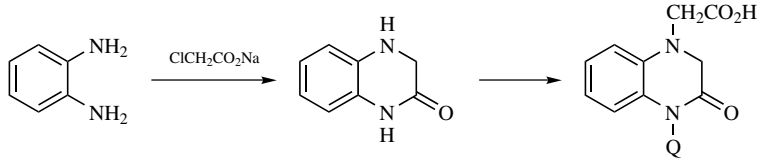
4-Chloro-1,2-benzenediamine (**137**) and glyoxylic acid gave a mixture of 6-chloro- (**138**) and 7-chloro-2(1*H*)-quinoxalinone (**139**) from which only 6-isomer could be isolated in a pure state ($OHCCO_2H$, H_2O–MeOH, 20°C, 24 h: 37%).[947,1042]



(**137**) (**138**) (**139**)

1,2-Benzenediamino (**140**) and chloroacetic acid (1 equiv) gave 3,4-dihydro-2(1*H*)-quinoxalinone (**141**) ($ClCH_2CO_2Na$, H_2O, reflux, 5 h: ?%);[316,447] an excess of synthon gave 4-carboxymethyl- (**142**, Q = H) and/or 1,4-bis-

(carboxymethyl)-3,4-dihydro-2(1*H*)-quinoxalinone (**142**, $Q = CH_2CO_2H$) (similar conditions: ?%).[261,425]



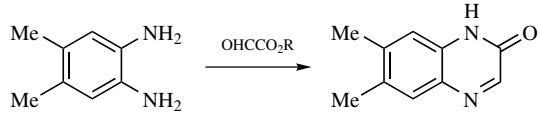
(**140**) (**141**) (**142**)

Also other examples.[382,708,1062]

1.2.3.4. Using an Aldehydo Ester or Related Synthon

These synthons behave much as do the foregoing aldehydo acids but they are usually more convenient and reactive, as indicated by the following examples.

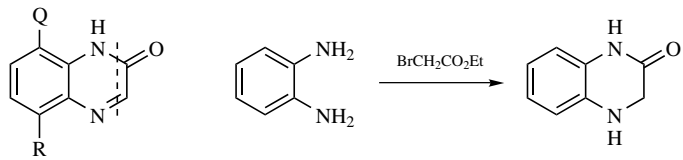
4,5-Dimethyl-1,2-benzenediamine (**143**) gave 6,7-dimethyl-2(1*H*)-quinoxalinone (**144**) [$OHCCO_2Me$, EtOH, reflux, 2 h: 72%;[718] or $OHCCO_2Bu$, similarly: 69%].[697]



(**143**) (**144**)

3-Fluoro-1,2-benzenediamine gave a separable mixture of 5-fluoro- (**145**, Q = H, R = F) and 8-fluoro-2(1*H*)-quinoxalinone (**145**, Q = F, R = H) ($OHCCO_2Bu$, H_2O–EtOH, reflux, $N_2\downarrow$, 3 h: 16% and 23%, respectively, after separation).[708]

1,2-Benzenediamine (**146**) gave 3,4-dihydro-2(1*H*)-quinoxalinone (**147**) (BrH_2CCO_2Et, Et_3N, CH_2Cl_2-THF, 20°C, 14 h; then 60°C, 3 h: 62%).[425,447,821]



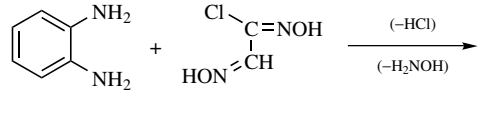
(**145**) (**146**) (**147**)

Also other examples.[22,648]

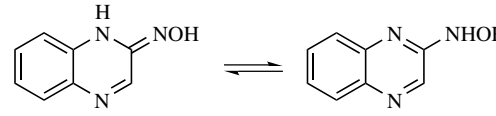
1.2.3.5. Using an Aldehydo Amide, Nitrile, Acyl Halide, or Related Synthon

Each such category of synthon has potential for the synthesis of quinoxalines or hydroquinoxalines, but very few examples have been reported.

1,2-Benzenediamine (**148**) and *trans*-1-chloro-1,2-bis(hydroxyimino)ethane (**149**) gave 2(1*H*)-quinoxalinone oxime (**150**), perhaps better formulated as 2-hydroxyaminoquinoxaline (**151**) (EtOH, 20°C, 3 h: 71%);[992,cf. 982] 6,7-dibromo-1,2-benzenediamine likewise gave 6,7-dibromo-2-hydroxyaminoquinoxaline.[850]



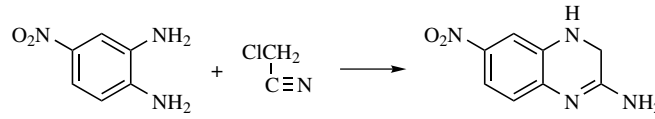
(**148**) (**149**)



(**150**) (**151**)

4-Nitro-1,2-benzenediamine (**152**) and chloroacetonitrile (**153**) gave 6-nitro-3,4-dihydro-2-quinoxalinamine (**154**), apparently without 7-nitro isomer (Et_3N, *p*-xylene, reflux, 6 h: 47%).[53]

Also other examples.[562,850]



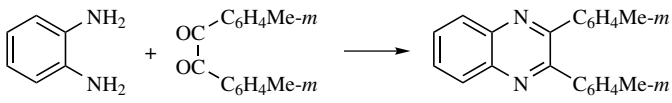
(**152**) (**153**) (**154**)

1.2.3.6. Using a Diketone or Related Synthon

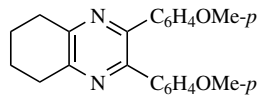
Diketones, like diacetyl and related synthons, react readily with *o*-phenylenediamines or related reduced substrates to afford quinoxalines. Only when both synthon and substrate are unsymmetric are two isomers formed, and this situation has been largely avoided in recent literature. The following classified examples illustrate many of the possibilities available from such syntheses.

Regular Diketones as Synthons: One Product

1,2-Benzenediamine (**155**) and *m*,*m*′-dimethylbenzil (**156**) gave 2,3-di-*m*-tolylquinoxaline (**157**) (EtOH, reflux, 2 h: 93%);[218] similarly, 1,2-diaminocyclohexane and *p*,*p*′-dimethoxybenzil gave 2,3-bis(*p*-methoxyphenyl)-5,6,7,8-tetrahydroquinoxaline (**158**), via oxidation of the unisolated 4a,5,6,7,8,8a-hexahydro analogue (MeOH, reflux, 1 h; then crude product, S, 140°C, ? min: 36%).[600]



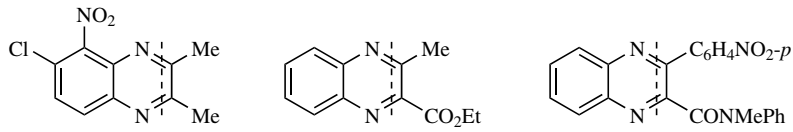
(**155**) (**156**) (**157**)



(**158**)

4-Chloro-3-nitro-1,2-benzenediamine gave 6-chloro-2,3-dimethyl-5-nitroquinoxaline (**159**) (Ac_2, EtOH, 60°C, 20 min: 84%);[470] analogs likewise.[470,828]

1,2-Benzenediamine gave ethyl 3-methyl-2-quinixalinecarboxylate (**160**) [AcC(=O)CO_2Et (made in situ), TsOH, PhH, reflux, 2 h: 74%][119] or *N*-methyl-3-*p*-nitrophenyl-*N*-phenyl-2-quinoxalinecarboxamide (**161**) [BzC(=O)-CONMePh, AcOH, reflux, 30 min: 76%].[582]

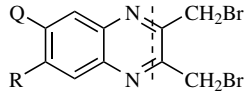


(**159**) (**160**) (**161**)

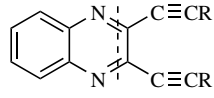
1,2-Benzenediamine gave 2,3-bis(bromomethyl)quinoxaline (**162**, Q = R = H) [$BrH_2CC(=O)C(=O)CH_2Br$, EtOH, 0°C, 30 min: 81%];[297,1043] 4,5-dimethyl-1,2-benzenediamine gave 2,3-bis(bromomethyl)-6,7-dimethylquinoxaline (**162**, Q = R = Me) (similarly: 70%;[185] or PhH, reflux, 40 min: 75%);[951] and 3-nitro-1,2-benzenediamine gave 2,3-bis(bromomethyl)-5-nitroquinoxaline (**162**, $Q = NO_2$, R = H) [$BrH_2CC(=O)C(=O)CH_2Br$, MeOH, O°C, 2 h: 88%].[882]

1,2-Benzenediamine gave 2,3-bis[(triisopropylsilyl)ethynyl]quinoxaline (**163**, $R = SiPr^i_3$) [$Pr^i_3SiC{\equiv}CC(=O)C(=O)C{\equiv}CSiPr^i_3$, "activated molecular sieve," PhMe, 80°C, 20 min: 95%) or 2,3-bis(phenylethynyl)quinoxaline (**163**, R = Ph) [$PhC{\equiv}CC(=O)C(=O)C{\equiv}CPh$, likewise: 80%).[656]

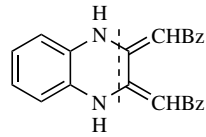
1,2-Benzenediamine gave 2,3-diphenacylquinoxaline, shown by X-ray analysis to exist as its tautomer (**164**) at least in the solid state [$BzCH_2C(=O)C(=O)CH_2Bz$, EtOH, reflux, 30 min: 80%];[1037] 1,2-diaminocyclohexane likewise gave 2,3-diphenacyl-4a,5,6,7,8,8a-hexahydroquinoxaline (70%), also in the diphenacyidene form akin to structure **164**.[1037]



(**162**)



(**163**)

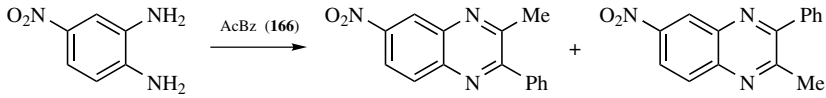


(**164**)

Also many other examples[24,37,61,70,87,96,110,114,126,162,171,172,256,276,289,326,334,339,360,401,444,509,514,529,534,548,566,577,611,638,678,702,816,895,902,955,981,1000,1009] including a good solid-state procedure.[1065]

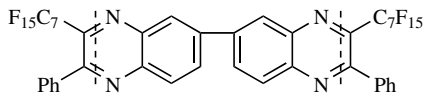
Regular Diketones as Synthons: Two Isomeric Products

4-Nitro-1,2-benzenediamine (**165**) and 1-methyl-2-phenylglyoxal (**166**) gave a mixture of 2-methyl-7-nitro- (**167**) and 2-methyl-6-nitro-3-phenylquinoxaline (**168**) (MeOH, reflux, ? min: >70%), subsequently separated chromatographically with considerable (?) loss;[420] also analogous examples.[420]



(**165**) (**167**) (**168**)

3,3′,4,4′-Biphenyltetramine and 1-(perfluoroheptyl)-2-phenylglyoxal gave a product formulated as 3,3′-bis(perfluoroheptyl)-2,2′-diphenyl-6,6′-biquinoxaline (**169**) (*m*-cresol, 20°C, 24 h: 92%; possibly containing both other possible isomers).[900]



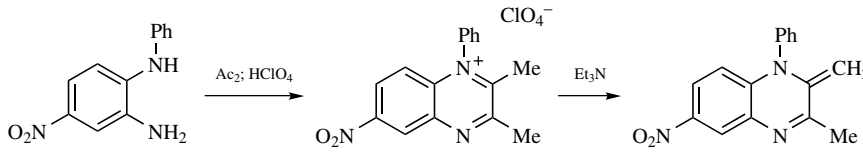
(**169**)

Also other examples.[77]

Regular Diketones as Synthons with *o*-Alkylaminoanilines

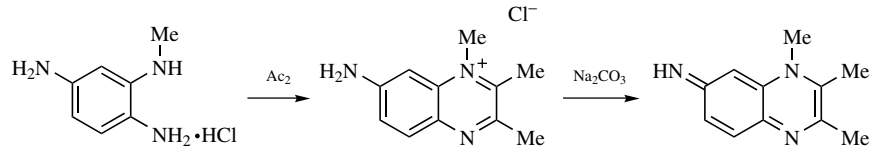
Note: This combination has been used in acidic media to produce quaternized quinoxalines.

2-Anilino-5-nitroaniline (**170**) gave 2,3-dimethyl-6-nitro-1-phenylquinoxalinium perchlorate (**171**) (Ac_2, $HClO_4$, BuOH–Et_2O–H_2O, 20°C, 20 min: 84%) and thence 2-methyl-3-methylene-7-nitro-4-phenyl-3,4-dihydroquinoxaline (**172**) (Et_3N, AcMe, warm: 79%);[63] analogs likewise.[63,67]



(**170**) (**171**) (**172**)

2-Methylamino-1,4-benzenediamine hydrochloride (**173**) gave 7-amino-1,2,3-trimethylquinoxalinium chloride (**174**) (Ac_2, no details) and thence 2,3,4-trimethyl-6(4*H*)-quinoxalinimine (**175**) (Na_2CO_3, no details).[174]

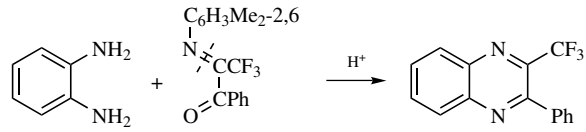


(**173**) (**174**) (**175**)

Also other examples.[170]

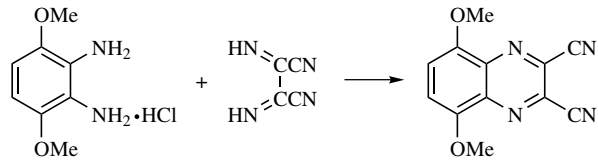
Diketone Equivalents as Synthons

1,2-Benzenediamine (**176**) and *N*-(2-benzoyl-1-trifluoromethylethylidene)-2,6-dimethylaniline (**177**) gave 2-phenyl-3-trifluoromethylquinoxaline (**178**) (HCl, MeOH–H_2O, 20°C, 36 h: >95%).[188]



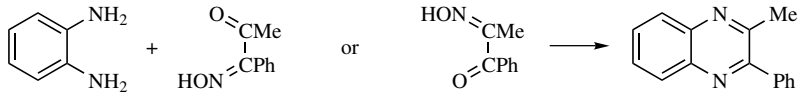
(**176**) (**177**) (**178**)

3,6-Dimethoxy-1,2-benzenediamine hydrochloride (**179**) and diiminosuccinonitrile (**180**) gave 5,8-dimethoxy-2,3-quinoxalinedicarbonitrile (**181**) (F_3CCO_2H, 20°C, 13 h: 77%).[553]



(**179**) (**180**) (**181**)

1,2-Benzenediamine (**182**) and 1-methyl-2-phenylglyoxal 2-oxime (**183a**) or the isomeric 1-oxime (**183b**) gave 2-methyl-3-phenylquinoxaline (**184**) (EtOH, reflux, 90 min: 80% or 90%, respectively);[1033] homologs likewise.[1033]



(**182**) (**183a**) (**183b**) (**184**)

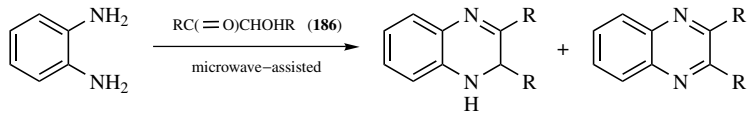
1,2-Benzenediamine and 2-acetyl-2-anilino-2-ethoxy(thioacetanilide) [AcC(OEt)-(NHPh)C(=S)NHPh] gave 3-methyl-2-quinoxalinecarbothioanilide (EtOH, reflux, 3 h: 65%).[1102]

Also other examples.[198,507,662,1117]

Reduced Analogs of Diketones as Synthons

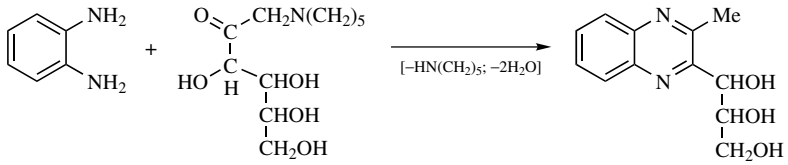
Note: There is considerale variety in this category, including, for example, the use of hydroxyimino- or nitroso derivatives of benzene as substrates.

1,2-Benzenediamine (**185**) and benzoin (**186**) gave a separable mixture of 2,3-diphenyl-1,2-dihydroquinoxaline (**187**, R = Ph) and 2,3-diphenylquinoxaline (**188**, R = Ph) (dry mixture, microwave irradiation under reflux, 4 min: 21% and 67%, respectively); in contrast, similar treatment with *m*,*m*′-dichlorobenzoin gave only the aromatized product, 2,3-bis(*m*-chlorophenyl)quinoxaline (**188**, R = C_6H_4Cl-*m*) (94%).[856]



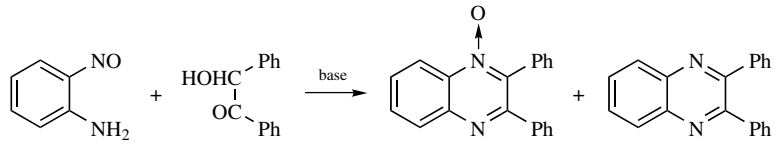
(**185**) (**187**) (**188**)

1,2-Benzenediamine (**189**) and 1-deoxy-1-piperidino-D-fructose (**190**) gave 2-methyl-3-(1,2,3-trihydroxypropyl)quinoxaline (**191**) [phosphate buffer (pH 7), reflux, 10 h: 70%; no external [O] needed].[915]



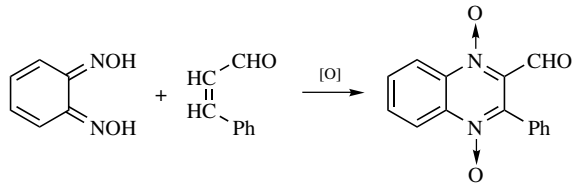
(**189**) (**190**) (**191**)

o-Nitrosoaniline (**192**) and benzoin (**193**) gave a separable mixture of the expected product, 2,3-diphenylquinoxaline 1-oxide (**194**), and some 2,3-diphenylquinoxaline (**195**) (minimal details);[352] also somewhat similar condensations.[345,352]



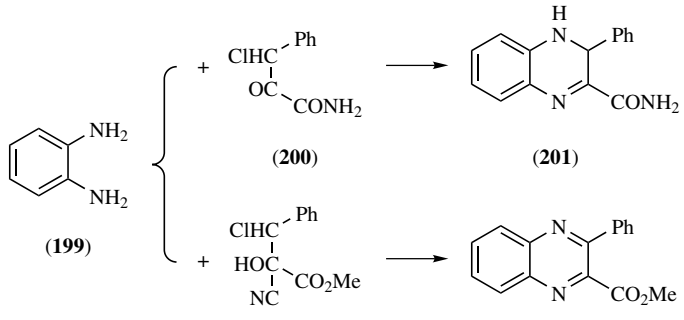
(**192**) (**193**) (**194**) (**195**)

o-Benzoquinone dioxime (**196**) and cinnamaldehyde (**197**) gave 3-phenyl-2-quinoxalinecarbaldehyde 1,4-dioxide (**198**) (MeOH, <20°C, ? h: >35%; clearly involving aerial oxidation).[408]



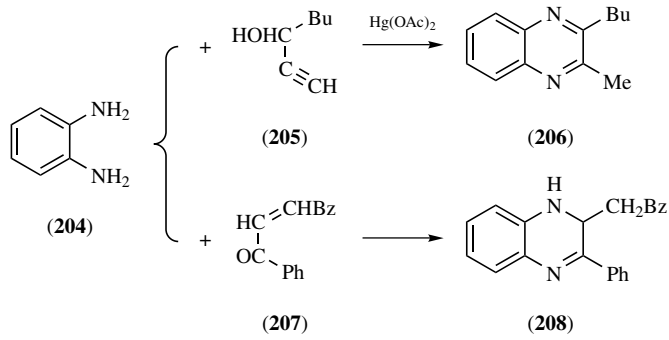
(**196**) (**197**) (**198**)

1,2-Benzenediamine (**199**) and *C*-(2-chloro-2-phenylacetyl)formamide (**200**) gave 3-phenyl-3,4-dihydro-2-quinoxalinecarboxamide (**201**), formulated as its 1,4-dihydro tautomer (EtOH, reflux, 6 h: 56%);[356] in contrast, the same substrate (**199**) with methyl *C*-(2-chloro-2-phenylacetyl)formate cyanohydrin (**202**) gave methyl 3-phenyl-2-quinoxalinecarboxylate (**203**), presumably by aerial oxidation of a dihydro precursor (MeCN, reflux, 12 h: 7%).[859]



(**199**) (**200**) (**201**) (**202**) (**203**)

1,2-Benzenediamine (**204**) and hept-1-yn-3-ol (**205**) gave 2-butyl-3-methylquinoxaline (**206**) [$Hg(OAc)_2$ (oxidant), THF, 60°C→20°C, 15 h: 60%].[575] The same substrate (**204**) and 1,2-dibenzoylethylene (**207**) gave 2-phenacyl-3-phenyl-1,2-dihydroquinoxaline (**208**) (MeOH, reflux, 15 min: 61%; PhH, 45°C, 4 h: 57%).[782]



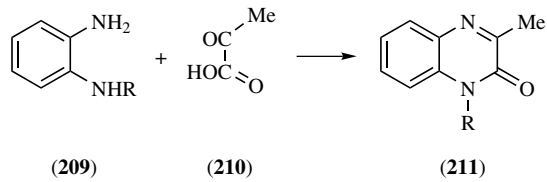
Also other examples.[497,787,1015]

1.2.3.7. Using a Keto Acid or Related Synthon

As they are essentially unsymmetric, such synthons will give a single quinoxalinone only when the *o*-phenylenediamine or related substrate is symmetric or one of its amino groups is secondary. The following examples illustrate conditions and the yields to be expected.

Reactions Giving a Single Unambiguous Product

1,2-Benzenediamine (**209**, R = H) and pyruvic acid (**210**) gave 3-methyl-2(1*H*)-quinoxalinone (**211**, R = H) (HCl–H_2O, 20°C, 15 min: 75%; or H_2O, 20°C, 10 min: 65%).[996] *o*-Methylaminoaniline (**209**, R = Me) and the same synthon (**210**) gave 1,3-dimethyl-2(1*H*)-quinoxalinone (**211**, R = Me) (EtOH, 50°C, briefly: 77%).[1005]

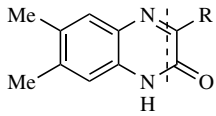


4,5-Dimethyl-1,2-benzenediamine with phenylglyoxylic acid ($PhCOCO_2H$) gave 6,7-dimethyl-3-phenyl-2(1*H*)-quinoxalinone (**212**, R = Ph) (EtOH, reflux,

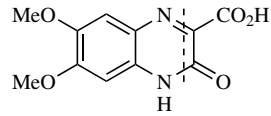
10 min: 72%)[964] or with benzoylpyruvic acid ($BzCH_2COCO_2H$) gave 6,7-dimethyl-3-phenacyl-2(1*H*)-quinoxalinone (**212**, $R = CH_2Bz$) (EtOH, 20°C, 3 h: 93).[222]

4,5-Dimethoxy-1,2-benzenediamine with mesoxalic acid (HO_2CCOCO_2H) gave 6,7-dimethoxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid (**213**) (0.5M HCl, 98°C, 2 h: 56%).[943]

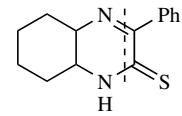
1,2-Cyclohexanediamine with diphenacyl sulfide, appearing to react as benzoyl(thiopyruvic) acid, gave a separable mixture of *cis*- and *trans*-3-phenyl-4a,5,6,7,8,8a-hexahydro-2(1*H*)-quinoxalinethione (**214**) [$HOCH_2CH_2OH$–EtOH, 20°C, 10 days (or reflux, 4 h): 10% and 6%, respectively].[426]



(**212**)



(**213**)

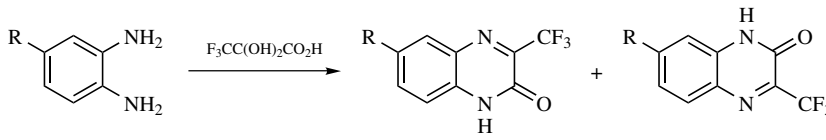


(**214**)

Also other examples.[23,240,372,383,592,690,811,1046,1118]

Reactions Giving Isomeric Products

4-Methyl-1,2-benzenediamine (**215**, R = Me) with the hydrate of 3,3,3-trifluoropyruvic acid gave a mixture of isomers (**216**, R = Me) and (**217**, R = Me) (dioxane, reflux, 30 min: 98%) from which neither appears to have been isolated in a pure state;[165] in contrast, 4-nitro-1,2-benzenediamine (**215**, $R = NO_2$) and the same synthon gave a mixture of 6-nitro- (**216**, $R = NO_2$) and 7-nitro-3-trifluoromethyl-2(1*H*)-quinoxalinone (**217**, $R = NO_2$) (dioxane, reflux, 4 h: 95%), from which both isomers were isolable, albeit with considerable loss.[165]



(**215**) (**216**) (**217**)

Also other examples.[204]

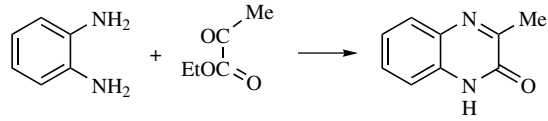
1.2.3.8. Using a Keto Ester or Related Synthon

Like keto acids, the corresponding esters can give a single product or a mixture of isomers according to the symmetry of the reactants. Keto esters and the like have

been used extensively in recent literature, although kinetic studies[458] suggest that they react 100–1000 times more slowly than do the corresponding acids.

Regular Keto Esters as Synthons: One Product

1,2-Benzenediamine (**218**) and ethyl pyruvate (**219**) gave 3-methyl-2(1*H*)-quinoxalinone (**220**) (EtOH, reflux, 3 h: >95%).[84]

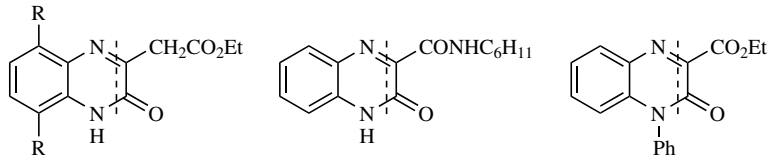


(**218**) (**219**) (**220**)

The same substrate (**218**) and ethyl ethoxalylacetate ($EtO_2CCOCH_2CO_2Et$) gave 3-ethoxycarbonylmethyl-2(1*H*)-quinoxalinone (**221**, R = H) (EtOH, reflux, 3 h: 80%;[505] likewise but 15 min: 64%);[237] the homologous substrate, 3,6-dimetyl-1,2-benzenediamine, and the same synthon gave 3-ethoxycarbonylmethyl-5,8-dimethyl-2(1*H*)-quinoxalinone (**221**, R = Me) (AcOH, reflux, briefly: 61%).[79]

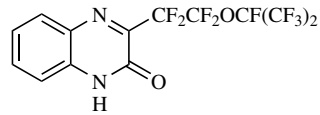
1,2-Benzenediamine (**218**) and the hydrochloride of ethyl 2-[*N*-cyclohexyl-(ethoxyformimidoyl)]glyoxalate [$EtO_2CCOC(OEt)=N(C_6H_{11})$] (prepared in situ) gave *N*-cyclohexyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide (**222**) (PhH–EtOH–CH_2Cl, 40°C, 2 h: 81%; clearly needing an hydrolytic step).[698]

o-Anilinoaniline gave ethyl 3-oxo-4-phenyl-3,4-dihydro-2-quinoxalinecarboxylate (**223**) (EtO_2CCOCO_2Et, xylene, reflux, A, 43 h: 82%).[535]



(**221**) (**222**) (**223**)

1,2-Benzenediamine gave 3-perfluoro(2-isopropoxyethyl)-2(1*H*)-quinoxalinone (**224**) [$EtO_2CC(=O)CF_2CF_2OCF(CF_3)_2$, EtOH, reflux, 48 h: 77%].[896]

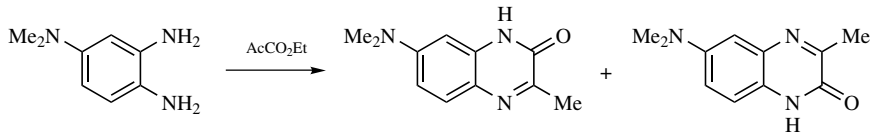


(**224**)

Also other examples.[146,167,209,303,323,356,358,493,544,686,688,689,785,797,822,832,833,846,903,977]

Regular Keto Esters ss Synthons: Two Products

4-Dimethylamino-1,2-benzenediamine (**225**) gave a separable mixture of 7-dimethylamino- (**226**) and 6-dimethylamino-3-methyl-2(1*H*)-quinoxalinone (**227**) ($AcCO_2Et$, EtOH, reflux, N_2, 4 h: 70% and 25%, respectively).[72]



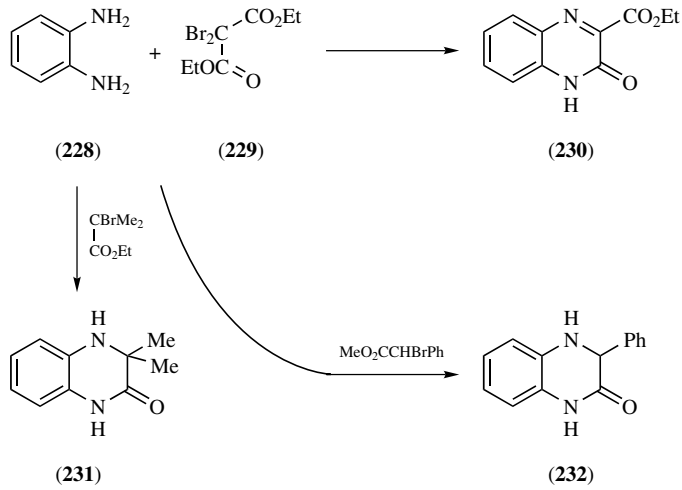
(**225**) (**226**) (**227**)

Also other examples.[458]

Equivalents or Reduced Analogs of Keto Esters as Synthons

1,2-Benzenediamine (**228**) and diethyl dibromomalonate (**229**) gave ethyl 3-oxo-3,4-dihydro-2-quinoxalinecarboxylate (**230**) (MeOH, 20°C, 24 h: 40%).[448]

The same substrate (**228**) with ethyl α-bromoisobutyrate gave 3,3-dimethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**231**) (Me_2NCHO, $NEtPr^i_2$, 110°C, 7 h: 76%);[724] or with methyl 2-bromo-2-phenylacetate gave 3-phenyl-3,4-dihydro-2(1*H*)-quinoxalinone (**232**) (KI, K_2CO_2, AcMe, reflux, 12 h; then oily product, MeONa, PhH, reflux, 7 h: 89%).[159]



(**228**) (**229**) (**230**)

(**231**) (**232**)

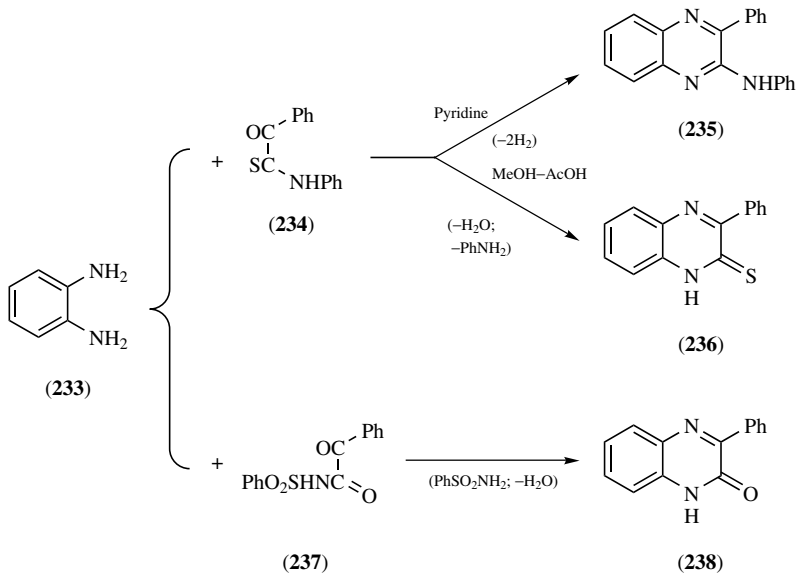
Also other examples.[76,295,307,499,721]

1.2.3.9. Using a Keto Amide, Nitrile, Acyl Halide, or Related Synthon

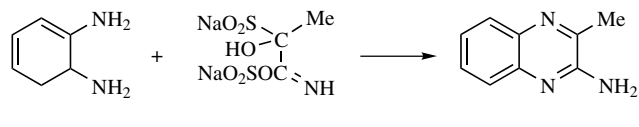
Keto amides (or thioamides) could participate in cyclocondensations by elimination of the amino or oxo (thioxo) function from the amide (thioamide) grouping according to conditions. The other synthons should behave reasonably predictably. The following examples illustrate some possibilities.

1,2-Benzenediamine (**233**) and *C*-benzoyl-*N*-phenyl(thioformamide) (**234**) gave 2-anilino-3-phenylquinoxaline (**235**) (pyridime, reflux, 10 h: 81%) or 3-phenyl-2(1*H*)-quinoxalinethione (**236**) (MeOH–AcOH, 20°C, N_2, 3 days: 46%);[946] several analogs were made similarly.[946]

The same substrate (**233**) and *N*-benzenesulfonyl-*C*-benzoylformamide (**237**) gave only 3-phenyl-2(1*H*)-quinoxalinone (**238**) (MeOH, reflux, 15 min: 57% MeOH–H_2O, reflux, 1 h: 46%; neat reactants, 90°C, 5 min: 41%).[755]

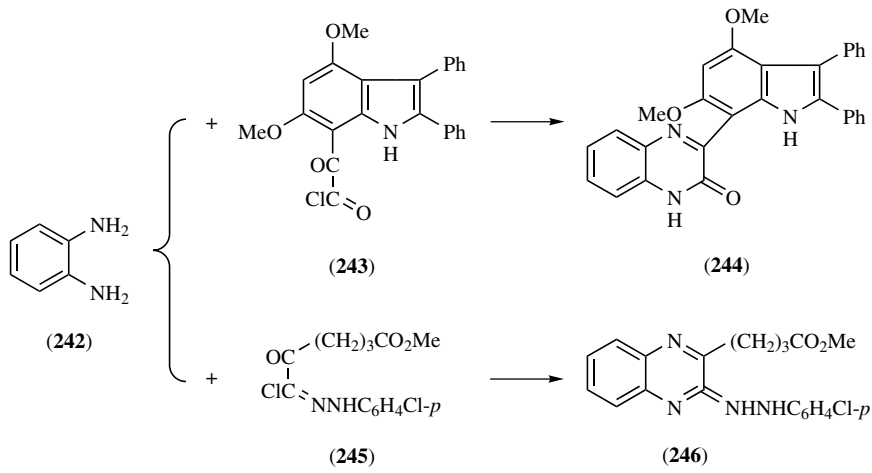


1,2-Benzenediamine (**239**) and the bisbisulfite complex (**240**) (isolated from isonitrosoacetone and an excess of aqueous $NaHSO_3$) gave 3-methyl-2-quinoxalinamine (**241**) (no details: 70%).[758]



1,2-Benzenediamine (**242**) and 7-chloroxalyl-4,6-dimethoxy-2,3-diphenylindole (**243**) gave 3-(4,6-dimethoxy-2,3-diphenylindol-7-yl)-2(1*H*)-quinoxalinone (**244**) (pyridine–CH_2Cl_2, reflux, 90 min: 40%).[652]

The same substrate (**242**) and methyl 4-[2-chloro-2-(*p*-chlorophenylhydrazono) acetyl]butyrate (**245**) gave 2-(*p*-chlorophenylhydrazino)-3-(3-methoxycarbonylpropyl)quinoxaline (**246**) (Et_3N, EtOH, reflux, 15 min: 42%).[878]



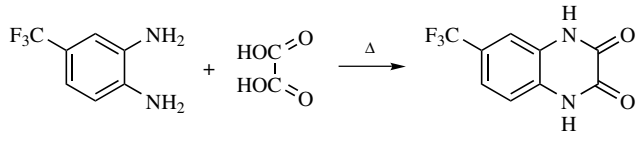
Also other examples.[154,347,364,823]

1.2.3.10. Using a Diacid (Oxalic Acid) as Synthon

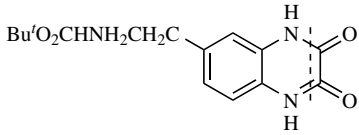
No variation in synthon is possible in this category, but the substrate can bear a variety of substituents to afford substituted 2,3(1*H*,4*H*)-quinoxalinediones. The following examples are classified according to the general procedures used.

By Heating Neat Substrate and Oxalic Acid

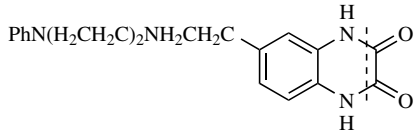
4-Trifluoromethyl-1,2-benzenediamine (**247**) and oxalic acid (**248**) (as dihydrate) gave 6-trifluoromethyl-2,3(1*H*,4*H*)-quinoxalinedione (**249**) (neat reactants, 130°C, 3 h: 87%).[478]



4-[2-(*tert*-Butoxycarbonylamino)ethyl]-1,2-benzenediamine gave 6-[2-(*tert*-butoxycarbonylamino)ethyl]-2,3(1*H*,4*H*)-quinoxalinedione (**250**) [neat $(CO_2H)_2 \cdot 2H_2O$, 185°C, A, 3 h: 67%].[871]



(**250**)



(**251**)

4-[2-(4-phenylpiperazin-1-yl)ethyl]-1,2-benzenediamine gave 6-[2-(4-phenylpiperazin-1-yl)ethyl]-2,3(1*H*,4*H*)-quinoxalinedione (**251**) [neat $(CO_2H)_2$, 200°C, N_2, 30 min: ?%].[872]

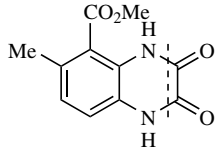
Also other examples.[321]

By Reaction in Dilute Hydrochloric Acid

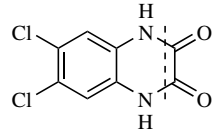
Methyl 2,3-diamino-6-methylbenzoate gave methyl 6-methyl-2,3-dioxo-1,2,3,4-tetrahydro-5-quinoxalinecarboxylate (**252**) [$(CO_2H)_2$, 4M HCl, reflux, 90 min: 69%; note survival of the ester grouping].[506]

2,3-Diamino-5,6-dichlorotoluene gave 6,7-dichloro-5-methyl-2,3(1*H*,4*H*)-quinoxalinedione (**253**) [$(CO_2H)_2$, 4M HCl, reflux, 6 h: 66%],[1039]

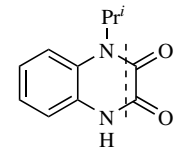
2-Isopropylaminoaniline gave 1-isopropyl-2,3(1*H*,4*H*)-quinoxalinedione (**254**) [$(CO_2H)_2$, 6M HCl, 100°C, 1 h: 94%].[950]



(**252**)



(**253**)



(**254**)

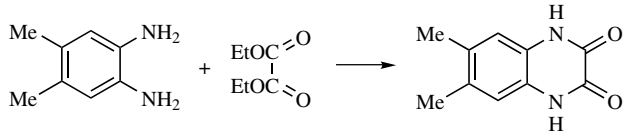
Also other examples.[14,48,279,681,694,697,723,1003,1045]

1.2.3.11. Using a Diester (a Dialkyl Oxalate) or Related Synthon

Like oxalic acid, oxalic esters and *o*-phenyldiamines give 2,3(1*H*,4*H*)-quinoxalinediones that bear substituents according to those on the substrate; such condensations appear to be assisted substantially by microwave irradiation.[1036] The corresponding half-imidic esters have also been used to afford 3-amino-2(1*H*)-quinoxalinones. The following examples illustrate typical conditions and yields.

Regular Diesters as Synthons

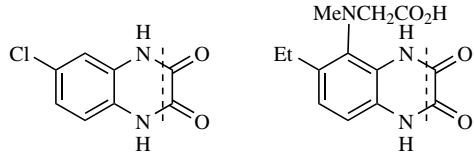
4,5-Dimethyl-1,2-benzenediamines (**255**) and diethyl oxalate (**256**) gave 6,7-dimethyl-2,3(1*H*,4*H*)-quinoxalinedione (**257**) (THF, trace AcOH, reflux, A, 3 days: 96%).[46]



(**255**) (**256**) (**257**)

4-Chloro-1,2-benzenediamine gave 6-chloro-2,3(1*H*,4*H*)-quinoxalinedione (**258**) [neat $(CO_2Et)_2$, reflux, 16 h: 98%]; also some analogs.[716]

3-(*N*-Carboxymethyl-*N*-methylamino)-4-ethyl-1,2-benzenediamine gave 5-(*N*-carboxymethyl-*N*-methylamino)-6-ethyl-2,3(1*H*,4*H*)-quinoxalinedione (**259**) [$(CO_2Me)_2$, EtOH, reflux, 16 h: 45%].[1003]



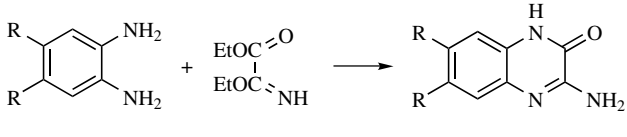
(**258**) (**259**)

Also other examples.[243,687,805,812,814,1036]

Synthons Related to Diesters

Note: When such synthons are unsymmetric, they can give rise to isomeric products on condensation with unsymmetric substrates.

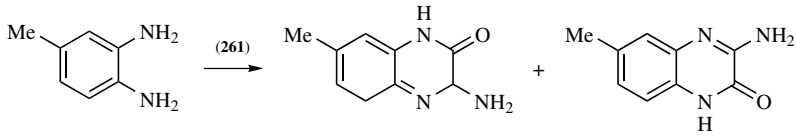
1,2-Benzenediamine (**260**, R = H) and ethyl (*C*-ethoxyformimidoyl)formate (**261**) gave 3-amino-2(1*H*)-quinoxalinone (**262**, R = H) (EtOH, reflux, 1 h: >95%;[580] EtOH, 25°C, >8 h: 82%).[562]



(**260**) (**261**) (**262**)

4,5-Dichloro-1,2-benzenediamine (**260**, R = Cl) likewise gave 3-amino-6,7-dichloro-2(1*H*)-quinoxalinone (**262**, R = Cl) (EtOH, reflux, 1 h: 58%;[580] EtOH, 25°C, >8 h: 78%);[562] also analogs.[562,580,670]

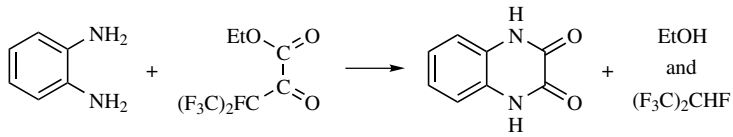
In contrast, 4-methyl-1,2-benzenediamine (**263**) and the same synthon (**261**) gave an inseparable 70 : 30 mixture of 3-amino-7-methyl- (**264**) and 3-amino-6-methyl-2(1*H*)-quinoxalinone (**265**) [EtOH, 25°C, >8 h: 77% (mixture)]; likewise analogous mixtures.[564,580]



(**263**) (**264**) (**265**)

1,2-Benzenediamine (**266**) and ethyl (perfluoroisobutyryl)formate (**267**) gave only 2,3(1*H*,4*H*)-quinoxalinedione (**268**) (MeCN, 0°C, ? h: 80%).[898]

Note: The synthon (**267**) might have been expected to react as a keto ester with 1,2-benzenediamine, but clearly the heptafluoropropane (**269**) is more easily lost than is water during the condensation.



(**266**) (**267**) (**268**) (**269**)

1.2.3.12. Using an Estero Amide, Nitrile, Acyl Halide, or Related Synthon

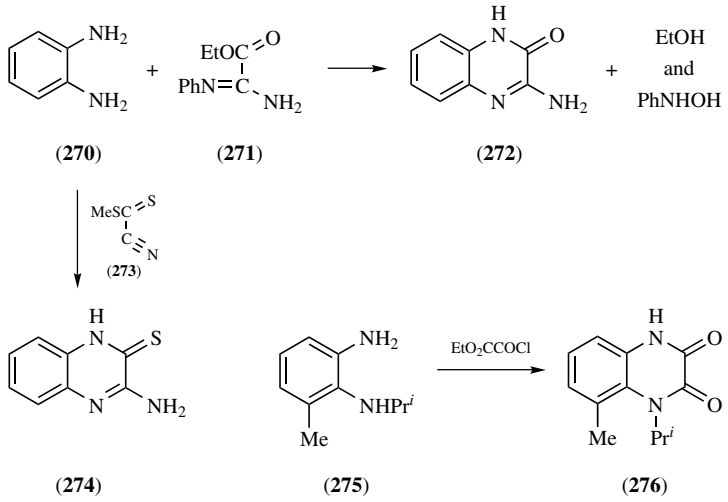
A majority of the few available examples in this broad category involve the use of equivalents to the foregoing synthons, as indicated in the following typical cases.

1,2-Benzenediamine (**270**) and the nitrone, ethyl 2-amino-2-(oxidophenylimino) acetate (**271**) (the equivalent of ethyl carbamoylformate) gave 3-amino-2(1*H*)-quinoxalinone (**272**) with loss of EtOH and *N*-phenylhydroxylamine (EtOH, trace AcOH, 20°C, ? h: 45%).[646]

The same substrate (**270**) and methyl cyano(dithioformate) (**273**) gave 3-amino-2(1*H*)-quinoxalinethione (**274**) (minimal detail: 41% after separation from a byproduct).[416]

2-Isopropylamino-3-methylaniline (**275**) and ethoxalyl chloride gave 1-isopropyl-8-methyl-2,3(1*H*,4*H*)-quinoxalinedione (**276**) ($EtPr^i_2N$, PhMe, −78°C, 1 h; then 0°C, 16 h; then reflux, 24 h: 45%);[729] several analogs were made similarly.[729,1016]

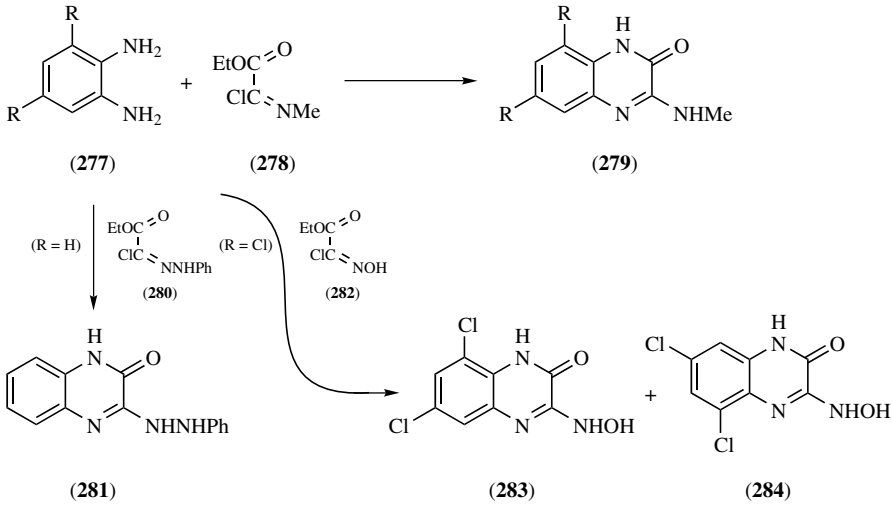
1,2-Benzenediamine (**277**, R = H) and ethyl 2-chloro-2-methylimino)acetate (**278**) gave 3-methylamino-2(1*H*)-quinoxalinone (**279**, R = H) (HCl gas, THF, 60°C→20°C, ~30 min: 71%);[669] the unsymmetric substrate, 3,5-dichloro-1,2-benzenediamine (**277**, R = Cl), and the same synthon



(**278**) should have given two isomeric products, but only 6,8-dichloro-3-methylamino-2(1*H*)-quinoxalinone (**279**, R = Cl) was isolated (as hydrochloride) (THF, 10°C→20°C, 1 day: 61%);[562] however, a related unsymmetric substrate did give an inseparable mixture of isomeric products.[562]

1,2-Benzenediamine (**277**, R = H) and ethyl 2-chloro-2-(phenylhydrazono)acetate (**280**) gave 3-(2-phenylhydrazino)-2(1*H*)-quinoxalinone (**281**) (Et_3N, EtOH, reflux, 3 h: 72);[879] analogs likewise.[516,879]

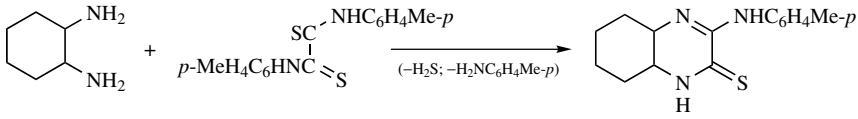
3,5-Dichloro-1,2-benzenediamine (**277**, R = Cl) and ethyl 2-chloro-2-(hydroxyimino)acetate (**282**) gave an apparently inseparable mixture of 6,8-dichloro- (**283**) and 5,7-dichloro-3-hydroxyamino-2(1*H*)-quinoxalinone (**284**) ($NaHCO_3$, EtOH–H_2O, 20°C, 24 h: 81% of the mixture).[562]



1.2.3.13. Using a Diamide (Oxamide), Amido Nitrile, or Related Synthon

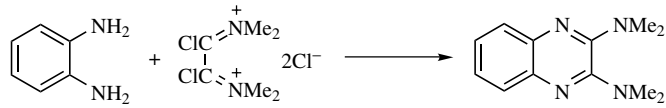
This is a very neglected category of cyclocondensation. However, the following examples indicate its potential utility.

1,3-Diaminocyclohexane (**285**) and *N*,*N*-di-*p*-tolyldithiooxamide (**286**) gave 3-*p*-toluidino-4a,5,6,7,8,8a-hexahydro-2(1H)-quinoxalinethione (**287**) (Me_2SO, 40°C, ? h: 72%; it is interesting that the two thioamide entitites reacted in different ways).[398]



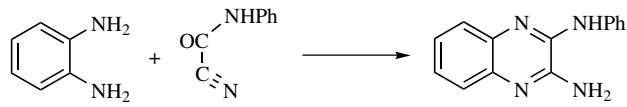
(**285**) (**286**) (**287**)

1,2-Benzenediamine (**288**) and the unstable oxamide equivalent (**289**), prepared in situ by chlorination of bis(dimethylamino)acetylene, gave 2,3-bis(dimethyl amino)quinoxaline (**290**) (no details).[31]



(**288**) (**289**) (**290**)

1,2-Benzenediamine (**291**) and *C*-cyanoformanilide (**292**) gave 3-anilino-2-quinoxalinamine (**293**) (probably EtOH, reflux, ~3 h: 70%).[603]

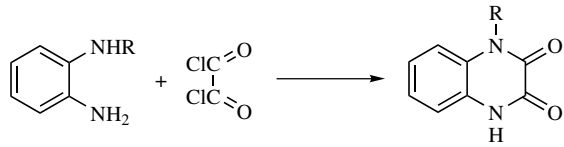


(**291**) (**292**) (**293**)

1.2.3.14. Using a Diacyl Dihalide (Oxalyl Halide) or Related Synthon

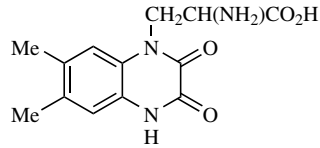
Like the preceding category, this is poorly represented in recent literature, although it has significant potential, as illustrated in the following examples.

1,2-Benzenediamine (**294**, R = H) and oxalyl chloride (**295**) gave 2,3(1*H*,4*H*)-quinoxalinedione (**296**, R = H) (*o*-$Cl_2C_6H_4$, 60°C, 30 min; then 130°C, 1 h: ?%);[713] likewise, *o*-(methylamino)aniline (**294**, R = Me) gave 1-methyl-2,3(1*H*,4*H*)-quinoxalinedione (**296**, R=Me), and other analogs were also so made.[713]



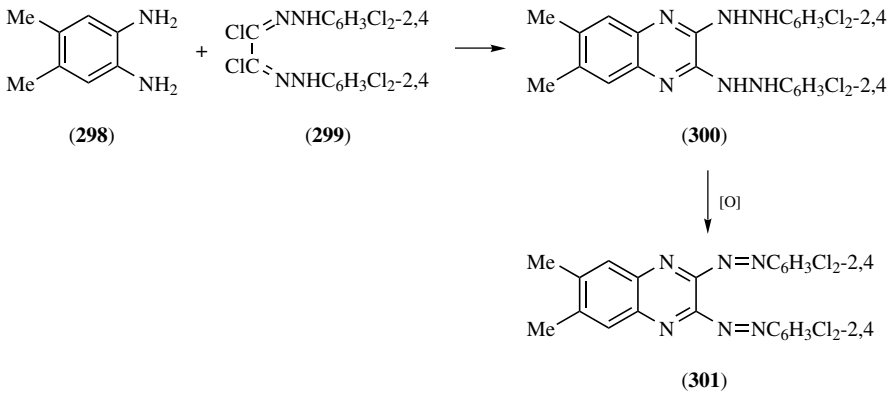
(**294**) (**295**) (**296**)

2-(2-Amino-2-carboxyethyl)amino-4,5-dimethylaniline and oxalyl chloride gave 1-(2-amino-2-carboxyethyl)-6,7-dimethyl-2,3(1*H*,4*H*)-quinoxalinedione (**297**) as hydrochloride (CH_2Cl_2, 0°C, ~30 min; then 20°C, 12 h; then 30°C, 6 h: 43%).[731]



(**297**)

4,5-Dimethyl-1,2-benzenediamine (**298**) and 1,2-dichloro-1,2-bis(2,4-dichlorophenylhydrazono)ethane (**299**) gave 2,3-bis[*N*'-(2,4-dichloeophenyl)hydrazino]-6,7-dimethylquinoxaline (**300**) (Et_3N, EtOH, reflux, 3 h: 90%), which was oxidized subsequently to afford 2,4-bis(2,4-dichlorophenylazo)-6,7-dimethylquinoxaline (**301**) [$(Fe_3CCO_2)_2$IPh, CH_2Cl_2, 20°C, 2 h: 81%].[578]



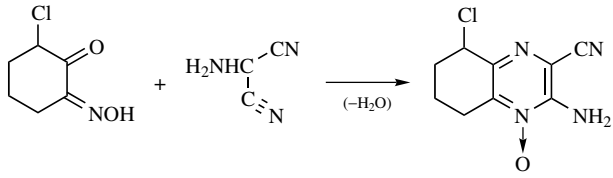
(**298**) (**299**) (**300**) (**301**)

Also other examples.[807,1103]

1.2.4. When the Synthon Supplies N1 + C2 + C3 of the Quinoxaline

This type of cyclocondensation has not been developed to any extent. However, the following examples indicate that it could eventually become a valuable procedure.

2-Chloro-6-hydroxyiminocyclohexanone (**302**) (as hydrochloride) and α-aminomalononitrile (**303**) (as tosylate) gave 3-amino-8-chloro-5,6,7,8-tetrahydro-2-quinoxalinecarbonitrile 4-oxide (**304**) (Pr^{i}OH, 20°C, 18 h: 46%).[354]

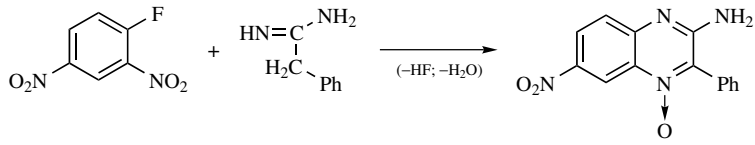


(**302**) (**303**) (**304**)

Likewise, 2-hydroxyimino-4-methylcyclohexanone (**305**) and the same synthon (**303**) gave 3-amino-6-methyl-5,6,7,8-tetrahydro-2-quinoxalinecarbonitrile 4-oxide (**306**) (Pr^{i}OH, 20°C, 48 h: 74%).[704]

(**305**) (**306**)

1-Fluoro-2,4-dinitrobenzene (**307**) and 2-phenylacetamidine (**308**) (liberated in situ) gave 6-nitro-3-phenyl-2-quinoxalinamine 4-oxide (**309**) (EtOH, reflux, 8 h: ~15%).[156]

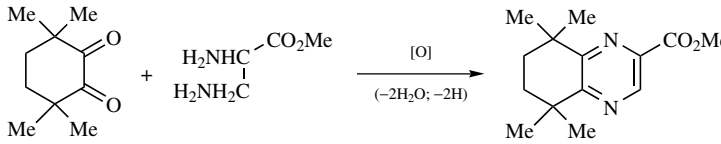


(**307**) (**308**) (**309**)

1.2.5. When the Synthon Supplies N1 + C2 + C3 + N4 of the Quinoxaline

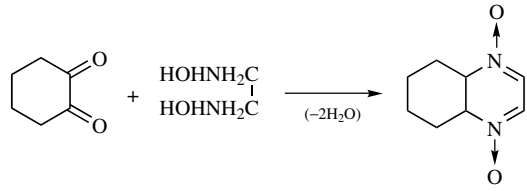
Although intrinsically unappealing, this category of synthesis has been used occasionally in several forms, especially with cyclohexane rather than benzene substrates. The following miscellaneous examples suggest that the procedure is surely worth further development.

3,3,6,6-Tetramethyl-1,2-cyclohexanedione (**310**) and methyl 2,3-diaminopropionate (**311**) (liberated in situ) gave methyl 5,5,8,8-tetramethyl-5,6,7,8,-tetrahydro-2-quinoxalinecarboxylate (**312**) [MeOH, molecular sieve (3Å), reflux, 5 h: 45%; note the spontaneous aerial (?) oxidation].[737]



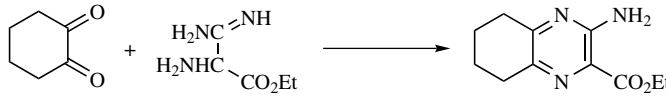
(**310**) (**311**) (**312**)

1,2-Cyclohexanedione (**313**) and 1,2-bis(hydroxyamino)ethane (**314**) gave 4a,5,6,7,8,8a-hexahydroquinoxaline 1,4-dioxide (**315**) (formulated as the 2,3,5,6,7,8-hexahydro tautomer) (dilute HCl, 20°C, 3 h: 72%).[799]



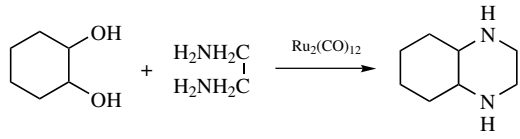
(**313**) (**314**) (**315**)

1,2-Cyclohexanedione (**316**) and ethyl 2-amidino-2-aminoacetate (**317**) (as hydrochloride) gave ethyl 3-amino-5,6,7,8-tetrahydro-2-quinoxalinecarboxylate (**318**) (H_2O–EtOH, AcONa, 10°C, 5 h: ? %).[519]



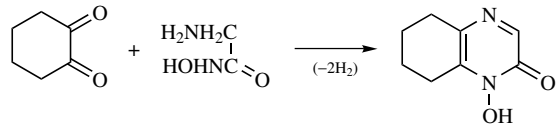
(**316**) (**317**) (**318**)

1,2-Cyclohexanediol (**319**) and ethylenediamine (**320**) gave decahydroquinoxaline (**321**) [$Ru_2(CO)_{12}PBu_3$, THF, 220°C, sealed, 1.5 h: 75%].[127]



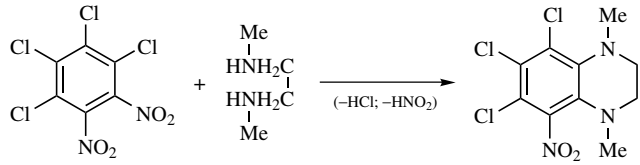
(**319**) (**320**) (**321**)

1,2-Cyclohexanedione (**322**) and 2-amino-*N*-hydroxyacetamide (**323**) gave 1-hydroxy-5,6,7,8-tetrahydro-2(1*H*)-quinoxalinone (**324**) (EtOH–H_2O, minimal detail: 41%).[618]



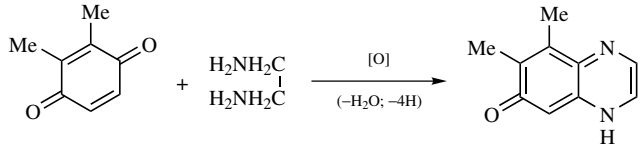
(**322**) (**323**) (**324**)

1,2,3,4-Tetrachloro-5,6-dinitrobenzene (**325**) and 1,2-bis(methylamino)ethane (**326**) gave 5,6,7-trichloro-1,4-dimethyl-8-nitro-1,2,3,4-tetrahydroquinoxaline (**327**) (PhMe, phase-transfer agent, reflux, 1 h: 55%; 20°C, 24 h: 52%);[543] also analogous condensations.[90]



(**325**) (**326**) (**327**)

2,3-Dimethyl-1,4-benzoquinone (**328**) and ethylenediamine (**329**) gave 7,8-dimethyl-6(4*H*)-quinoxalinone (**330**) (EtOH–CH_2Cl_2, 20°C, light exclusion: 35%; the required oxidation was probably provided by an excess of the quinone).[875]

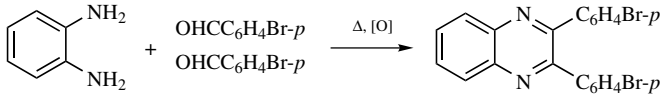


(**328**) (**329**) (**330**)

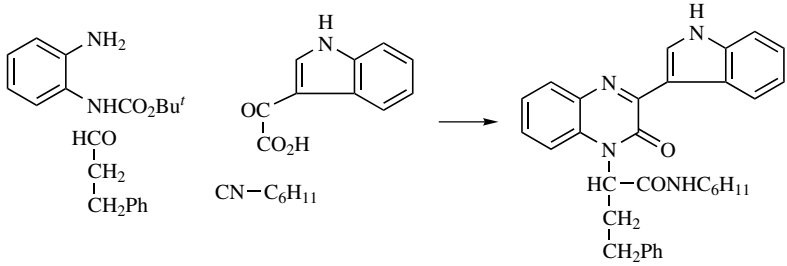
1.3. FROM A BENZENE SUBSTRATE WITH TWO OR MORE SYNTHONS

This category of primary synthesis is extremely rare in the quinoxaline series, although a few examples have been reported in recent literature. Thus a mixture of neat 1,2-benzenediamine (**331**) and an excess of *p*-bromobenzaldehyde heated at 350°C for ~5 min afforded (with aerial oxidation?) 2,3-bis(*p*-bromophenyl) quinoxaline (**332**) in 50% yield;[494] and analogs were made similarly but usually in poor to mediocre yield after separation from byproducts.[494] In addition, an

extraordinary one-pot reaction between a benzene substrate and no less than three synthons [*o*-(*tert*-butoxycarbonylamino)aniline, 3-oxaloindole, 3-phenylpropionaldehye, cyclohexane isocyanide; MeOH, 20°C, 24 h; evaporation; F_3CCO_2H, CH_2Cl_2, 20°C, 18 h] afforded 1-[1-(cyclohexylaminocarbonyl)-3-phenylpropyl]-3-(indol-3-yl)-2(1*H*)-quinoxalinone (**333**) in almost quantitative yield; several analogs were made similarly.[1088]



(**331**) (**332**)

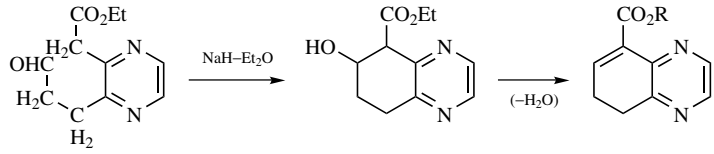


(**333**)

1.4. FROM A PYRAZINE SUBSTRATE WITH OR WITHOUT SYNTHON(S)

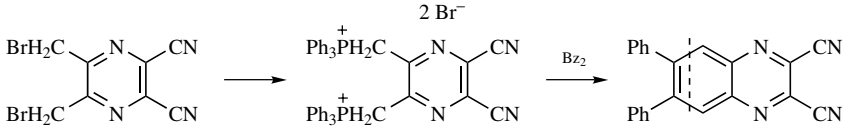
This potentially wide category of primary syntheses remains almost unutilized apart from the few examples here given.

2-Ethoxycarbonylmethyl-3-(2-formylethyl)pyrazine (**334**) (freshly liberated from its acetal) gave a separable mixture of ethyl 6-hydroxy-5,6,7,8-tetrahydro-5-quinoxalinecarboxylate (**335**); its dehydration product, ethyl 7,8-dihydro-5-quinoxalinecarboxylate (**336**, R = Et), and the hydrolysis product, 7,8-dihydro-2-quinoxalinecarboxylic acid (**336**, R = H) [NaH, Et_2O, 0°C, 2 h: 15%, 37%, and 37%, respectively; when the aqueous workup was carried out at 0°C, product **335** predominated].[246]



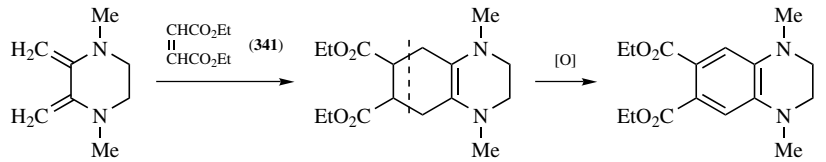
(**334**) (**335**) (**336**)

4,5-Bis(triphenylphosphoniomethyl)-2,3-pyrazinedicarbonitrile dibromide (**338**), prepared from the corresponding bis(bromomethyl) intermediate (**337**), reacted with benzil to afford 6,7-diphenyl-2,3-quinoxalinedicarbonitrile (**339**) (NaH, Me_2NCHO, 20°C→125°C, 9 h: 58%);[848] several analogs were made similarly.[848]



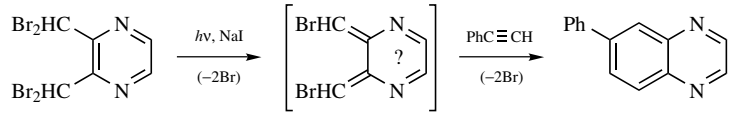
(**337**) (**338**) (**339**)

1,4-Dimethyl-2,3-dimethylenehexahydropyrazine (**340**) and diethyl fumarate (**341**) underwent a Diels–Alder reaction to give diethyl 1,4-dimethyl-1,2,3,4,5,6,7,8-octahydro-6,7-quinoxalinedicarboxylate (**342**) ($MeOBu^t$, −78°C→20°C, 48 h: 78%) and thence diethyl 1,4-dimethyl-1,2,3,4-tetrahydro-6,7-quinoxalinedicarboxylate (**343**) (PhH, air↓, 20°C, 26 h: 76%);[573] numerous octahydro and tetrahydro analogs were made similarly.[573]



(**340**) (**342**) (**343**)

2,3-Bis(dibromomethyl)pyrazine (**344**) and ethynylbenzene gave 6-phenylquinoxaline (**346**), possibly via the unisolated intermediate (**345**) (reactants, NaI, little Me_2NCHO, microwave *hν*, open vessel, 20°C→90°C, 15 min: 38%); prop-1-ynylbenzene or 1-ethynylnaphthalene likewise gave 6-methyl-7-phenylquinoxaline (43%) or 6-(naphthalen-1-yl)quinoxaline (41%), respectively,[1112]



(**344**) (**345**) (**346**)

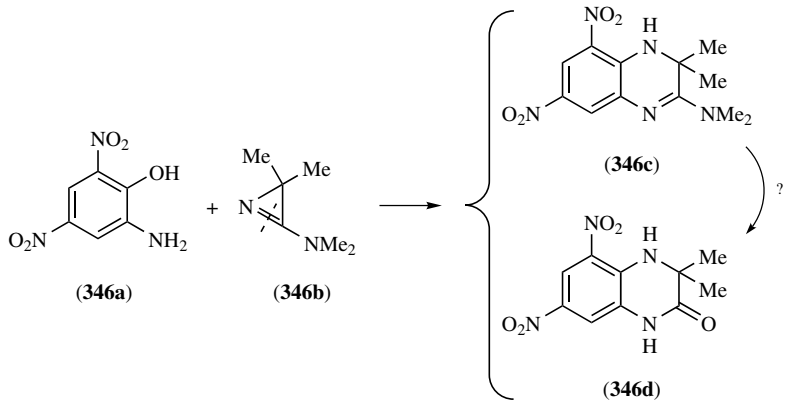
1.5. FROM OTHER HETEROMONOCYCLIC SUBSTRATES/SYNTHONS

Heteromonocyclic compounds other than pyrazines may be used as substrates or synthons for the primary synthesis of quinoxalines. All such syntheses are covered

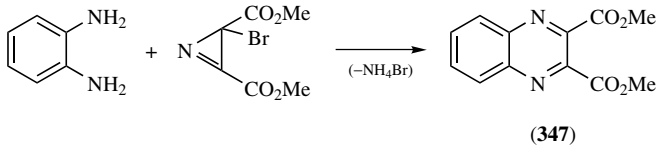
in the following subsections, which are arranged alphabetically according to the name of the fully unsaturated heterocyclic system, even when a partially or fully reduced system is involved. Cyclic monosaccharides are considered as heterocycles here.

1.5.1. Azirines as Substrates/Synthons

Recent examples of this synthesis are of two types. The first involves condensation of the activated phenol, 2-amino-4,6-dinitrophenol (**346a**) with 2-dimethylamino-3,3-dimethyl-3*H*-azirine (**346b**) (in MeCN, 0°C→20°C, A, 24 h) to afford a separable mixture of four products, one of which was 2-dimethylamino-3,3-dimethyl-5,7-dinitro-3,4-dihydroquinoxaline (**346c**) (∼20% yield) and another its hydrolysis product, 3,3-dimethyl-5,7-dinitro-3,4-dihydro-2(1*H*)-quinoxalinone (**346d**) (∼8%);[56] the mechanism of such condensations has been discussed.[56,1052]



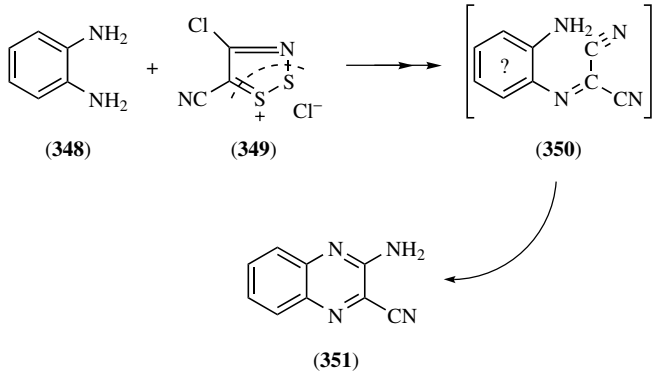
The second type is examplified in the condensation of 1,2-benzenediamine with dimethyl 3-bromo-3*H*-azirine-2,3-dicarboxylate to give dimethyl 2,3-quinoxalinedicarboxylate (**347**) (Me_2NCHO, 20°C, ultrasound, 2 h: 69%); analogs were made likewise.[1101]



1.5.2. 1,2,3-Dithiazol-1-iums as Substrates/Synthons

The sole recent example of this synthesis involved the complex reaction of 1,2-benzenediamine (**348**) with 4-chloro-5-cyano-1,2,3-dithiazol-1-ium chloride (**349**)

(in CH_2Cl_2, "Hunig's base," $-78°C \rightarrow 20°C$) to furnish 3-amino-2-quinoxalinecarbonitrile (**351**) in 12% yield; a possible reaction mechanism via the unisolated intermediate (**350**) was proposed.[560]



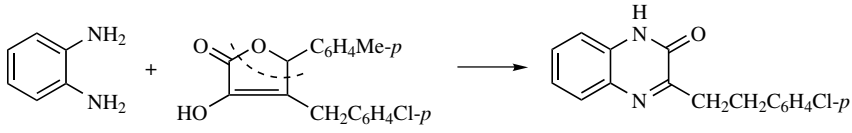
(**348**) (**349**) (**350**)

(**351**)

1.5.3. Furans as Substrates/Synthons (*H* 293)

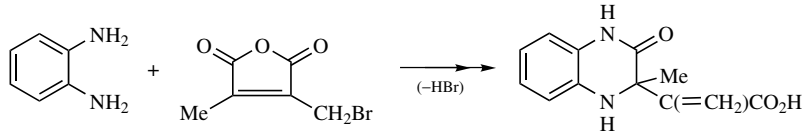
Many furanones (including furanose carbohydrates) have been used as synthons with 1,2-benzenediamines to afford quinoxaline derivatives. The following examples illustrate the main types of such cyclocondensation reactions.

1,2-Benzenediamine (**352**) and 4-*p*-chlorobenzyl-3-hydroxy-5-*p*-tolyl-2,5-dihydro-2-furanone (**353**) gave 3-(*p*-chlorophenethyl)-2(1*H*)-quinoxalinone (**354**) with loss of *p*-methylbenzaldehyde (isolated as its phenylhydrazone) (EtOH, trace AcOH, 98°C, 4 h: 65%);[89] analogs likewise.[89,211,565,830,834]



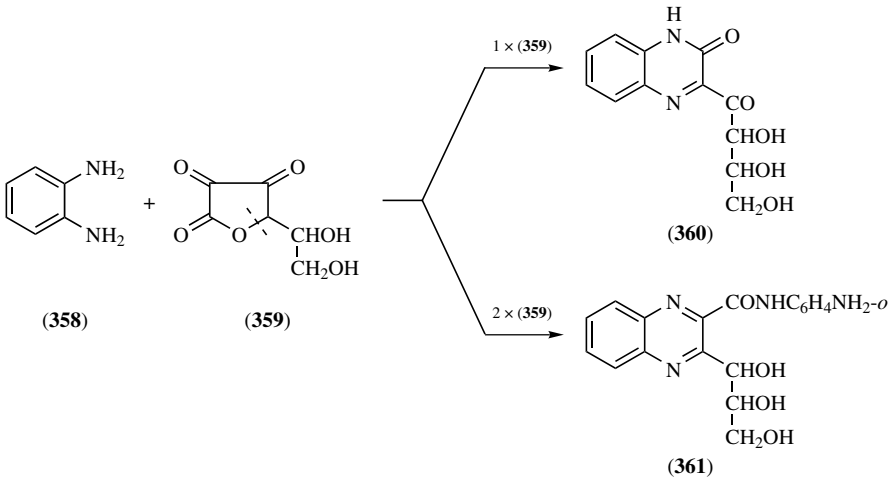
(**352**) (**353**) (**354**)

1,2-Benzenediamine (**355**) and 3-bromomethyl-4-methyl-2,5-dihydro-2,5-furandione (2-bromomethyl-3-methylmaleic anhydride: **356**) gave 3-(1-carboxyvinyl)-3-methyl-3,4-dihydro-2(1*H*)-quinoxalinone (**357**) with loss of hydrogen bromide ($CHCl_3$, $-15°C \rightarrow 20°C$, 4 h: 86%); a rational mechanism was proposed.[625]



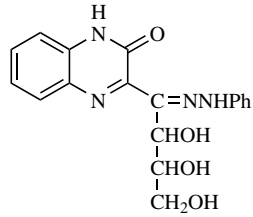
(**355**) (**356**) (**357**)

1,2-Benzenediamine (**358**) and 5-(1,2-dihydroxyethyl)tetrahydro-2,3,4-furanetrione (**359**) (prepared in situ by oxidation of ascorbic acid with *p*-benzoquinone) gave either 3-(2,3,4-trihydroxybutyryl)-2(1*H*)-quinoxalinone (**360**) [substrate (**358**) (1 mol), H_2O, 20°C, 24 h: ~60% (?)][911,cf. 612] or *N*-(*o*-aminophenyl)-3-(1,2,3-trihydroxypropyl)-2-quinoxalinecarboxamide (**361**) [substrate (**358**) (2 mol), MeOH, 40°C, 2 h: ~65%].[914,cf. 259]



(**358**) (**359**) (**360**) (**361**)

In a somewhat similar way, the same reagents (**358** and **359**) in equimolar proportions followed by treatment with phenylhydrazine afforded 3-(2,3,4-trihydroxy-1-phenylhydrazonobutyl)-2(1*H*)-quinoxalinone (**362**) directly (71%);[916] analogues likewise.[912,916]



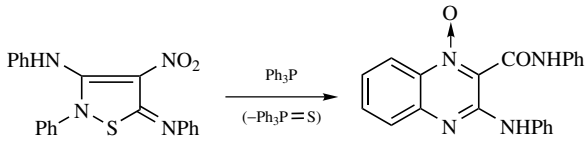
(**362**)

Also other examples.[301,399,545,612,952]

1.5.4. Isothiazoles as Substrates/Synthons

Although of more interest than utility, the desulfurization of certain isothiazoles with triphenylphosphine leads to separable mixtures from which quinoxalines have

been isolated in low yield. For example, 3-anilino-2-phenyl-5-phenylimino-4-nitro-2,5-dihydroisothiazole (**363**) with triphenyl phosphine in chloroform under nitrogen at 0°C → 20°C during 90 min gave (after prolonged separation processes) 3-anilino-2-quinoxalinecarboxanilide 1-oxide (**364**) in 10% yield.[49] 3-Anilino-*N*-(*p*-nitrophenyl)-2-quinoxalinecarboxamide 1-oxide (9%) and several diverse analogs (in even lower yield) were made similarly from appropriate isothiazoles.[49] A rational mechanism has been proposed.[49]

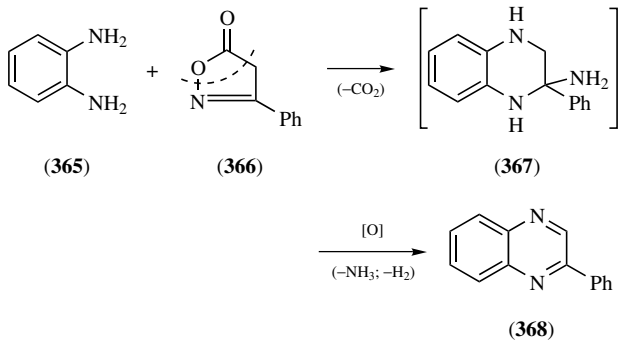


(**363**) (**364**)

1.5.5. Isoxazoles as Substrates/Synthons

Although little used, this type of synthesis may be employed in two different ways to produce quinoxalines from *o*-phenyldiamines as substrates. Examples follow.

1,2-Benzenediamine (**365**) and 3-phenyl-4,5-dihydro-5-isoxazolone (**366**) gave 2-phenylquinoxaline (**368**), probably via the tetrahydro intermediate (**367**) (MeCN, reflux, 4 h: 65%);[446] several substituted-phenyl analogs were prepared similarly and in comparable yields.[446] When an unsymmetrically substituted benzenediamine was used, two isomeric products were expected, but only one could be detected in each such case tried.[446]



(**365**) (**366**) (**367**)

(**368**)

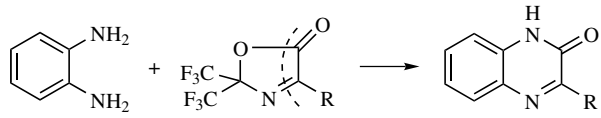
1,2-Benzenediamine (**369**) and methyl 5-isoxazolecarboxylate (**370**) gave 3-cyanomethyl-2(1*H*)-quinoxalinone (**371**) (Me_2SO, reflux, 5 min: 70%).[76]

(**369**) (**370**) (**371**)

Also other examples.[435]

1.5.6. Oxazoles as Substrates/Synthons

Only one procedure in this category emerged from the present survey. Thus treatment of 1,2-benzenediamine (**372**) with 3,3-bis(trifluoromethyl)-5-oxazolinone (**373**, R = H) in ethyl acetate containing a trace of acetic acid at room temperature for a short time afforded 2(1*H*)-quinoxalinone (**374**, R = H) in 92% yield;[695] 3-methyl- (**374**, R = Me), 3-isopropyl- (**374**, R = Pr^i), 3-phenyl- (**374**, R = Ph), and 3-benzyl-2(1*H*)-quinoxalinone (**374**, R = CH_2Ph) were made similarly in 60–80% yield.[695]

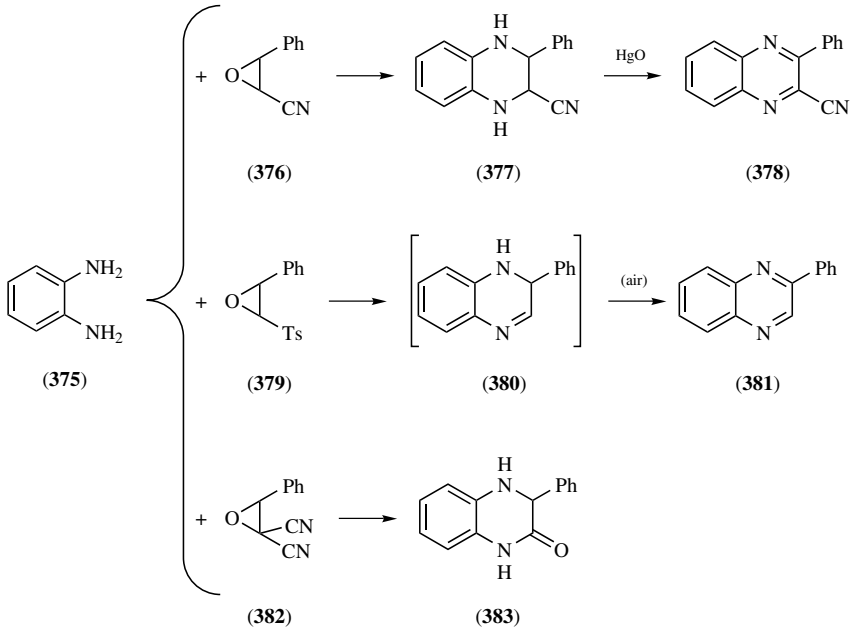


(**372**) (**373**) (**374**)

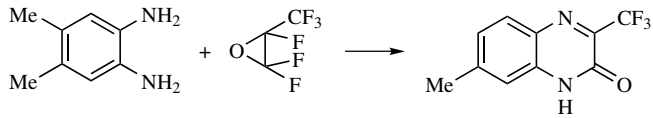
1.5.7. Oxirenes as Substrates/Synthons

Although oxirenes have not been used as such, their reduced analogs (oxiranes or ethylene oxides) have been employed quite widely to make hydroquinoxalines (or sometimes quinoxalines by subsequent oxidation, spontaneous or otherwise). Such reactions are illustrated in the following examples.

1,2-Benzenediamine (**375**) with 3-phenyl-2-oxiranecarbonitrile (**376**) gave 3-phenyl-1,2,3,4-tetrahydro-2-quinoxalinecarbonitrile (**377**) (EtOH, reflux, N_2, 3 h: 92%) and thence 3-phenyl-2-quinoxalinecarbonitrile (**378**) (HgO, EtOH, reflux, 75 min: 64%); with 2-phenyl-3-tosyloxirane (**379**) gave 2-phenylquinoxaline (**381**), probably by spontaneous aerial oxidation of the 1,2-dihydro derivative (**380**) (Me_2NCHO, 90°C, N_2, 3 h: 66%); or with 3-phenyl-2,2-oxiranedicarbonitrile (**382**) gave 3-phenyl-3,4-dihydro-2(1*H*)-quinoxalinone (**383**) (EtOH, reflux, N_2, 4 h: 60%).[157] Several analogs were made similarly, and a rational explanation of these behavioral variations may be found in the original paper.[157]

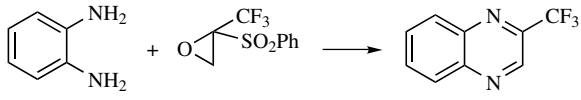


4,5-Dimethyl-1,2-benzenediamine (**384**) and 2,2,3-trifluoro-3-trifluoromethyloxirane (**385**) gave 3-trifluoromethyl-2(1*H*)-quinoxalinone (**386**) ($NaHCO_3$, CH_2Cl_2–Et_2O, 23°C, sealed, 12 h: 78%);[192] analogs similarly.[1001]



(**384**) (**385**) (**386**)

1,2-Benzenediamine (**387**) and 2-phenylsulfonyl-2-trifluoromethyloxirane (**388**) gave 2-trifluoromethylquinoxaline (**389**) (EtOH, 20°C, 2 h, then reflux, 16 h: 45%).[901]

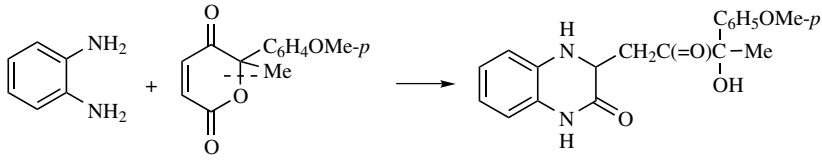


(**387**) (**388**) (**389**)

Also many other examples involving other derivatives of oxirane as synthones.[376,378,510,657,859,1061,1089]

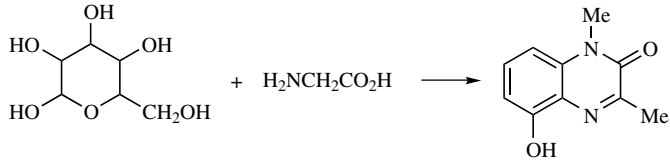
1.5.8. Pyrans as Substrates/Synthons

At least two derivatives of pyran have been used for the primary synthesis of quinoxalines. Thus *o*-phenylenediamine (**390**) and 6-(*p*-methoxyphenyl)-6-methyl-5,6-dihydro-2*H*-pyran-2,5-dione (**391**) in methylene chloride at 20°C open to the air for 48 h gave 3-[2-hydroxy-2-(*p*-methoxyphenyl)propionyl]methyl-3,4-dihydro-2(1*H*)-quinoxalinone (**392**) (as a mixture of two stereoisomers) in 92% yield;[488] the 3,4,4a,5,6,7,8,8a-octahydro analog was made similarly from 1,2-diaminocyclohexane (91% of two separable stereoisomers).[488]



(**390**) (**391**) (**392**)

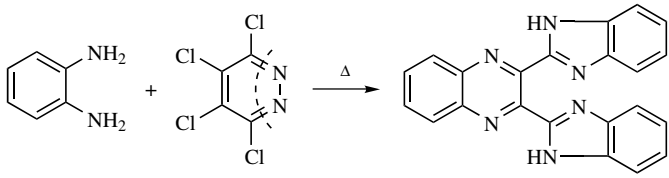
In a less straightforward way, D-glucose (**393**) underwent a Maillard-type reaction with an excess of glycine (**394**) under microwave irradiation to afford 5-hydroxy-1,3-dimethyl-2(1*H*)-quinoxalinone (**395**) as a major product,[926] Repetition with labeled reactants suggested that the product contained six carbon atoms from the sugar and four from the amino acid; on this evidence, a detailed mechanism has been postulated.[926]



(**393**) (**394**) (**395**)

1.5.9. Pyridazines as Substrates/Synthons

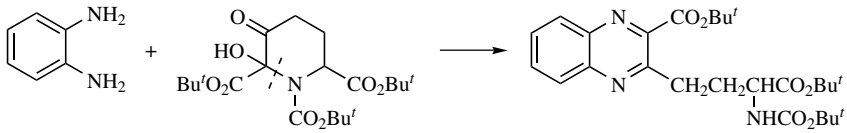
Only one example in this category has been described in recent years (as of 2003). Treatment of *o*-phenylenediamine (**396**) with 3,4,5,6-tetrachloropyridazine (**397**) in *N*-methylpyrrolidine at 115°C for 17 h gave a separable mixture of products, one of which was 2,3-bis(benzimidazol-2-yl)quinoxaline (**398**) (unstated yield).[666] The structure (**398**) was confirmed by X-ray analysis,[835,1053] and a mechanism for its formation was suggested.[835]



(**396**) (**397**) (**398**)

1.5.10. Pyridines as Substrates/Synthons

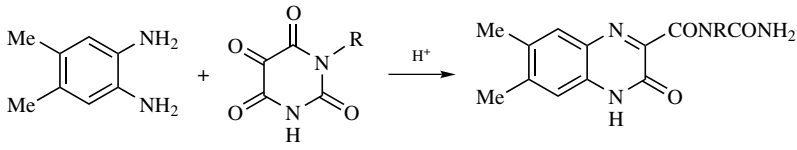
The sole recent example in this category is the condensation (in hot aqueous ethanolic sodium hydrogen carbonate) of *o*-phenylenediamine (**399**) with tri-*tert*-butyl 2-hydroxy-3-oxo-1,2,6-piperidinetricarboxylate (**400**) to give *tert*-butyl 3-[3-(*tert*-butoxycarbonyl)-3-(*tert*-butoxycarbonylamino)propyl]-2-quinoxalinecarboxylate (**401**) in 87% yield.[276]



(**399**) (**400**) (**401**)

1.5.11. Pyrimidines as Substrates/Synthons

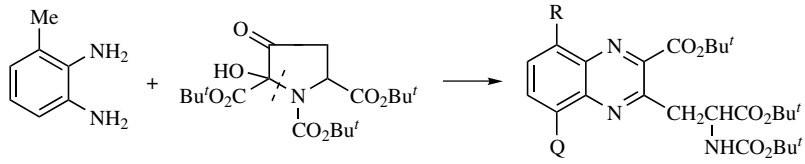
The unlikely transformation of a pyrimidine into a quinoxaline has, indeed, been reported. Thus 4,5-dimethyl-1,2-benzenediamine (**402**) and alloxan (**403**, R = H) under acidic conditions gave 6,7-dimethyl-3-ureidocarbonyl-2(1*H*)-quinoxalinone (**404**, R = H) (~30%: see original for details); *N*-methylalloxan (**403**, R = Me) likewise gave 6,7-dimethyl-3-(*N*-methylureido)carbonyl-2(1*H*)-quinoxalinone (**404**, R = Me) in ~50% yield.[868] Such condensations gave improved yields under solid-state conditions.[1065]



(**402**) (**403**) (**404**)

1.5.12. Pyrroles as Substrates/Synthons

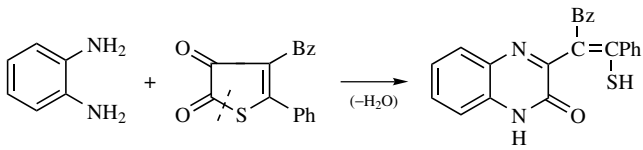
This category is exemplified, albeit poorly, in the reaction of 3-methyl-1,2-benzenediamine (**405**) with tri-*tert*-butyl 2-hydroxy-3-oxo-1,2,5-pyrrolidinetricarboxylate (**406**) in aqueous ethanolic sodium hydrogen carbonate under reflux for ~3 h. This gave, as minor products, an inseparable mixture (in ~9% yield) of *tert*-butyl 3-[2-(*tert*-butoxycarbonyl)-2-(*tert*-butoxycarbonylamino)ethyl]-5-methyl-2-quinoxalinecarboxylate (**407**, Q = Me, R = H) and its 8-methyl isomer (**407**, Q = H, R = Me).[276]



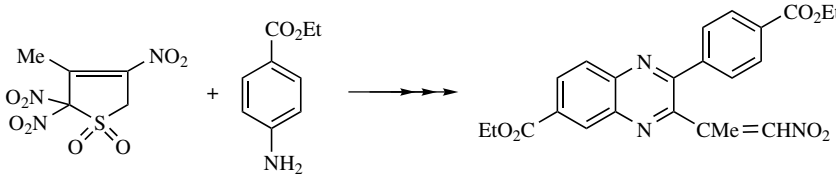
(**405**) (**406**) (**407**)

1.5.13. Thiophenes as Substrates/Synthons

This category is represented in the facile reaction of *o*-phenylenediamine (**408**) with 4-benzoyl-5-phenyl-2,3-dihydro-2,3-thiophenedione (**409**) (in toluene at 20°C for 30 min) to afford 3-(α-benzoyl-β-mercaptostyryl)-2(1*H*)-quinoxalinone (**410**) in 98% yield;[744] also in the complicated reaction of 3-methyl-2,2,4-trinitro-2,5-dihydrothiophene 1,1-dioxide (**411**) with 2 equiv of ethyl 4-aminobenzoate (**412**) (in acetonitrile but no further details) to give ethyl 2-(*p*-ethoxycarbonylphenyl)-3-(1-methyl-2-nitrovinyl)-6-quinoxalinecarboxylate (**413**) in 51% yield.[831] Several analogs were made similarly.[831]



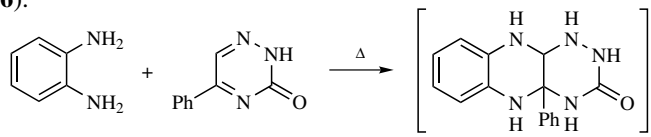
(**408**) (**409**) (**410**)



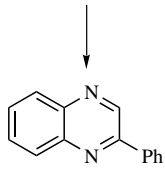
(**411**) (**412**) (**413**)

1.5.14. 1,2,4-Triazines as Substrates/Synthons

When *o*-phenylenediamine (**414**) was heated with 5-phenyl-1,2,4-triazin-3(2*H*)-one (**415**) (in ethanolic hydrogen chloride under reflux for 5 h), 2-phenylquinoxaline (**417**) was obtained in 34% yield; the reaction is said to proceed via the tricyclic adduct (**416**).[906]



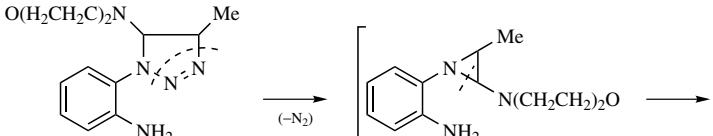
(**414**) (**415**) (**416**)



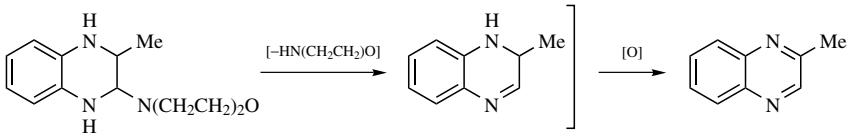
(**417**)

1.5.15. 1,2,3-Triazoles as Substrates/Synthons

This could develope into a useful unambiguous primary synthesis for simple quinoxalines; the starting triazoles are reasonably accessible, and subsequent steps can be done in one pot to afford good yields. For example, 1-(*o*-aminophenyl)-4-methyl-5-morpholino-4,5-dihydro-1,2,3-triazole (**418**) was heated in refluxing toluene for 1 h, and the crude solid from evaporation was then stireed with dichlorodicyanobenzoquinone in THF for ∼5 h to give 2-methylquinoxaline (**422**) in 89% yield; the mechanism via intermediates (**419–421**) is well based.[549] Appropriately substituted triazoles gave several analogous quinoxalines in comparable yields.[549]



(**418**) (**419**)



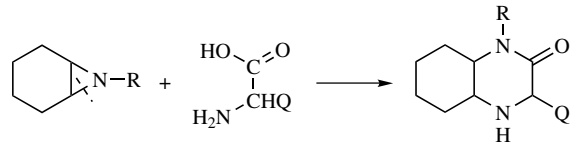
(**420**) (**421**) (**422**)

1.6. FROM HETEROBICYCLIC SUBSTRATES/SYNTHONS

Heterobicyclic compounds are important substrates (or synthons) for the primary synthesis of quinoxalines. Such procedures are arranged alphabetically here according to the system name of each substrate/synthon so used.

1.6.1. 7-Azabicyclo[4.1.0]heptanes as Substrates/Synthons

Although useful, this synthesis of reduced quinoxalines has not been fully developed yet. 7-Azabicyclo[4.1.0]heptane (**423**, R = H) and glycine (**424**, Q = H) in refluxing aqueous ammonium chloride for 90 min gave octahydro-2(1*H*)-quinoxalinone (**425**, Q = R = H) in 40% yield.[459] Similar treatment of 7-methyl-7-azabicyclo[4.1.0]heptane (**423**, R = Me) gave 1-methyloctahydro-2(1*H*)-quinoxalinone (**425**, Q = H, R = Me) in 62% yield; and 7-methyl-7-azabicyclo[4.1.0] heptane (**423**, R = Me) with L-alanine (**424**, R = Me) in refluxing aqueous ammonium chloride for 16 h gave two separable diastereoisomers of 1,3-dimethyloctahydro-2(1*H*)-quinoxalinone (**425**, Q = R = Me), isolated as hydrochlorides in 26% and 27% yields, respectively.[457]

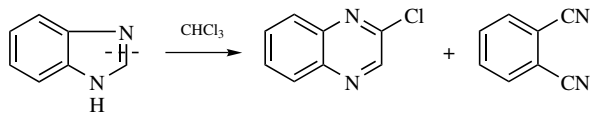


(**423**) (**424**) (**425**)

1.6.2. Benzimidazoles as Substrates/Synthons

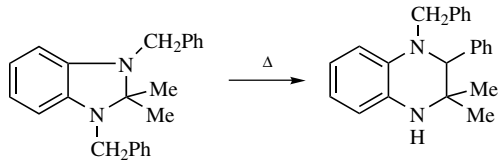
The ring expansion of benzimidazoles to afford qunoxalines has been done in several ways, mostly of little preparative value. They are illustrated in the following examples.

Benzimidazole (**426**) and chloroform gave a separable (?) 9 : 1 mixture of 2-chloroquinoxaline (**427**) and 1,2-benzenedicarbonitrile (pthalonitrile: **428**) (vapors, ~400°C, N_2, no details: ~50% of the mixture).[836]



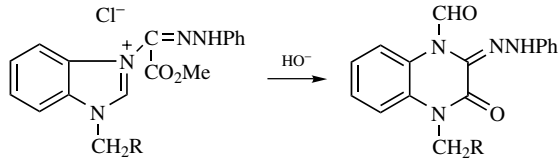
(**426**) (**427**) (**428**)

1,3-Dibenzyl-2,2-dimethyl-2,3-dihydrobenzimidazole (**429**) gave 1,4-dibenzyl-2,2-dimethyl-3-phenyl-1,2,3,4-tetrahydroquinoxaline (**430**) (neat, 200°C, sealed, ? h: 11% after separation from benzaldehyde; mechanism proposed).[682]



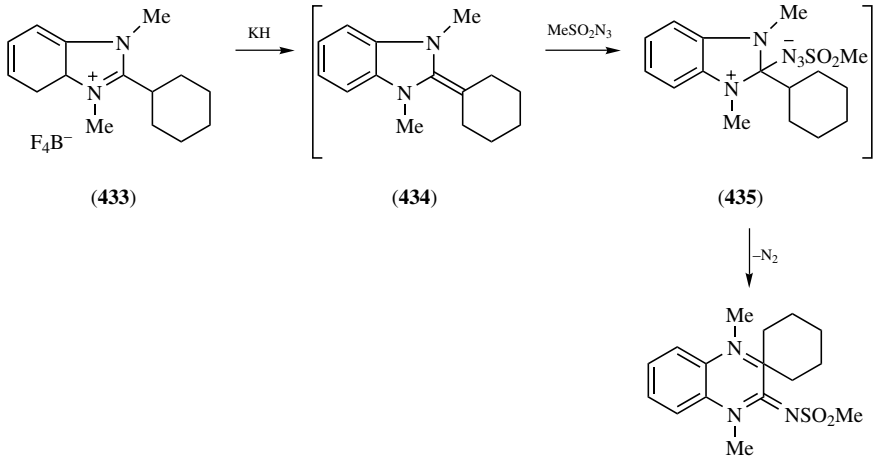
(**429**) (**430**)

1-(1-Hydrazono-1-methoxycarbonylmethyl)-3-methylbenzimidazol-1-ium chloride (**431**, R = H) gave 4-methyl-3-oxo-2-phenylhydrazono-1,2,3,4-tetrahydro-1-quinoxalinecarbaldehyde (**432**, R = H) (NaOH, EtOH–H_2O, 20°C, 12 h: 71%); the 4-benzyl analog (**432**, R = Ph) (50%) was made similarly.[516]



(**431**) (**432**)

2-Cyclohexyl-1,3-dimethylbenzimidazolium trifluoroborate (**433**) gave 3-(methanesulfonyl)imino-1,4-dimethyl-1,2,3,4-tetrahydroquinolaline-2-spirocyclohexane (**436**), via the well-established structures (**434** and **435**) [KH, THF, 20°C, 24 h; then $MeSO_2N_3\downarrow$, 20°C, 1 h: 37% after separation from a major byproduct].[1032]



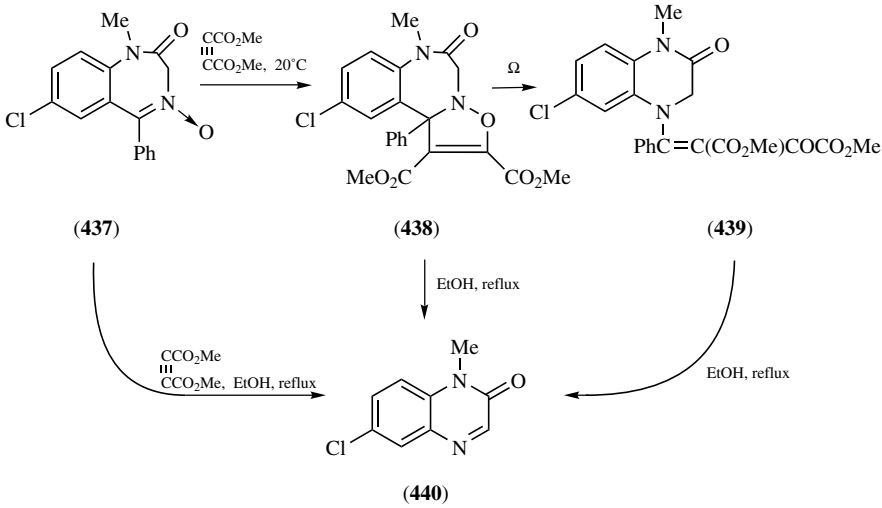
(**433**) (**434**) (**435**)

(**436**)

Also other examples.[341,577]

1.6.3. 1,4-Benzodiazepines as Substrates/Synthons (*E* 264)

Only one procedure has been reported recently within this category. Thus 7-chloro-1-methyl-5-phenyl-2,3-dihydro-1*H*-benzodiazepin-2-one 4-oxide (**437**) with dimethyl acetylenedicarboxylate in methylene chloride at 20°C for 3 days gave a separable mixture of the primary tricyclic adduct, dimethyl 10-chloro-6-oxo-11b-phenyl-5,6,7,11b-tetrahydroisoxazolo[2,3-*d*][1,4]benzodiazepine-1,2-dicarboxylate (**438**), and its rearrangement product, 6-chloro-4-(2-methoxalyl-2-methoxycarbonyl-1-phenylvinyl)-1-methyl-3,4-dihydro-2(1*H*)-quinoxalinone (**439**); each product afforded 6-chloro-1-methyl-2(1*H*)-quinoxalinone (**440**) on refluxing in ethanol (see also Section 1.7.13).[585] However, the final quinoxaline (**440**) was best obtained in ~75% yield) by simply heating the initial substrate (**437**) and dimethyl acetylenedicarboxylate in refluxing ethanol for 12 h.[585] The dimethyl analog, 6-chloro-2(1*H*)-quinoxalinone, was prepared in ~30% yield by a similar process.[585]

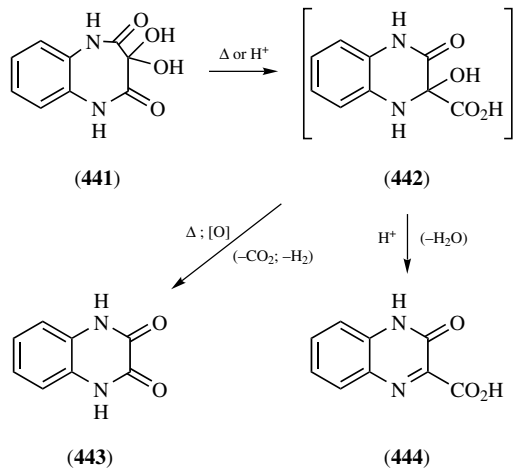


1.6.4. 1,5-Benzodiazepines as Substrates/Synthons

The ring contraction of 1,5-benzodiazepines to quinoxalines may yet prove a reasonable primary synthetic route, but current examples (mainly pyrolyses) are more interesting than useful.

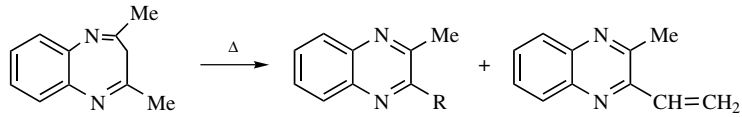
3,3-Dihydroxy-2,3,4,5-tetrahydro-1*H*-benzodiazepine-2,4-dione (**441**) gave 2,3-(1*H*,4*H*)-quinoxalinedione (**443**) (xylene, reflux, 4 h: 17% after purification) or 3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid (**444**) (2M HCl, reflux, 15 min: 58%); both products appear to have come from the intermediate

dihydroquinoxaline (**442**): the first by loss of CO_2 with aerial oxidation; the second by loss of H_2O).[217]



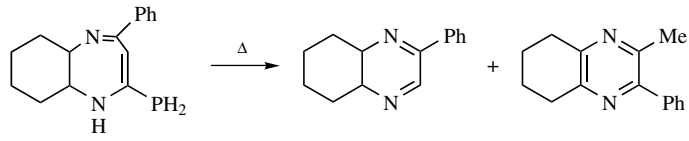
(**441**) (**442**) (**443**) (**444**)

2,4-Dimethyl-1,5-benzodiazepine, formulated as its 3*H* tautomer (**445**), underwent vapor-phase pyrolysis (850°C, 0.02 mmHg, 15 min) to give a mixture from which three quinoxalines were isolated: 2,3-dimethyl- (**446**, R = Me) (7%), 2-ethyl-3-methyl- (**446**, R = Et) (10%), and 2-methyl-3-vinylquinoxaline (**447**) (1%).[659]



(**445**) (**446**) (**447**)

2,4-Diphenyl-5a,6,7,8,9,9a-hexahydro-1*H*-benzodiazepine (**448**) underwent vapor-phase pyrolysis (~750°C but no further details) to give 2-phenyl-5,6,7,8-tetrahydroquinoxaline (**449**) (46%) and 2,4-diphenyl-5,6,7,8-tetrahydroquinoxaline (**450**) (4%).[111]



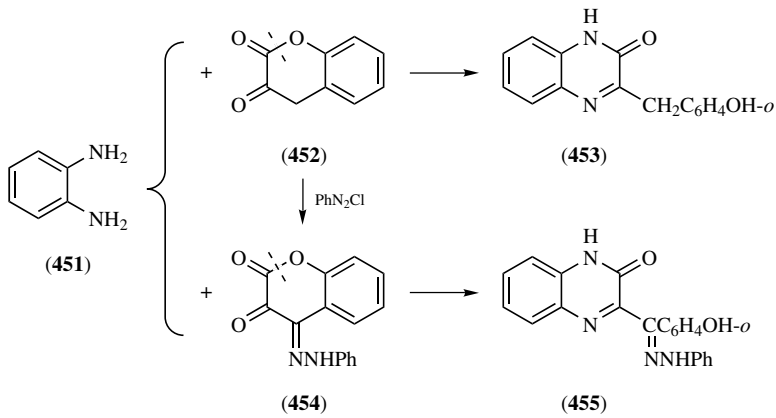
(**448**) (**449**) (**450**)

1.6.5. 1-Benzopyrans (Chromenes) as Substrates/Synthons

Appropriate 1-benzopyrans can undergo ring fission and condensation with 1,2-benzenediamines to afford 3-*o*-hydroxybenzyl-2(1*H*)-quinoxalinones or related products. The following examples illustrate this somewhat specialized procedure.

1,2-Benzenediamine (**451**) and 3,4-dihydro-2*H*-1-benzopyran-2,3-dione (**452**) gave 3-*o*-hydroxybenzyl-2(1*H*)-quinoxalinone (**453**) (1M NaOH, 100°C, 15 min: 84%; EtOH, reflux, 1 h: 59%; or AcOH–H_2O, 100°C, 1 h: 43%).[240]

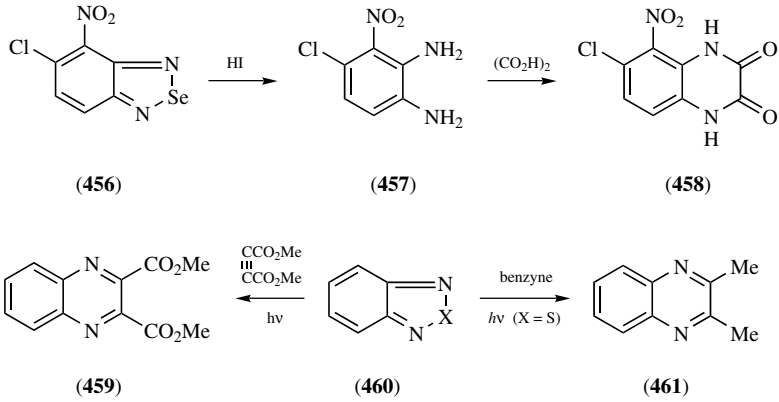
The same substrate (**451**) and 4-phenylhydrazono-3,4-dihydro-2*H*-1-benzopyran-2,3-dione (**454**) [prepared from the dione (**452**) with benzenediazonium chloride] gave 3-(*o*-hydroxy-α-phenylhydrazonobenzyl)-2(1*H*)-quinoxalinone (**455**) (EtOH–AcOH, reflux, 90 min: 80%).[233]



1.6.6. 2,1,3-Benzoselena(or thia)diazoles as Substrates/Synthons

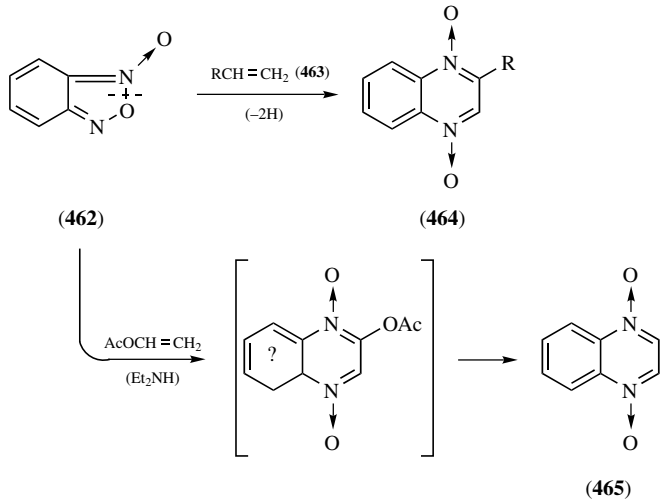
Although derivatives of 2,1,3-benzoxadiazole have been used extensively to make quinoxalines (see Section 1.6.7), the corresponding selena and thia systems have been paid scant attention for that purpose. However, 5-chloro-4-nitro-2,1,3-benzoselenadiazole (**456**) has been used as a convenient source of 4-chloro-3-nitro-1,2-benzenediamine (**457**) (HCl + HI, 20°C, 2 h: 88%), which was then converted into 6-chloro-5-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**458**) (oxalic acid, 2M HCl, reflux, 2.5 h: 23%).[1045] In addition, irradiation of 2,1,3-benzoselenadiazole (**460**, X = Se) or 2,1,3-benzothiadiazole (**460**, X = S) with dimethyl acetylenedicarboxylate afforded, among other products, dimethyl 2,3-quinoxalinedicarboxylate (**459**)

in 6% or a trace, respectively;[91,264,1054] and the thiasubstrate (**460**, X = S) with benzyne likewise gave 2,3-dimethylquinoxaline (**461**) in 13% yield.[91]



1.6.7. 2,1,3-Benzoxadiazoles as Substrates/Synthons (*E* 35)

There is an extensive literature on the use of 2,1,3-benzoxadiazole 1-oxide [often called *benzofuroxan*(*e*) (BFO) (**462**)] as a substrate for the primary synthesis of quinoxaline 1,4-dioxides and occasionally quinoxaline mono-*N*-oxides or even simple quinoxalines. Very few substituted derivatives of the parent substrate (**462**) have been employed in recent years. The general mechanism clearly involves a fission (usually amine-catalyzed) of the oxadiazole ring followed by reaction with an ancillary synthon. The following examples are divided according to the type of synthon employed.



Simple Alkenes as Synthons

2,1,3-Benzoxadiazole 1-oxide (**462**) and styrene (**463** R = Ph) gave 2-phenylquinoxaline 1,4-dioxide (**464**, R = Ph) ($CHCl_3$, reflux, >30 h: 43%); 2-*p*-methoxyphenylquinoxaline 1,4-dioxide (**464**, R = C_6H_4OMe-*p*) (58%), 2-(pyridin-4-yl)quinoxaline 1,4-dioxide (**464**, R = pyridin-4-yl) (35%), and other such quinoxalines were made similarly.[1035]

The same substrate (**462**) and vinyl acetate gave quinoxaline 1,4-dioxide (**465**) (Et_2NH, AcOEt, 0°C, 3 h, then 20°C, 72 h: 79%).[200,1012]

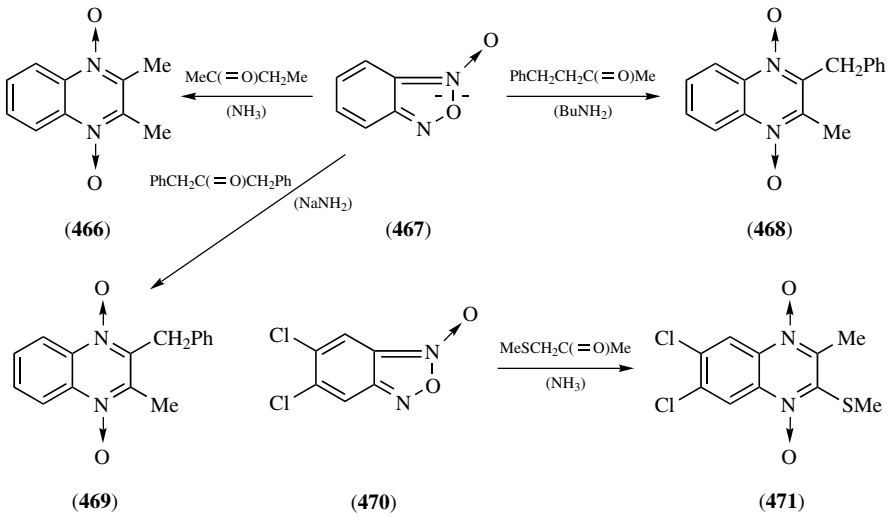
Also other examples.[166]

Simple Ketones as Synthons

Note: This subcategory includes the use of ketones such as 2-butanone, acetylacetone, ethyl acetoacetate, and acetoacetonitrile.

2,1,3-Benzoxadiazole 1-oxide (**467**) gave 2,3-dimethylquinoxaline 1,4-dioxide (**466**) (AcEt, NH_3–MeOH, 40–50°C, 5 h: 90%; also many homologs similarly),[242,cf. 230,610] 2-benzyl-3-methylquinoxaline 1,4-dioxide (**468**) ($PhCH_2CH_2Ac$, $BuNH_2$, MeOH, 20°C, 12 h: 83%),[627,cf. 291] or 2-benzyl-3-phenylquinoxaline 1,4-dioxide (**469**) [$(PhCH_2)_2CO$, $NaNH_2$, Et_2O, ?°C, ? h: 52%].[627]

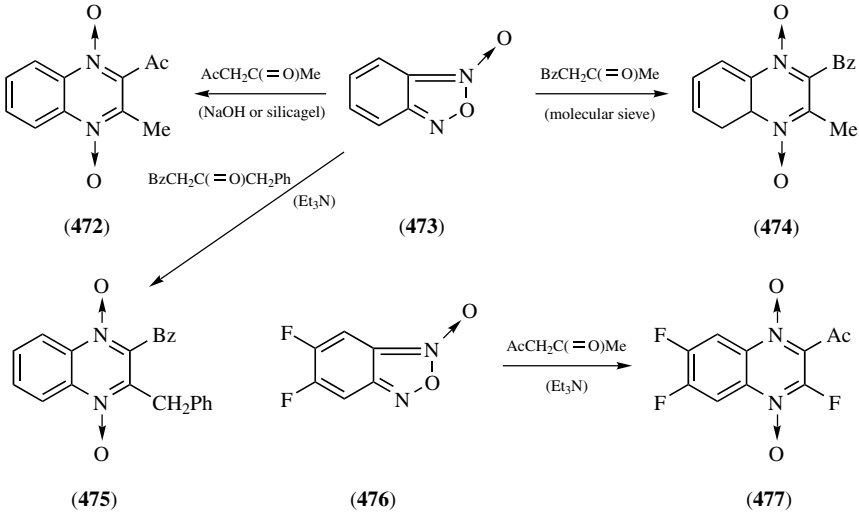
5,6-Dichloro-2,1,3-benzoxadiazole 1-oxide (**470**) and α-(methylthio)acetone gave 6,7-dichloro-2-methyl-3-methylthioquinoxaline 1,4-dioxide (**471**) (NH_3–MeOH, 20°C, 12 h: 30%);[483] many analogs likewise.[1086]



2,1,3-Benzoxadiazole 1-oxide (**473**) gave 2-acetyl-3-methylquinoxaline 1,4-dioxide (**472**) (Ac_2CH_2, NaOH, EtOH, 55°C, 90 min, then 20°C, 12 h: 54%;[153] or Ac_2CH_2 on SiO_2 gel, 20°C, 7 days: 58%),[991] 2-benzoyl-3-methylquinoxaline 1,4-dioxide (**474**) ($BzCH_2Ac$ on 3Å molecular sieve,

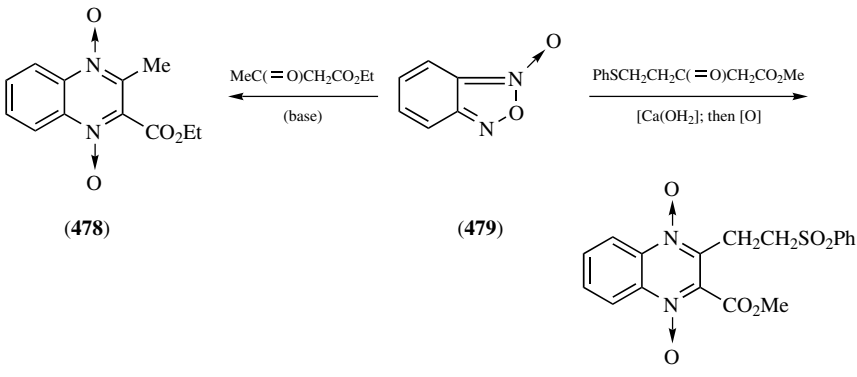
20°C, 2 days: 87%),[454] or 2-benzoyl-3-benzylquinoxaline, 1,4-dioxide (**475**) [$BzCH_2C(=O)CH_2Ph$, neat Et_3N, 20°C, 24 h: 21%).[627]

5,6-Difluoro-2,1,3-benzoxadiazole 1-oxide (**476**) gave 2-acetyl-6,7-difluoro-3-methylquinoxaline 1,4-dioxide (**477**) (Ac_2CH_2, neat Et_3N, 5°C, 1 h, then 20°C, 1 h: 72%; analogs likewise).[801,cf. 869,976]



(**472**) (**473**) (**474**) (**475**) (**476**) (**477**)

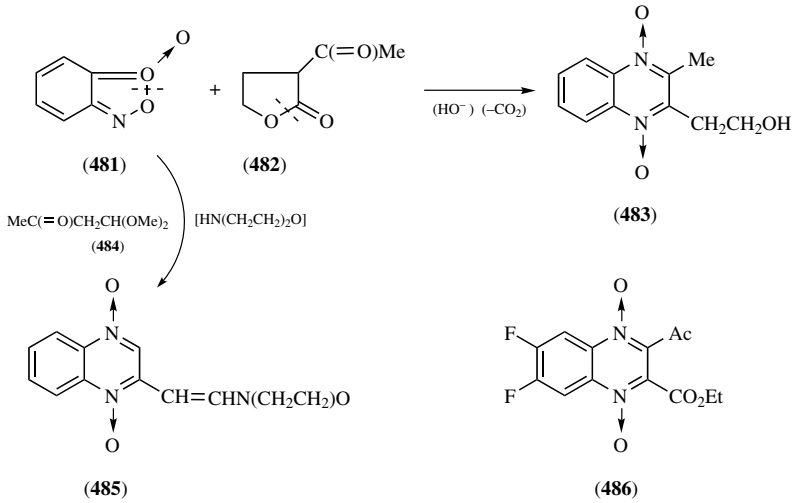
2,1,3-Benzoxadiazole 1-oxide (**479**) gave ethyl 3-methyl-2-quinoxalinecarboxylate 1,4-dioxide (**478**) [$AcCH_2CO_2Et$, neat $O(CH_2CH_2)_2NH$, 5°C→20°C, 10 h: ~85%;[226,883] NaOH, MeOH, 30°C→17°C, 30 h: 66% (structure confirmed by X-ray analysis),[925] or $H_2NCH_2CH_2OH$, MeOH, 50°C, light exclusion, 15 h: 41%][948] or methyl 3-(2-phenylthioethyl)-2-quinoxalinecarboxylate 1,4-dioxide, characterized as the corresponding 3-(2-phenylsulfonylethyl) derivatives (**480**) [$PhSCH_2CH_2C(=O)CH_2CO_2Me$, $Ca(OH)_2$, Pr^iOH-$CHCl_3$, 60°C, 2 h: then crude product, *m*-$ClC_6H_4CO_3H$, CH_2Cl_2, 20°C, 30 min: 40% overall].[710]



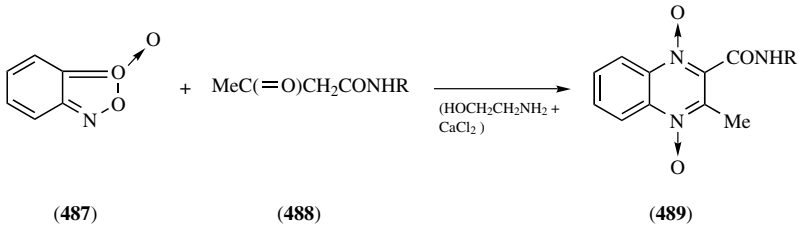
(**478**) (**479**) (**480**)

2,1,3-Benzoxadiazole 1-oxide (**481**) with 3-acetyltetrahydro-2-furanone (2-acetylbutyrolactone: **482**) gave 2-(2-hydroxyethyl)-3-methylquinoxaline 1,4-dioxide (**483**) (KOH, MeOH–H_2O, 20°C, 24 h: 50%)[244] but with 2-acetylacetaldehyde dimethyl acetal (**484**), in the presence of morpholine as base, it gave 2-(2-morpholinovinyl)quinoxaline 1,4-dioxide (**485**) (PhH, reflux, water separation, 9 h: 47%).[244]

5,6-Difluoro-2,1,3-benzoxadiazole 1-oxide and ethyl acetoacetate gave ethyl 6,7-difluoro-3-methyl-2-quinoxalinecarboxylate 1,4-dioxide (**486**) (neat Et_3N, <5°C, 1 h, then 20°C, 1 h: 60%);[907] analogs likewise.[801,907]



2,1,3-Benzoxadiazole 1-oxide (**487**) with 2-acetylacetamide (**488**, R = H) gave 3-methyl-2-quinoxalinecarboxamide 1,4-dioxide (**489**, R = H) ($HOCH_2CH_2NH_2$, $CaCl_2$, MeOH, 25–30°C, 12 h: 70%);[228] or with 2-acetylacetanilide (**488**, R = Ph) gave 3-methyl-*N*-phenyl-2-quinoxalinecarboxamide 1,4-dioxide (**489**, R = Ph) ($HOCH_2CH_2NH_2$, $CaCl_2$, MeOH, 25–30°, 10 h: 44%;[228] or 3Å molecular sieve, MeOH, 1 day: 88%).[454]

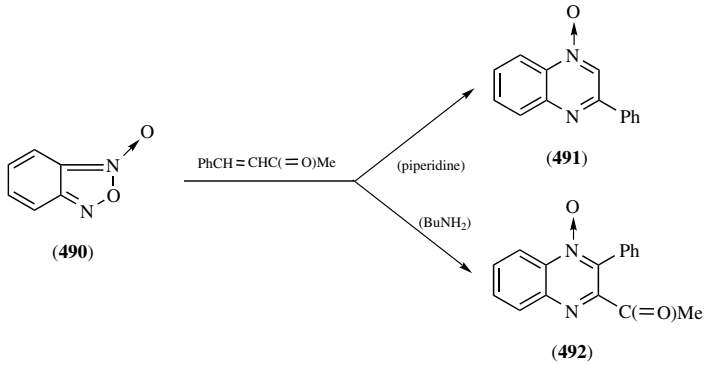


Also other examples.[137,166,182,540,616,706,804,991,1116]

α-Unsaturated ketones as Synthons

2,1,3-Benzoxadiazole 1-oxide (**490**) gave either 2-phenylquinoxaline 4-oxide (**491**) [PhCH=CHC(=O)Me, $HN(CH_2)_4$, MeCN, reflux, 24 h: 35%; note deacylation] or 2-acetyl-3-phenylquinoxaline 4-oxide (**492**) [PhCH +

CHC(=O)Me, $BuNH_2$, MeCN, reflux, 24 h: 16%; change in regioselectivity and preservation from deacylation may perhaps be explained by the possibility for Schiff base formation of the synthon and product, respectively, in the presence of the primary amine];[158] a plausible reason for the formation of mono- instead of di-*N*-oxides was advanced.[158]



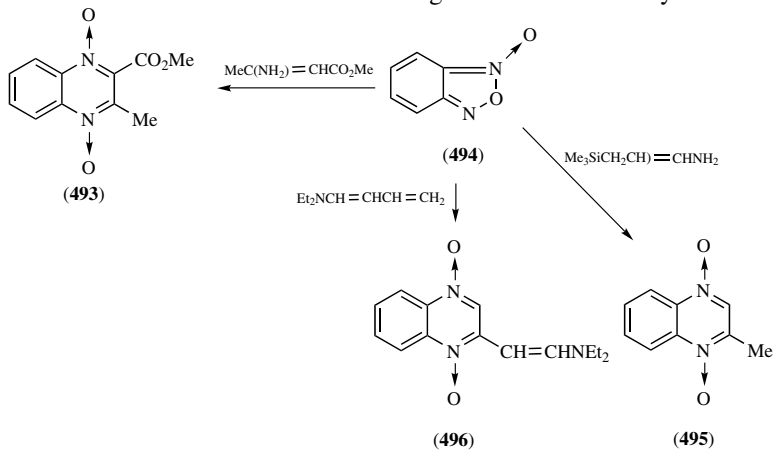
(**490**) (**491**) (**492**)

α-Enamines or α,γ-Dienamines as Synthons

Note: Such enamines usually react as alkenes but with deamination; the dienamines also react as alkenes but usually without deamination. No added base is needed for these reactions.

2,1,3-Benzoxadiazole 1-oxide (**494**) gave methyl 3-methyl-2-quinoxalinecarboxylate 1,4-dioxide (**493**) [$MeC(NH_2)=CHCO_2Me$, MeOH, reflux, 30 h: ~65%][587] or 2-methylquinoxaline 1,4-dioxide (**495**) ($Me_3SiCH_2CH=CHNH_2$, dioxane-MeOH, 50°C, 15 min, then reflux, 10 min: 41%; note desilylation as well as deamination).[219]

The same substrate (**494**) gave 2-(2-diethylaminovinyl)quinoxaline 1,4-dioxide (**496**) ($Et_2NCH=CHCH=CH_2$, Et_2O, 20°C, 4 h: 80%);[92,634] analogs with or without substituents in the benzene ring were made similarly.[634]

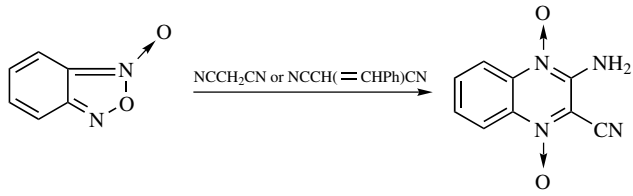


(**493**) (**494**) (**496**) (**495**)

Also other examples.[245,745]

Malononitriles as Synthons

2,1,3-Benzoxadiazole 1-oxide (**497**) and malononitrile gave 3-amino-2-quinoxalinecarbonitrile 1,4-dioxide (**498**) (Et_3N, Me_2NCHO, 25°, 90 min: 75%;[722] Et_3N, Me_2NCHO, 0°C→10°C, 4 h: 75%;[477] Et_3N, Me_2NCHO, 0°C→20°C, 24 h: 75%).[726] Symmetrically substituted substrates afforded products like 3-amino-6,7-dimethyl-2-quinoxalinecarbonitrile 1,4-dioxide (**499**), but unsymmetric substrates usually gave two isomeric products, such as 3-amino-6/7-trifluoromethyl-2-quinoxalinecarbonitrile 1,4-dioxide (**500**).[726]



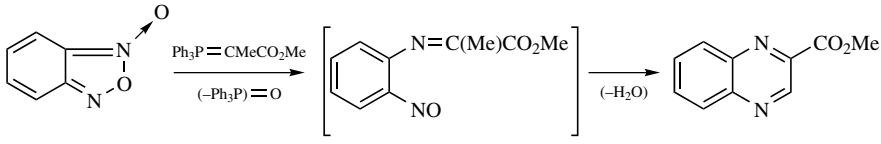
(**497**) (**498**)

(**499**) (**500**)

The substrate (**497**) and α-benzylidenemalononitrile [NCC(=CHPh)CN] also gave 3-amino-2-quinoxalinecarbonitrile 1,4-dioxide (**498**) (Et_3N, EtOH, 20°C, 4 h: 80%).[403]

Methyl 2-(Triphenylphosphoranylidene)propionate as a Synthon

2,1,3-Benzoxadiazole 1-oxide (**501**) and methyl 2-(triphenylphosphoranylidene)propionate gave several products from which methyl 2-quinoxalinecarboxylate (**503**), probably formed via the intermediate (**502**), was isolated (PhH, reflux, 6 h: 4%).[636]

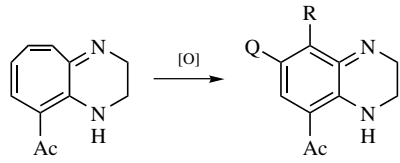


(**501**) (**502**) (**503**)

1.6.8. Cycloheptapyrazines as Substrates/Synthons

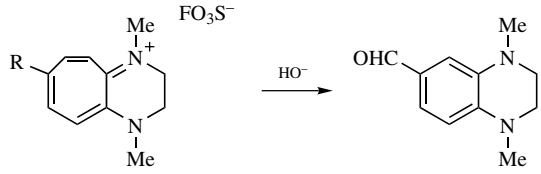
This synthesis has not been sufficiently developed to be of preparative value. Representative examples follow.

5-Acetyl-2,3-dihydro-4*H*-cycloheptapyrazine (**504**) gave a separable mixture of 8-acetyl-1,2,3,4-tetrahydro-5-quinoxalinecarbaldehyde (**505**, Q = H, R = CHO), the isomeric 6-quinoxalinecarbaldehyde (**505**, Q = CHO, R = H), and 5-acetyl-1,2,3,4-tetrahydroquinoxaline (**505**, Q = R = H) (H_2O_2, H_2O–MeOH, 20°C, 8 h: 3%, 2%, and 3%, respectively).[86]



(**504**) (**505**)

1,4-Dimethyl-2,3-dihydro-4*H*-cycloheptapyrazin-1-ium fluorosulfonate (**506**, R = H) or its derived 7-bromo derivative (**506**, R = Br) gave 1,4-dimethyl-1,2,3,4-tetrahydro-6-quinoxalinecarbaldehyde (**507**) (no details apart from characterization).[605]

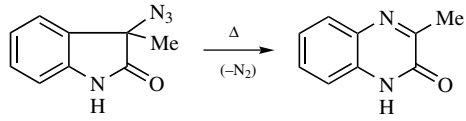


(**506**) (**507**)

1.6.9. Indoles as Substrates/Synthons

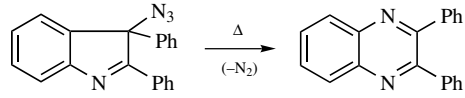
Two distinct routes from indoles to quinoxalines have been reported, but neither has been developed to any extent. Examples follow.

3-Azido-3-methyl-2-indolinone (**508**) gave 3-methyl-2(1*H*)-quinoxalinone (**509**) (xylene, reflux, 8 h: >95%).[586]



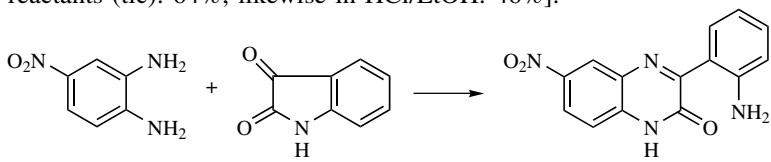
(**508**) (**509**)

3-Azido-2,3-diphenyl-3*H*-indole (**510**) gave mainly 2,3-diphenylquinoxaline (**511**) (Me_2NCHO, reflux, 16 h: 82%);[586,cf. 664] homologs and analogs made similarly.[586]



(**510**) (**511**)

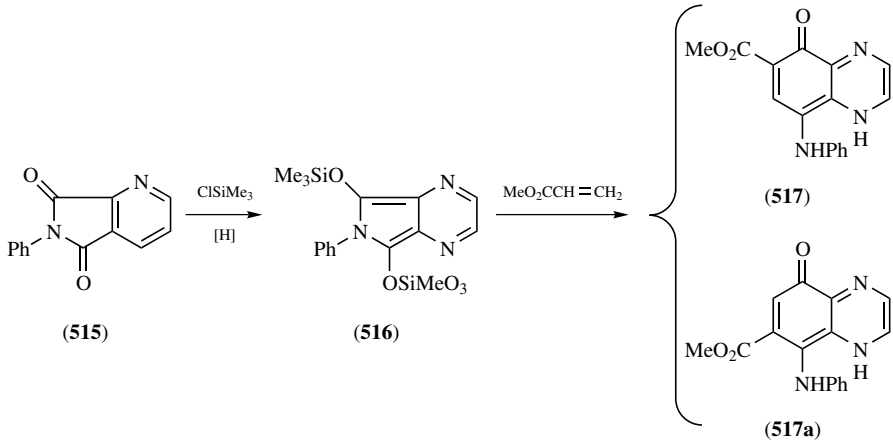
2,3-Indolinedione (isatin: **513**) and 4-nitro-1,2-benzenediamine (**512**) gave 3-*o*-aminophenyl-6-nitro-2(1*H*)-quinoxalinone (**514**) [AcOH, reflux until no reactants (tlc): 64%; likewise in HCl/EtOH: 46%].[774,cf. 770]



(**512**) (**513**) (**514**)

1.6.10. Pyrrolo[3,4-*b*]pyrazines as Substrates/Synthons

One interesting example of this type of synthesis has been reported. 6-Phenyl-5*H*-5,7(6*H*)-pyrrolo[3,4-*b*]pyrazine (**515**) underwent electrolytic reduction in the presence of chlorotrimethylsilane to give the (unisolated?) substrate (**516**) that reacted with methyl acrylate (minimal detail) to afford a mixture of methyl 8-anilino-5-oxo-1,5-dihydro-6-quinoxalinecarboxylate (**517**) and methyl 5-anilino-8-oxo-4,8-dihydro-6-quinoxalinecarboxylate (**517a**) (17% and 21%, respectively, after separation).[194]



(**515**) (**516**) (**517**) (**517a**)

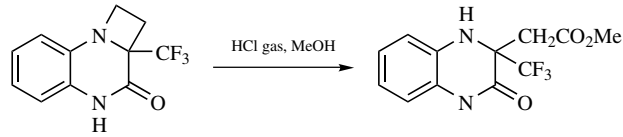
1.7. FROM HETEROPOLYCYCLIC SUBSTRATES/SYNTHONS

Many such substrates have been used for the primary synthesis of quinoxalines, but few such procedures are of general significance, although some have been decidedly useful in particular cases. Accordingly, the following subsections (arranged in alphabetical order according to the heterocyclic system involved) are each brief.

1.7.1. Azeto- or Azirino[1,2-*a*]quinoxalines as Substrates/Synthons

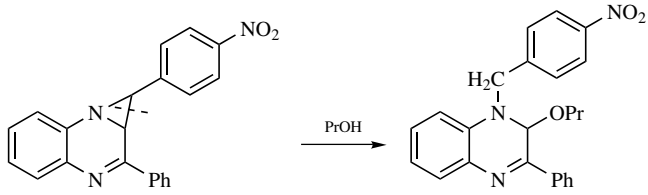
These syntheses are illustrated in the following examples.

2a-Trifluoromethyl-2,2a-dihydro-1*H*-azeto[1,2-*a*]quinoxaline-1,3(4*H*)-dione (**518**) gave 3-methoxycarbonylmethyl-3-trifluoromethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**518a**) (HCl, MeOH, ''facile'').[595]



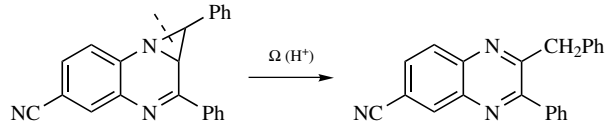
(**518**) (**518a**)

1-*p*-Nitrophenyl-2-phenyl-1,1a-dihydroazirino[1,2-*a*]quinoxaline (**519**) gave 1-*p*-nitrobenzyl-3-phenyl-2-propoxy-1,2-dihydroquinoxaline (**520**) by an addition mechanism (PrOH, reflux, 1 h: 58%).[771]



(**519**) (**520**)

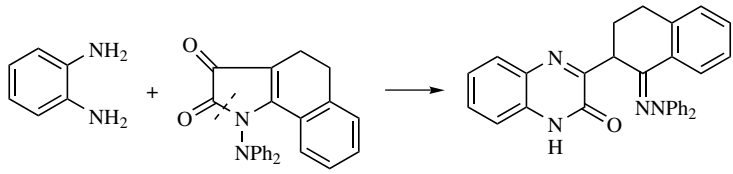
In contrast, 1,2-diphenyl-1,1a-dihydroazirino[1,2-*a*]quinoxaline-5-carbonitrile (**521**) gave 2-benzyl-3-phenyl-6-quinoxalinecarbonitrile (**522**) by rearrangement (HCl–H_2O–AcMe, reflux, ? h: 86%);[789] also analogs likewise.[789]



(**521**) (**522**)

1.7.2. Benz[*g*]indoles as Substrates/Synthons

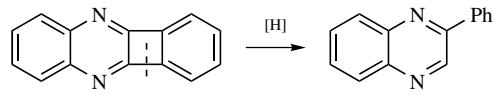
o-Phenylenediamine (**523**) reacted with 1-diphenylamino-2,3,4,5-tetrahydro-1*H*-benz[*g*]indole-2,3-dione (**524**) in dichloromethane (containing a trace of hydrogen chloride) during 48 h at 20°C to afford 3-(1-diphenylhydrazono-1,2,3,4-tetrahydronaphthalen-2-yl)-2(1*H*)-quinoxalinone (**525**) in 48% yield.[1002]



(**523**) (**524**) (**525**)

1.7.3. Benzo[3,4]cyclobuta[1,2-*b*]quinoxalines as Substrates/Synthons

Reduction of unsubstituted benzo[3,4]cyclobuta[1,2-*b*]quinoxaline (**526**) with Raney nickel in refluxing ethanol during 30 min gave 2-phenylquinoxaline (**527**) in 78% yield.[629]

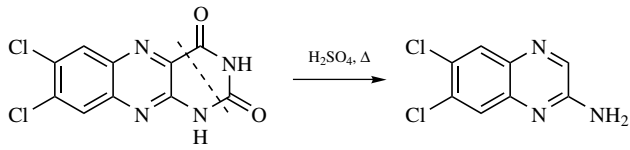


(**526**) (**527**)

1.7.4. Benzo[*g*]pteridines as Substrates/Synthons (*E* 140)

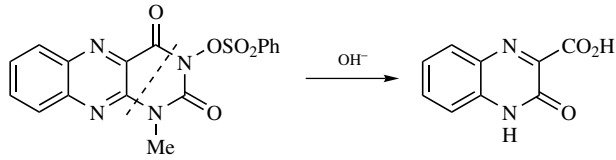
Just as 2- or 4-substituted pteridines are usually degraded to pyrazines,[1055] similarly substituted benzo[*g*]pteridines have also been observed to afford quinoxalines under vigorous hydrolytic or aminolytic conditions. The following examples illustrate some such degradations.

7,8-Dichlorobenzo[*g*]pteridine-2,4(1*H*,3*H*)-dione (7,8-dichloroalloxazine: **528**) gave 6,7-dichloro-2-quinoxalinamine (**529**) (neat H_2SO_4, 240°C, 10 min: 48%).[1044]



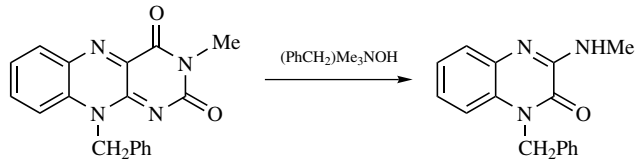
(**528**) (**529**)

3-Benzenesulfonyloxy-1-methylbenzo[*g*]pteridine-2,4(1*H*,3*H*)-dione (**530**) gave 3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid (**531**) (1.3M NaOH, 90°C, 5 min: 40–48%).[384,456]



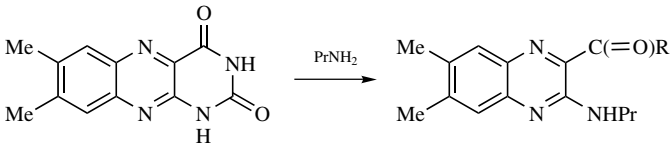
(**530**) (**531**)

10-Benzyl-3-methylbenzo[*g*]pteridine-2,4(3*H*,10*H*)-dione (**532**) gave, among other products, 1-benzyl-3-methylamino-2(1*H*)-quinoxalinone (**533**) [Me-($PhCH_2$)NOH, Me_2NCHO, 20°C, light exclusion, 9 h: 15% after separation; mechanism obscure].[535]



(**532**) (**533**)

7,8-Dimethylbenzo[*g*]pteridine-2,4(1*H*,3*H*)-dione (**534**) gave a separable mixture of 2-allophanoyl-6,7-dimethyl-3-propylaminoquinoxaline (**535a**), 6,7-dimethyl-2-(*N*′-propylallophanoyl)-3-propylaminoquinoxaline (**535b**), 6,7-dimethyl-3-propylamino-2-quinoxalinecarboxamide (**535c**), 6,7-dimethyl-3-propylamino-2-quinoxalinecarboxylic acid (**535d**), and 6,7-dimethyl-*N*-propyl-3-propylamino-2-quinoxalinecarboxamide (**535e**) (neat $PrNH_2$, 60°C, sealed, 1 h: 10%, 20%, 14%, 22%, and 3%, respectively);[466] other alkylamines gave homologous products;[466] and the monothio substrate, 7,8-dimethyl-4-thioxo-3,4-dihydrobenzo[*g*]pteridin-2(1*H*)-one, afforded a similar range of products with alkylamines.[466]

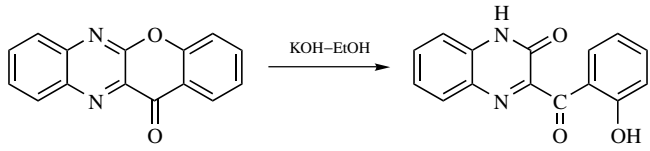


(**534**) (**535**)

(**a**) R = $NHCONH_2$
(**b**) R = NHCONHPr
(**c**) R = NH_2
(**d**) R = OH
(**e**) R = NHPr

1.7.5. [1]Benzopyrano[2,3-*b*]quinoxalines as Substrates/Synthons

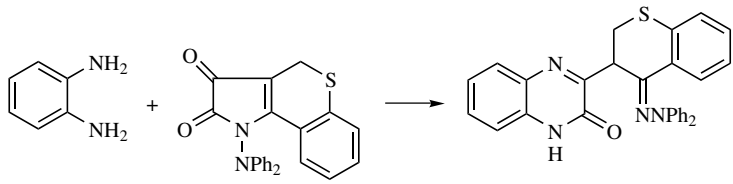
12*H*-[1]Benzopyrano[2,3-*b*]quinoxalin-12-one (**536**) underwent pyrolytic fission in refluxing ethanolic alkali during 4 h to afford 3-*o*-hydroxybenzoyl-2(1*H*)-quinoxalinone (**537**) in 84% yield.[233]



(**536**) (**537**)

1.7.6. [1]Benzothiopyrano[4,3-*b*]pyrroles as Substrates/Synthons

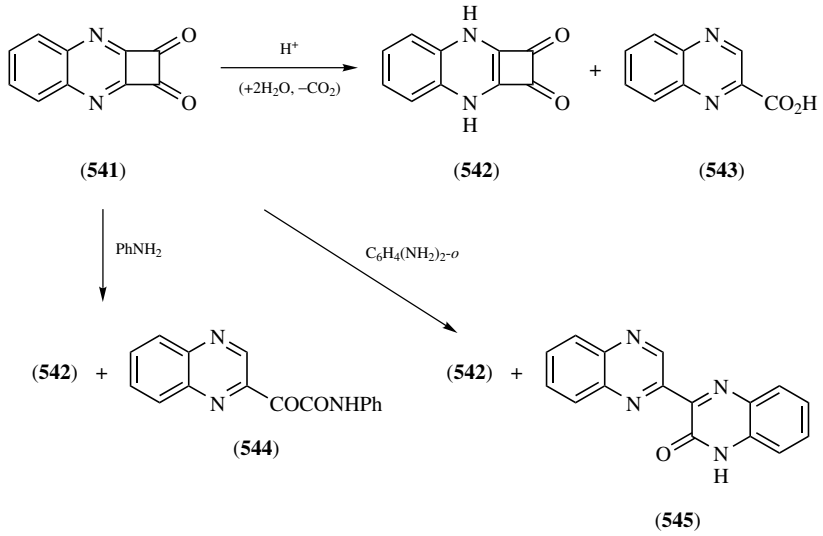
1,2-Benzenediamine (**538**) and 1-diphenylamino-3,4-dihydro-[1]benzothiopyrano[4,3-*b*]pyrrole-2,3(1*H*)-dione (**539**) in dichloromethane (containing a trace of hydrogen chloride) at 20°C for 48 h furnished 3-(4-diphenylhydrazono-3,4-dihydro-2*H*-[1]benzothiopyran-3-yl)-2(1*H*)-quinoxalinone (**540**) in 30% yield.[1002]



(**538**) (**539**) (**540**)

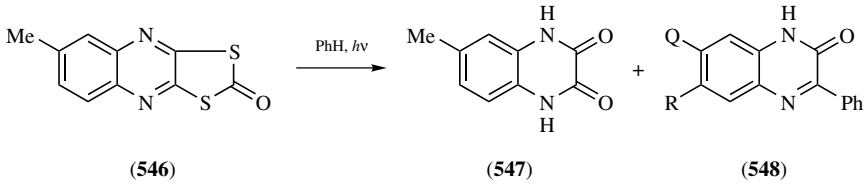
1.7.7. Cyclobuta[*b*]quinoxalines as Substrates/Synthons

1,2-Dihydrocyclobuta[*b*]quinoxaline-1,2-dione (**541**) (2 equiv) reacted rapidly with water (in boiling 2M HCl) to give 1,2,3,8-tetrahydrocyclobuta[*b*]quinoxaline-1,2-dione (**542**) and 2-quinoxalinecarboxylic acid (**543**) (in 50% and 43% yields, respectively, after separation), presumably with loss of CO_2.[309] The same substrate (**541**) with ethanolic aniline (at 20°C until the green color faded) gave the reduced product (**542**) and 2-(*N*-phenyloxamoyl)quinoxaline (**544**) (11% and 57% yields, respectively, after separation); or with 1,2-benzenediamine (in boiling glycol for ~3 min) gave the same reduced product (**542**) and 2,2′-biquinoxalin-3(4*H*)-one (**545**) (63%).[309]



1.7.8. 1,3-Dithiolo[4,5-*b*]quinoxalines as Substrates/Synthons

It has been observed that photolysis of the fungicide, 6-methyldithiolo[4,5-*b*]-quinoxalin-2-one (quinomethionate: **546**) in benzene during 8 h afforded not only 6-methyl-2,3(1*H*,4*H*)-quinoxalinedione (**547**) but also the isomeric phenylated products, 6-methyl- (**548**, Q = H, R = Me) and 7-methyl-3-phenyl-2(1*H*)-quinoxalinone (**548**, Q = Me, R = H).[325]

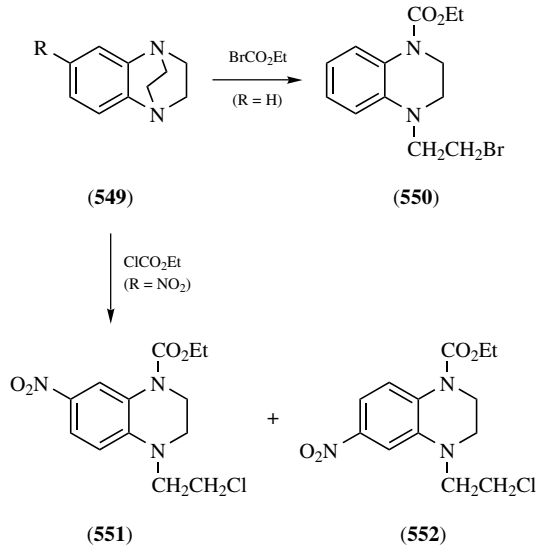


1.7.9. 1,4-Ethanoquinoxalines as Substrates/Synthons

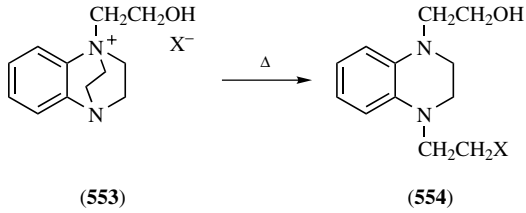
The ethano bridge in some 1,4-ethanoquinoxalines may be displaced from one ring nitrogen to furnish *N*-(substituted ethyl) hydroquinoxalines. Several aspects of this fundamental reaction are illustrated in the following examples.

2,3-Dihydro-1,4-ethanoquinoxaline (**549**, R = H) and ethyl bromoformate (prepared in situ) gave ethyl 4-(2-bromoethyl)-1,2,3,4-tetrahydro-1-quinoxalinecarboxylate (**550**, R = H) (MeCN, −40°C→20°C, 2 h: 33%).[792]

The unsymmetric 6-nitro-2,3-dihydro-1,4-ethanoquinoxaline (**549**, $R = NO_2$) and ethyl chloroformate naturally gave a mixture of ethyl 4-(2-chloroethyl)-7-nitro- (**551**) and ethyl 4-(2-chloroethyl)-6-nitro-1,2,3,4-tetrahydro-1-quinoxalinecarboxylate (**552**) ($CHCl_3$, 20°C, 1 h: ~30% each, after separation.[791]



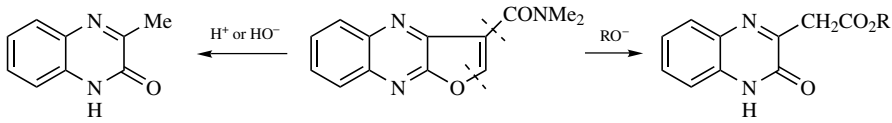
Each quaternary 1-(2-hydroxyethyl)-2,3-dihydro-1,4-ethanoquinoxalin-1-ium halide (**553**, X = Cl, Br, or I) underwent thermolysis to give the corresponding 1-(2-halogenoethyl)-4-(2-hydroxyethyl)-1,2,3,4-tetrahydroquinoxaline (**554**, X = Cl, Br, or I) (PhMe, reflux, 4 h: >70%);[798] also other such transformations.[392,798]



1.7.10. Furo[2,3-*b*]quinoxalines as Substrates/Synthons

N,*N*-Dimethylfuro[2,3-*b*]quinoxaline-3-carboxamide (**556**) (as hydrochloride) in hot acidic or alkaline media for 1 h gave 3-methyl-2(1*H*)-quinoxalinone (**555**) in 60% or 95% yield, respectively;[342,588] In contrast, the same amidic substrate (**556**) in hot alcoholic alkoxide afforded 3-ethoxycarbonylmethyl- (**557**, R = Et) or

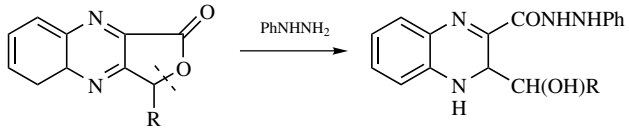
3-methoxycarbonylmethyl-2(1*H*)-quinoxalinone (**557**, R = Me) in 80% or 57% yield, respectively, according to the alcohol employed.[542,588]



(**555**) (**556**) (**557**)

1.7.11. Furo[3,4-*b*]quinoxalines as Substrates/Synthons

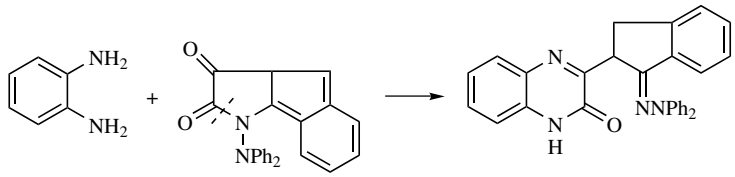
3-Methyl-1,3-dihydrofuro[3,4,-*b*]quinoxalin-1-one (**558**, R = Me) reacted with phenylhydrazine in refluxing methanolic solution during 16 h to give 3-(1-hydroxyethyl)-*N*′-phenyl-2-quinoxalinecarbohydrazide (**559**, R = Me) in 75% yield;[259] 3-(1,2-dihydroxyethyl)-1,3-dihydrofuro[3,4-*b*]quinoxalin-1-one (**558**, R = CHOH-CH_2OH) likewise afforded *N*′-phenyl-3-(1,2,3-trihydroxypropyl)-2-quinoxalinecarbohydrazide (**559**, R = $CHOHCHOHCH_2OH$);[914] and several *N*′-(substituted phenyl) analogs were made similarly.[914] Also related examples.[1097]



(**558**) (**559**)

1.7.12. Indeno[1,2-*b*]pyrroles as Substrates/Synthons

1,2-Benzenediamine (**560**) and 1-diphenylamino-1,2,3,4-tetrahydroindeno[1,2-*b*]pyrrole (**561**) in dichloromethane containing a trace of hydrogen chloride at 20°C during 48 h afforded 3-(1-diphenylhydrazono-2,3-dihydro-1*H*-inden-2-yl)-2(1*H*)-quinoxalinone (**562**) in 53% yield.[1002]

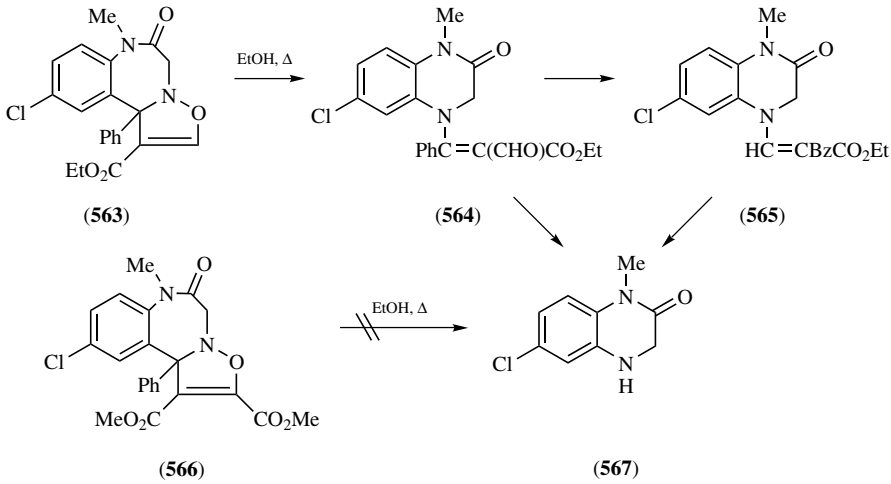


(**560**) (**561**) (**562**)

1.7.13. Isoxazolo[2,3-*d*][1,4]benzodiazepines as Substrates/Synthons

Several interesting quinoxalines can be obtained by this synthesis, as illustrated in the following examples.

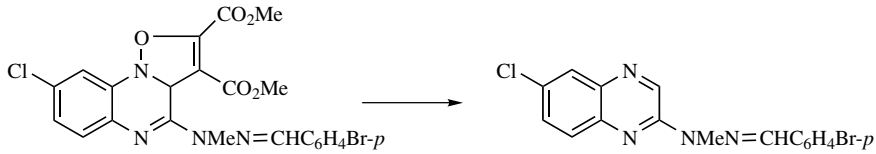
Ethyl 10-chloro-7-methyl-6-oxo-11b-phenyl-5,6,7,11b-tetrahydroisoxazolo[2,3-*d*][1,4]benzodiazepine-1-carboxylate (**563**) gave a separable mixture of 6-chloro-4-(2-ethoxycarbonyl-2-formyl-1-phenylvinyl)-1-methyl-3,4-dihydro-2(1*H*)-quinoxalinone (**564**), 4-(2-benzoyl-2-ethoxycarbonylvinyl)-6-chloro-1-methyl-3,4-dihydro-2(1*H*)-quinoxalinone (**565**), and 6-chloro-1-methyl-3,4-dihydro-2(1*H*)-quinoxalinone (**567**) (EtOH, reflux, 21 h: ~2%, ~8%, and ~20%, respectively, after separation; structures **564** and **565** were checked by X-ray analysis).[106]



An analogous substrate, dimethyl 10-chloro-7-methyl-6-oxo-11b-phenyl-5,6,7,11b-tetrahydroisoxazolo[2,3-d][1,4]benzodiazepine-1,2-dicarboxylate (**566**), gave not **567** but 6-chloro-1-methyl-2(1*H*)-quinoxalinone (EtOH, reflux, 30 h: ~40%).[585]

1.7.14. Isoxazolo[2,3-*a*]quinoxalines as Substrates/Synthons

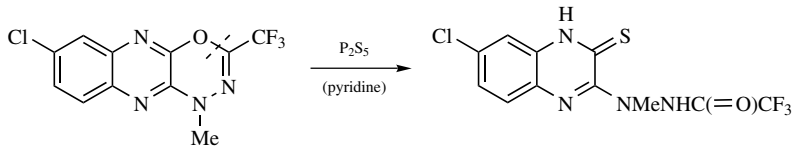
Dimethyl 4-(*N*′-*p*-bromobenzylidene-*N*-methylhydrazino)-8-chloro-3a*H*-isoxazolo[2,3-*a*]quinoxaline-2,3-dicarboxylate (**568**) in refluxing dimethylformamide for 10 h afforded 2-(*N*′-*p*-bromobenzylidene-*N*-methylhydrazino)-6-chloroquinoxaline (**569**) in 17% yield.[472]



(**568**) (**569**)

1.7.15. [1,3,4]Oxadiazino[5,6,*b*]quinoxalines as Substrates/Synthons

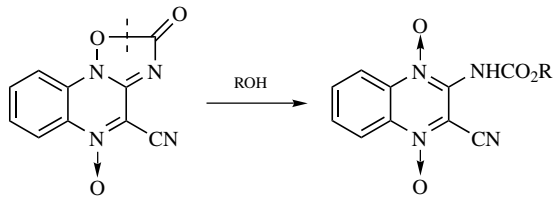
7-Chloro-1-methyl-3-trifluoromethyl-1*H*-[1,3,4]oxadiazino[5,6-*b*]quinoxaline (**570**) suffered thiolytic ring fission in refluxing pyridine (containing phosphorus pentasulfide) during 1 h to provide 7-chloro-3-[*N*-methyl-*N*′-(trifluoroacetyl)hydrazino]-2(1*H*)-quinoxalinethione (**571**) in 87% yield.[496]



(**570**) (**571**)

1.7.16. [1,2,4]Oxadiazolo[2,3-*a*]quinoxalines as Substrates/Synthons

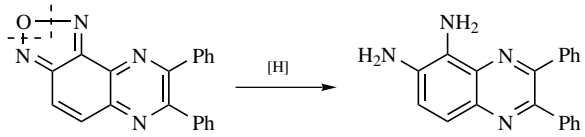
Several of these oxadiazoloquinoxaline 5-oxides have been converted into quinoxaline 1,4-dioxides. For example, 2-oxo-2*H*-[1,2,4]oxadiazolo[2,3-*a*]quinoxaline-4-carbonitrile 5-oxide (**572**) in refluxing ethanol or 2-propanol for ~2 h gave 3-ethoxycarbonylamino- (**573**, R = Et) or 3-isopropoxycarbonylamino-2-quinoxalinecarbonitrile 1,4-dioxide (**573**, R = Pr^i) in 61% or 74% yield, respectively;[490] 10 other analogous products with 6- and/or 7-substituents were made unambiguously in a similar way.[490]



(**572**) (**573**)

1.7.17. [1,2,5]Oxadiazolo[3,4-*f*]quinoxalines as Substrates/Synthons

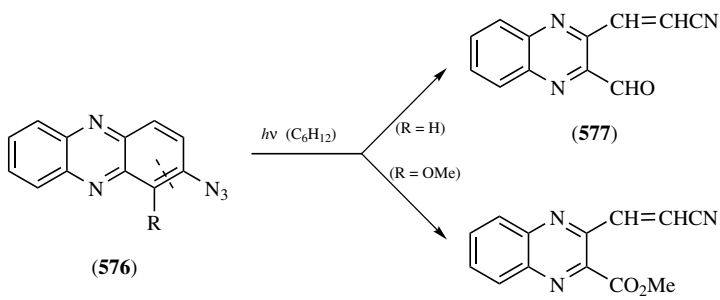
Reduction of 7,8-diphenyl[1,2,5]oxadiazolo[3,4-*f*]quinoxaline (**574**) with sodium bis(2-methoxyethoxy) aluminum hydride in refluxing toluene for 1 h gave 2,3-diphenyl-5,6-quinoxalinediamine (**575**) in 64% yield.[632]



(**574**) (**575**)

1.7.18. Phenazines as Substrates/Synthons

Photolysis of several 2-azidophenazines has been shown to afford quinoxalines. Thus irradiation of 2-azidophenazine (**576**, R = H) in cyclohexane or acetonitrile gave, among other products, 3-(2-cyanovinyl)-2-quinoxalinecarbaldehyde (**577**) in $\leq$17% yield;[113,987] and irradiation of 2-azido-1-methoxyphenazine in degassed benzene or acetonitrile gave, among other products, a separable mixture of *cis*- and *trans*-isomers of methyl 3-(2-cyanovinyl)-2-quinoxalinecarboxylate (**578**), each in low yield.[113,986]

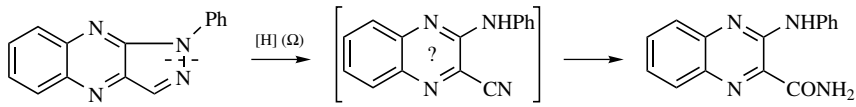


(**576**) (**577**) (**578**)

1.7.19. Pyrazolo[3,4-*b*]quinoxalines as Substrates/Synthons

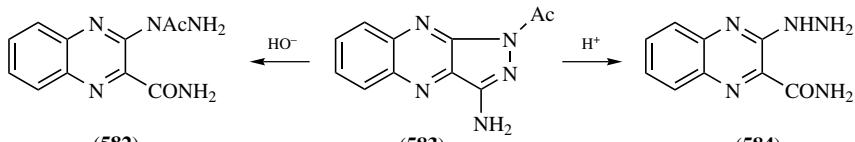
Pyrazolo[3,4-*b*]quinoxalines can undergo ring fission under reductive or hydrolytic conditions to give different types of quinoxaline. The following examples illustrate these possibilities.

1-Phenyl-1*H*-pyrazolo[3,4-*b*]quinoxaline (**579**) gave 3-anilino-2-quinoxalinecarboxamide (**581**) [$NaBH_4$, Pr^iOH, reflux, 80 h: 58%; the proposed mechanism via the nitrile (**580**) is unconvincing, but the fact remains];[430] the analogous 3-*p*-chloroanilino-2-quinoxalinecarboxamide was made similarly in 30% yield.[440]



(**579**) (**580**) (**581**)

1-Acetyl-1*H*-pyrazolo[3,4-*b*]quinoxalin-2-amine (**583**) gave either 3-(*N*-acetylhydrazino)- (**582**) (Na_2CO_3, MeOH, reflux, 20 h: 65%) or 3-hydrazino-2-quinoxalinecarboxamide (**584**) (2M HCl, 95°C, 1 h: 70%).[448]



(**582**) (**583**) (**584**)

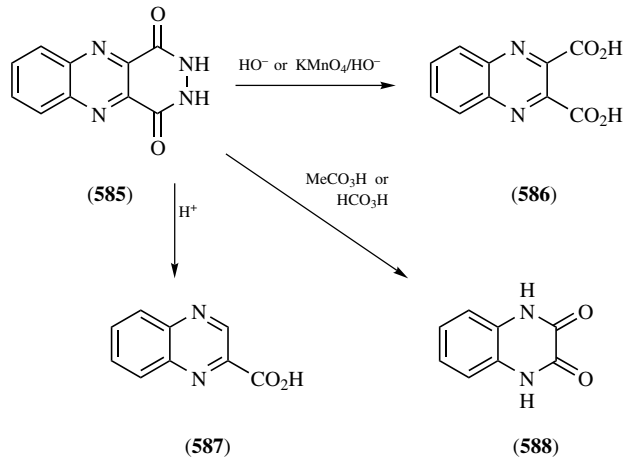
1.7.20. Pyridazino[4,5,-*b*]-quinoxalines as Substrates/Synthons

These pyridazinoquinoxalines can give different quinoxalines by hydrolytic or oxidative degradation. The potential for this route is illustrated in the few reported examples that follow.

Pyridazino[4,5-*b*]-quinoxaline-1,4(2*H*,3*H*)-dione (**585**) gave 2,3-quinoxalinedicarboxylic acid (**586**) (2M NaOH, reflux, 6 h: 87%; $KMnO_4$, NaOH, H_2O, reflux, 4 h: 34%; $KMnO_4$, AcMe, $CO_2\downarrow$, 20°C, 7 h: 70%).[751]

The same substrate (**585**) gave 2-quinoxalinecarboxylic acid (**587**) (2M HCl, reflux, 50 h: 98%; 96% H_2SO_4, 90°C, 15 h: 94%).[751]

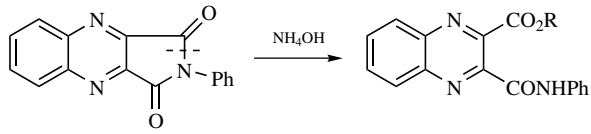
The same substrate (**585**) gave 2,3(1*H*,4*H*)-quinoxalinedione (**588**) (30% H_2O_2, AcOH, 70°C, 20 h: 62%; 30% H_2O_2, 85% HCO_2H, 60°C, 15 h: 59%).[751]



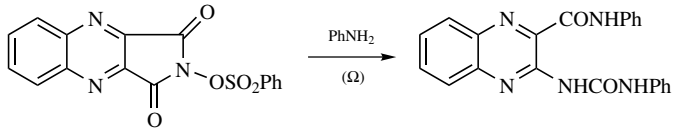
1.7.21. Pyrrolo[3,4-*b*]quinoxalines as Substrates/Synthons

The conversion of this system into quinoxalines is confined at present to the ring opening of *N*-substituted-2,3-quinoxalinedicarboximides, as illustrated in the following examples.

2-Phenyl-1*H*-pyrrolo[3,4-*b*]quinoxaline-1,3(2*H*)-dione (**589**) gave 3-(*N*-phenylcarbamoyl)-2-quinoxalinecarboxylic acid (**590**, R = H) initially as the crude ammonium salt (**590**, R = NH_4) (NH_3, H_2O, reflux, 4 h: ?%).[633]

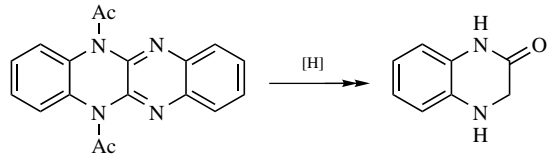


2-Benzenesulfonyloxy-1*H*-pyrrolo[3,4-*b*]quinoxaline-1,3(2*H*)-dione (**591**) gave *N*-phenyl-3-(*N*′-phenylureido)-2-quinoxalinecarboxamide (**592**) ($PhNH_2$, PhH, 20°C, 6 h: 82%; the mechanism probably involved Lossen rearrangement at an intermediate stage but remains unprove);[623] *N*-*p*-tolyl-3-(*N*′-*p*-tolylureido)-2-quinoxalinecarboxamide (87%) was made similarly using *p*-toluidine.[623]



1.7.22. Quinoxalino[2,3-*b*]quinoxalines as Substrates/Synthons

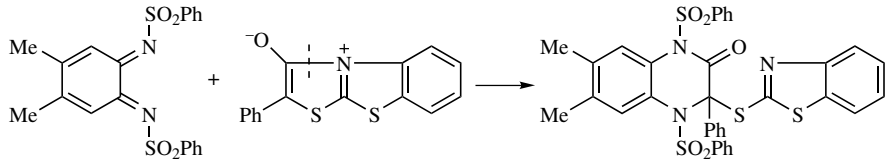
Electrolytic reduction of 5,12-diacetyl-5,12-dihydroquinoxalino[2,3-*b*]quinoxaline (**593**) in H_2SO_4–H_2O–MeOH afforded 3,4-dihydro-2(1*H*)-quinoxalinone (**594**) in unstated yield; a mechanism was suggested.[79]



(**593**) (**594**)

1.7.23. Thiazolo[2,3-*b*]benzothiazoliums as Substrates/Synthons

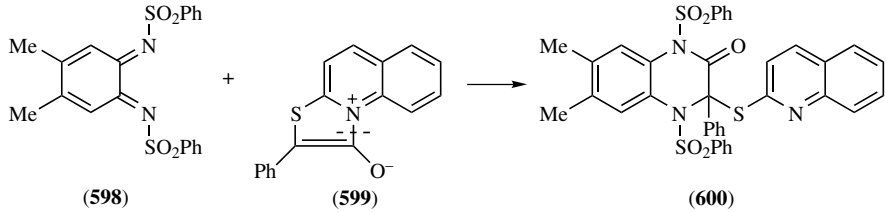
1,2-Bis(benzenesulfonylimino)-4,5-dimethylbenzene (**595**) reacted rapidly with 2-phenylthiazolo[2,3-*b*]benzothiazolium-3-olate (**596**) in dichloromethane at 20°C to give 1,4-bis(benzenesulfonyl)-3-(benzothiazol-2-ylthio)-6,7-dimethyl-3-phenyl-3,4-dihydro-2(1*H*)-quinoxalinone (**597**) in 95% yield.[964]



(**595**) (**596**) (**597**)

1.7.24. Thiazolo[3,2-*a*]quinoliniums as Substrates/Synthons

As in the preceding subsection, 1,2-bis(benzenesulfonylimino)-4,5-dimethylbenzene (**598**) and 2-phenylthiazolo[3,2-*a*]quinolinium-1-olate (**599**) in dichloromethane at 20°C during 15 min afforded 1,4-bis(benzenesulfonyl)-6,7-dimethyl-3-phenyl-3-(quinolin-2-ylthio)-3,4-dihydro-2(1*H*)-quinoxalinone (**600**) in almost quantitative yield.[964]

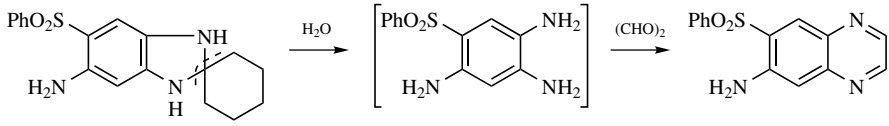


(**598**) (**599**) (**600**)

1.8. FROM SPIRO HETEROCYCLIC SUBSTRATES

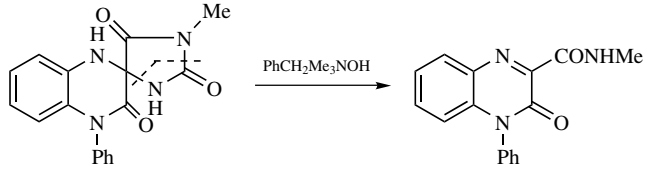
A few miscellaneous spiro heterocyclic compounds have been shown to act as substrates for the primary synthesis of regular quinoxalines. However, none of the following recent examples appears to have much potential as a preparative method.

6-Phenylsulfonyl-1,3-dihydrospiro[2*H*-benzimidazole-2,1′-cyclohexan]-5-amine (**601**) gave 7-phenylsulfonyl-6-quinoxalinamine (**602**) [MeOH–H_2O, 60°C, 20 min; then $(CHO)_2$–$NaHSO_2$↓, 95°C, 5 min: 55%; clearly a two-stage one-pot synthesis via the unisolated intermediate shown];[538] 7-morpholino-6-quinoxalinamine was obtained (57%) by a similar reaction.[538]



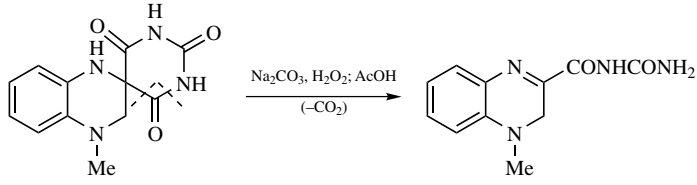
(**601**) (**602**)

1-Methyl-4′-phenylspiro[imidazolidine-4,2′(1′*H*)-quinoxaline]-2,3′,5(4′*H*)-trione (**603**) gave *N*-methyl-3-oxo-4-phenyl-3,4-dihydro-2-quinoxalinecarboxamide (**604**) ($PhMe_3NOH$, Me_2NCHO, dark, 125°C, 1 h: 66%, after separation from a byproduct).[535,672]



(**603**) (**604**)

4′-Methyl-3′-,4′-dihydrospiro[pyrimidine-5(2*H*),2′(1′*H*)-quinoxaline]-2,4,6(1*H*,3*H*)-trione (**605**) gave 1-methyl-3-ureidocarbonyl-1,2-dihydroquinoxaline (**606**) (2M Na_2CO_3, 15% H_2O_2, then AcOH↓: 74%; two homologs similarly; mechanism discussed).[619]



(**605**) (**606**)

1.9. GLANCE INDEX TO TYPICAL QUINOXALINE DERIVATIVES AVAILABLE BY PRIMARY SYNTHESES

This glance index may assist in the choice of a primary synthesis to provide a required type of quinoxaline derivative. In using the index, it should be borne in mind that products broadly analogous to those formulated may often be obtained by minor modification(s) to the substrate or synthon employed: for example, by change, addition, or deletion of alkyl or aryl groups; by interchange of halogeno substituents; by modification or interchange of acid, ester, amide, or similar groupings; by interchange of oxo, thioxo, selenoxo, or imino substituents; by interchange of alkoxy, aryloxy, or alkylthio groups; and so on.

Procedures that afford very poor yields or employ substrates or synthons difficult to access are usually omitted; so, too, are syntheses that appear to lack general applicability in their present state of development, although they may well prove useful eventually.

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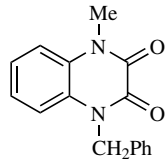
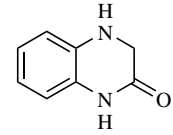
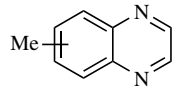
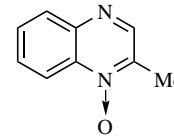
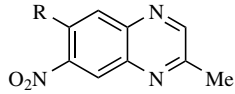
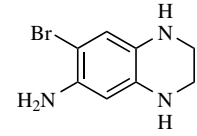
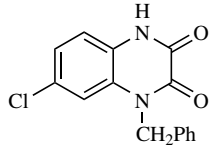
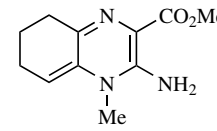
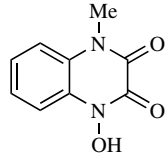
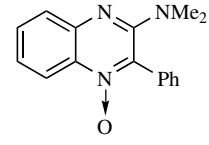
1.1.1		
		
1.1.2.1		
		
1.1.2.2		

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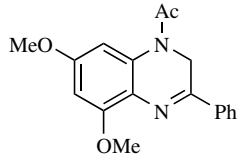
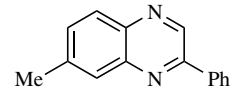
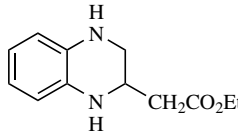
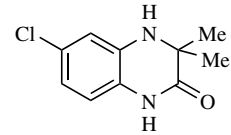
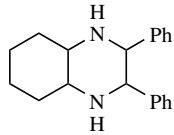
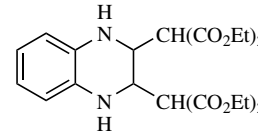
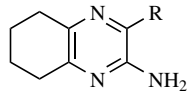
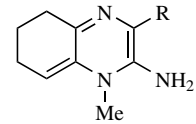
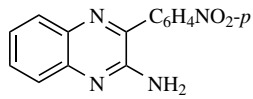
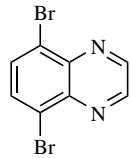
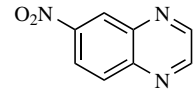
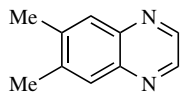
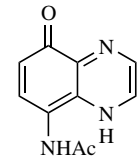
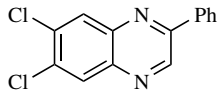
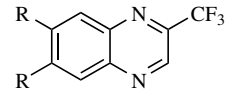
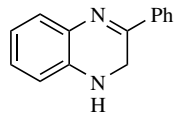
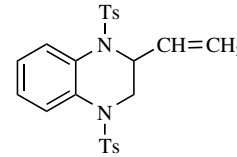
1.1.2.3		
		
1.1.3		
1.2.1		
1.2.2		
1.2.3.1		
		
1.2.3.2		
		

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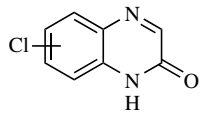
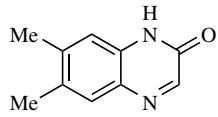
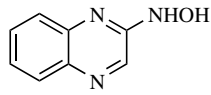
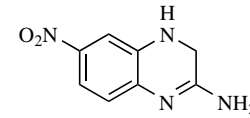
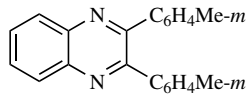
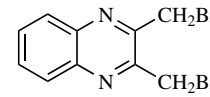
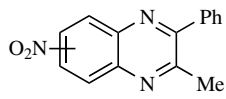
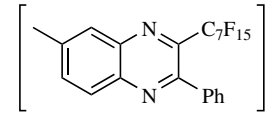
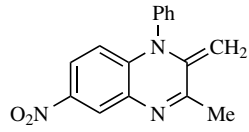
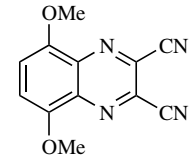
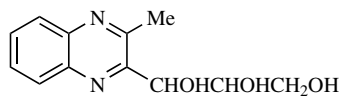
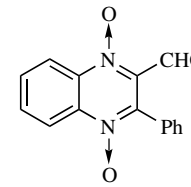
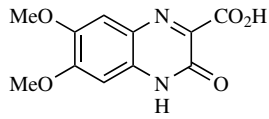
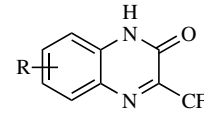
1.2.3.3		
1.2.3.4		
1.2.3.5		
1.2.3.6		
		
		
		
1.2.3.7		

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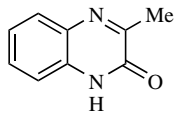
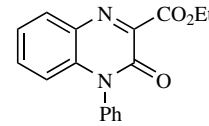
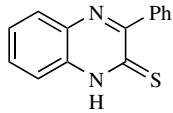
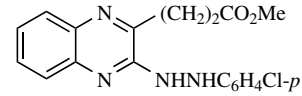
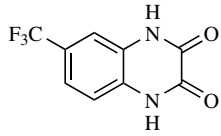
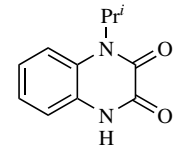
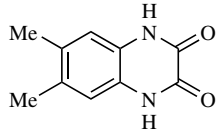
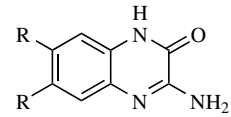
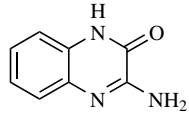
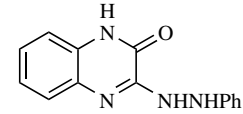
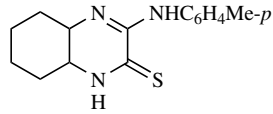
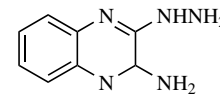
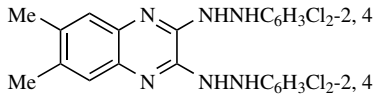
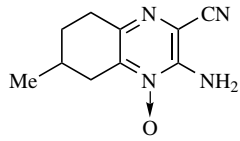
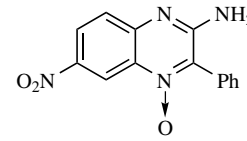
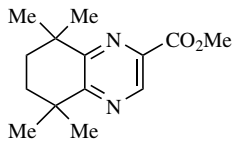
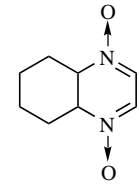
1.2.3.8		
1.2.3.9		
1.2.3.10		
1.2.3.11		
1.2.3.12		
1.2.3.13		
1.2.3.14		
1.2.4		
1.2.5		

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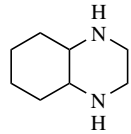
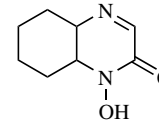
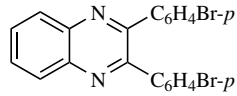
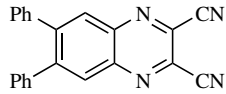
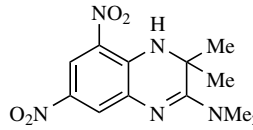
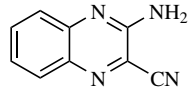
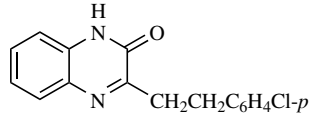
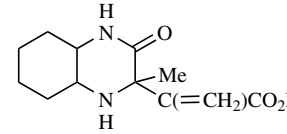
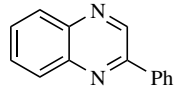
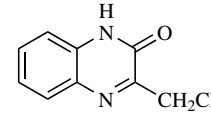
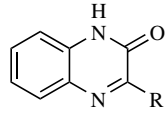
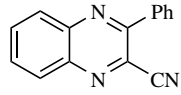
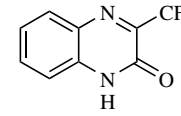
		
1.3		
1.4		
1.5.1		
1.5.2		
1.5.3		
1.5.5		
1.5.6		
1.5.7		

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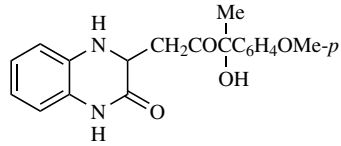
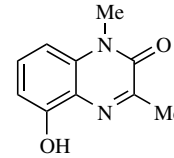
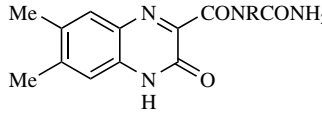
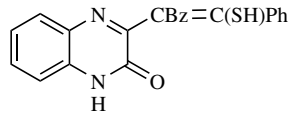
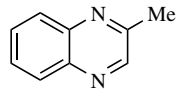
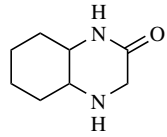
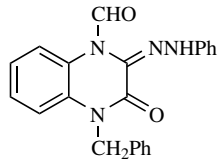
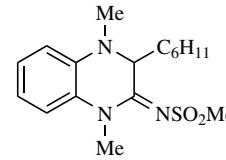
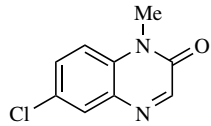
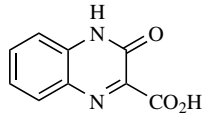
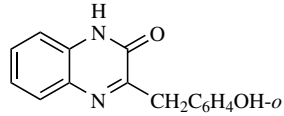
1.5.8		
1.5.11		
1.5.13		
1.5.15		
1.6.1		
1.6.2		
1.6.3		
1.6.4		
1.6.5		

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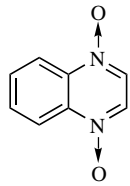
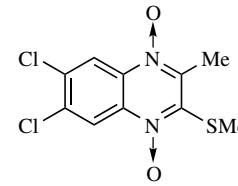
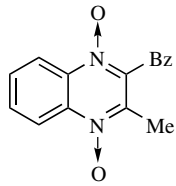
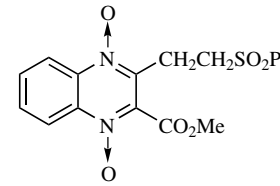
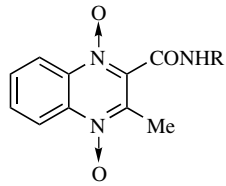
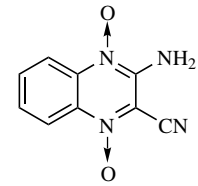
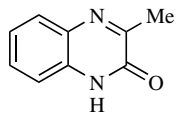
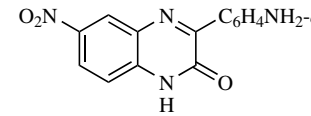
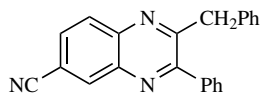
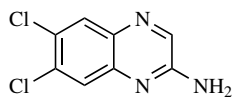
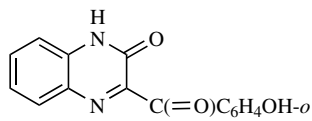
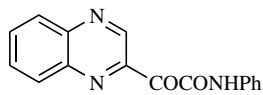
1.6.7	 
	 
	 
1.6.9	 
1.7.1	
1.7.4	
1.7.5	
1.7.7	

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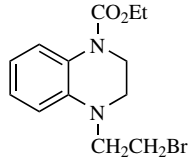
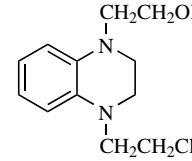
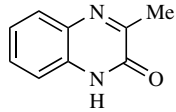
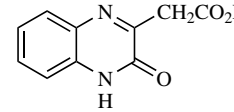
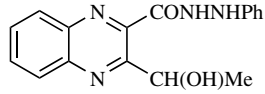
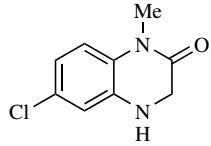
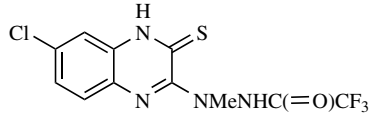
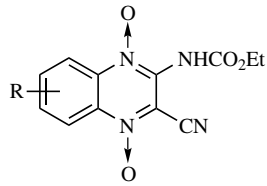
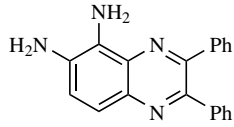
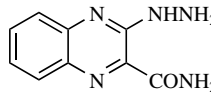
1.7.9		
1.7.10		
1.7.11		
1.7.13		
1.7.15		
1.7.16		
1.7.17		
1.7.19		

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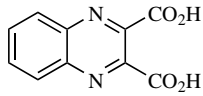
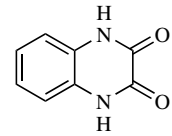
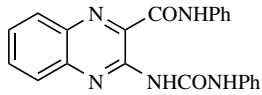
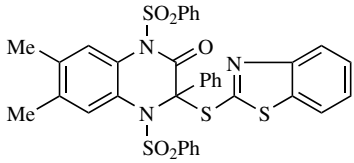
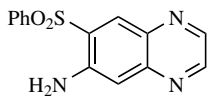
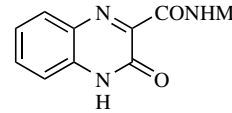
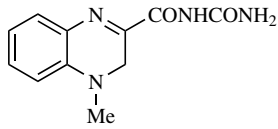
1.7.20		
1.7.21		
1.7.23		
1.8		
		

CHAPTER 2

Quinoxaline, Alkylquinoxalines, and Arylquinoxalines (*H* 228, 273; *E* 1, 7, 205, 233, 261)

This chapter covers recent information on the preparation, physical properties, and reactions of quinoxaline and its *C*-alkyl, *C*-aryl, *N*-alkyl, and *N*-aryl derivatives as well as their respective ring-reduced analogs. In addition, it includes methods for introducing alkyl or aryl groups (substituted or otherwise) into quinoxalines already bearing substituents and the reactions specific to the alkyl or aryl groups in such compounds. For simplicity, the term *alkylquinoxaline* in this chapter is intended to include alkyl-, alkenyl-, alkynyl-, and aralkylquinoxalines; likewise, *arylquinoxaline* includes both aryl- and heteroarylquinoxalines.

Since the appearance of Cheeseman and Cookson's volume in 1979,[1014] several brief to reasonably comprehensive reviews of quinoxaline chemistry have become available.[189,1021–1030,1079]

2.1. QUINOXALINE (*H* 228; *E* 7)

2.1.1. Preparation of Quinoxaline (*H* 228; *E* 7)

Perhaps because it is available commercially at modest cost, no new preparative methods for quinoxaline (**1**) have been reported recently. However, 1,2,3,4-tetrahydroquinoxaline (**2**) has been made by reduction of quinoxaline (**1**) [$Zn(BH_4)_2$, trace $PhNMe_2$, $MeOCH_2CH_2OMe$, sonication, 20°C, 10 h: 90%;[857] HCO_2H, $RuCl_2(PPh_3)_3$, PhH, 180°C, A, autoclave, 18 h: ~70% (?);[99] $NiCl_2 \cdot 6H_2O$, $NaBH_4$, MeOH, 5°C → 20°C, 1 h: 58%;[589] or BH_3, THF, reflux, 1 h: >95% (homologs and analogs likewise)];[863] or by thermolysis of 1-(2,4-dioxo-1,2,3,4-tetrahydropyrimidin-5-yl)-1,2,3,4-tetrahydroquinoxaline (**3**) (neat, 225°C, 0.003 mmHg: 62%).[344] In

Quinoxalines: Supplement II, *Chemistry of Heterocyclic Compounds, Volume 61*, by Desmond J. Brown
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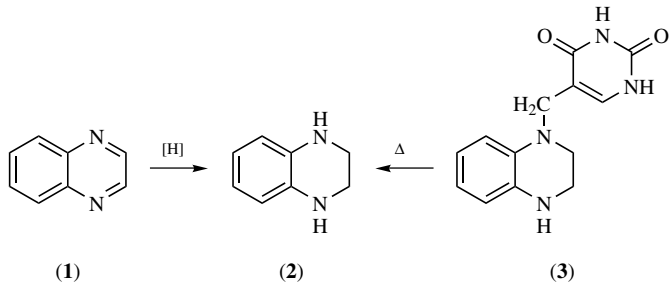
reverse, quinoxaline has been made by anionic oxidation of its 1,2,3,4-tetrahydro derivative in >30% yield.[131]



2.1.2. Properties of Quinoxaline (*E* 7)

Recently reported physical data for quinoxaline and its salts etc are collected under ''quinoxaline'' in the Appendix (Table of Simple Quinoxalines), at the end of this book. More notable studies on the properties of quinoxaline or reduced quinoxaline are briefly indicated here with references.

Aromaticity. The aromaticity indices (based[1057] on deviations in peripheral bond orders) for quinoxaline and related azaheterocycles have been calculated and they show good correlation with independently calculated resonance energies.[645]

Complexes. The structure of an $n \rightarrow \sigma^*$ charge-transfer complex between quinoxaline and two iodine atoms has been obtained by X-ray analysis and its thermal stability compared with those of related complexes.[557] The hydrogen bond complex between quinoxaline and phenol has been studied by infrared spectroscopy and compared with many similar complexes.[502] Adducts of quinoxaline with uranium salts[423] and with a variety of copper(II) alkanoates[88] have been prepared, characterized, and studied with respect to IR spectra or magnetic properties, respectively.

Dipole Moments. The dipole moments of quinoxaline, several derivatives, some pyrazine analogs, and comparable homocyclic compounds have been measured in an attempt to rationalize the values in terms of mesomeric effects and/or conformational isomerism.[923]

Emission Spectra. The excited states of quinoxaline and several derivatives have been studied by means of their UV absorption and emission spectra.[631]

Nuclear Magnetic Resonance Spectra. The ^{13}CNMR spectra of quinoxaline and a dozen 5-substituted quinoxalines have been determined for comparison with those of corresponding naphthalene derivatives.[918] Aspects of the ^{1}H, ^{13}C, and ^{14}N NMR spectra of quinoxaline and related heterocycles have been correlated with the π-electron densities of the system.[419] In contrast with the

situation in aromatic hydrocarbons, the ^{1}HNMR solvent shifts in quinoxaline and related heteroaromatic systems show no correlation with reactivity parameters.[95]

2.1.3. Reactions of Quinoxaline (*E* 11)

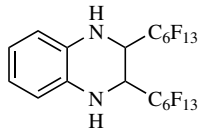
Some typical examples of recently reported reactions of unsubstituted quinoxaline, hydroquinoxalines, or simple *N*-alkylquinoxalinium salts are mentioned here.

C-Acylation

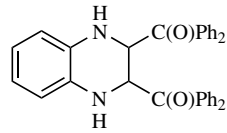
Quinoxaline and acetaldehyde gave 2-acetylquinoxaline (Bu^tO_2H, $FeSO_4$, H_2SO_4–H_2O, 10°C, 50 min: 78%);[555] benzaldehyde similarly gave 2-benzoylquinoxaline (55%);[594]and several substituted benzoyl derivatives were made likewise.[594] (See also Section 7.7.1.)

Addition Reactions

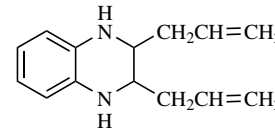
Quinoxaline with perfluorohexyl iodide (2.5 mol) gave 2.3-bis(perfluorohexyl)-1,2,3,4-tetrahydroquinoxaline (**4**) (reactants, $BF_3 \cdot Et_2O$, Et_2O, −78°C; $MeLi \cdot LiBr\downarrow$, −70°C, 1 h: 88%);[10] with diphenylphosphine oxide [$Ph_3P(O)H$] it gave 2,3-bis(diphenylphosphinyl)-1,2,3,4-tetrahydroquinoxaline (**5**) (PhH, 20°C, 12 h: 24%);[361] and with etherial allylmagnesium bromide (2 mol) it gave 2,3-diallyl-1,2,3,4-tetrahydroquinoxaline (**6**) (Et_2O–THF, −78°C, 30 min: 97%; many homologous diadducts were made similarly, and monoadducts could be made by using 1 equiv of Grignard reagent, especially when it had a branched allylic portion).[637]



(**4**)

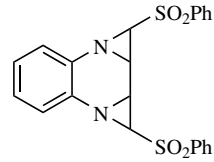


(**5**)



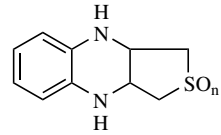
(**6**)

Quinoxaline with the carbanion of (chloromethyl)sulfonylbenzene ($PhSO_2CH_2Cl$) gave the diannulated adduct, 1,8-bisphenylsulfonyl-1,8,8a, 8b-tetrahydrobisazirino[1,2-*a*: 2′, 1′-*c*]quinoxaline (**7**) [KOH, Me_2SO, 20°C, <5 h (monitored): 66%];[203] several analogs were made somewhat similarly.[203,1058]



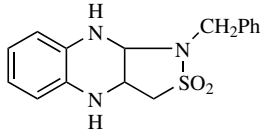
(**7**)

Quinoxaline with dimethyl sulfone or sulfoxide gave 1,3,3a,4,9,9a-hexahydrothieno[3,4-*b*]quinoxaline 2.2-dioxide (**8**, $n = 2$) [Me_2SO_2, BuLi, THF, 20°C, 30 min; then quinoxaline↓, 20°C, 4 h: 82%) or the corresponding 2-oxide (**8**, $n = 1$) (Me_2SO, likewise: 51%), respectively.[607]

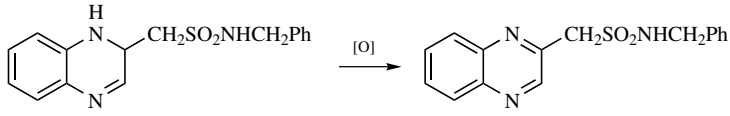


(**8**)

In a somewhat similar way, quinoxaline with *N*-benzylmethanesulfonamide gave a separable mixture of 1-benzyl-1,3,3a,4,9,9a-hexahydroisothiazolo[3,4-b]quinoxaline 2.2-dioxide (**9**) and 2-(*N*-benzylsulfamoylmethyl)-1,2-dihydroquinoxaline (**10**) ($MeSO_2NHPh$, BuLi, THF, 20°C, 1 h; then quinoxaline↓, 20°C, 2 h: 66% and 15%, respectively); the latter product was oxidized to 2-(*N*-benzylsulfamoylmethyl)quinoxaline (**11**) by passing through a column of silica (15%).[884]

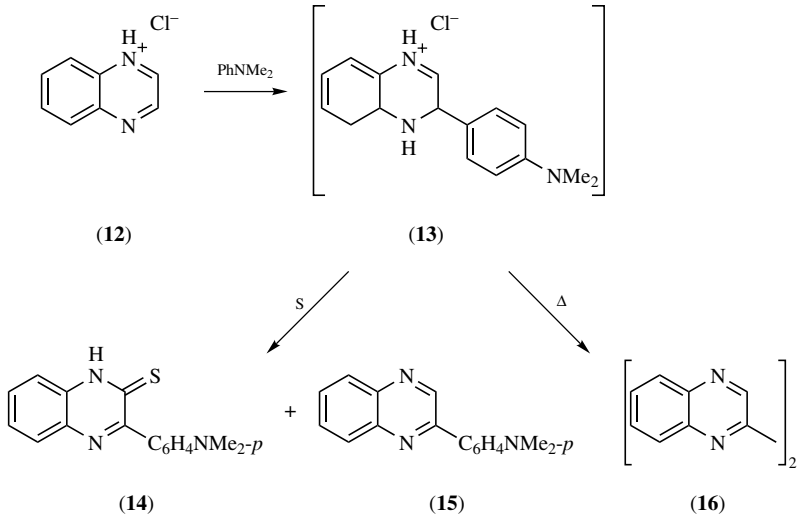


(**9**)

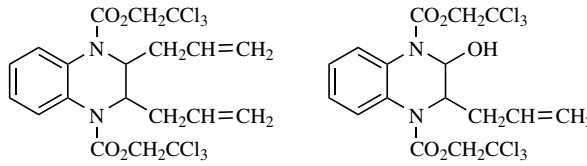


(**10**) (**11**)

Quinoxaline hydrochloride (**12**), dimethylaniline, and sulfur gave a separable mixture of 3-*p*-dimethylaminophenyl-2(1*H*)-quinoxalinethione (**14**) and 2-*p*-dimethylaminophenylquinoxaline (**15**) [Me_2NCHO, 140°C, 3 h: 71% and 12%, respectively, probably via the adduct (**13**)]; in argon and without any sulfur, 2,2′-biquinoxaline (**16**) was formed [Me_2NCHO, 140°C, 1 h: 65%, probably via the same adduct (**13**)].[824]



Quinoxaline with allyltributyltin and 2,2,2-trichloroethyl chloroformate ($ClCO_2CH_2CCl_3$) gave bis(2,2,2-trichloroethyl) 2,3-diallyl-1,2,3,4-tetrahydro-1,4-quinoxalinedicarboxylate (**17**) (CH_2Cl_2, 0°C, 3 h: 30%) and a separable byproduct, bis(2,2,2-trichloroethyl) 2-allyl-3-hydroxy-1,2,3,4-tetrahydro-1,4-quinoxalinedicarboxylate (**18**) (18%).[891]



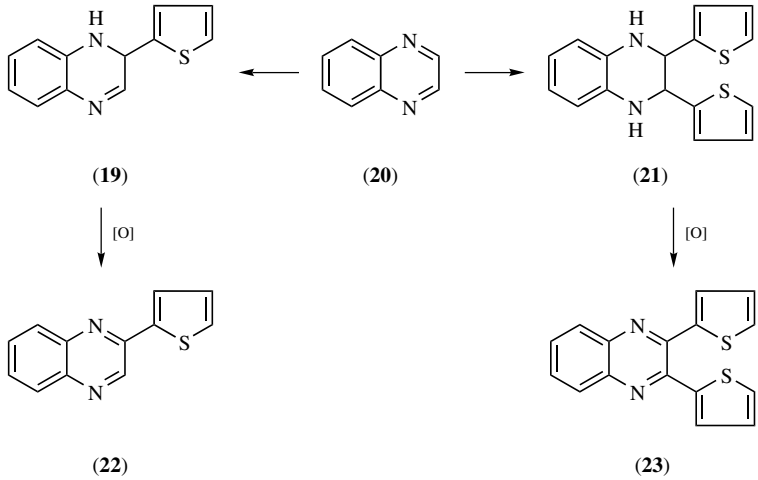
Also other examples.[324,757]

C-Alkylation or Arylation

Note: The *C*-alkylation of quinoxaline has been done by addition (with or without subsequent aromatization; see also preceding subsection), by reductive alkylation, or by homolytic alkylation.

Quinoxaline (**20**) gave either 2-(thien-2-yl)-1,2-dihydroquinoxaline (**19**) and thence 2-(thien-2-yl)quinoxaline (**22**) [2-lithiothiophene (prepared in situ; 1 equiv), THF, −70°C, N_2, 16 h: 90%; then $KMnO_4$, AcMe, 40°C, ? min: 88%] or 2,3-di(thien-2-yl)-1,2,3,4-tetrahydroquinoxaline (**21**) and thence

2,3-di(thien-2-yl)quinoxaline (**23**) [likewise but 2-lithiothiophene (2 equiv): 70% and 62%, respectively].[324]

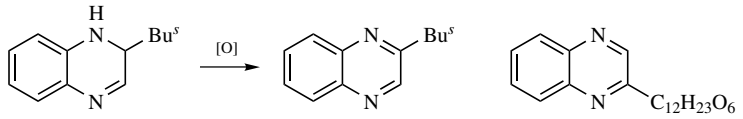


(**19**) (**20**) (**21**)

(**22**) (**23**)

Electrolytic generation of quinoxaline radical anion in the presence of 2-bromobutane gave a mixture, probably of products (**24** and **25**) (reactants, Bu_4NBF_4, Me_2NCHO: ~80%); subsequent oxidation of this mixture gave 2-*sec*-butylquinoxaline (**25**) (H_2O_2, KOH, EtOH–H_2O, 40°C, 2 h: 65% overall).[33] Several homologs were made similarly.[33,34]

Quinoxaline and 18-crown-6 ether gave quinoxalin-2-yl-18-crown-6 (**26**) (reactants, H_2SO_4, $FeSO_4$, Me_2SO, 25°C; then $Bu^t{}_3O_2H\downarrow$, 25°C, 30 min: net 85%).[788]



(**24**) (**25**) (**26**)

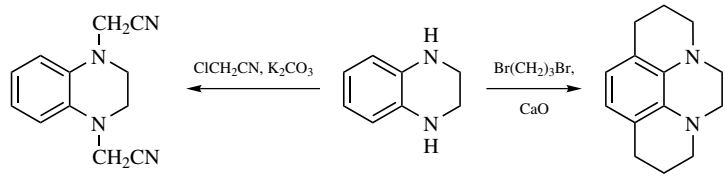
Quinoxaline also underwent many other homolytic alkylations or arylations usually to give, for example, mixtures of 2-alkyl-, 6-alkyl-, and sometimes 2,3- or 2,6-dialkyl derivatives, according to the agent and conditions used. Percentage conversions, ratios of products, separability, and yields appear to vary widely.[32,109,116,125,293,577,643,890]

Also other examples.[572]

N-Alkylation and Quaternization

Note: It is axiomatic that most hydroquinoxalines can give classical *N*-alkyl derivatives but quinoxalines can give only *N*-alkylquinoxalinium salts.

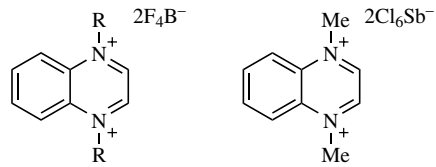
1,2,3,4-Tetrahydroquinoxaline (**28**) gave 1,4-bis(cyanomethyl)-1,2,3,4-tetrahydroquinoxaline (**27**) ($ClCH_2CN$, K_2CO_3, Me_2NCHO, 20°C → 140°C, 4 h: 94%)[449] or 1,2,3,5,6,8,9,10-octahydropyrazino[1,2,3,4-*lmn*] [1,10]phenanthroline (**29**) (excess neat $BrCH_2CH_2CH_2Br$, CaO, 135°C, A, 20 h: 15%, presumably by di-*N*-alkylation followed by intramolecular *peri*-di-*C*-alkylation.[768]



(**27**) (**28**) (**29**)

Quinoxaline gave 1,4-diethyl-1,2,3,4-tetrahydroquinoxaline by indirect reductive alkylation (AcOH, $KBH_4\downarrow$, <15°C, 1 h, then reflux, 6 h: 87%; presumably by nuclear reduction, *N*-acylation, and further reduction of the acetyl groups?).[278]

Quinoxaline gave 1,4-dimethylquinoxalinediium bistetrafluoroborate (**30**, R = Me) (Me_3OBF_4, $ClCH_2CH_2Cl$, reflux, 1 h: 95%), 1,4-diethylquinoxalinediium bistetrafluoroborate (**30**, R = Et), (Et_3OBF_4, likewise: 75%), or 1,4-dimethylquinoxalinediium bishexachloroantimonate (**31**) (Me_3OSbCl_6, $ClCH_2CH_2Cl$, reflux, 3 h: 31%).[205]



(**30**) (**31**)

Deuteration

Quinoxaline gave [2,3-$^2H_2$]quinoxaline (D_2O, 230°C, autoclave, 40 h: >98% deuteration).[765]

Also other examples.[281,683]

Halogenation

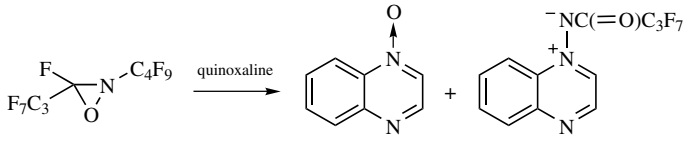
Indirect fluorination of quinoxaline gave a separable mixture of 2-fluoro- and 2,3-difluoroquinoxaline (I_2, Et_3N, ClF_2CCF_2Cl, $F_2 + N_2\downarrow$, 5°C, ? min: 48% and 11%, respectively); homologs likewise.[561]

Quinoxaline gave 5-bromoquinoxaline (NBS, H_2SO_4, 20°C → 60°C, 6 h: 12%).[1064]

Oxidative Reactions

Quinoxaline gave quinoxaline 1-oxide [substrate, $AcONH_4$, trace manganese tetrakis(2,6-dichlorophenyl)porphyrin, CH_2Cl_2–MeCN; then 30% H_2O_2–MeCN↓, 20°C, 2 h: 33% net].[581]

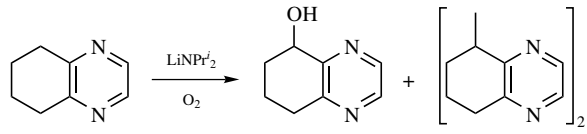
Quinoxaline and perfluoro-2-butyl-3-propyloxaziridine (**32**) gave a separable mixture of quinoxaline 1-oxide (**33**) and *N*-(perfluorobutyryl)quinoxalinium-1-aminide (**34**) ($CHCl_3$–$CFCl_3$, −60°C, 40 min: 30% and 45%, respectively; a mechanism was suggested).[554]



(**32**) (**33**) (**34**)

Quinoxaline gave 2,3-pyrazinedicarboxylic acid (O_3, H_2SO_4, Mn catalyst: >75%;[288] $KMnO_4$, H_2O, 95°C, 3 h: 71%).[48,cf. 284]

5,6,7,8-Tetrahydroquinoxaline (**35**) was lithiated and then oxygenated to give a separable mixture of 5,6,7,8-tetrahydro-5-quinoxalinol (**36**) and 5,5′,6,6′,7,7′,8,8′-octahydro-5,5′-biquinoxaline (**37**) ($LiNPr^i_2$, Et_2O, 0°C, 15 min; then O_2↓, ~30 min: 20% and 10%, respectively).[257]



(**35**) (**36**) (**37**)

See also Section 4.1.1 for other oxidations.

Reductive Reactions

Quinoxaline gave 1,2,3,4-tetrahydroquinoxaline ($NiCl_2 \cdot 6H_2O$, MeOH, $NaBH_4$↓, <20°C, 1 h: 58%); 2-methyl- and 2,3-dimethyl-1,2,3,4-tetrahydroquinoxaline were produced similarly (52% and 92%, respectively).[589] The 2-pyrimidinamine·BH_3 complex any also be so used.[199]

2.2. ALKYL- AND ARYLQUINOXALINES
(*H* 273; *E* 205, 233)

Although alkyl and aryl groups attached to heterocycles are still called *nonfunctional substituents*, in fact they do undergo a variety of reactions and do have important electronic and steric effects on the molecule as a whole.

2.2.1. Preparation of *C*-Alkyl- and *C*-Arylquinoxalines
(*H* 273; *E* 205)

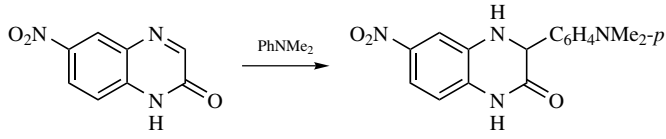
This coverage is not confined to methods for making simple alkyl- or arylquinoxalines. It also includes methods leading to products bearing one or more functional passenger groups that have survived the procedures involved.

The many *primary syntheses* of alkyl- or arylquinoxalines have been covered in Chapter 1.

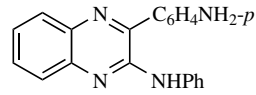
2.2.1.1. By Direct Alkylation or Arylation

Direct alkylation of unsubstituted quinoxaline has been discussed in Section 2.1.3. Such alkylation or arylation of other quinoxalines is apparently seldom satisfactory, but the following recent examples contain some procedures that may prove useful.

6-Nitro-2(1*H*)-quinoxalinone (**38**) underwent 3,4-addition by *N,N*-dimethylaniline to give 3-(*p*-dimethylaminophenyl)-6-nitro-3,4-dihydro-2(1*H*)-quinoxalinone (**39**) (AcOH, reflux, 4 h: 80%);[753] 2-chloroquinoxaline and aniline gave several separable products, including 2-*p*-aminophenyl-3-anilinoquinoxaline (**40**) (neat $PhNH_2$, 150°C, 2 h: 16%; aerial aromatization?).[630]

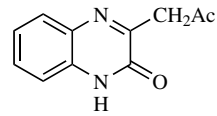


(**38**) (**39**)



(**40**)

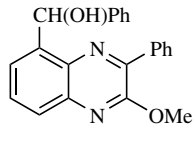
2(1*H*)-Quinoxalinone and acetone gave 3-acetonyl-2(1*H*)-quinoxalinone (**41**) [Me_2SO_4 (as catalyst), reflux until complete by tlc: 91%; aerial aromatization?); homologs likewise.[572,cf. 335,431]



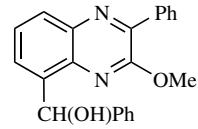
(**41**)

2-Methoxy-3-phenylquinoxaline and benzaldehyde gave a separable mixture of 5-(α-hydroxybenzyl)-2-methoxy-3-phenyl- (**42**) and 5-(α-hydroxybenzyl)-3-methoxy-2-phenylquinoxaline (**43**) [$LiN(CMe_2CH_2)_2CH_2$ (made in situ),

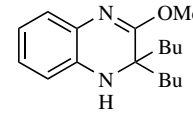
THF, 0°C, 1 h: 44% and 19%; analogs likewise].[661] In contrast, 2,3-dimethoxyquinoxaline with butyllithium and acetaldehyde gave only 2,2-dibutyl-3-methoxy-1,2-dihydroquinoxaline (**44**) (BuLi, THF, A, −78°C, 10 min; then MeCHO↓, −78°C, 30 min: 88%).[661]



(**42**)

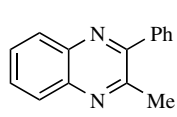


(**43**)

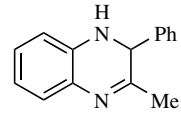


(**44**)

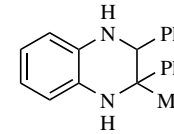
2-Methylquinoxaline with phenyllithium gave a separable mixture of 2-methyl-3-phenylquinoxaline (**45**), 2-methyl-3-phenyl-3,4-dihydroquinoxaline (**46**), and 2-methyl-2,3-diphenyl-1,2,3,4-tetrahydroquinoxaline (**47**) [PhLi (made in situ), Et_2O, <20°C, 90 min: 20%, 45%, and 35%, respectively).[238,cf. 973]



(**45**)



(**46**)



(**47**)

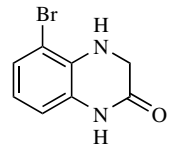
Also other examples.[26,33,413,414,474,511,584,593,676,825,861,1001]

2.2.1.2. *By Alkanelysis or Arenelysis of Halogenoquinoxalines*

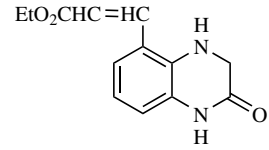
The replacement of nuclear halogeno substituents by alkyl or aryl groups can be done with a variety of reagents as illustrated in the following examples. The corresponding replacement of extranuclear halogeno substituents is considered as an interchange of alkyl groupings and is covered therefore in Section 2.2.1.4.

Using Alkenes (Pd-Catalyzed)

5-Bromo- (**48**) gave 5-(2-ethoxycarbonlyvinyl)-3,4-dihydro-2(1*H*)-quinoxalinone (**49**) [$H_2C{=}CHCO_2Et$, Et_3N, $Pd(PPh_3)_4$, Me_2NCHO, 120°C, 6 h: 63%].[732]



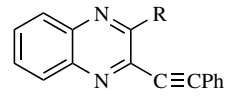
(**48**)



(**49**)

Using Alkynes [(Pd + Cu)-Catalyzed]

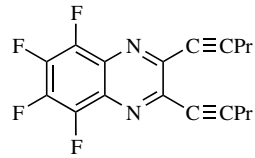
2-Iodoquinoxaline gave 2-phenylethynylquinoxaline (**50**, R = H) [PhC≡CH, $(Ph_3P)_2PdCl_2$, CuI, excess Et_3N, 60°C, N_2, 24 h: 84%];[564] 2-chloroquinoxaline gave the same product (**50**, R = H) (similarly but at 20°C for 6 h: 54%).[521]



(**50**)

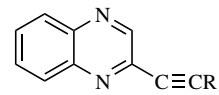
2,3-Dichloroquinoxaline gave either 2-chloro-3-phenylethynylquinoxaline (**50**, R = Cl) [PhC≡CH (1 equiv), $(Ph_3P)_2PdCl_2$, CuI, Et_3N, Me_2SO, 20°C, N_2, 6 h: 80%] or 2,3-bis(phenylethynyl)quinoxaline (**50**, R = C : Ph) [similarly but PhC≡CH (2 equiv): 71%].[521]

2,3-Dibromo-5,6,7,8-tetrafluoroquinoxaline gave 5,6,7,8-tetrafluoro-2,3-di(pent-l-ynyl)quinoxaline (**51**) [PrC≡CH, $(Ph_3P)_2PdCl_2$, CuI, Et_3N, 20°C, 16 h, then 40°C, 24 h: 69%].[559]



(**51**)

2-Chloroquinoxaline gave 2-(trimethylsilylethynyl)quinoxaline (**52**, R = $SiMe_2$) [$Me_3SiC{\equiv}CH$, $Pd(OAc)_2$, Ph_3P, CuI, Et_3N, 0°C → 20°C, N_2, 3.5 h: ~100% (crude) and thence 2-ethynylquinoxaline (**52**, R = H) (K_2CO_3, MeOH, 20°C, 19 h: 85% overall).[555,cf. 564]



(**52**)

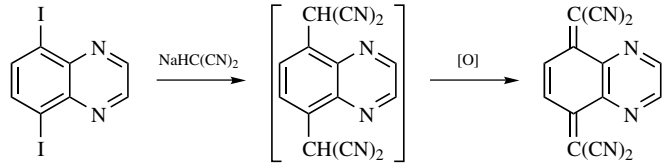
2-Chloroquinoxaline gave 2-(3-hydroxybut-l-ynyl)quinoxaline [**52**, R = CH(OH)Me] [MeCH(OH)C≡CH, $Pd(OAc)_2$, Ph_3P, CuI, Et_3N, MeCN, reflux, 2 h: 55%].[1050]

Also other examples.[179,569,842,1062]

Using Carbanions

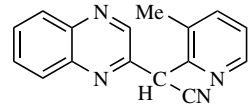
5,8-Diiodoquinoxaline (**53**) and malononitrile gave the expected product (**54**) that was characterized as its oxidized counterpart, 5,8-bis(dicyanomethylene)-5,8-dihydroquinoxaline (**55**), confirmed in structure by X-ray analysis

[NaH, $(Ph_3P)PdCl_2$, THF, reflux, N_2, 28 h: crude (**54**); then PbO_2, PhH–EtOH–5% HCl, 20°C, 20 min: 29% overall]; analogs similarly.[172]



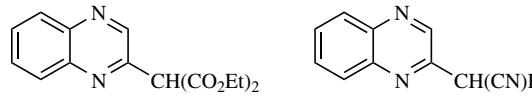
(**53**) (**54**) (**55**)

2-Chloro-3-methylquinoxaline and 2-cyanomethylpyridine gave 2-[α-cyano-α-(pyridin-2-yl)methyl]-3-methylquinoxaline (**56**) (K_2CO_3, Me_2NCHO, reflux, 30 min: 79%).[795]



(**56**)

2-Chloroquinoxaline with diethyl malonate, ethyl cyanoacetate, or malononitrile gave 2-(diethoxycarbonylmethyl)- (**57**), 2-(α-cyano-α-ethoxycarbonylmethyl)- (**58**, R = CO_2Et), or 2-(dicyanomethyl)quinoxaline (**58**, R = CN) [KOH, $OP(NMe_2)_3$, 50°C, 90 min: 53%, 84%, or 98%, respectively].[866]

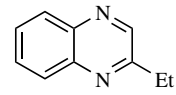


(**57**) (**58**)

Also other examples.[136,373,790,960]

Using Organometallic Reagents

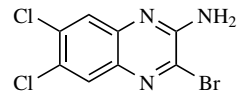
2-Chloro- gave 2-ethylquinoxaline (**59**) [Et_2Zn, $(Ph_3P)_2PdCl_2$, THF, A, 20°C (exothermic), PhI (probably unnecessary)↓, 2 h: 94%].[658]



(**59**)

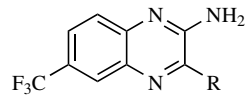
3-Bromo-6,7-dichloro-2-quinoxalinamine (**60**, R = Br) gave 6,7-dichloro-3-phenyl-2-quinoxalinamine (**60**, R = Ph) by the Suzuki reaction [$PhB(OH)_2$,

1,1′-bis(diphenylphosphanyl)ferrocene (?), NaOH, H_2O–dioxane, reflux, ? h: 61%);[687] also other aryl analogs similarly.[687]



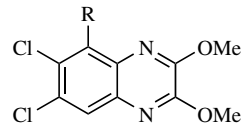
(**60**)

3-Bromo- (**61**, R = Br) gave 3-(thien-2-yl)-6-trifluoromethyl-2-quinoxalinamine (**61**, R = thien-2-yl) [tributyl(thien-2-yl)tin, $(Ph_3P)_2PdCl_2$, CuI, THF, reflux, ? h: 98%]; also other heteroaryl analogs likewise.[687]



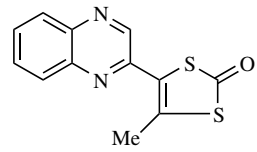
(**61**)

6,7-Dichloro-5-iodo- (**62**, R = I) gave 6,7-dichloro-5-ethynyl-2,3-dimethoxyquinoxaline (**62**, R = C:CH) [$Bu_3(CH_2{=}CH)Sn$, LiCl, $(Ph_3P)_2PdCl_2$, Me_2NCHO, 140°C, 90 min: 79%][1039]



(**62**)

2-Iodoquinoxaline and 4-methyl-5-tributylstannyl-1,3-dithiol-2-one gave 2-(2-oxo-5-methyl-1,3-dithiol-4-yl)quinoxaline (**63**) (l-methyl-2-pyrrolidinone, ~5°C, "CuTC"↓, A, 40 min: 44%).[658]



(**63**)

Also other examples.[24,474,958]

Using Radicals

2,3-Dichloroquinoxaline gave 2-adamantyl-3-chloroquinoxaline (1-adamantanecarboxylic acid, $AgNO_3$, $(NH_4)_2S_2O_8$, MeCN–H_2O, A, 80°C, ~2 h: 47%).[613]

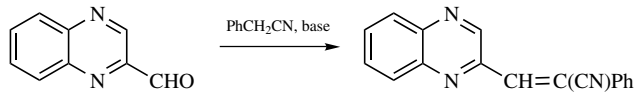
Using Two Synthons

2,3-Dichloroquinoxaline gave 2-chloro-3-styrylquinoxaline ($Ph_3P{=}CH_2$, THF, 20°C, 2 h; then PhCHO↓ 20°C, 30 min: 29%); analogs likewise.[211]

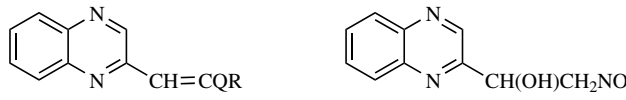
2.2.1.3. From C-Formyl-, C-Aroyl-, C-Cyano-, or Oxoquinoxalines

The modification or displacement of the foregoing nuclear substituents from appropriate quinoxalines has been used to afford alkyl- or arylquinoxalines, as indicated in the following examples.

2-Quinoxalinecarbaldehyde (**64**) gave 2-(β-cyanostyryl)quinoxaline (**65**) [Ph CH_2CN, trace of $HN(CH_2)_5$ or NaOH–H_2O, EtOH, 20°C, briefly: 60%];[124] other well-activated methylene synthons likewise gave products such as 2-(2,2-diacetylvinyl)- (**66**, Q = R = Ac) (66%), 2-(2-acetyl-2-benzoylvinyl)- (**66**, Q = Ac, R = Bz) (94%), or 2-(2,2-dimethoxycarbonylvinyl)quinoxaline (**66**, Q = R = CO_2Me) (58%); but some such synthons produced only the intermediate secondary alcohols, such as 2-(1-hydroxy-2-nitroethyl)quinoxaline (**67**) (36%), without final dehydration under these conditions.[124]

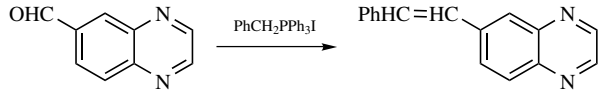


(**64**) (**65**)



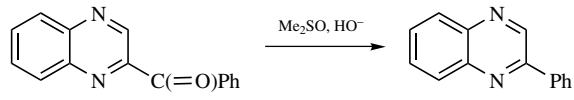
(**66**) (**67**)

6-Quinoxalinecarbaldehyde (**68**) gave a separable 1 : 1 mixture of *cis*- and *trans*-6-styrylquinoxaline (**69**) [$PhCH_2PPh_3Cl$, BuLi, THF, 20°C, 6 h: ?%].[970]



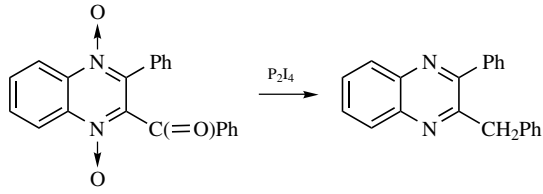
(**68**) (**69**)

2-Benzoylquinoxaline (**70**) gave 2-phenylquinoxaline (**71**) (Me_2SO, NaOH–H_2O, 60°C, 30 min: 34% after separation from several other products);[594] 2-*p*-chlorophenylquinoxaline (67%) and other analogs were made similarly, and a possible mechanism was suggested.[594]



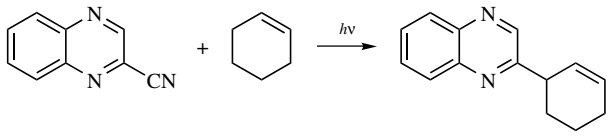
(**70**) (**71**)

2-Benzoyl-3-phenylquinoxaline 1,4-dioxide (**72**) gave 2-benzyl-3-phenylquinoxaline (**73**) (P_2I_4, $CHCl_3$, 20°C, 1 h: 67%).[227]

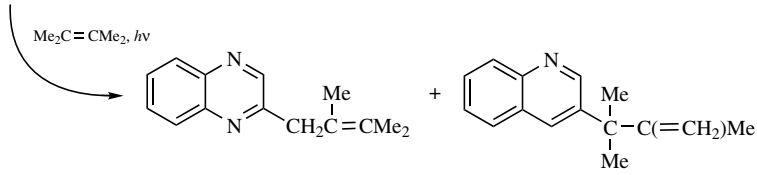


(**72**) (**73**)

2-Quinoxalinecarbonitrile (**74**) and cyclohexene gave 2-(cyclohex-2-enyl)quinoxaline (**75**) [AcMe, *hν* (254 nm), N_2, 18 h: 14%] or a separable mixture of 2-(2,3-dimethylbut-2-enyl)- (**76**) and 2-(1,1,2-trimethylallyl)quinoxaline (**77**) (likewise: 44% before separation); mechanism(s) remain obscure.[525]

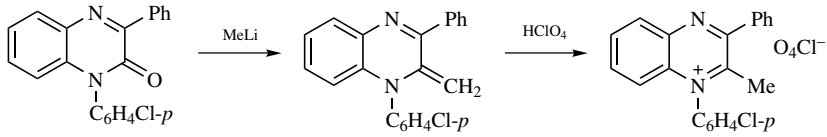


(**74**) (**75**)



(**76**) (**77**)

1-*p*-Chlorophenyl-3-phenyl-2(1*H*)-quinoxalinone (**78**) gave 1-*p*-chlorophenyl-2-methylene-3-phenyl-1,2-dihydroquinoxaline (**79**) (MeLi, dioxane, 25°C, 1 h: 36%) and thence 1-*p*-chlorophenyl-2-methyl-3-phenylquinoxalinium perchlorate (**80**) ($HClO_4$, MeCN–H_2O: ~60%).[225]



(**78**) (**79**) (**80**)

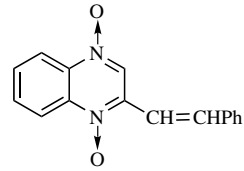
Also other examples.[173,204,584]

2.2.1.4. By Interconversion of Alkyl or Aryl Substituents

This subsection covers not only the conversion of one simple alkylquinoxaline into another but also the conversion of a (functionally substituted alkyl)quinoxaline into another such quinoxaline provided the alkyl portion, and not just the functionality, is changed. The following classified examples illustrate typical processes involved.

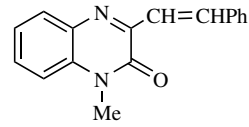
By Extranuclear Alkylidenation

2-Methylquinoxaline 1,4-dioxide gave 2-styrylquinoxaline 1,4-dioxide (**81**) (PhCHO, KOH, MeOH, 18°C, 12 h: 65%).[628]



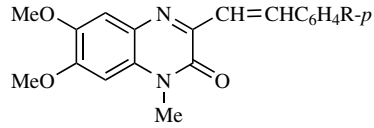
(**81**)

1,3-Dimethyl-2(1*H*)-quinoxalinone gave 1-methyl-3-styryl-2(1*H*)-quinoxalinone (**82**) [neat PhCHO, trace $HN(CH_2)_5$, Δ until molten, 10 min: 85%; several *o*- or *p*-substituted analogs likewise].[105]



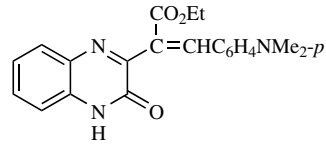
(**82**)

6,7-Dimethoxy-1,3-dimethyl-2(1*H*)-quinoxalinone gave 3-(*p*-carboxystyryl)-6,7-dimethoxy-1-methyl-2(1*H*)-quinoxalinone (**83**, R = CO_2H) [$OHCC_6H_4$ CO_2H-*p*, trace AcOH, trace $HN(CH_2)_5$, PhMe, reflux, water removal, 24 h: 74%; or $OHCC_6H_4CO_2H$-*p*, Ac_2O, reflux, 3 h: 42%][653] or 6,7-dimethoxy-1-methyl-3-(*p*-nitrostyryl)-2(1*H*)-quinoxalinone (**83**, R = NO_2) ($O_2NC_6H_5CHO$-*p*, Ac_2O, reflux, 24 h: 42%).[852]



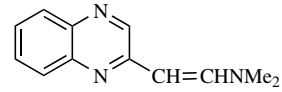
(**83**)

3-Ethoxycarbonylmethyl-2(1*H*)-quinoxalinone gave 3-(*p*-dimethylamino-α-ethoxycarbonylstyryl)-2(1*H*)-quinoxalinone (**84**) ($OHCC_6H_4NMe_2$-*p*, AcOH, $AcONH_4$, reflux, 2 h: 75%).[505]



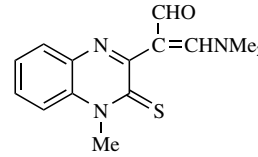
(**84**)

2-Methylquinoxaline gave 2-(2-dimethylaminovinyl)quinoxaline (**85**) [Bu^{t}OCH-$(NMe_2)_2$, Me_2NCHO, reflux, 15 min: 98%].[487]



(**85**)

1,3-Dimethyl-2(1*H*)-quinoxalinethione gave 3-(2-dimethylamino-1-formylvinyl)-1-methyl-2(1*H*)-quinoxalinethione (**86**) Me_2NCHO, $POCl_3$, 0°C 20 min; then substrate↓, 60°C, 5 h: 78%; note the additional *C*-formylation).[443]

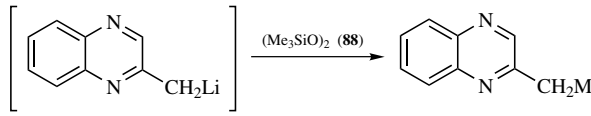


(**86**)

Also other examples.[23,72,84,103,120,298,308,576,686,870,971,996]

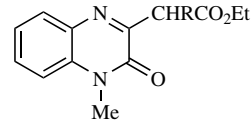
By Extranuclear Alkylation

2-(Lithiomethyl)quinoxaline (**87**) with bis(trimethylsilyl) peroxide (**88**) gave 2-ethylquinoxaline (**89**) (lithiation by $LiNPr^i_2$, THF; then synthon↓, −78°C, N_2, 2 h: 40%); several homologs likewise.[644]



(**87**) (**89**)

3-Ethoxycarbonylmethyl- (**90**, R = H) gave 3-(1-ethoxycarbonylethyl)-1-methyl-2(1*H*)-quinoxalinone (**90**, R = Me) (MeI, Bu_4NBr, NaOH, H_2O–PhH, 20°C, 1 h: 45%); also several other such alkylations under various conditions.[145]



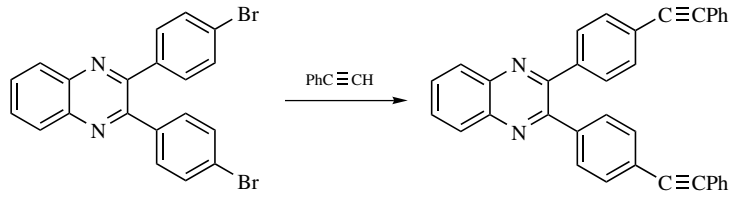
(**90**)

Also other examples.[254,310,960,973]

By Alkanelysis of Extranuclear Halogenoquinoxalines

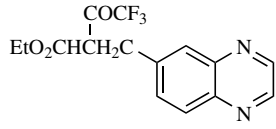
Note: Both direct and indirect alkanelyses are illustrated in these examples.

2,3-Bis(*p*-bromophenyl)quinoxaline (**91**) with phenylacetylene (2 equiv) gave 2,3-bis[*p*-(phenylethynyl)phenyl]quinoxaline (**92**) (Ph_3P, CuI, Et_3N, AcN Me_2, 20°C, A, 15 min; then $(Ph_3P)_2PdCl_2$ ↓, 80°C, 10 h: 20%).[337]



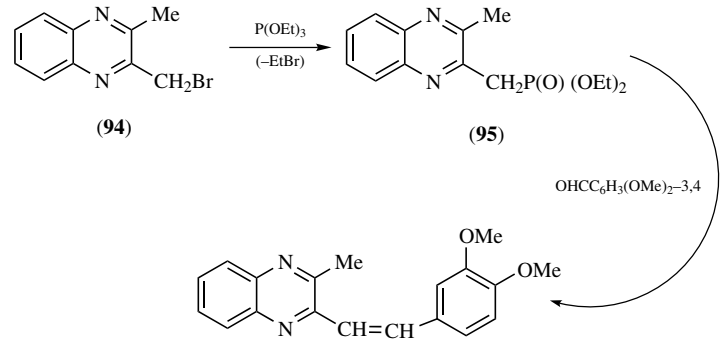
(**91**) (**92**)

6-Bromomethylquinoxaline with ethyl 4,4,4-trifluoroacetoacetate gave 6-(2-ethoxycarbonyl-2-trifluoroacetylethyl)quinoxaline (**93**) (NaH, $MeOCH_2CH_2$-OMe, 0°C; then synthon↓ slowly; then substrate↓, reflux, 15 h: 49%).[725]



(**93**)

2-Bromomethyl-3-methylquinoxaline (**94**) gave 2-diethoxyphosphinylmethyl-3-methylquinoxaline (**95**) [neat $P(OEt)_3$, 80°C → 140°C, 3 h, EtBr↑: >95%] and thence 2-(3,4-dimethoxystyryl)-3-methylquinoxaline (**96**) [$OHCC_6H_3(OMe)_2$-3,4, EtONa, EtOH, reflux, 3 h: 80%].[576]

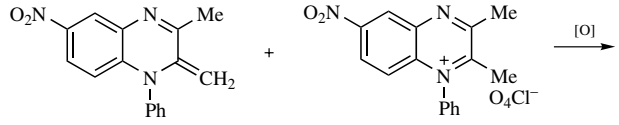


(**94**) (**95**) (**96**)

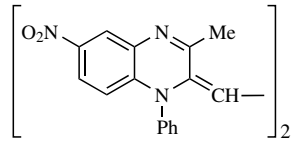
Also other examples.[1043,1110]

By Procedures Affording 1,2-Di(quinoxalin-2-ylidene)ethanes or the Like

A mixture of 2-methyl-3-methylene-7-nitro-4-phenyl-3,4-dihydroquinoxaline (**97**) and its quaternary perchlorate, 2,3-dimethyl-6-nitro-1-phenylquinoxalinium perchlorate (**98**), underwent oxidative coupling to give 1,2-bis(3-methyl-6-nitro-1-phenyl-1,2-dihydroquinoxalin-2-ylidene)ethane (**99**) [$Cu(OAc)_2$, AcOH–MeCN, ~95°C, 20 min: 60%];[67] many such condensations were explored in a series of fascinating papers.[57,61,67,73]

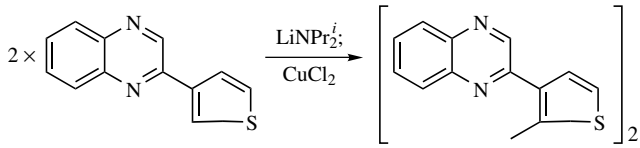


(**97**) (**98**)



(**99**)

2-(Thien-2-yl)quinoxaline (**100**) underwent oxidation to 3,3′-di(quinoxalin-2-yl)-2,2′-bithiophene (**101**) ($LiNPr^i_2$, Et_2O, −50°C, 30 min; $CuCl_2\downarrow$, −20°C, 14 h: 48%).[304]

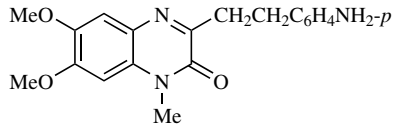


(**100**) (**101**)

Also other examples.[218]

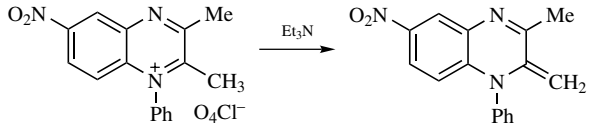
By Miscellaneous Procedures

6,7-Dimethoxy-1-methyl-3-*p*-nitrostyryl-2(1*H*)-quinoxalinone gave 3-*p*-aminophenethyl-6,7-dimethoxy-1-methyl-2(1*H*)-quinoxalinone (**102**) (H_2, PtO_2, AcOEt, 20°C: 72%; note incidental reduction of the nitro group).[852]



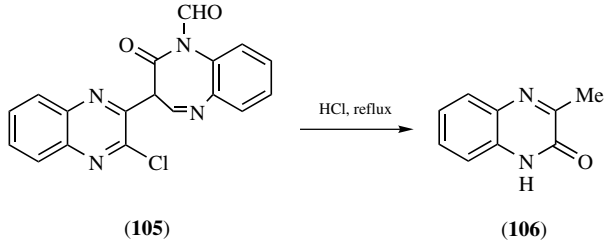
(**102**)

2,3-Dimethyl-6-nitro-1-phenylquinoxalinium perchlorate (**103**) gave 2-methyl-3-methylene-7-nitro-1-phenyl-3,4-dihydroquinoxaline (**104**) (Et_3N, AcMe, warm: 79%); also many analogous reactions.[63]

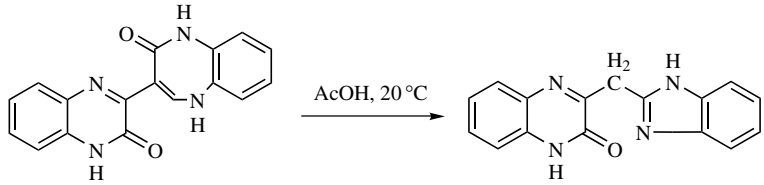


(**103**) (**104**)

3-(3-Chloroquinoxalin-2-yl)-2-oxo-2,3-dihydro-[1*H*]-1,5-benzodiazepine-1-carbaldehyde (**105**) was degraded to 3-methyl-2(1*H*)-quinoxalinone (**106**) (2.5M HCl, AcOH, reflux, 2 h: 32%; a logical mechanism was suggested);[223] the analogous substrate, 3-(3-oxo-3,4-dihydroquinoxalin-2-yl)-[1*H*]-1,5-benzodiazepin-4 (5*H*)-one (**107**) underwent only ring contraction under less acidic conditions to give 3-(benzimidazol-2-yl)-2(1*H*)-quinoxalinone (**108**) AcOH–H_2O, reflux, 2 h: ~90%).[294]

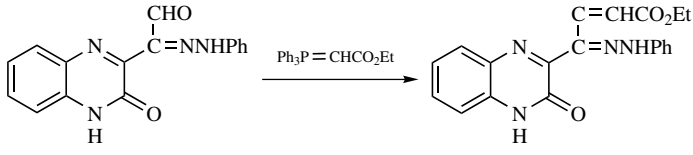


(**105**) (**106**)



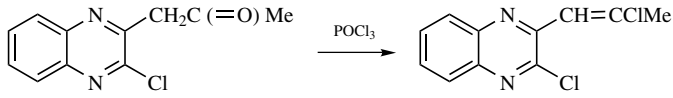
(**107**) (**108**)

3-[α-Formyl-α-(phenylhydrazono)methyl]-2(1*H*)-quinoxalinone (**109**) gave 3-[3-ethoxycarbonyl-1-(phenylhydrazono)allyl]-2(1*H*)-quinoxalinone (**110**) ($Ph_3P{=}CHCO_2Et$, Me_2NCHO, 95°C, 4 h: 76%); analogs likewise.[371]



(**109**) (**110**)

2-Acetonyl-3-chloroquinoxaline (**111**) gave, as the main product, 2-chloro-3-(2-chloroprop-1-enyl)quinoxaline (**112**) ($POCl_3$, 30 min: 42%); also homologs likewise.[860]



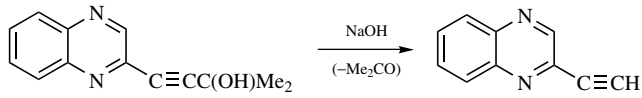
(**111**) (**112**)

Also other procedures.[521,686]

2.2.1.5. By Elimination of Functionality from Substituted-Alkyl Substituents

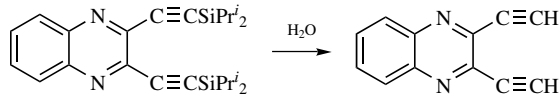
Alkyl substituents that bear a functional group may be converted into simple unsubstituted alkyl groups in several ways, as illustrated briefly in the following examples. The reverse processes are covered in Section 2.2.4.

2-(3-Hydroxy-3-methylbut-1-ynyl)quinoxaline (**113**) gave 2-ethynylquinoxaline (**114**) by loss of acetone (dry NaOH, PhMe, reflux, 2 h: 75%);[842] several analogs were made similarly.[569,842]



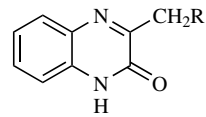
(**113**) (**114**)

2,3-Bis(triisopropylsilylethynyl)quinoxaline (**115**) gave 2,3-diethynylquinoxaline (**116**) (Bu_4NF, THF, trace H_2O, −78°C, 5 min: 95%).[656]



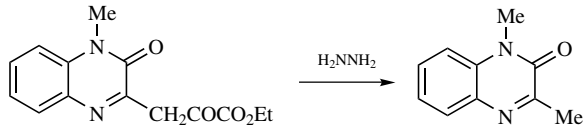
(**115**) (**116**)

3-Carboxymethyl- (**117**, R = CO_2H) gave 3-methyl-2(1*H*)-quinoxalinone (**117**, R = H) by decarboxylation (neat, 190°C, sublimation tube, 2 h: 50%).[81]



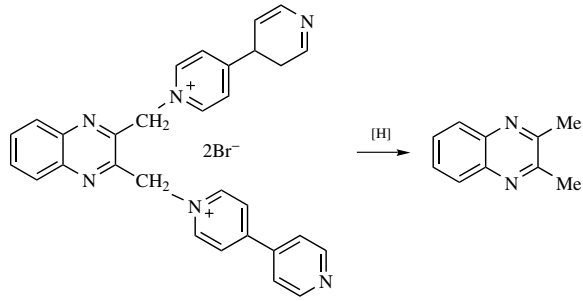
(**117**)

3-Ethoxalylmethyl-1-methyl-2(1*H*)-quinoxalinone (**118**) underwent deacylation to give 1,3-dimethyl-2(1*H*)-quinoxalinone (**119**) (80% $H_2NNH_2 \cdot H_2O$, reflux, 1 h: 86%).[51]



(**118**) (**119**)

2,3-Bis[(4,4′-bipyridinio)methyl]quinoxaline dibromide (**120**) gave 2,3-dimethyl quinoxaline (**121**) ($Na_2S_2O_4$, H_2O, briefly: 93%).[660]

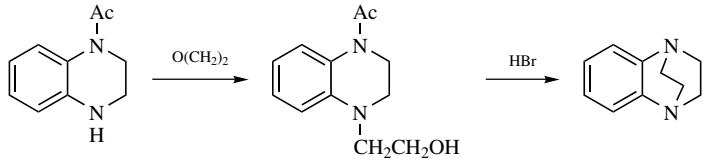


(**120**) (**121**)

2.2.2. Preparation of *N*-Alkyl or *N*-Aryl Derivatives of Hydroquinoxalines

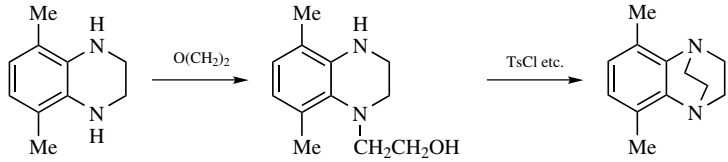
Although *N*-alkyl- and *N*-arylpiperazines abound in the pyrazine literature,[1059] the corresponding reduced quinoxalines are rarely encountered. However, reductive alkylation of quinoxaline gave products such as 1,4-diethyl-1,2,3,4-tetrahydroquinoxaline (see Section 2.1.3), and several other typical preparative routes are illustrated in the following examples.

1-Acetyl-1,2,3,4-tetrahydroquinoxaline (**122**) gave 1-acetyl-4-(2-hydroxyethyl)-1,2,3,4-tetrahydroquinoxaline (**123**) [$O(CH_2)_2$, AcOH, 0°C→20°C, sealed, 50 h: 73%] and thence 2,3-dihydro-1,4-ethanoquinoxaline (**123a**) (48% HBr, reflux, 5 h: 50%; a virtual intramolecular *N*-alkylation).[760]



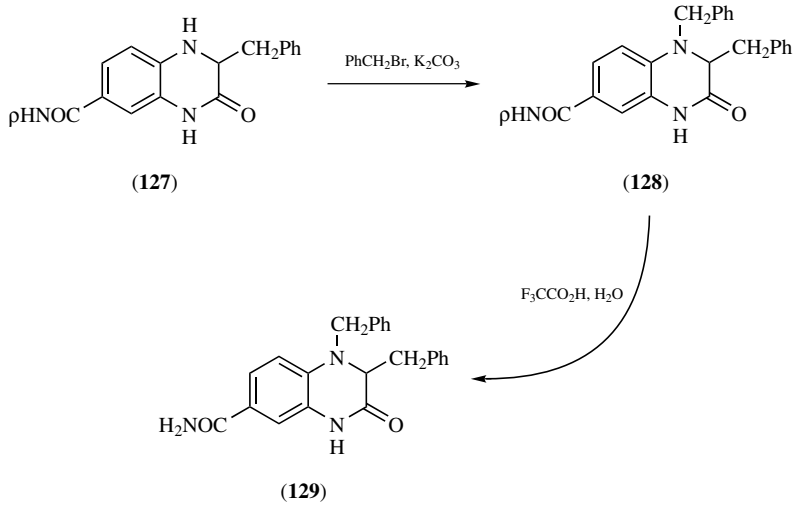
(**122**) (**123**) (**123a**)

Somewhat similarly, 5,8-dimethyl-1,2,3,4-tetrahydroquinoxaline (**124**) gave 1-(2-hydroxyethyl)-5,8-dimethyl-1,2,3,4-tetrahydroquinoxaline (**125**) [$O(CH_2)_2$, MeOH, −78°C→20°C, 10 days: 52%] and thence 5,8-dimethyl-2,3-dihydro-1,4-ethanoquinoxaline (**126**) (NaH, THF, −78°C, 5 min, then TsCl↓, 15 min, then BuLi↓, 20°C, 2 h: 11%).[160]



(**124**) (**125**) (**126**)

2-Benzyl-3-oxo-1,2,3,4-tetrahydro-6-quinoxalinecarboxamide (**127**), resin-tethered through the amide group, gave its 4-benzyl derivative (**128**) ($PhCH_2Br$, K_2CO_3, AcMe, 20°C→55°C, 24 h), from which was liberated 1,2-dibenzyl-3-oxo-1,2,3,4-tetrahydro-6-quinoxalinecarboxamide (**129**) (F_3CCO_2H, H_2O, 0°C→20°C, 1 h: 51%; a score of analogs were made similarly).[187]



(**127**) (**128**)

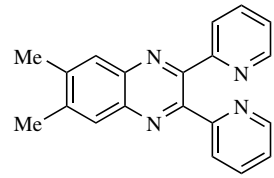
(**129**)

Also other examples.[159]

2.2.3. Properties of Alkyl- and Arylquinoxalines (*E* 210)

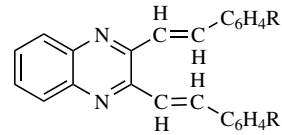
Some studies on the properties of alkyl- and arylquinoxalines contain data on unsubstituted quinoxaline and are therefore covered in Section 2.1.1. Other papers are mentioned briefly here.

Crystal Structures. Crystal structures have been determined by X-ray analysis for the following simple alkyl- or arylquinoxalines: 2-phenylquinoxaline;[353] 2,3-dimethylquinoxaline[42,908] and its tetrafluoroborate;[366,908] 6,7-dimethyl-2,3-diphenylquinoxaline;[43] several more interesting cases of 6,7-dimethyl-2,3-di(pyridin-2-yl)quinoxaline (**130**),[44,366,749,908] its tetrafluoroborate,[366,749,908] its dihydrochloride,[749] and its complex with bis(2,4,6-trinitrophenyl)-amine;[366] and some related rhenium complexes.[558]



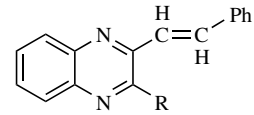
(**130**)

Infrared Spectra. The IR absorption bands for 2,3-di-*trans*-styrylquinoxaline (**131**, R = H) in the range 400–4000 cm^{-1} have been assigned and compared with those for the *o*-, *m*-, and *p*-nitro analogs (**131**, R = *o*-NO_2, *m*-NO_2, and *p*-NO_2) and those for some corresponding quinolines.[904]



(**131**)

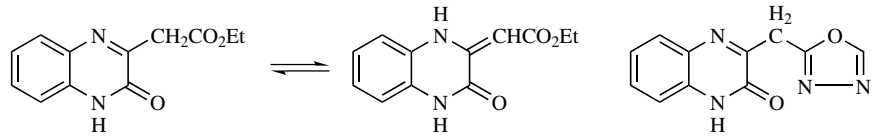
Ultraviolet Spectra. The UV and fluorescence spectra for 2-*trans*-styrylquinoxaline (**132**, R = H) and 2-methyl-3-*trans*-styrylquinoxaline (**132**, R = Me) indicate considerable conformational restriction in the latter.[120]



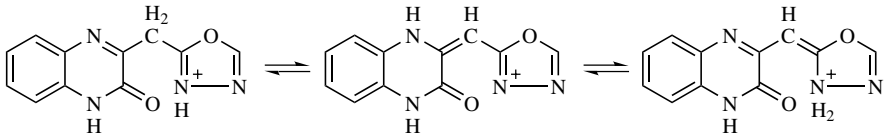
(**132**)

Alkyl–Alkylidene Tautomerism. Some 2- or 3-(substituted alkyl)quinoxalines, like 3-ethoxycarbonylmethyl-2(1*H*)-quinoxalinone (**133**), have long been known to exist in equilibrium with their (substituted methylene) tautomers, for example 3-ethoxycarbonylmethylene-3,4-dihydro-2(1*H*)-quinoxalinone (**133a**).[1060] The effects of solvent change, protonation, and the like on such tautomeric systems have been examined[82] as well as the kinetics thereof.[83] In

addition, 3-(1,3,4-oxadiazol-2-yl)methyl-2(1*H*)-quinoxalinone (**134**) has been shown (by UV and NMR data) to exist in a similar equilibrium, while its cation exists in three tautomeric forms (**135**–**137**).[989]



(**133**) (**133a**) (**134**)



(**135**) (**136**) (**137**)

^{13}*C Nuclear Magnetic Resonance Spectra.* The solid-state ^{13}CNMR spectra of 6,7-dimethyl-2,3-di(pyridin-2-yl)quinoxaline (**130**) and its salts have been used to complement X-ray information (see above) on fine structure.[908] A study of the ^{13}C spectra of mono- to tetramethylquinoxalines has made possible the analysis of mixtures of such methylated quinoxalines obtained from ambiguous primary syntheses.[526]

Circular Dichroism Measurements. The absolute configurations of the C6 chiral center in tetrahydrobiopterin cofactor and related compounds were determined by comparison of their circular dichroism (CD) spectra with those of authentic (2*S*)-2-methyl-1,2,3,4-tetrahydroquinoxaline.[968]

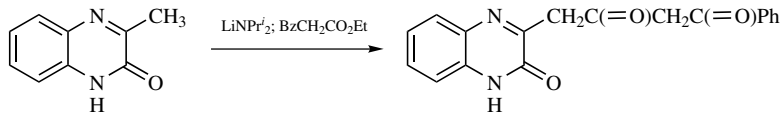
2.2.4. Reactions of Alkyl- and Arylquinoxalines (*E* 211)

Alkyl and aryl groups on the quinoxaline nucleus undergo a surprising number of reactions. Of these, the *interconversion of alkyl or aryl groups* has been covered in Section 2.2.1.4, and reactions that affect only the nucleus of alkyl- or arylquinoxalines (except nuclear reduction or oxidation) will be found in appropriate chapters. The remaining reactions, including some in which the alkyl or aryl group(s) may bear functional passenger groups, are illustrated in the following classified examples.

Acylation (Extranuclear)

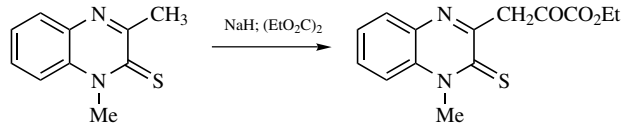
3-Methyl-2(1*H*)-quinoxalinone (**138**) gave 3-(3-benzoylacetonyl)-2(1*H*)-quinoxalinone (**139**) [$LiNPr^i_2$ (made in situ), THF, reflux→20°C, 90 min; then $BzCH_2CO_2Et\downarrow$, 20°C, 2 h: 91%]; several analogs like 3-nicotinoylmethyl-

2(1*H*)-quinoxalinone (56%) or 3-(*N,N*-diphenylcarbamoylmethyl)-2(1*H*)-quinoxalinone (65%) were made similarly.[855]



(**138**) (**139**)

1,3-Dimethyl-2(1*H*)-quinoxalinethione (**140**) gave 3-ethoxalylmethyl-1-methyl-2(1*H*)-quinoxalinethione (**141**) [NaH, $(EtO_2C)_2$, PhMe, reflux, 2 h: 57%].[65]



(**140**) (**141**)

Also other examples.[60,76]

Aminolysis

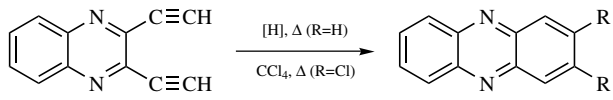
Note: A surprising aminolytic displacement of a phenylethynyl group has been observed when it occupies a position adjacent to a chloro substituent on the quinoxaline ring.

2-Chloro-3-phenylethynylquinoxaline gave 2,3-dipiperidinoquinoxaline (piperidine, reflux, 5 h: ~90%), 2,3-dimorpholinoquinoxaline (morpholine, similarly: 95%), or 2,3-bis(2-hydroxyethylamino)quinoxaline (ethanolamine, PhH–EtOH, reflux, 15 h: 74%).[521] For an example of amine addition to the triple bond, see Section 4.2.1.

Cyclization

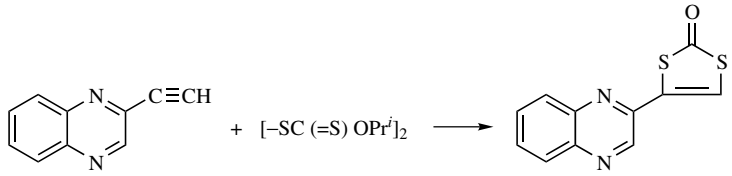
Note: One or more alkyl groups on quinoxaline may become involved in annulation or other cyclization reactions.

2,3-Diethynylquinoxaline (**142**) gave phenazine (**143**, R = H) by a Bergman cyclization [cyclohexa-1,4-diene (H donor), PhCl, 140°C, sealed, 14 h: 61%][656] or 1,4-dichlorophenazine (**143**, R = Cl) (CCl_4, 165°C, sealed, ? h: 81%).[179]



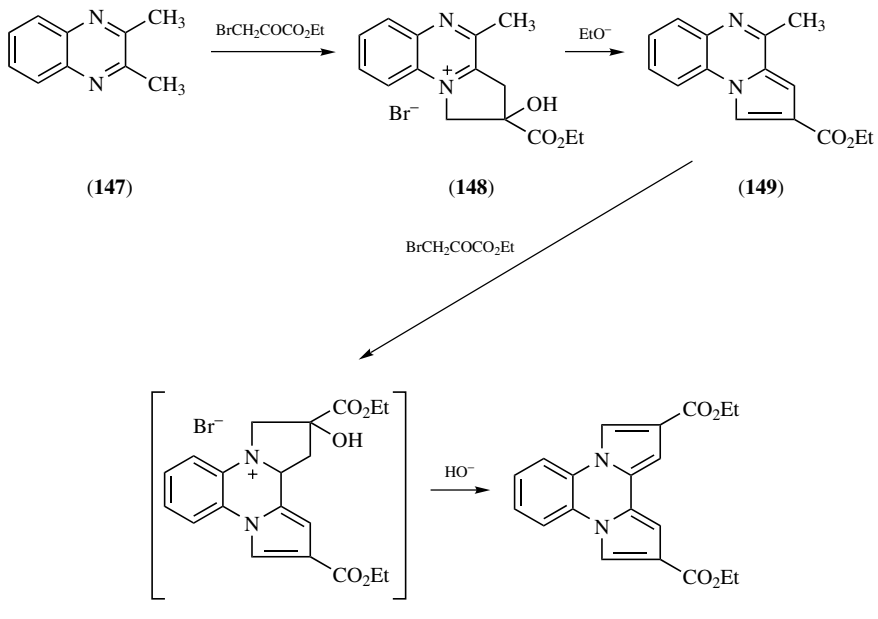
(**142**) (**143**)

2-Ethynylquinoxaline (**144**) and bis(isopropoxythiocarbonyl) disulfide (**145**) gave 2-(2-oxo-1,3-dithiol-3-yl)quinoxaline (**146**) [1,1′-azo(cyclohexanecarbonitrile), PhMe, reflux, N_2, 7 h: 77%];[555] somewhat similarly, 2-(acetylethynyl)quinoxaline and 4-phenyl-1,3-dithiolane-2-thione gave 2-(5-acetyl-2-thioxo-1,3-dithiol-4-yl)quinoxaline (neat, 150°C, N_2, 35 min: 94%).[1050]



(**144**) (**145**) (**146**)

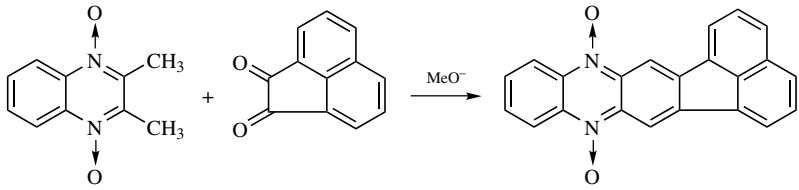
2,3-Dimethylquinoxaline (**147**) gave 2-ethoxycarbonyl-2-hydroxy-4-methyl-2,3-dihydro-[1*H*]-pyrrolo[1,2-*a*]quinoxalin-10-ium bromide (**148**) ($BrCH_2CO$-CO_2Et, AcE, reflux, 3 h, then 20°C, 12 h: 72%) and thence successively ethyl 4-methylpyrrolo[1,2-*a*]quinoxaline-2-carboxylate (**149**) (EtONa, EtOH, 20°C, 4 h: 93%; note oxidation by loss of H_2O), the uncharacterized quaternary salt (**150**) (as the first step but 6 h: ~50%), and diethyl dipyrrolo[1,2-*a*:2′,1′-*c*]quinoxaline-2,11-dicarboxylate (**151**) (KOH, H_2O, reflux, 1 h: 56%).[609,cf. 484]



(**147**) (**148**) (**149**)

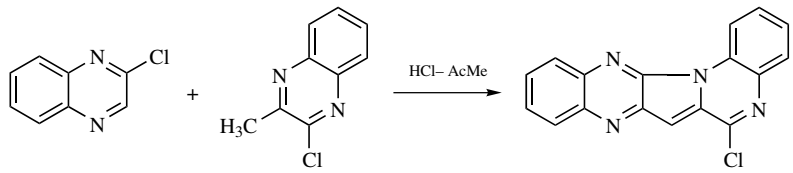
(**150**) (**151**)

2,3-Dimethylquinoxaline 1,4-dioxide (**152**) and acenaphthenequinone (**153**) gave acenaphtho[1,2,-*b*]phenazine 8,13-dioxide (**154**) (KOH, MeOH, 20°C, 24 h: 90%); also two simple derivatives similarly.[628]



(**152**) (**153**) (**154**)

2-Chloroquinoxaline (**155**) and 2-chloro-3-methylquinoxaline (**156**) gave 6-chloropyrrolo[1,2-*a*:4,5-*b*′]diquinoxaline (**157**) (AcMe, trace HCl, 20°C, 3 days: 46%); several analogs likewise.[662]

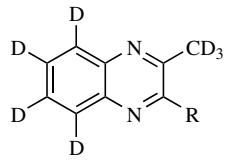


(**155**) (**156**) (**157**)

Also other examples.[743]

Deuteration

2-Methyl- or 2,3-dimethylquinoxaline gave the perdeutero derivatives (**158**, R = D or CD_3, respectively) (NaOD, D_2O, 290°C, autoclave, 12 h: 62% or 69%, respectively).[684,cf. 683]

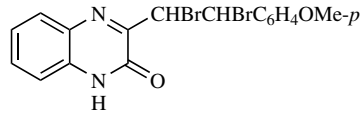


(**158**)

Halogenation (Extranuclear)

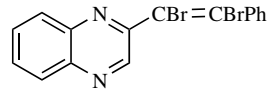
Note: Such halogenation can be done by addition of elemental halogen to alkenyl or alkynyl groups or by replacement of one or more of the hydrogen atoms attached to alkyl or aryl substituents, using a variety of reagents.

3-*p*-Methoxystyryl-2(1*H*)-quinoxalinone gave 3-(α,β-dibromo-*p*-methoxyphenethyl)-2(1*H*)-quinoxalinone (**159**) (Br_2, AcOH, 5°C, 1 h: >65%; analogs likewise).[103]



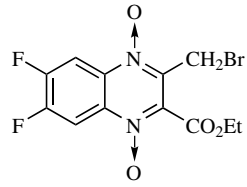
(**159**)

2-Phenylethynylquinoxaline gave 2-(α,β-dibromostyryl)quinoxaline (**160**) (Br_2, CH_2Cl_2, 20°C, 2 h: 79%);[564] analogs likewise.[1062]



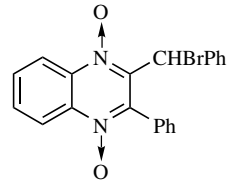
(**160**)

Ethyl 6,7-difluoro-3-methyl-2-quinoxalinecarboxylate 1,4-dioxide gave ethyl 3-bromomethyl-6,7-difluoro-2-quinoxalinecarboxylate 1,4-dioxide (**161**) (Br_2, $CHCl_3$–Me_2NCHO, 80–90°C, 30 min: 92%).[301,907]



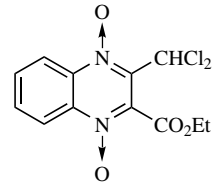
(**161**)

2-Benzyl-3-phenylquinoxaline 1,4-dioxide afforded 2-(α-bromobenzyl)-3-phenylquinoxaline 1,4-dioxide (**162**) (Br_2, AcOEt, reflux, 4 h: 70%).[144]



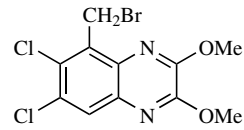
(**162**)

Ethyl 3-methyl- gave ethyl 3-(dichloromethyl)-2-quinoxalinecarboxylate 1,4-dioxide (**163**) (AcOH, $Cl_2\downarrow$, 80°C, 1 h, tlc monitored: 90%).[226,883]



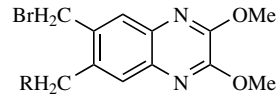
(**163**)

6,7-Dichloro-2,3-dimethoxy-5-methylquinoxaline gave 5-bromomethyl-6,7-dichloro-2,3-dimethoxyquinoxaline (**164**) [*N*-bromosuccinimide (NBS), $(=NCMe_2CN)_2$ (sensitizer), $MeCCl_3$, reflux, $h\nu$, 4 h: 87%].[1039]



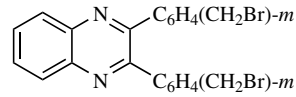
(**164**)

2,3-Dimethoxy-6,7-dimethylquinoxaline gave a separable mixture of 6-bromomethyl-2,3-dimethoxy-7-methylquinoxaline (165, R = H) and 6,7-bis(bromomethyl)-2,3-dimethoxyquinoxaline (**165**, R = Br) [NBS, Bz_2O_2, CCl_4, reflux, A, 14 h: 54% and 16%, respectively];[46] 6,7-dimethylquinoxaline similarly gave 6,7-bis(bromomethyl)quinoxaline (reflux, 4 h: 50%).[1043,cf. 110,685]



(**165**)

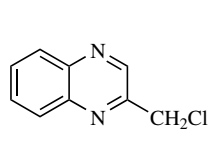
2,3-Di-*m*-tolylquinoxaline gave 2,3-bis[*m*-(bromomethyl)phenyl]quinoxaline (**166**) [NBS, $(=NCMe_2CN)_2$, CCl_4, reflux, $h\nu$, 5 h: ~70% (crude)].[218]



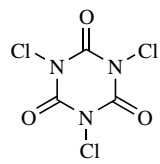
(**166**)

2-Methylquinoxaline gave 2-(chloromethyl)quinoxaline (**167**) [1,3,5-trichloro-1,3,5-triazine-2,4,6(1*H*,3*H*,5*H*)-trione (**168**), $CHCl_3$ reflux, 2 h: 75% after

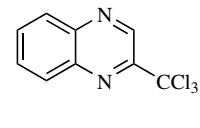
purification] or 2-(trichloromethyl)quinoxaline (**169**) (PCl_5, $POCl_3$, 5°C → reflux, 90 min: 74%;[552] or neat $SOCl_2$, reflux, 45 min: "complete chlorination" but no detail).[29]



(**167**)



(**168**)



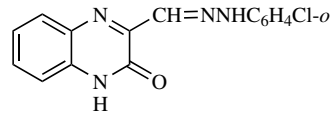
(**169**)

Also other examples.[12,14,15,112,265,268,271,291,320,328,483,610,712,719,725,940,942,965,1005,1086]

(Hydrazonomethyl)quinoxalines Formation

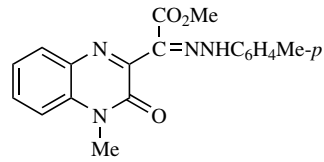
Note: Treatment of a methylquinoxaline with a benzenediazionium salt affords the same product as that from the corresponding quinoxalinecarbaldehyde and an appropriate phenylhydrazine.

3-Methyl-2(1*H*)-quinoxalinone gave 3-(*o*-chlorophenylhydrazono)methyl-2(1*H*)-quinoxalinone [i.e., 3-oxo-3,4-dihydro-2-quinoxalinecarbaldehyde *o*-chlorophenylhydrazone (**170**)] [*o*-$ClC_6H_4N_2Cl$ (made in situ), HCl–AcOH–H_2O, ~5°C, 30 min; then 95°C, 1 h: 98%];[201,210,cf. 220] likewise the *m*- and *p*-isomers[201,210] and analogous products.[476,479,485]



(**170**)

3-Methoxycarbonylmethyl-1-methyl-2(1*H*)-quinoxalinone gave 3-[α-methoxycarbonyl-α-(*p*-tolylhydrazono)methyl]-1-methyl-2(1*H*)-quinoxalinone (**171**) [*p*-$MeC_CH_4N_2OAc$ (prepared in situ), AcOH–H_2O, 20°C → 95°C, 1 h: 36%];[476] analogous esters likewise.[476,479]

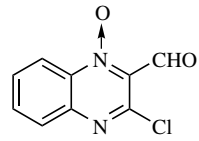


(**171**)

Oxidative Reactions (Extranuclear)

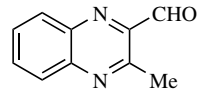
Note: Alkylquinoxalines undergo oxidation, not only to quinoxalinecarbaldehydes but also to several other types of product.

2-Chloro-3-methylquinoxaline 4-oxide gave 3-chloro-2-quinoxalinecarbaldehyde 1-oxide (**172**) (SeO_2, PhH, reflux, ? h: 72%);[590] dioxane may be used as solvent for such oxidations yielding, for example, 3-amino-2-quinoxalinecarbaldehyde;[139] ethyl acetate may also be so used.[226]

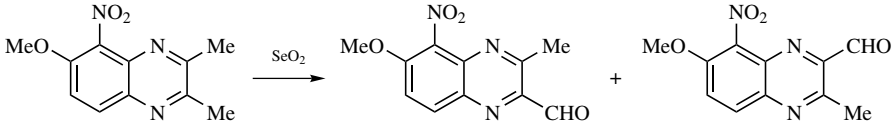


(**172**)

2.3-Dimethylquinoxaline gave 3-methyl-2-quinoxalinecarbaldehyde (**173**) (SeO_2, EtOAc, 60°C, 1 h: 41%);[746] as might be expected, the unsymmetric substrate, 6-methoxy-2,3-dimethyl-5-nitroquinoxaline (**174**), gave a separable mixture of 6-methoxy-3-methyl-5-nitro-2-quinoxalinecarbaldehyde (**175**) and 7-methoxy-3-methyl-8-nitro-2-quinoxalinecarbaldehyde (**176**) (SeO_2, dioxane, trace H_2O, reflux, 3 h: 37% and 3% but without allocation of the two structures).[882,cf. 703]

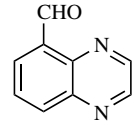


(**173**)



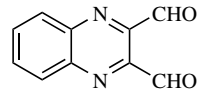
(**174**) (**175**) (**176**)

5-Methylquinoxaline gave 5-quinoxalinecarbaldehyde (**177**) (NBS, Bz_2O_2, CCl_4, reflux, *hν*, 2 h; then crude 5-dibromomethyl intermediate, $CaCO_3$, EtOH–H_2O, reflux, 3 h: ~60% overall).[75]



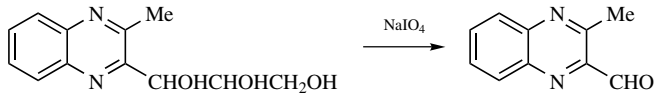
(**177**)

2,3-Dimethylquinoxaline gave 2,3-quinoxalinedicarbaldehyde (**178**) (Bu^tI, I_2, Me_2SO, $FeCl_2{\cdot}4H_2O$, 80°C, 5 h: 16%).[58]



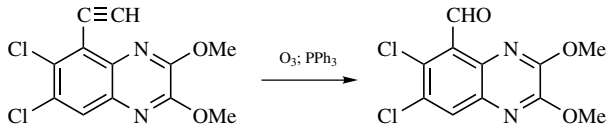
(**178**)

2-Methyl-3-(1,2,3-trihydroxypropyl)quinoxaline (**179**) gave 3-methyl-2-quinoxalinecarbaldehyde (**180**) ($NaIO_4$, $NaHCO_3$, H_2O, 20°C, 12 h: 73%; note preferential oxidation of hydroxylated alkyl substituent);[915] for analogous examples, see Section 4.3.2.

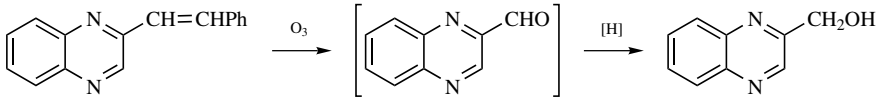


(**179**) (**180**)

6,7-Dichloro-5-ethynyl-2,3-dimethoxyquinoxaline (**181**) gave 6,7-dichloro-2,3-dimethoxy-5-quinoxalinecarbaldehyde (**182**) ($CHCl_3$, $O_3\downarrow$, −60°C, until blue; then $N_2\downarrow$, $Ph_3P\downarrow$, 160°C→20°C, 16 h: 90%);[1039] 2-styrylquinoxaline (**183**) gave (unisolated) 2-quinoxalinecarbaldehyde (**184**) and thence 2-hydroxymethylquinoxaline (**185**) ($MeOH–CH_2Cl_2$, −78°C, $O_3\downarrow$, 90 min; then $N_2\downarrow$, 0°C; then $NaBH_4\downarrow$, 0°C→20°C, 2 h: 77% overall).[650]

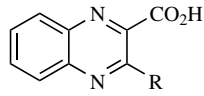


(**181**) (**182**)



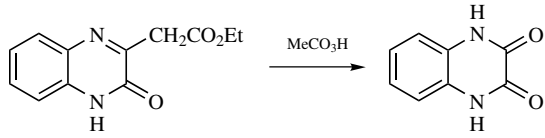
(**183**) (**184**) (**185**)

2,3-Dimethylquinoxaline gave 2-quinoxalinecarboxylic acid (**186**, R = H) [excess SeO_2, xylene, reflux, 8 h: 40%; clearly involving monodecarboxylation of the unisolated dicarboxylic acid (**186**, R = CO_2H)];[746] also somewhat analogous examples.[311]



(**186**)

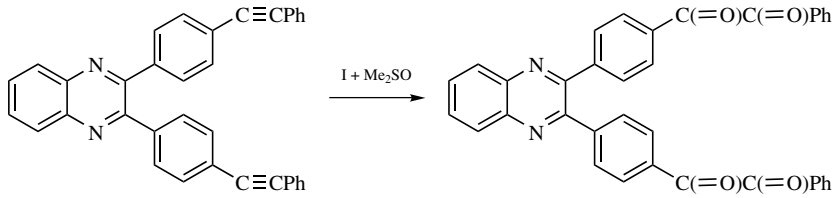
3-Ethoxycarbonylmethyl-2(1*H*)-quinoxalinone (**187**) gave 2,3(1*H*,4*H*)-quinoxalinedione (**188**) (40% $MeCO_3H$, 50°C, 8 h: 93%).[76,cf. 409]



(**187**) (**188**)

3-(*o*-Methoxybenzyl)- gave 3-(*o*-methoxybenzoyl)-1-methyl-2(1*H*)-quinoxalinone (substrate, AcOH; $CrO_3/H_2O\downarrow$ dropwise, 50°C, 3 h: 62%).[233]

2,3-Bis[*p*-(phenylethynyl)phenyl]quinoxaline (**189**) gave 2,3-bis[*p*-(phenyloxalyl)phenyl]quinoxaline (**190**) (I_2, Me_2SO, 155°C, 22 h: 78%).[337]



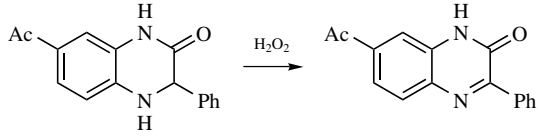
(**189**) (**190**)

Also other examples.[153] See also Section 7.6.1.

Oxidative Reactions (Nuclear)

Note: A few typical examples of the aromatization of alkylated or arylated hydroquinoxalines and of the oxidative ring fission of quinoxalines are given here. More mundane examples are given passing mention in other chapters.

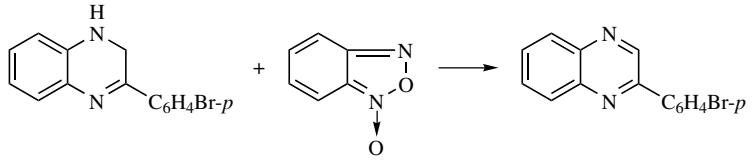
7-Acetyl-3-phenyl-3,4-dihydro-2(1*H*)-quinoxalinone (**191**) gave 7-acetyl-3-phenyl-2(1*H*)-quinoxalinone (**192**) (H_2O_2, NaOH, H_2O, reflux, 90 min: 84%).[885]



(**191**) (**192**)

2-*p*-Bromophenyl-3,4-dihydroquinoxaline (**193**) gave 2-*p*-bromophenylquinoxaline (**195**) [benzoxadiazole 2-oxide (**194**), AcMe, reflux, 5 min: 82%];

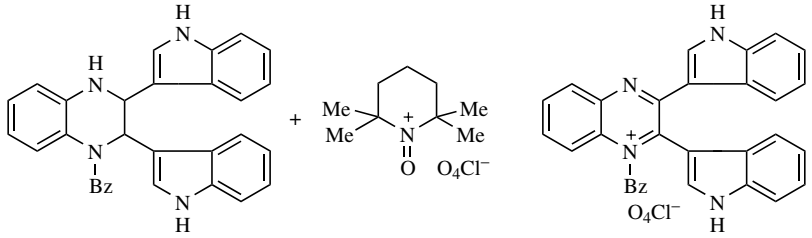
2-phenylquinoxaline likewise (45%).[854]



(**193**) (**194**) (**195**)

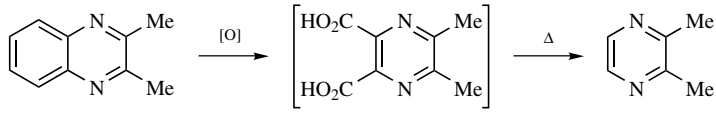
2-(*p*-Dimethylaminophenyl)-3,4-dihydroquinoxaline gave 2-(*p*-dimethylaminophenyl)quinoxaline (tetrachlorobenzoquinone, PhH, reflux, 1 h: 76%).[780]

1-Benzoyl-2,3-di(indol-3-yl)-1,2,3,4-tetrahydroquinoxaline (**196**) with 2,2,6,6-tetramethyl-1-oxopiperidinium perchlorate (**197**) gave 1-benzoyl-2,3-di(indol-3-yl)quinoxalinium perchlorate (**198**) (MeCN, 25°C→50°C, ~4 h: 50%) and thence the free 2,3-di(indol-3-yl)quinoxaline (NH_3, H_2O–MeCN, 20°C, 10 min: >67%).[778]



(**196**) (**197**) (**198**)

2,3-Dimethylquinoxaline (**199**) gave crude 4,5-dimethyl-2,3-pyrazinedicarboxylic acid (**200**) (KOH, $KMnO_4$, H_2O, 80°C, vigorous stirring until colorless) that was decarboxylated to afford 2,3-dimethylpyrazine (**201**) (AcOH, 200°C, autoclave, 1 h: 46% overall); other dialkylpyrazines were also so made.[242]

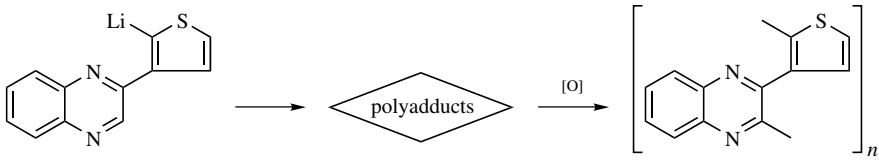


(**199**) (**200**) (**201**)

Polymerization

The lithiated 2-(thien-3-yl)quinoxaline (**202**) gave a mixture of linear di- and polyadducts that were immediately oxidized to a separable mixture of

polyaromatics (**203**) [$LiNPr^i_2$, Et_2O, 0°C → 36°C, 3 h: adducts; crude product, AcMe, $KMnO_4$, 20°C: products (**203**, $n = 2$–6), each in 5–10% yield]; for much fascinating detail, see original.[300]

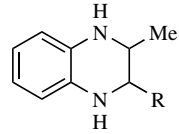


(**202**) (**203**)

Reductive Reactions

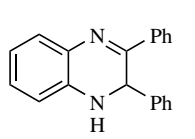
Note: The reduction of alkynyl- and alkenylquinoxalines to alkylquinoxalines has been exemplified in Section 2.2.1.4. Some nuclear reductions are illustrated here.

2-Methylquinoxaline gave 2-methyl-1,2,3,4-tetrahydroquinoxaline (**204**, R = H) [In (powder), NH_4Cl, EtOH–H_2O, reflux, <5 days (tlc): 92%]; 2,3-dimethyl-1,2,3,4-tetrahydroquinoxaline (**204**, R = Me) was made similarly in 90% yield.[969]

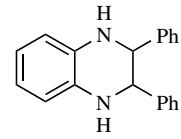


(**204**)

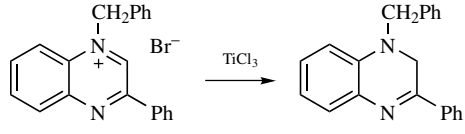
2,3-Diphenylquinoxaline gave 2,3-diphenyl-1,2-dihydroquinoxaline (**205**) ($TiCl_3$, EtOH, 20°C, N_2, 18 h: 70%) and thence 2,3-diphenyl-1,2,3,4-tetrahydroquinoxaline (**206**) (similar treatment: 64%, as a mixture of *cis*- and *trans*-isomers);[253] 1-benzyl-3-phenylquinoxalinium bromide (**207**) gave 1-benzyl-3-phenyl-1,2-dihydroquinoxaline (**208**) ($TiCl_3$, H_2O, 20°C, 1 h: 92%).[253]



(**205**)

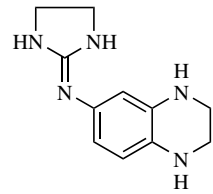


(**206**)



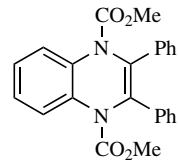
(**207**) (**208**)

6-(Imidazolidin-2-ylideneamino)quinoxaline gave its 1,2,3,4-tetrahydro derivative (**209**) [H_2 (3 atm), PtO_2, MeOH: no other details).[18]



(**209**)

2,3-Diphenylquinoxaline gave dimethyl 2,3-diphenyl-1,4-dihydro-1,4-quinoxalinedicarboxylate (**210**) [$ClCO_2Me$, Et_4NClO_4, electrolytic reduction (for details, see original): 64%].[210,cf. 415]



(**210**)

Also other examples.[498,546,547,967]

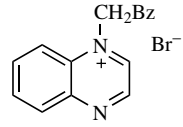
2.3. *N*-ALKYLQUINOXALINIUM SALTS
(*H* 286; *E* 247)

Quinoxaline (see Section 2.1.3) and many of its derivatives may be converted into *N*-alkylquimoxalinium or even *N,N′*-dialkylquinoxalinediium salts by treatment with alkyl halides or the like. Occasionally, when the molecule bears a suitable acidic grouping, it may be possible to deprive the quaternary salt of its gegenion by treatment with a base to form an ylide (in which a carbon atom of the molecule bears the negative charge) or other zwitterionic entity, such as a quinoxaliniumolate.

2.3.1. Preparation of *N*-Alkylquinoxalinium Salts

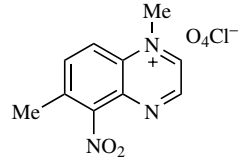
The straightforward formation of *N*-alkylquinoxalinium halides (by dissolution of the quinoxaline in an excess of alkyl halide, with or without added solvent, at room temperature or above until complete) is now seldom, if ever, described. However, the following examples of somewhat abnormal procedures may prove useful.

Quinoxaline and phenacyl bromide gave 1-phenacylquinoxalinium bromide (**211**) (neat reactants, 60°C, ~5 min: 30%).[851]



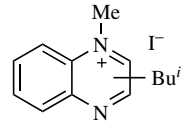
(**211**)

6-Methyl-5-nitroquinoxaline gave 1,6-dimethyl-5-nitroquinoxalinium perchlorate (**212**) (MeI, $AgClO_4$, CH_2Cl_2, 20°C, 24 h: 78%; note regioselectivity).[936]



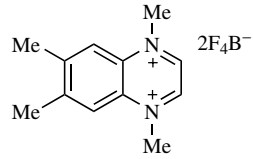
(**212**)

2-*sec*-Butylquinoxaline gave an inseparable 1 : 9 mixture (by NMR) of 2- and 3-*sec*-butyl-1-methylquinoxalinium iodide (**213**) (MeI, MeCN, 70°C, sealed, 24 h: 40% but almost 60% of substrate recovered).[33]



(**213**)

6,7-Dimethylquinoxaline and trimethyloxonium tetrafluoroborate gave 1,4,6,7-tetramethylquinoxalinediium bis(tetrafluoroborate) (**214**) (excess synthon, $ClCH_2CH_2Cl$, 20°C→reflux, 1 h: 77%).[205]

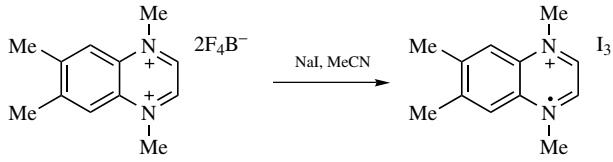


(**214**)

Also other examples.[766,975]

2.3.2. Reactions of *N*-Alkylquinoxalinium Salts

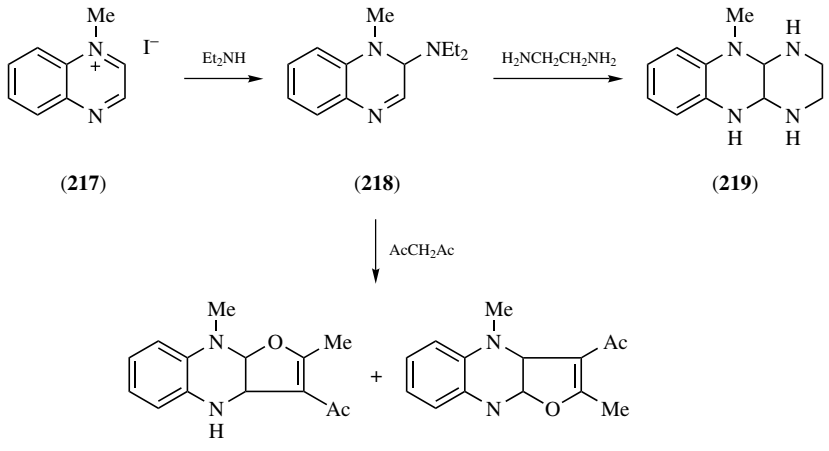
Among the recently (as of 2003) described reactions of such quaternary salts, *reduction to dihydroquinoxalines* has been exemplified toward the end of Section 2.2.4; treatment of the diquaternary compound, 1,4,6,7-tetramethylquinoxalinediium bis(tetrafluoroborate) (**215**), with sodium iodide gave a well-characterized product that appears in X-ray studies to have the cation radical structure (**216**);[550] and a fundamental spectrophotometric study on the addition of hydroxyl and methoxyl ions to the 1-methylquinoxalinium cation has been reported.[784]



(**215**) (**216**)

Most of the other reported reactions involve the addition of synthons, with or without cyclization, as illustrated in the following examples.

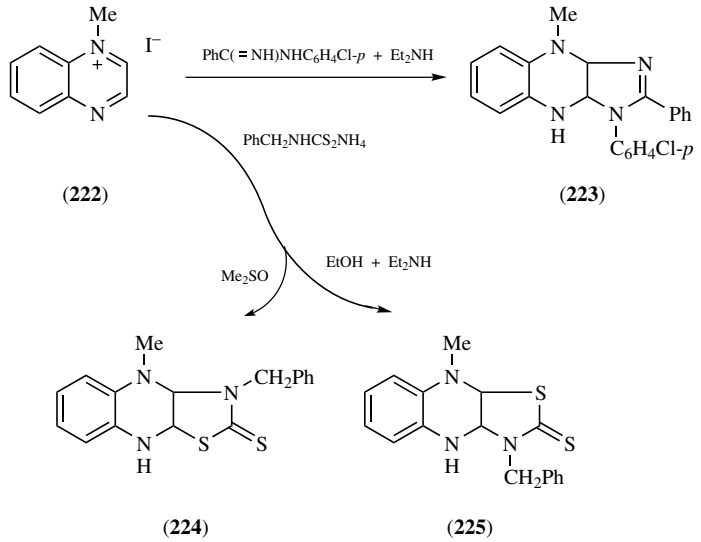
1-Methylquinoxalinium iodide (**217**) with diethylamine gave 2-diethylamino-1-methyl-1,2-dihydroquinoxaline (**218**) (Et_2O, 20°C, 5 min: 91%)[777] and thence either 5-methyl-1,2,3,4,4a,5,10,10a-octahydropyrazino[2,3-*b*]quinoxaline (**219**) ($H_2NCH_2CH_2NH_2$, Et_2O, 20°C, 1 h: 90%; clearly involving a transamination step)[779] or 3-acetyl-2,9-dimethyl-3a,4,9,9a-tetrahydrofuro[2,3,-*b*]quinoxaline (**220**) accompanied by its isomer, 3-acetyl-2,4-dimethyl-3a,4,9,9a-tetrahydrofuro[2,3,-*b*]quinoxaline (**221**) ($AcCH_2Ac$, Et_2O, 20°C, 2 min: 77% and a trace, respectively).[777,cf. 765–767]



(**217**) (**218**) (**219**)

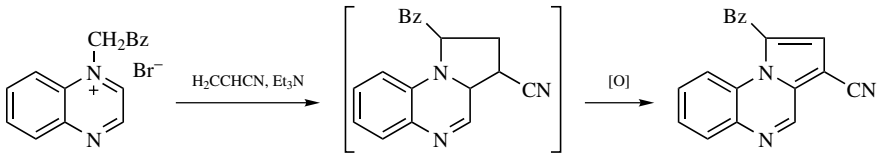
(**220**) (**221**)

In much the same way, 1-methylquinoxalinium iodide (**222**) gave 1-*p*-chlorophenyl-4-methyl-2-phenyl-3a,4,9,9a-tetrahydro-1*H*-imidazo[4,5-*b*]quinoxaline (**223**) [PhC(=NH)NHC$_6$H$_4$Cl-*p*, Et$_2$NH, EtOH, 20°C, briefly: 78%];[775] either 3-benzyl-4-methyl-3a,4,9,9a-tetrahydrothiazolo[4,5-*b*]quinoxaline-2(3*H*)-thione (**224**) (PhCH$_2$NHCS$_2$NH$_4$, Me$_2$SO, 20°C, 15 min: 49%) or the isomeric 3-benzyl-9-methyl-3a,4,9,9a-tetrahydrothiazolo[4.5-*b*]quinoxaline-2(3*H*)-thione (**225**) (PhCH$_2$NHCS$_2$NH$_4$, Et$_2$NH, EtOH, 20°C, 15 min: 53%);[772] or other such tricyclic products under similar conditions.[773,786]



(**222**) (**223**) (**224**) (**225**)

In a different way, by involving the *N*-(substituted alkyl) substituent, 1-phenacylquinoxalinium bromide (**226**) and acrylonitrile gave 1-benzoylpyrrolo[1,2-*a*]quinoxaline-3-carbonitrile (**228**), presumably via the tetrahydro derivative (**227**) (MnO_2, Et_3N, Me_2NCHO, 85°C, 4 h: 48%);[851] several analogs were made similarly.[851]



(**226**) (**227**) (**228**)

Also other more complicated examples.[225,673,937]

CHAPTER 3

Halogenoquinoxalines
(*H* 258; *E* 162)

A halogeno substituent that occupies the 2- or 3-position on the quinoxaline ring will be activated by the adjacent ring nitrogen atom: hence its reactivity will resemble that in *o*-chloronitrobenzene unless it is affected by an adjacent electron-withdrawing, electron-releasing, or sterically bulky substituent. A halogeno substituent at the 5-, 6-, 7-, or 8-position will be only marginally more active than one in the corresponding position on naphthalene. An extranuclear halogeno substituent will approximate in reactivity to that in benzyl chloride unless it is affected electronically of sterically by another group on the same side chain. Thus halogenoquinoxalines continue to be used widely as convenient intermediates in the preparation of all manner of other quinoxaline derivatives. In this respect, the more readily available chloroquinoxalines are most frequently used in preference to other halogenoquinoxalines because there is little difference in their relative reactivities.

3.1. PREPARATION OF NUCLEAR HALOGENOQUINOXALINES
(*H* 258; *E* 162, 168)

Many such halogenoquinoxalines, especially those in which the halogeno substituent occupies a place on the homocyclic ring, have been made by *primary synthesis* (see Chapter 1). Most other nuclear halogenoquinoxalines have been made from corresponding quinoxalinones, by direct halogenation, from quinoxalinamines, by transhalogenation, or by several minor routes.

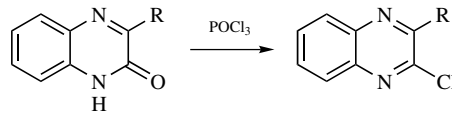
3.1.1. Nuclear Halogenoquinoxalines from Quinoxalinones

This transformation is usually done with neat phosphoryl chloride, but a variety of other reagents may be used, as illustrated in the following classified examples.

Quinoxalines: Supplement II, *Chemistry of Heterocyclic Compounds, Volume 61*, by Desmond J. Brown
ISBN 0-471-26495-4 Copyright © 2004 John Wiley & Sons, Inc.

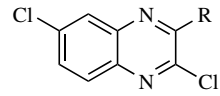
Using Neat Phosphoryl Chloride

3-Methyl-2(1*H*)-quinoxalinone (**1**, R = Me) gave 2-chloro-3-methylquinoxaline (**2**, R = Me) ($POCl_3$, reflux, 1 h: 68%);[484] 3-benzyl-2(1*H*)-quinoxalinone (**1**, R = CH_2Ph) gave 2-benzyl-3-chloroquinoxaline (**2**, R = CH_2Ph) ($POCl_3$, 95°C, 15 min: 76%).[743]



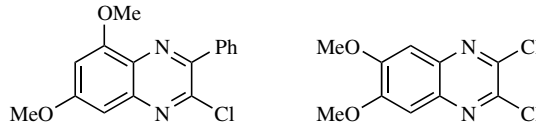
(**1**) (**2**)

6-Chloro-2(1*H*)-quinoxalinone gave 2,6-dichloroquinoxaline (**3**, R = H) ($POCl_3$, reflux, 90 min: 83%);[387] 6-chloro-2,3(1*H*,4*H*)-quinoxalinedione gave 2,3,6-trichloroquinoxaline (**3**, R = Cl) ($POCl_3$, reflux, 16 h: 74%; also analogs likewise).[716]



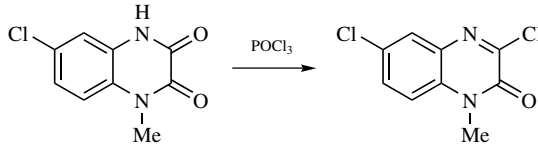
(**3**)

5,7-Dimethoxy-3-phenyl-2(1*H*)-quinoxalinone gave 2-chloro-5,7-dimethoxy-3-phenylquinoxaline (**4**) ($POCl_3$, reflux, 30 min: 94%);[486] 6,7-dimethoxy-2,3(1*H*,4*H*)-quinoxalinedione gave 2,3-dichloro-6,7-dimethoxyquinoxaline (**5**) ($POCl_3$, 100°C, 4 h: 83%).[718]



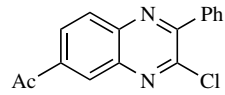
(**4**) (**5**)

6-Chloro-1-methyl-2,3(1*H*,4*H*)-quinoxalinedione (**6**) gave 3,6-dichloro-1-methyl-2(1*H*)-quinoxalinone (**7**) ($POCl_3$, reflux, 90 min: 74%; note immunity of the nontautomeric oxo substituent to chlorolysis under these conditions).[418]



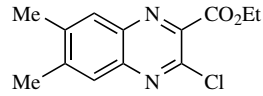
(**6**) (**7**)

7-Acetyl-3-phenyl-2(1*H*)-quinoxalinone gave 6-acetyl-3-chloro-2-phenylquinoxaline (**8**) ($POCl_3$, 90°C, 1 h: 84%).[885]



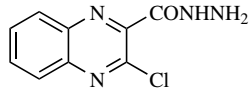
(**8**)

Ethyl 6,7-dimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate gave ethyl 3-chloro-6,7-dimethyl-2-quinoxalinecarboxylate (**9**) ($POCl_3$, 110°C, 10 min: 75%; note survival of the ester grouping).[876]

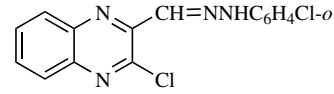


(**9**)

3-Oxo-3,4-dihydro-2-quinoxalinecarbohydrazide gave 3-chloro-2-quinoxalinecarbohydrazide (**10**) ($POCl_3$, 95°C until homogeneous: 50%);[448] 3-oxo-3,4-dihydro-2-quinoxalinecarbaldehyde *o*-chlorophenylhydrazone gave 3-chloro-2-quinoxalinecarbaldehyde *o*-chlorophenylhydrazone (**11**) ($POCl_3$, reflux, 9 h: 90%).[220]



(**10**)



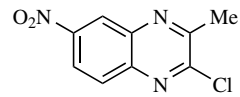
(**11**)

Also many other examples.[23,201,279,321,413,690,721,728,742,972,1104]

Using Phosphoryl Chloride and a Tertiary Base

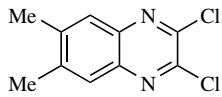
Note: As in related series, the addition of pyridine or (better) *N,N*-dimethylaniline (free of *N*-methylaniline, a common contaminant in some grades of this reagent) to phosphoryl chloride, appears to improve the yield of chloroquinoxaline, especially if electron-withdrawing passenger groups are present.

3-Methyl-6-nitro-2(1*H*)-quinoxalinone gave the 2-chloro-3-methyl-6-nitroquinoxaline (**12**) ($POCl_3$, $PhNMe_2$, reflux, 2 h: 86%).[117]

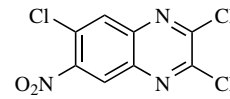


(**12**)

6,7-Dimethyl-2,3(1*H*,4*H*)-quinoxalinedione gave 2,3-dichloro-6,7-dimethylquinoxaline (**13**) ($POCl_3$, $PhNMe_2$, reflux, A, 8 h: 94%);[46] 6-chloro-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione gave 2,3,6-trichloro-7-nitroquinoxaline (**14**) ($POCl_3$, $PhNMe_2$, reflux, 90 min: 75%).[438]

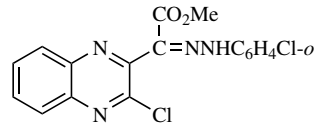


(**13**)



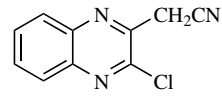
(**14**)

3-[α-(*o*-Chlorophenylhydrazono)-α-methoxycarbonylmethyl]-2(1*H*)-quinoxalinone gave 2-chloro-3-[α-(*o*-chlorophenylhydrazono)-α-methoxycarbonylmethyl]-quinoxaline (**15**) ($POCl_3$, pyridine, reflux, 3 h: 99%).[213]



(**15**)

3-Cyanomethyl-2(1*H*)-quinoxalinone gave 2-chloro-3-cyanomethylquinoxaline (**16**) ($POCl_3$, pyridine, reflux, 15 min: 58%).[79]

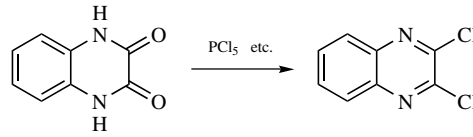


(**16**)

Also other examples.[78,240,491,624]

Using Phosphorus Pentachloride

2,3(1*H*,4*H*)-Quinoxalinedione (**17**) gave 2,3-dichloroquinoxaline (**18**) (neat PCl_5, 160°C: partial conversion requiring a second such treatment:[889] PCl_5, $POCl_3$, $PhNEt_2$, reflux, 2.5 h: 96%);[243,263] PCl_5, $POCl_3$, reflux, 8 h: 66%].[121]



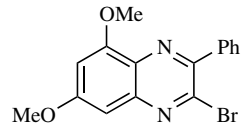
(**17**) (**18**)

2-(1*H*)-Quinoxalinone gave 2-chloroquinoxaline (PCl_5, $POCl_3$, reflux, 3 h: ?%).[938]

Also other examples.[12]

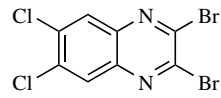
Using Phosphorus Tribromide, Phosphorus Pentabromide, or Phosphoryl Bromide

5,7-Dimethoxy-3-phenyl-2(1*H*)-quinoxalinone gave 2-bromo-5,7-dimethoxy-3-phenylquinoxaline (**19**) (PBr_3, 135°C, 75 min: 50%).[486]



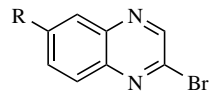
(**19**)

6,7-Dichloro-2,3(1*H*,4*H*)-quinoxalinedione gave 2,3-dibromo-6,7-dichloroquinoxaline (**20**) (PBr_5, 155°C, "until complete": >90%; analogs likewise).[687,cf. 1069]



(**20**)

6-Nitro-2(1*H*)-quinoxalinone gave 2-bromo-6-nitroquinoxaline (**21**, $R = NO_2$) ($POBr_3$, ~180°C, 6 h: 20%);[701] 6-bromo-2(1*H*)-quinoxalinone gave 2,6-dibromoquinoxaline (**21**, R = Br) [PBr_3, $POCl_3$ (solvent?), 170°C (bath temperature or sealed?), 6 h: 72%].[108]

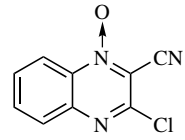


(**21**)

Using a Vilsmeier Reagent

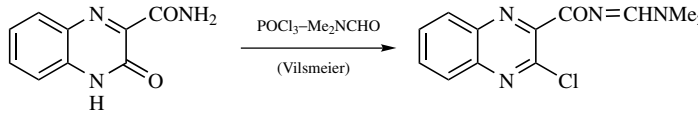
Note: The most common Vilsmeier reagent, (chloromethylene)dimethylammonium chloride ($ClHC{=}^{+}NMe_2\ Cl^{-}$), is usually made in situ from Me_2NCHO and $POCl_3$, $SOCl_2$, or $COCl_2$. As well as chlorolysis of oxo substituents, these reagents are prone to react at other sites in the substrate molecule.

3-Oxo-3,4-dihydro-2-quinoxalinecarbonitrile 1-oxide gave 3-chloro-2-quinoxalinecarbonitrile 1-oxide (**22**) ($POCl_3$, Me_2NCHO, PhMe, reflux, 2 h: 45%).[590]



(**22**)

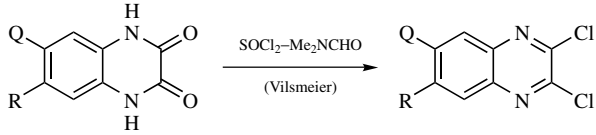
3-Oxo-3,4-dihydro-2-quinoxalinecarboxamide (**23**) gave 3-chloro-*N*-(dimethylaminomethylene)-2-quinoxalinecarboxamide (**24**) ($POCl_3$, Me_2NCHO, 20°C → 70°C, 8 h: 65%).[748]



(**23**) (**24**)

3-Methyl- or 3-styryl-2(1*H*)-quinoxalinone gave respectively 2-chloro-3-methyl- or 2-chloro-3-styrylquinoxaline ($POCl_3$, Me_2NCHO, reflux, 45 min: 97% or 67%, respectively).[996]

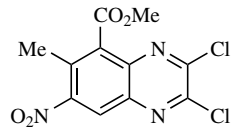
2,3(1*H*,4*H*)-Quinoxalinedione (**25**, Q = R = H) gave 2,3-dichloroquinoxaline (**26**, Q = R = H) ($SOCl_2$, Me_2NCHO, reflux, 90 min: 90%;[48] $SOCl_2$, Me_2NCHO, dioxane, reflux, 3 h: 85%);[468] 2,3,6-trichloro- (**26**, Q = Cl, R = H) (53%), 6-benzoyl-2,3-dichloro- (**26**, Q = Bz, R = H) (71%), and 2,3-dichloro-6-nitroquinoxaline (**26**, $Q = NO_2$, R = H) (53%) were made similarly from appropriate substrates by the second procedure.[468]



(**25**) (**26**)

6-Fluoro-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**25**, Q = F, $R = NO_2$) gave 2,3-dichloro-6-fluoro-7-nitroquinoxaline (**26**, Q = F, $R = NO_2$) ($SOCl_2$, Me_2NCHO, reflux, 4 h: 97%);[734] and 6-trifluoromethyl-2,3(1*H*,4*H*)-quinoxaline (**25**, $Q = CF_3$, R = H) gave 2,3-dichloro-6-trifluoromethylquinoxaline (**26**, $Q = CF_3$, R = H) (likewise but reflux, 90 min: 58%).[478]

Methyl 6-methyl-7-nitro-2,3-dioxo-1,2,3,4-tetrahydro-5-quinoxalinecarboxylate gave methyl 2,3-dichloro-6-methyl-7-nitro-5-quinoxalinecarboxylate (**27**) ($COCl_2$, Me_2NCHO, PhMe, exothermic, then 20°C, 22 h: 90%).[506]

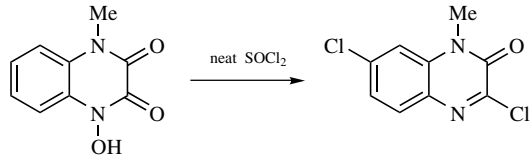


(**27**)

Also other examples.[86,387,713,806,947,1029]

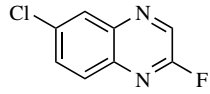
Using Thionyl Chloride or Chlorodifluoromethane

1-Hydroxy-4-methyl-2,3(1*H*,4*H*)-quinoxalinedione (**28**) gave 3,7-dichloro-1-methyl-2(1*H*)-quinoxalinone (**29**) (neat $SOCl_2$, reflux, <5 h: 34%; note concomitant Meisenheimer reaction).[542]



(**28**) (**29**)

6-Chloro-2(1*H*)-quinoxalinone gave 6-chloro-2-fluoroquinoxaline (**30**) ($CHClF_2$, K_2CO_3, Me_2NCHO, 90°C, 1 h: 15% after chromatographic separation from other products; the active reagent was said to be difluorocarbene (F_2C:) and the original paper should be consulted for considerable detail).[899]

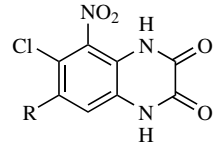


(**30**)

3.1.2. Nuclear Halogenoquinoxalines by Direct Halogenation

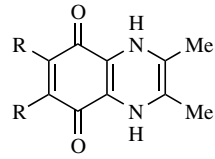
Direct nuclear halogenation of quinoxalines with free halogen or with *N*-bromo- (NBS) or *N*-chlorosuccinimide (NCS) usually affords the corresponding 6- and/or 7-halogeno derivatives, according to the availability of the position(s). However, 2- and/or 3-fluoroquinoxalines may be produced by a semiindirect halogenation. The following examples illustrate some typical procedures.

6-Chloro-5-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**31**, R = H) gave 6-bromo-7-chloro-8-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**31**, R = Br) (NBS, Me_2NCHO, 20°C, 5 days: 44%).[1045]



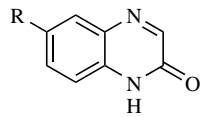
(**31**)

2,3-Dimethyl-5,8(1*H*,4*H*)-quinoxalinedione (**32**, R = H) gave 6,7-diiodo-2,3-dimethyl-5,8(1*H*,4*H*)-quinoxalinedione (**32**, R = I) (ICl, $CHCl_3$, 20°C, 10 min: ?%).[693]



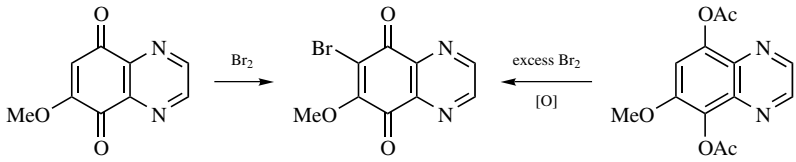
(**32**)

2(1*H*)-Quinoxalinone (**33**, R = H) gave 6-chloro-2(1*H*)-quinoxalinone (**33**, R = Cl) (Ag_2SO_4, 95% H_2SO_4, $Cl_2\downarrow$, ?°C, ? min: 51%),[21] 6-iodo-2(1*H*)-quinoxalinone (**33**, R = I) (ICl, 95% H_2SO_4, ?°C, ? min: 46%, after separation from a byproduct),[21] or 6-bromo-2(1*H*)-quinoxalinone (**33**, R = Br) (Ag_2SO_4, 95% H_2SO_4, $Br_2\downarrow$, 20°C, 24 h: ~50%).[708]



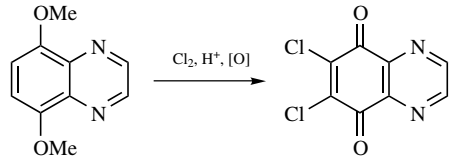
(**33**)

6-Methoxy-5,8-quinoxalinequinone (**34**) gave 6-bromo-7-methoxy-5,8-quinoxalinequinone (**35**) (Br_2, AcOH–AcONa, 20°C, 12 h: 58%);[148] the same product (**35**) was also obtained from 5,8-diacetoxy-6-methoxyquinoxaline (**36**) (excess Br_2, AcOH–AcONa, 20°C, 5 days: 31%; an oxidation step was clearly involved in this procedure).[882]



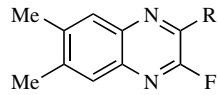
(**34**) (**35**) (**36**)

5,8-Dimethoxyquinoxaline (**37**) gave 6,7-dichloro-5,8-quinoxalinequinone (**38**) (10M HCl, 20°C, $NaClO_3$ ↓ during 30 min: <2%, clearly involving hydrolytic, oxidative, and halogenative steps).[715]



(**37**) (**38**)

6,7-Dimethylquinoxaline gave a mixture of 2-fluoro- (**39**, R = H) and 2,3-difluoro-6,7-dimethylquinoxaline (**39**, R = F) (I_2, Et_3N, $CF_2ClCFCl_2$, $F_2 + N_2$↓, 5°C, ? h: 40% and 5%, respectively, after separation).[561]



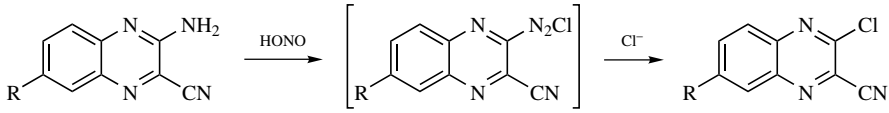
(**39**)

Also other examples.[86,617,708,895,949,1034]

3.1.3. Nuclear Halogenoquinoxalines from Quinoxalinamines

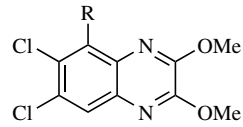
The conversion of a primary amino substituent into a halogeno substituent is usually done by diazotization in the presence of an excess of the appropriate halogenide ion, by treatment in a nonaqueous solvent with alkyl nitrite and copper (II) halogenide, or by treatment with a freshly made solution of bromopyridinium perbromide [$(CH)_5N^+$ Br^-. Br_2 ?] or the like. These routes are illustrated in the following examples.

3-Amino-2-quinoxalinecarbonitrile (**40**, R = H) gave the unisolated diazonium salt (**41**, R = H) and thence 3-chloro-2-quinoxalinecarbonitrile (**42**, R = H) (substrate, AcOH–HCl, <4°C; then $NaNO_2$–H_2O↓ slowly; then 4°C → 20°C, >1 h: 55%);[377,722] 3-amino-7-nitro-2-quinoxalinecarbonitrile (**40**, R = NO_2) gave 3-chloro-7-nitro-2-quinoxalinecarbonitrile (**42**, R = NO_2) (likewise but final stand at 0°C for 4 h: 83%).[477]



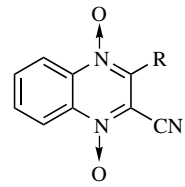
(**40**) (**41**) (**42**)

6,7-Dichloro-2,3-dimethoxy-5-quinoxalinamine (**43**, $R = NH_2$) gave 6,7-dichloro-5-iodo-2,3-dimethoxyquinoxaline (**43**, R = I) (HCl, $NaNO_2$, AcMe–H_2O, 0°C, 15 min; then kI↓, 5°C→10°C, 30 min: 32%).[1039]



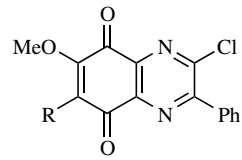
(**43**)

3-Amino- (**44**, $R = NH_2$) gave 3-chloro-2-quinoxalinecarbonitrile 1,4-dioxide (**44**, R = Cl) ($CuCl_2$, MeCN, 70°C, N_2, 30 min; then substrate↓, Bu^tONO↓, 70°C, 15 min; then more Bu^tONO↓, 70°C, 2 h: <21%; analogs likewise but also in poor yield).[1007,1012]



(**44**)

6-Amino- (**45**, $R = NH_2$) gave 6-bromo-2-chloro-7-methoxy-3-phenyl-5,8-quinoxalinequinone (**45**, R = Br) (pyridine, Br_2 ↓ slowly, 0°C; then substrate↓, <5°C, 45 min: 55%).[486]



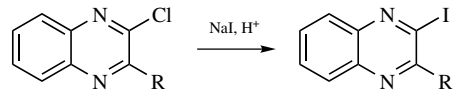
(**45**)

Also other examples.[326,817]

3.1.4. Nuclear Halogenoquinoxalines by Transhalogenation

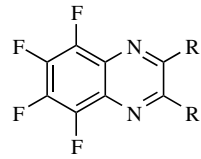
The replacement of one halogeno substituent by another at the 2- or 3-position may be done directly by several methods; similar transformations at the 5- to 8-positions normally require an indirect route. The following examples illustrate typical procedures.

2-Chloro-3-methyl- (**46**, R = Me) gave 2-iodo-3-methylquinoxaline (**47**, R = Me) (NaI, trace HCl, MeCN, reflux, 2 h: 83%);[64] 3-chloro-2-quinoxalinecarbaldehyde (**46**, R = CHO) gave 3-iodo-2-quinoxalinecarbaldehyde (**47**, R = CHO) (likewise: 60%);[64] and 2-chloro- (**46**, R = H) gave 2-iodoquinoxaline (**47**, R = H) (somewhat similarly: 79%).[865]



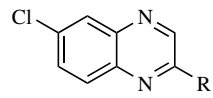
(**46**) (**47**)

2,3,5,6,7,8-Hexafluoroquinoxaline (**48**, R = F) gave 2,3-dibromo-5,6,7,8-tetrafluoroquinoxaline (**48**, R = Br) (HBr gas, MeCN, 75°C, sealed, 48 h: 79%).[559]



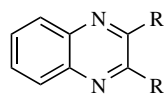
(**48**)

2,6-Dichloroquinoxaline (**49**, R = Cl) gave 6-chloro-2-fluoroquinoxaline (**49**, R = F) (CsF, 18-crown-6, THF, 20°C, 20 h: 87%);[377] 6-bromo-2-chloroquinoxaline gave 6-bromo-2-fluoroquinoxaline (likewise: 87%);[377] and other such conversions have been done similarly.[377]



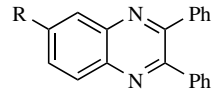
(**49**)

2,3-Dichloroquinoxaline (**50**, R = Cl) gave 2,3-difluoroquinoxaline (50, R = F) (CsF, 18-crown-6, THF, 20°C, 20 h: 64%);[897,cf. 377] and analogs were made in a broadly similar way.[604,897]



(**50**)

6-Bromo-2,3-diphenylquinoxaline (**51**, R = Br) gave 6-lithio-2,3-diphenylquinoxaline (**51**, R = Li) (BuLi: >80%) and thence 6-iodo-2,3-diphenylquinoxaline (**51**, R = I) (I_2: ?%; for details, see original).[958]

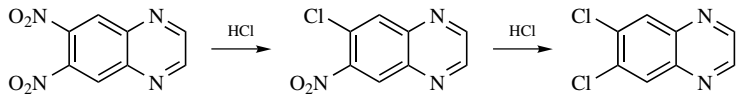


(**51**)

3.1.5. Nuclear Halogenoquinoxalines from Miscellaneous Substrates

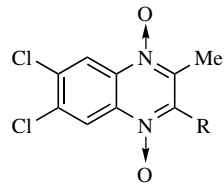
Both nitro and arylsulfonyl groups may be displaced occasionally to afford halogenoquinoxalines. Treatment of a quinoxaline *N*-oxide with phosphoryl chloride or the like usually brings about deoxidative halogenation (Meisenheimer reaction) to furnish a *C*-halogenoquinoxaline in which the halogeno substituent occupies a nuclear position (adjacent or otherwise to that of the original *N*-oxide entity) or even the α-position of an alkyl substituent. The few recent examples of these routes to nuclear halogenoquinoxalines are given here.

6,7-Dinitroquinoxaline (**52**) gave a separable mixture of 6-chloro-7-nitro- (**53**) and 6,7-dichloroquinoxaline (**54**) [4M HCl (gas) in Me_2NCHO, 85°C, 12 h: 51% and 18%, respectively); under more vigorous conditions, the same substrate (**52**) gave only 6,7-dichloroquinoxaline (**54**) (substrate, Me_2NCHO, 85°C; HCl gas↓, exothermic rise to 110°C, 7 h: 75%).[368]



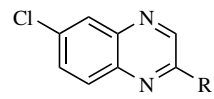
(**52**) (**53**) (**54**)

6,7-Dichloro-2-methyl-3-phenylsulfonylquinoxaline 1,4-dioxide (**55**, R = SO_2Ph) gave 2-bromo-6,7-dichloro-3-methylquinoxaline 1,4-dioxide (**55**, R = Br) (48% HBr, 80°C, 30 min; then 20°C, 12 h: 31%);[483] 2-methyl-3-phenylsulfonylquinoxaline 1,4-dioxide gave 2-chloro-3-methylquinoxaline 1,4-dioxide (35% HCl, 70°C, 15 min: 87%; several analogs likewise).[1086]



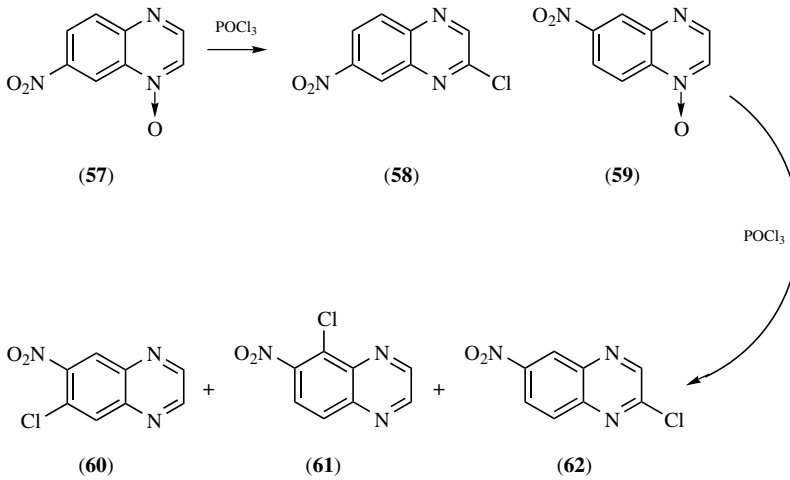
(**55**)

6-Chloro-2-tosylquinoxaline (**56**, R = Ts) gave 6-chloro-2-fluoroquinoxaline (**57**, R = F) (CsF, 18-crown-6, THF, 20°C, 20 h: 24%).[377]



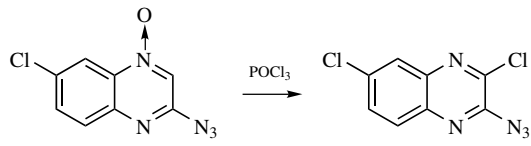
(**56**)

6-Nitroquinoxaline 4-oxide (**57**) gave 2-chloro-7-nitroquinoxaline (**58**) (neat $POCl_3$, reflux, 90 min: 82%); in contrast, 6-nitroquinoxaline 1-oxide (**59**) gave a separable 5 : 4 : 1 mixture of 6-chloro-7-nitro- (**60**), 5-chloro-6-nitro- (**61**), and 2-chloro-6-nitroquinoxaline (**62**) [neat $POCl_3$, reflux, 18 h: 86% (mixture) from which the individual products were isolated in unstated yields].[161]



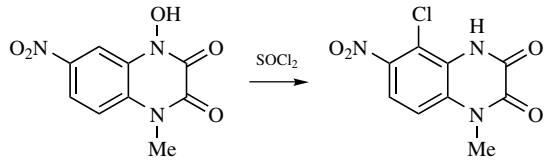
(**57**) (**58**) (**59**) (**60**) (**61**) (**62**)

2-Azido-6-chloroquinoxaline 4-oxide (**63**) gave 2-azido-3,6-dichloroquinoxaline (**64**) (neat $POCl_3$, reflux, 1 h: 93%).[480]



(**63**) (**64**)

1-Hydroxy-4-methyl-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**65**) gave 5-chloro-1-methyl-6-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**66**) (neat $SOCl_2$, reflux, 3 h: ?%; confirmed in structure by X-ray analysis).[542]



(**65**) (**66**)

Also other examples.[21]

3.2. REACTIONS OF NUCLEAR HALOGENOQUINOXALINES (*H* 259; *E* 163, 169)

An interesting mass spectral study of 17 mono- and dihalogenoquinoxalines, some bearing methyl groups, has been reported;[939] the X-ray crystallographic structure of hexachloroquinoxaline has been measured.[3]

Most of the chemical reactions of halogenoquinoxalines consist of facile nucleophilic replacements, thus making such substrates ideal intermediates for the preparation of all kinds of other quinoxaline derivatives. Their *conversion into alkyl- or arylquinoxalines* has been discussed in Section 2.2.1.2; other reactions are outlined in the following subsections.

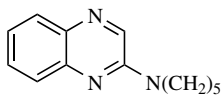
3.2.1. Aminolysis of Nuclear Halogenoquinoxalines

Aminolysis is the most widely used reaction of halogenoquinoxalines. The nature of the halogeno substituent makes little difference in its reactivity, but 2- or 3-halogeno substituents are far more reactive than those in the 5–8-positions. In any case, the presence of an electron-withdrawing group increases reactivity, whereas an electron-releasing group decreases their reactivity, although such passenger groups exert more intraannular than interannular effect. The nature of the attacking amine is quite important for ease of aminolysis (e.g., hydrazine > alkylamine > ammonia > arylamines in aminolytic power). All these generalities are illustrated in the following examples, which are classified according to the passenger groups present in the halogenoquinoxaline substrate.

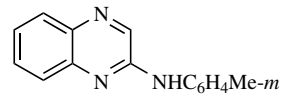
From Monohalogenoquinoxalines without Other Substituents

2-Chloroquinoxaline gave 2-piperidino- (**67**) [$HN(CH_2)_5$, Et_2O, 20°C, ? h: 94%; kinetics in Me_2SO also reported],[938] 2-*m*-toluidino- (**68**) [$H_2NC_6H_4Me$-*m*, HCl–H_2O, reflux, ~5 h: 89%; analogs likewise],[630] or 2-(benzotriazol-

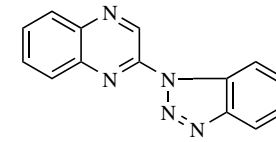
1-yl)quinoxaline (**69**) (neat benzotriazole, 150°C, 1 h: 92%);[38] also 2-(trimethylammonio)quinoxaline chloride (Me_3N, PhH, 20°C, 4 h: 72%).[696]



(**67**)



(**68**)



(**69**)

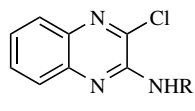
2-Chloroquinoxaline with appropriate substituted anilines gave 2-(substituted anilino)quinoxalines (preparative and kinetic aspects were measured in MeOH and EtOH at various precise temperatures).[338,388,393]

Also other examples.[74,382,727,735]

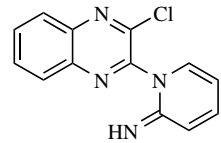
From Di- or Polyhalogenoquinoxalines without Other Substituents

Note: Even when two halogeno substituents occupy the activated 2- and 3-positions, it is usually possible to achieve monoaminolysis under gentle conditions; after replacing one such halogeno substituent, the other is substantially deactivated by the adjacent amino group and hence requires more severe conditions to bring about diaminolysis.

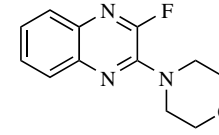
2,3-Dichloroquinoxaline gave 3-chloro-2-quinoxalinamine (**70**, R = H) [NH_3, Me_2NCHO, 0°C, 1 h: 90%;[1038] 1-methyl-2-pyrrolidinone (solvent), NH_3 gas↓, 140°C, 4 h: 75%],[121] 2-chloro-3-hydrazinoquinoxaline (**70**, R = NH_2) [$H_2NNH_2{\cdot}H_2O$ (1 equiv) Et_3N, MeOH, 20°C, 3 h: 93%;[450] $H_2NNH_2{\cdot}H_2O$, MeOH, reflux, 10 min: ~70%],[41] 2-chloro-3-(2-imino-1,2-dihydropyridin-1-yl)quinoxaline (**71**) (2-pyridinamine, Et_3N, Me_2NCHO, reflux, 6 h: ?%),[52] or 2-fluoro-3-morpholinoquinoxaline (**72**) [$HN(CH_2CH_2)_2O$, CsF, 18-crown-6, THF, 20°C, ? h: 78%; note deliberate additional transhalogenation].[377]



(**70**)

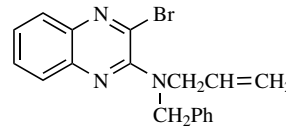


(**71**)



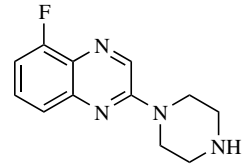
(**72**)

2,3-Dibromoquinoxaline gave 2-(*N*-allyl-*N*-benzylamino)-3-bromoquinoxaline (**73**) ($PhCH_2NHCH_2CH{=}CH_2$, dioxane, reflux, 24 h: >95%).[331]



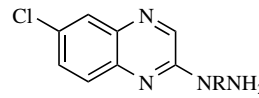
(**73**)

2-Chloro-5-fluoroquinoxaline gave 5-fluoro-2-(piperazin-1-yl)quinoxaline (**74**) [neat $HN(CH_2CH_2)_2NH$, 125°C, N_2, 90 min: 71%, as fumarate salt].[708]



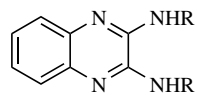
(**74**)

2,6-Dichloroquinoxaline gave 6-chloro-2-hydrazinoquinoxaline (**75**, R = H) ($H_2NNH_2 \cdot H_2O$, EtOH, reflux, 1 h: 93%),[387,418] 6-chloro-2-(*N*-methylhydrazino)quinoxaline (**75**, R = Me) (H_2NNHMe, $CHCl_3$, reflux, 4 h: 92%),[471] or 6-chloro-2-(piperazin-1-yl)quinoxaline [$HN(CH_2CH_2)_2NH$, BuOH, reflux, 10 h: >82%].[947]

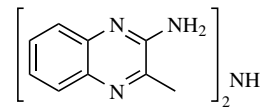


(**75**)

2,3-Dichloroquinoxaline gave 2,3-dihydrazinoquinoxaline (**76**, R = NH_2) ($H_2NNH_2 \cdot H_2O$, MeOH, reflux, 8 h: 65%),[926,cf. 362] 2,3-dianilinoquinoxaline (**76**, R Ph) (neat $PhNH_2$, 160°C, 50 min: 81%; analogs likewise),[630] 2,3-quinoxalinediamine (**76**, R = H) [$HCONH_2$ (solvent), NH_3 gas↓, 105°C, ? h: ?%],[917] or bis(3-aminoquinoxalin-2-yl)amine (**77**) [$HCONH_2$, NH_4Cl, NH_2 gas↓, 145°C, ? h: ?%].[917]

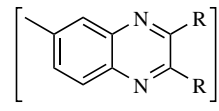


(**76**)



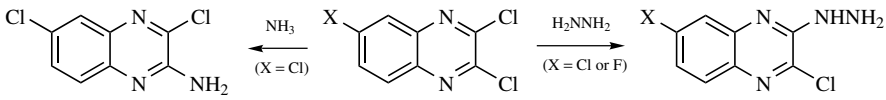
(**77**)

2,2′,3,3′-Tetrachloro-6,6′-biquinoxaline (**78**, R = Cl) gave 2,2′,3,3′-tetrahydrazino-6.6′-biquinoxaline (**78**, R = $NHNH_2$) (neat $H_2NNH_2 \cdot H_2O$, reflux, 12 h: 96%; analogous amines likewise).[752]



(**78**)

2,3,6-Trichloroquinoxaline (**80**, X = Cl) was reported to give 3,6-dichloro-2-quinoxalinamine (**79**) (Me_2NCHO, NH_3 gas↓, 0°C, 15 min: 50%).[468] However, in contrast, the same substrate (**80**, X = Cl) was reported to give 2,6-dichloro-3-hydrazinoquinoxaline (**81**, X = Cl) ($H_2NNH_2{\cdot}H_2O$, EtOH, 25°C, 16 h: 97%);[716] 2,3-dichloro-6-fluoroquinoxaline (**80**, X = F) likewise gave 2-chloro-6-fluoro-3-hydrazinoquinoxaline (**81**, X = F) (93%); and other analogs were made similarly.[716]



(**79**) (**80**) (**81**)

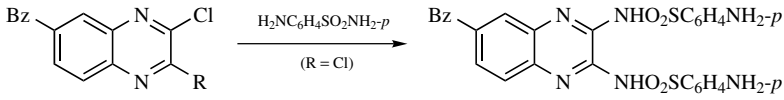
2,3,6,7-Tetrachloroquinoxaline gave 3,6,7-trichloro-2-quinoxalinamine (1-methyl-2-pyrrolidinone, NH_3 gas↓, 20°C, 80 min: 61%).[93]

Also other examples.[212,236,521,687,795,1038,1093,1094,1099]

From Acylhalogenoquinoxalines

6-Benzoyl-2,3-dichloroquinoxaline (**82**, R = Cl) gave only 6-benzoyl-3-chloro-2-quinoxalinamine (**82**, $R = NH_2$) (Me_2NCHO, NH_2 gas↓, 0°C, 15 min: 52%;[468] likewise but at 60°C, 70 min: 63%),[93] 6-benzoyl-2-butylamino-3-chloroquinoxaline (**82**, R = NHBu) ($BuNH_2$, Me_2NCHO, reflux, 8 h: 74%),[978] or 6-benzoyl-3-chloro-2-dimethylaminoquinoxaline (**82**, R = NMe_2) (Me_2NH, likewise: 71%).[978]

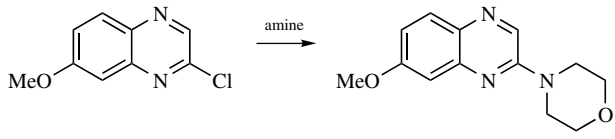
The same substrate (**82**, R = Cl) gave 6-benzoyl-2,3-disulfanilamidoquinoxaline (**83**) ($H_2NC_6H_4SO_2NH_2$-*p*, Me_2NCHO, K_2CO_2, reflux, 8 h: 60%).[978]



(**82**) (**83**)

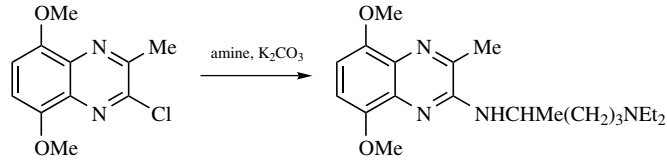
From Alkoxyhalogenoquinoxalines

2-Chloro-7-methoxyquinoxaline (**84**) gave 6-methoxy-3-morpholinoquinoxaline (**85**) [neat $O(CH_2CH_2)_2NH$, reflux, 2 h: 63%].[781]



(**84**) (**85**)

2-Chloro-5,8-dimethoxy-3-methylquinoxaline (**86**) gave 2-[(4-diethylamino-1-methylbutyl)amino]-5,8-dimethoxy-3-methylquinoxaline (**87**) ($H_2NCHMeCH_2CH_2CH_2NEt_2$, K_2CO_3, 98°C, 8 h: 70%).[690]

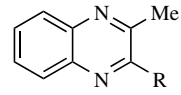


(**86**) (**87**)

Also other examples.[486]

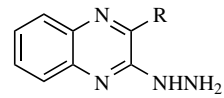
From Alkyl- or Arylhalogenoquinoxalines

2-Chloro-3-methylquinoxaline (**88**, R = Cl) gave 2-dimethylamino-3-methylquinoxaline (**88**, $R = NMe_2$) (Me_2NH, H_2O, reflux, 30 min: 88%)[484] or 2-anilino-3-methylquinoxaline (**88**, R = NHPh) ($PhNH_2$, KI, K_2CO_2, PhH, reflux, 10 h: ?%).[103]



(**88**)

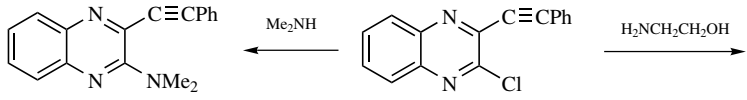
2-Chloro-3-ethylquinoxaline gave 2-ethyl-3-hydrazinoquinoxaline (**89**, R = Et) (85% $H_2NNH_2 \cdot H_2O$, EtOH, reflux, 3 h: 92%);[235] 2-hydrazino-3-propyl- (**89**, R = Pr) (>95%), 2-hydrazino-3-pentyl- (**89**, $R = C_5H_{11}$) (79%), and 2-hydrazino-3-nonylquinoxaline (**89**, $R = C_9H_{19}$) (83%) were made similarly.[235]



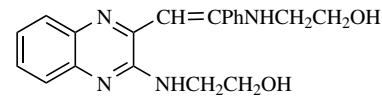
(**89**)

2-Chloro-3-phenylethynylquinoxaline (**91**) with dimethylamine gave 2-dimethylamino-3-phenylethynylquinoxaline (**90**) (H_2O, reflux, 4 h: 58%), but with neat ethanolamine, it gave 2-(2-hydroxyethylamino)-3-[β-(2-hydroxyethylamino)styryl]quinoxaline (**92**) (20°C, 8 h: 91%; note addition of the primary

amine to the triple bond of the substrate as well as nucleophilic replacement of the chloro substituent).[521]

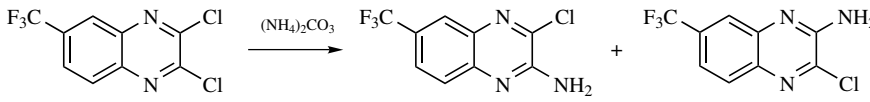


(**90**) (**91**)



(**92**)

2,3-Dichloro-6-trifluoromethylquinoxaline (**93**) gave a separable mixture of 3-chloro-6-trifluoromethyl- (**94**) and 3-chloro-7-trifluoromethyl-2-quinoxalinamine (**95**) [$(NH_4)_2CO_3$, Me_2NCHO, 20°C, 6 h: 60% and 17%, respectively; although interannular, the selective activating effect of the CF_3 group is evidently quite significant].[478]



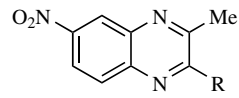
(**93**) (**94**) (**95**)

Also other examples.[6,7,23,240,373,624,709,974]

From Halogenonitroquinoxalines

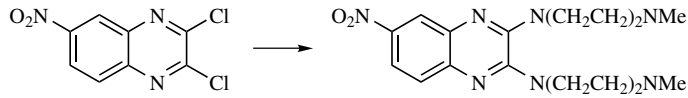
Note: Even from the limited number of recent preparative results that follow, it is evident that the interannular activating effect of a 6/7-nitro group on a 2/3-halogeno substituent is appreciable and that the effect of an adjacent nitro group on a normally unreactive 5-, 6-, 7-, or 8-halogeno substituent is so substantial that aminolysis becomes a practical procedure.

2-Chloro-3-methyl-6-nitroquinoxaline (**96**, R = Cl) gave 2-hydrazino-3-methyl-6-nitroquinoxaline (**96**, R = $NHNH_2$) ($H_2NNH_2 \cdot H_2O$, EtOH, 20°C, ~1 h: >95%;[117] $H_2NNH_2 \cdot H_2O$, EtOH, reflux, 3 h: 60%;[135] the second of these procedures appears to be a classical example of "overkill" in nucleophilic displacement that results in a lower yield).



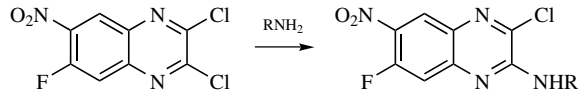
(**96**)

2,3-Dichloro-6-nitroquinoxaline (**97**) gave 2,3-bis(4-methylpiperazin-1-yl)-6-nitroquinoxaline (**98**) [$MeN(CH_2CH_2)_2NH$, $MeOCH_2CH_2OH$, substrate↓ slowly (initially exothermic), then reflux, 16 h: 74%];[707,cf. 432] analogs likewise.[369]



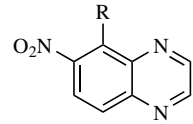
(**97**) (**98**)

2,3-Dichloro-6-fluoro-7-nitroquinoxaline (**99**) gave 2-chloro-6-fluoro-3-(4-hydroxybutylamino)-7-nitroquinoxaline [**100**, $R = CH_2(CH_2)_3OH$] [$H_2N(CH_2)_4OH$↓ slowly, $CHCl_3$, <35°C→20°C, 4 h: 73%] or 2-chloro-6-fluoro-3-hydrazino-7-nitroquinoxaline (**100**, $R = NH_2$) ($H_2NNH_2{\cdot}H_2O$↓ slowly, MeOH, ~−10°C→0°C, 30 min: 92%).[734] Note the regioselectivity and exceptionally gentle conditions required to ensure monoaminolysis, especially with the more powerful aminolytic agent.



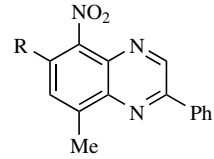
(**99**) (**100**)

5-Chloro-6-nitroquinoxaline (**101**, R = Cl) gave 6-nitro-5-piperidinoquinoxaline [**101**, $R = N(CH_2)_5$] [neat $HN(CH_2)_5$, 20°C, 20 h: 82%].[147]



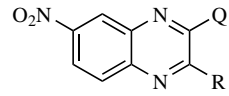
(**101**)

6-Chloro-8-methyl-5-nitro-2-phenylquinoxaline (**102**, R = Cl) gave 5-methyl-7-methylamino-8-nitro-3-phenylquinoxaline (**102**, R = NHMe) ($MeNH_2$, H_2O–EtOH, reflux, 4 h: 93%);[37] the isomeric 5-methyl-7-methylamino-8-nitro-2-phenylquinoxaline was made similarly in 95% yield.[37]



(**102**)

2-Chloro-7-nitroquinoxaline (**103**, Q = Cl, R = H) gave a separable mixture of 6-nitro-3-piperidinoquinoxaline [**103**, Q = $N(CH_2)_2$, R = H] (product of aminolysis) and 6-nitro-2,3-dipiperidinoquinoxaline [**103**, Q = R = $N(CH_2)_5$] (product of an additional amination) [excess $HN(CH_2)_5$, Et_2O, 20°C, <4 h; the amination product was least in an inert atmosphere and most in an oxygen atmosphere, inferrring an addition–oxidation mechanism].[679]



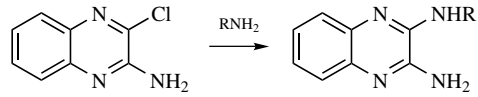
(**103**)

Also other examples.[19,260,701,723]

From Halogenoquinoxalinamines

Note: Although the aminolysis of such substrates must occur during diaminolysis of dihalogenoquinoxalines, there are only a few isolated examples in recent literature.

3-Chloro-2-quinoxalinamine (**104**) gave 3-methylamino- (**105**, R = Me) ($MeNH_2$, H_2O, 20°C, 12 h: 51%) or 3-ethylamino-2-quinoxalinamine (**105**, R = Et) ($EtNH_2$, likewise: 63%).[1038]

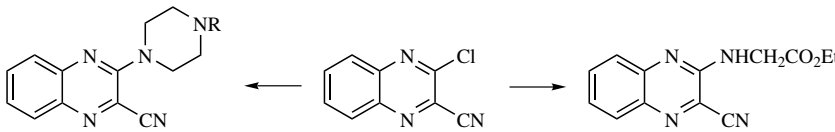


(**104**) (**105**)

From Halogenoquinoxalinecarbonitriles

3-Chloro-2-quinoxalinecarbonitrile (**107**) gave 3-(piperazin-1-yl)-2-quinoxalinecarbonitrile (**106**, R = H) [$HN(CH_2CH_2)_2NH$, $NaHCO_3$, EtOH, reflux, 6 h: 59%], 3-(4-phenylpiperazin-1-yl)-2-quinocalinecarbonitrile (**106**, R = Ph) [$PhN(CH_2NH_2)_2NH \cdot HCl$, $NaHCO_3$, EtOH–H_2O. 70°C, 10 h: 89%], or other analogs.[722]

The same substrate (**107**) gave 3-[(ethoxycarbonylmethyl)amino]-2-quinoxalinecarbonitrile (**108**) ($EtO_2CCH_2NH_2 \cdot HCl$, K_2CO_3, Me_2SO, 80°C, 2 h: 50%; likewise but in Me_2NCHO, 70°C, 8 h: 70%).[748]



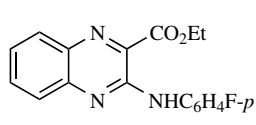
(**106**) (**107**) (**108**)

Also other examples.[817,cf. 806]

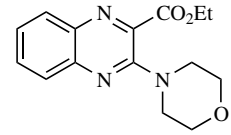
From Halogenoquinoxalinecarboxylic Esters or Amides

Note: Aminolysis of halogeno esters may be accompanied by amide formation, depending on the amine and conditions employed.

Ethyl 3-chloro-2-quinoxalinecarboxylate gave ethyl 3-*p*-fluorobenzylamino-2-quinoxalinecarboxylate (**109**) [$H_2NCH_2C_6H_4F$-*p* (1 equiv), EtOH, reflux, 13 h: 73%; analogs likewise][1020] or ethyl 3-morpholino-2-quinoxalinecarboxylate (**110**) [O[CH_2CH_2)NH (1 equiv), MeOH, reflux, 2 h: 34%].[688,689]

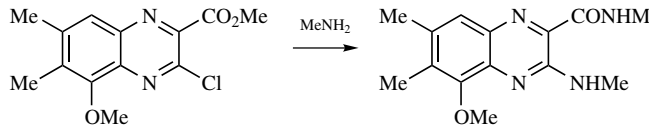


(**109**)



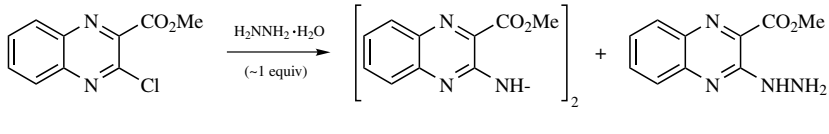
(**110**)

Methyl 3-chloro-5-methoxy-6,7-dimethyl-2-quinoxalinecarboxylate (**111**) gave 5-methoxy-6,7,*N*-trimethyl-3-methylamino-7-quinoxalinecarboxamide (**112**) (excess $MeNH_2$, MeOH, 150°C, sealed, 7 h: 85%).[742]

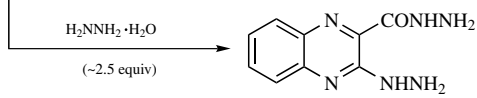


(**111**) (**112**)

Methyl 3-chloro-2-quinoxalinecarboxylate (**113**) gave a separable mixture of *N*,*N*′-bis(3-methoxycarbonylquinoxalin-2-yl)hydrazine (**114**) and methyl 3-hydrazino-2-quinoxalinecarboxylate (**115**) [substrate, $H_2NNH_2 \cdot H_2O$ (~1 equiv), EtOH, 20°C→70°C, short time: 25% of each product after separation] or 3-hydrazino-2-quinoxalinecarbohydrazide (**116**) [$H_2NNH_2 \cdot H_2O$ (~2.5 equiv), EtOH, 70°C, substrate↓ during 30 min: 95%].[590]



(**113**) (**114**) (**115**)



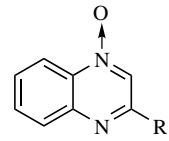
(**116**)

Also other examples.[806]

From Halogenoquinoxaline 1/4-Oxides

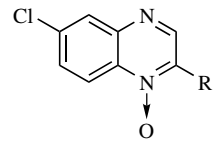
Note: From available recent examples, it is not clear whether the *N*-oxidation of a halogenoquinoxaline has much activating effect on the halogeno substituent.

2-Chloroquinoxaline 4-oxide (**117**, R = Cl) gave 2-(*N*-methylhydrazino)quinoxaline 4-oxide (**117**, R = $NMeNH_2$) ($MeHNNH_2$, EtOH, reflux, 1 h: 87%) or 2-(*N*-ethylhydrazino)quinoxaline 4-oxide (**117**, R = $NEtNH_2$) ($EtHNNH_2$, EtOH, reflux, 5 h: 87%).[512]



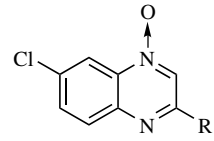
(**117**)

2,6-Dichloroquinoxaline 1-oxide (**118**, R = Cl) gave 6-chloro-2-(*N*-methylhydrazino)quinoxaline 1-oxide (**118**, R = $NMeNH_2$) ($MeHNNH_2$, $CHCl_3$, reflux, 1 h: 87%).[489]



(**118**)

2,6-Dichloroquinoxaline 4-oxide (**119**, R = Cl) gave 6-chloro-2-hydrazino- (**119**, R = $NHNH_2$) ($H_2NNH_2 \cdot H_2O$, EtOH, reflux, 2 h: 67%),[463] 6-chloro-2-(*N*-methylhydrazino)- (**119**, R = $NMeNH_2$) ($MeHNNH_2$, $CHCl_3$, reflux, 2 h: 81%),[460,463] 6-chloro-2-(*N*-ethylhydrazino)- (**119**, R = $NEtNH_2$) [$NHEtNH_2$, pyridine–$CHCl_3$, reflux, 5 h: 63%],[512] 6-chloro-2-piperidino- [**119**, R = $N(CH_2)_5$] [$HN(CH_2)_5$, Me_2NCHO, reflux, 3 h: 59%],[461,464] or 6-chloro-2-morpholinoquinoxaline 4-oxide [**119**, R = $N(CH_2CH_2)_2O$] [$HN(CH_2CH_2)_2O$, Me_2NCHO, reflux, 3 h: 69%].[461,464]

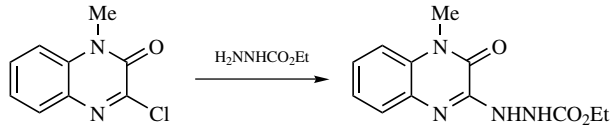


(**119**)

Also other examples.[349,976,1007]

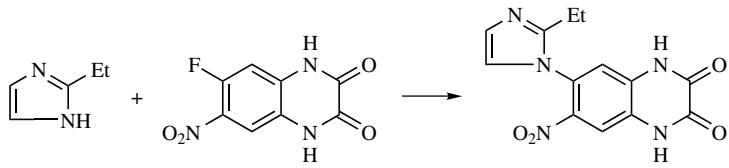
From Halogenoquinoxalinones or Halogenoquinoxalinequinones

3-Chloro-1-methyl-2(1*H*)-quinoxalinone (**120**) gave 3-(*N'*-ethoxycarbonylhydrazino)-1-methyl-2(1*H*)-quinoxalinone (**121**) (EtO_2CNHNH_2, MeCN, 120°C, sealed?, 2 h: ?%); analogs likewise.[713]



(**120**) (**121**)

6-Fluoro-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**123**) and 2-ethylimidazole (**122**) gave 6-(2-ethylimidazol-1-yl)-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**124**) (neat reactants or in Me_2NCHO etc., 145°C, <5 h: 90%; several analogs similarly).[723]



(**122**) (**123**) (**124**)

For aminolyses of halogenoquinoxalinequinones, see Section 4.2.3.

3.2.2. Hydrolysis, Alcoholysis, or Phenolysis of Nuclear Halogenoquinoxalines

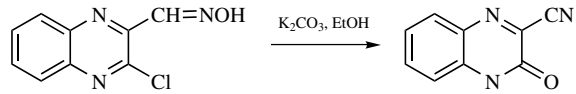
(*H* 270; *E* 165, 199)

The 2- and/or 3-halogenoquinoxalines are easily converted into the corresponding quinoxalinones, alkoxyquinoxalines, aryloxyquinoxalines, or acyloxyquinoxalines. Other halogenoquinoxalines are seldom so transformed, simply because the reactions are so slow unless the halogeno substituent is activated by an appropriate passenger group. The following classified examples illustrate the wide utility of these nucleophilic displacements.

Hydrolysis to Quinoxalinones

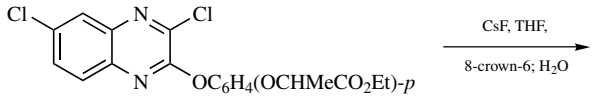
Note: Perhaps because so many halogenoquinoxalines are themselves derived from quinoxalinones, the reverse process of hydrolysis is seldom reported; the examples are all special cases in which a passenger group would complicate or preclude a straightforward acidic or alkaline hydrolysis.

3-Chloro-2-quinoxalinecarbaldehyde oxime (**125**) gave 3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile (**126**) (K_2CO_3, EtOH, reflux, 3 h: 82%).[64]

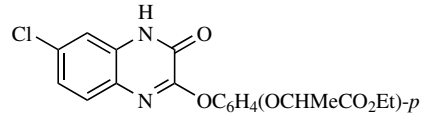


(**125**) (**126**)

2,7-Dichloro-3-[*p*-(1-ethoxycarbonylethyl)phenoxy]quinoxaline (**127**) gave 7-chloro-3-[*p*-(1-ethoxycarbonylethyl)phenoxy]-2(1*H*)-quinoxalinone (**128**), probably via the 2-fluoro analog of **127** (CsF, 4Å molecular sieves, 18-crown-6, THF, 20°C, N_2, 1 h; then substrate↓, reflux, 5 h; aqueous workup: 77%).[897]

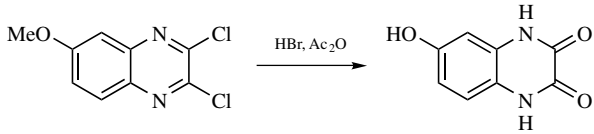


(**127**)



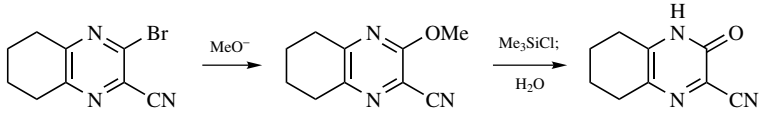
(**128**)

2,3-Dichloro-6-methoxyquinoxaline (**129**) gave 6-hydroxy-2,3(1*H*,4*H*)-quinoxalinedione (**130**) (48% HBr, Ac_2O, reflux, 6 h: 92%).[956]



(**129**) (**130**)

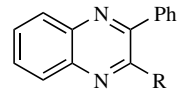
3-Bromo-5,6,7,8-tetrahydro-2-quinoxalinecarbonitrile (**131**) gave the 3-methoxy analog (**132**) (NaOH, MeOH, 20°C, 2 h: 90%) and thence 3-oxo-3,4,5,6,7,8-hexahydro-2-quinoxalinecarbonitrile (**133**) (NaI, Me_3SiCl, MeCN, 20°C, 6 h: 91%); this gentle indirect hydrolysis was clearly adopted to avoid hydrolysis of the nitrile group).[55]



(**131**) (**132**) (**133**)

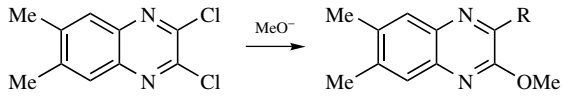
Alcoholysis to Alkoxyquinoxalines Bearing No Functional Passenger Groups

2-Chloro-3-phenylquinoxaline (**134**, R = Cl) gave 2-methoxy-3-phenylquinoxaline (**134**, R = OMe) [MeONa, MeOH, reflux, >2 h (tlc monitored): 95%].[661]



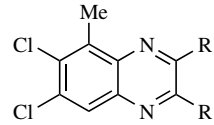
(**134**)

2,3-Dichloro- (**135**) gave 2-chloro-3-methoxy- (**136**, R = Cl) [substrate, MeOH, reflux, MeONa–MeOH (1 equiv)↓ during 2.5 h; then reflux, 2 h: 62%][718] or 2,4-dimethoxy-6,7-dimethylquinoxaline (**136**, R = OMe) [MeONa (2 equiv), MeOH, reflux, A, 5 h: 94%;[46] likewise without A: 59%].[718]



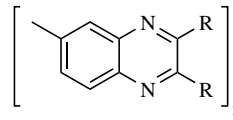
(**135**) (**136**)

2,3,6,7-Tetrachloro-5-methylquinoxaline (**137**, R = Cl) gave 6,7-dichloro-2,3-dimethoxy-5-methylquinoxaline (**137**, R = OMe) [substrate, THF, 20°C; MeONa–MeOH↓ slowly (exothermic), 20°C, 1 h: >95%].[1039]



(**137**)

2,2′,3,3′-Tetrachloro-6,6′-biquinoxaline (**138**, R = Cl) gave 2,2′,3,3′-tetramethoxy-6,6′-biquinoxaline (**138**, R = OMe) (MeONa, MeOH, PhMe, reflux, 7 h: 98%).[752]



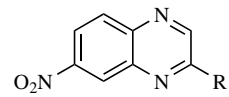
(**138**)

6,7-Dichloro-2-phenylquinoxaline was completely unchanged by MeONa in refluxing MeOH–dioxane for 2 h.[551]

Also other examples.[121,484,716,929]

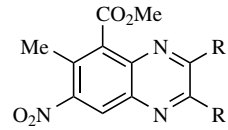
Alcoholysis to Alkoxyquinoxalines Bearing Functional Passenger Groups

2-Chloro-7-nitroquinoxaline (**139**, R = Cl) gave 2-methoxy-7-nitroquinoxaline (**139**, R = OMe) (MeONa, MeOH, 20°C, 2 days: 82%).[701]



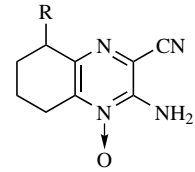
(**139**)

Methyl 2,3-dichloro- (**140**, R = Cl) gave methyl 2,3-dimethoxy-6-methyl-7-nitro-5-quinoxalinecarboxylate (**140**, R = OMe) (MeONa, MeOH, 20°C; substrate↓ slowly (exothermic), 15 min: 87%; note the very gentle conditions in the presence of two activating passenger groups).[508]



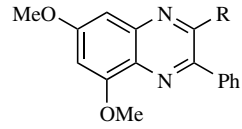
(**140**)

3-Amino-8-chloro- (**141**, R = Cl) gave 3-amino-8-ethoxy-5,6,7,8-tetrahydro-2-quinoxalinecarbonitrile 4-oxide (**141**, R = OEt) (EtOH, reflux, 15 min: 69%).[354]



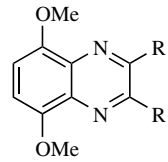
(**141**)

2-Chloro-5,7-dimethoxy-3-phenylquinoxaline (**142**, R = Cl) gave 2,5,7-trimethoxy-3-phenylquinoxaline (**142**, R = OMe) (NaOH, MeOH, reflux, 30 min: 83%).[486]



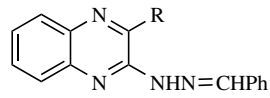
(**142**)

2,3-Dichloro-5,8-dimethoxyquinoxaline (**143**, R = Cl) gave 2,3,5,8-tetramethoxyquinoxaline (**143**, R = OMe) (MeONa, MeOH, reflux, 3 h: 87%) or 2,3-bis(2-hydroxyethoxy)-5,8-dimethoxyquinoxaline (**143**, R = OCH_2CH_2OH) [$NaOCH_2CH_2ONa$ (made in situ), THF, reflux, 4 h: 81%].[553,849]



(**143**)

2-Benzykidenehydrazino-3-chloroquinoxaline (**144**, R = Cl) gave 2-benzylidenehydrazino-3-ethoxyquinoxaline (**144**, R = OEt) (EtONa, EtHO, 20°C → reflux, 1 h: 91%); homologs likewise.[450]

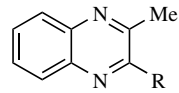


(**144**)

Also other examples.[12,19,147,590,929]

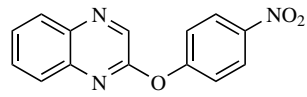
Phenolysis to Aryloxyquinoxalines

2-Chloro-3-methylquinoxaline (**145**, R = Cl) gave 2-methyl-3-phenoxyquinoxaline (**145**, R = OPh) (PhONa, PhOH, 90°C → 115°C, 24 h: 98%).[662]



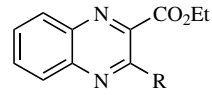
(**145**)

2-Chloroquinoxaline gave 2-*p*-nitrophenoxyquinoxaline (**146**) (KOH, neat $HOC_6H_4NO_2$-*p*, fusion temperature, 1 h: 57%),[651] the *m*-nitro isomer [KOH, $HOC_6H_4NO_2$-*m*, Me_2NCHO, 90°C (under reflux), 3 days: 68%],[655] the *o*-nitro isomer [KOH, $HOC_6H_4NO_2$-*o*, Me_2NCHO, 98°C (under reflux), 5 days: 77%],[654] or several halogenophenoxy analogs (somewhat similarly but with the addition of $AgNO_3$).[858]



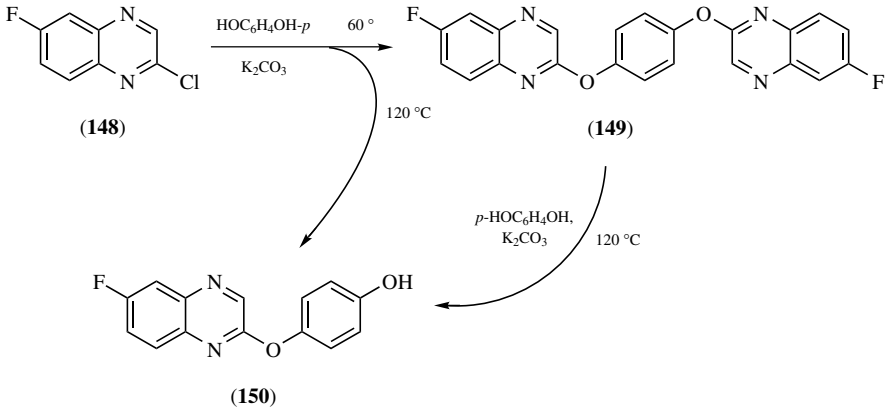
(**146**)

Ethyl 3-chloro-2-quinoxalinecarboxylate (**147**, R = Cl) gave ethyl 3-phenoxy-2-quinoxalinecarboxylate (**147**, R = OPh) (PhONa, PhOH, 130°C, 14 h: 80%).[240]



(**147**)

2-Chloro-6-fluoroquinoxaline (**148**) gave *p*-[bis(6-fluoroquinoxalin-2-yloxy)]-benzene (**149**) (HOC_6H_4OH-*p* (0.5 equiv), K_2CO_3, Me_2NCHO, 60°C, 1 h: 92%) and thence 6-fluoro-2-(*p*-hydroxyphenoxy)quinoxaline (**150**) (HOC_6H_4OH-*p*, K_2CO_3, Me_2NCHO, 120°C, 1 h: 83%); alternatively, substrate **148** gave the final product (**150**) in a one-pot procedure (HOC_6H_4OH-*p*, K_2CO_3, Me_2NCHO, 100°C, N_2, 1 h; substrate↓, 20°C→120°C, 3 h: 89%; analogs similarly).[400]



(**148**) (**149**) (**150**)

Also other examples.[212,450,897,1019,1104]

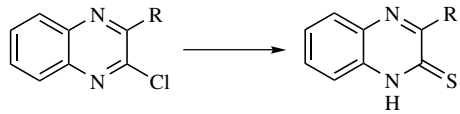
3.2.3. Thiolysis, Alkanethiolysis, Arenethiolysis, or Arenesulfinolysis of Nuclear Halogenoquinoxalines

(*E* 112, 116)

The 2- and 3-halogenoquinoxalines may be converted into the corresponding quinoxalinethiones by treatment with sodium hydrogen sulfide, sodium thiosulfate, thioacetic acid (with concomitant decarboxylation), or (indirectly) by treatment with thiourea followed by alkaline hydrolysis of the thiouronio intermediate (usually as a one-pot procedure). The same substrates furnish corresponding alkylthio-, arylthio-, or arylsulfonylquinoxalines by treatment with a salt of the appropriate alkanethiol, thiophenol, or benzenesulfinic acid. The following classified examples illustrate such processes.

Thiolysis to Quinoxalinethiones

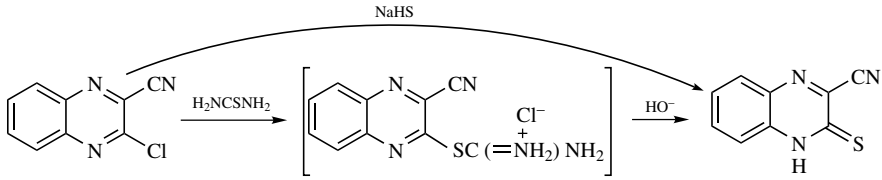
2-Chloroquinoxaline (**151**, R = H) gave 2(1*H*)-quinoxalinethione (**152**, R = H) ($Na_2S_2O_3 \cdot 5H_2O$, H_3PO_4, EtOH–H_2O, reflux, 90 min: 93%).[928]



(**151**) (**152**)

2-Chloro-3-phenylquinoxaline (**151**, R = Ph) gave 3-phenyl-2(1*H*)-quinoxalinethione (**152**, R = Ph) (MeCOSH, MeOH, reflux, 20 min: 41%);[622] somewhat similarly, 2,3-dichloroquinoxaline (**151**, R = Cl) gave 2,3(1*H*,4*H*)-quinoxalinedithione [$H_2NCS_2NH_4$, AcONa, EtOH, reflux, 3 h: ~80% crude].[28,cf. 1070]

3-Chloro-2-quinoxalinecarbonitrile (**153**) gave 3-thioxo-3,4-dihydro-2-quinoxalinecarbonitrile (**155**) either directly (NaHS, Me_2SO, 55°C, 1 min: 30%)[477] or via an uncharacterized intermediate (**154**) (H_2NCSNH_2, EtOH, reflux, 4 h: solid; then dissolved in 10% NaOH, HCl↓: 85% overall);[929,930] broadly similar indirect procedures were used to prepare *N*-dimethylaminomethylene-3-thioxo-3,4-dihydro-2-quinoxalinecarboxamide (88%)[748] and 3-butylthio-2(1*H*)-quinoxalinethione (>95%)[237] from their respective chloro precursors.

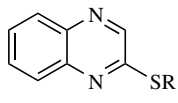


(**153**) (**154**) (**155**)

Also other examples.[279,321,806,889]

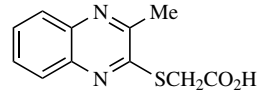
Alkanethiolysis to Alkylthioquinoxalines

2-Chloroquinoxaline gave 2-ethylthioquinoxaline (**156**, R = Et) (EtSH, NaOH, H_2O–Me_2NCHO, 20°C, 1 h: 78%) or 2-benzylthioquinoxaline (**156**, R = CH_2Ph) ($PhCH_2SH$, likewise: 90%).[597]



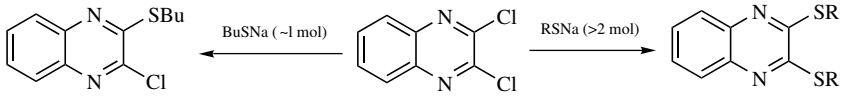
(**156**)

2-Chloro-3-methylquinoxaline gave 2-carboxymethylthio-3-methylquinoxaline (**157**) ($HSCH_2CO_2H$, K_2CO_3, PhH, reflux, 4 h: ?%).[103]



(**157**)

2,3-Dichloroquinoxaline (**159**) gave 2-butylthio-3-chloroquinoxaline (**158**) [BuSNa (1 equiv, made in situ), Bu^{t}OH, exothermic; then reflux, 3 h: 78%],[237] 2,3-bisbutylthioquinoxaline (**160**, R = Bu) [BuSNa (2 equiv), Bu^{t}OH, exothermic; then reflux, 4 h: 88%],[237] or 2,3-bis-*tert*-butylthioquinoxaline (**160**, R = Bu^t) [excess Bu^{t}SNa, THF, 20°C, 1 h: 95%].[887]

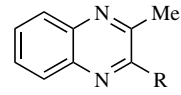


(**158**) (**159**) (**160**)

Also other examples.[849]

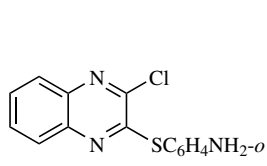
Arenethiolysis to Arylthio- or Heteroarylthioquinoxalines

2-Chloro-3-methylquinoxaline (**161**, R = Cl) gave 2-methyl-3-phenylthioquinoxaline (**161**, R = SPh) (PhSH, Et_3N, MeOH, reflux, 2 h: 90%).[996]

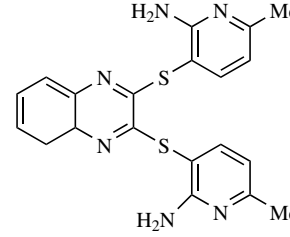


(**161**)

2,3-Dichloroquinoxaline gave 2-*o*-aminophenylthio-3-chloroquinoxaline (**162**) ($H_2NC_6H_4SH$-*o*, 40% H_2SO_4, reflux 2 h: 52%; note preference for arenethiolysis over aminolysis under these conditions)[699] or 2,3-bis(2-amino-6-methylpyridin-3-ylthio)quinoxaline (**163**) [2-amino-6-methyl-3-pyridinethiol, NaOH, H_2O–Me_2NCHO, reflux, 15 h: 77% after separation from 2,3-bis(dimethylamino)quinoxaline resulting from the solvent Me_2NCHO].[236]

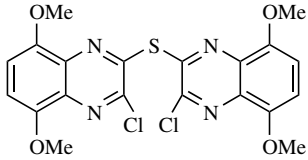


(**162**)

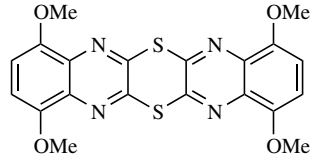


(**163**)

2,3-Dichloro-5,8-dimethoxyquinoxaline reacted abnormally with thiourea to give a separable mixture of bis(3-chloro-5,8-dimethoxyquinoxalin-2-yl) sulfide (**164**) and 1,4,8,11-tetramethoxy-[1,4]dithiino[2,3-*b*:5,6-*b*′]diquinoxaline (**165**) [H_2NCSNH_2 (1 equiv), Et_3N, Me_2NCHO, reflux, 5 h: 23% and 52%, respectively].[353] analogs likewise.[232,405,467]



(**164**)

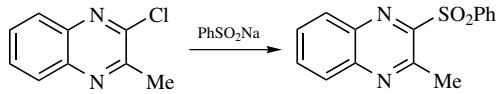


(**165**)

Also other examples.[64,237,865]

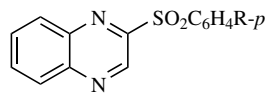
Arenesulfinolysis to Arylsulfonylquinoxalines

2-Chloro-3-methylquinoxaline (**166**) gave 2-methyl-3-phenylsulfonylquinoxaline (**167**) ($PhSO_2Na$, $Et_3N^+CH_2Ph\ Cl^-$, MeCN, reflux, 10 h: 81%; identified with that produced by oxidation of 2-methyl-3-phenylthioquinoxaline; see Section 5.2.2);[996] likewise 2-phenylsulfonyl-3-styrylquinoxaline (80%) and analogs.[996]



(**166**) (**167**)

2-Chloroquinoxaline gave 2-phenylsulfonylquinoxaline (**168**, R = H) ($PhSO_2Na$, NaI, Me_2SO, 90°C, 110 min: 80%) or 2-*p*-tolylsulfonylquinoxaline (**168**, R = Me) (*p*-$MeC_6H_4SO_2Na$, likewise: 82%).[865]

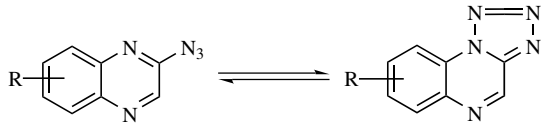


(**168**)

3.2.4. Azidolysis of Nuclear Halogenoquinoxalines

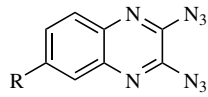
Provided they occupy the 2- or 3-position in regular quinoxalines or the 2-, 3-, 6-, or 7-position in 5,8-quinoxalinequinones, halogeno substituents are easily

replaced by azido groups. Although all azidoquinoxalines are named as such in this book, it should be remembered that 2- or 3-azidoquinoxalines (**169**) are in tautomeric equliibrium with the corresponding tetrazolo[1,5-*a*]quinoxalines (**170**) and are often named, formulated, and indexed as their cyclic forms in the literature. The following typical examples illustrate the direct conversion of halogeno- into azidoquinoxalines.



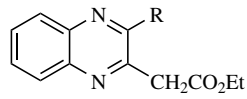
(**169**) (**170**)

2,3-Dichloroquinoxaline gave 2,3-diazidoquinoxaline (**171**, R = H) (NaN_3, Bu_4NBr, $ClCH_2CH_2Cl$–H_2O, reflux, 90 min: 80%); the 6-chloro- (**171**, R = Cl) (81%), 6-nitro (**171**, R = NO_2) (85%), and 6-methyl (**171**, R = Me) derivatives were made similarly.[442]



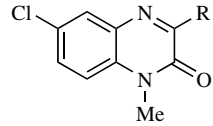
(**171**)

2-Chloro- (**172**, R = Cl) gave 2-azido-3-ethoxycarbonylmethylquinoxaline (**172**, R = N_3) (NaN_3, HCl, H_2O–EtOH, reflux, 4 h: 80%); homologs likewise.[51]



(**172**)

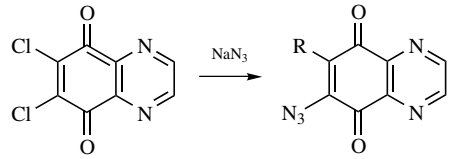
3,6-Dichloro-1-methyl-2(1*H*)-quinoxalinone (**173**, R = Cl) gave 3-azido-6-chloro-1-methyl-2(1*H*)-quinoxalinone (**173**, R = N_3) (NaN_3, Me_2NCHO, 120°C, 90 min: 96%); analogs likewise.[418]



(**173**)

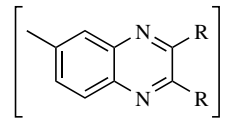
6,7-Dichloro-5,8-quinoxalinequinone (**174**) gave 6-azido-7-chloro- (**175**, R = Cl) [NaN_3 (1.5 mol), AcOH, 20°C, 30 min: 90%] or 6,7-diazido-5,8-

quinoxalinequinone (**175**, R = N_3) (excess NaN_3, Me_2NCHO, 20°C, 30 min: 93%).[738]



(**174**) (**175**)

2,2′,3,3′-Tetrachloro-6,6′-biquinoxaline (**176**, R = Cl) gave 2,2′,3,3′-tetraazido-6,6′-biquinoxaline (**176**, R = N_3) (NaN_3, Me_2NCHO, reflux, 6 h: 94%).[752]



(**176**)

Also other examples.[140,163,486,604,720,978]

3.2.5. Cyanolysis of Nuclear Halogenoquinoxalines

The displacement of halogeno by cyano substituents has not been used frequently in the quinoxaline series of late. Such a reaction is often easy in the 2- and 3-positions but somewhat more difficult in the 5–8-positions: an alternative indirect route via a trimethylammonioquinoxaline salt is sometimes preferable (see Section 6.3.2.4). The following examples illustrate the direct route.

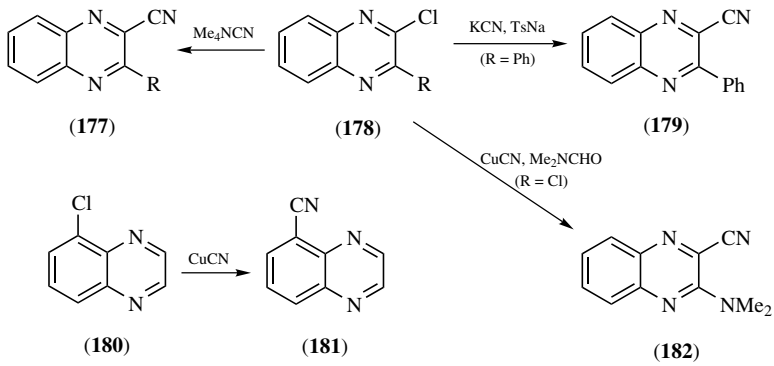
2-Chloro-3-methylquinoxaline (**178**, R = Me) gave 3-methyl-2-quinoxalinecarbonitrile (**177**, R = Me) (Me_4NCN, Me_2SO, 60°C, 6 h: 33%);[696] appropriate chloro substrates (**178**) gave somewhat similarly 3-ethoxy-2-quinoxalinecarbonitrile (**177**, R = OEt) (50°C, 2 h: 70%) or ethyl 3-cyano-2-quinoxalinecarboxylate (**177**, R = CO_2Et) (45°C, 2 h: 44%).[696]

2,3-Dichloroquinoxaline (**178**, R = Cl) gave 2,3-quinoxalinedicarbonitrile (**177**, R = CN) (Me_4NCN, Me_2SO, 25°C, 3 h: 67%);[696] 3-chloro-2-quinoxalinecarbonitrile (**178**, R = CN) gave the same dinitrile (**177**, R = CN) (NaCN, Me_2SO, 20°C, 10 min: 53%).[477]

2-Chloro-3-phenylquinoxaline (**178**, R = Ph) gave 3-phenyl-2-quinoxalinecarbonitrile (**179**) [KCN, $MeC_6H_4SO_2Na$ (catalyst!), Me_2NCHO, 80°C, 1 h: 96%; possibly via a tolylsulfonyl intermediate].[615]

5-Chloroquinoxaline (**180**) gave 5-quinoxalinecarbonitrile (**181**) (CuCN, 1-methyl-2-pyrrolidinone, reflux, 7 h: 18%); 6-quinoxalinecarbonitrile similarly.[641]

2,3-Dichloroquinoxaline (**178**, R = Cl) gave an abnormal product, 3-dimethylamino-2-quinoxalinecarbonitrile (**182**) (CuCl, Me_2NCHO, reflux, 8 h: 51%; presumably either Cl or CN was displaced by Me_2NH from the solvent).[752]

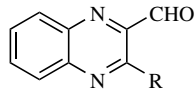


Also other examples.[865]

3.2.6. Hydrogenolysis of Nuclear Halogenoquinoxalines

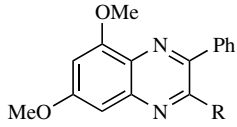
Whether activated or not, halogeno substituents may be removed in favor of hydrogen by chemical reduction or by catalytic hydrogenation (usually in the presence of a base and often accompanied by nuclear reduction). Such dechlorination may also be achieved by loss of hydrogen halide from a nucleus-reduced quinoxaline. The following examples illustrate these procedures.

3-Chloro-2-quinoxalinecarbaldehyde (**183**, R = Cl) gave 2-quinoxalinecarbaldehyde (**183**, R = H) (Zn, NaOH, EtOH–H_2O, 60°C, 10 h: ?%).[64]



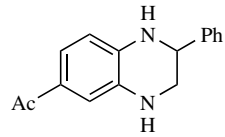
(**183**)

2-Chloro-5,7-dimethoxy-3-phenylquinoxaline (**184**, R = Cl) gave 5,7-dimethoxy-3-phenylquinoxaline (**184**, R = H) (Mg, AcOH, 85°C, 30 min: 85%).[486]



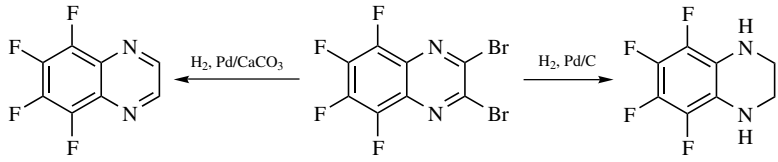
(**184**)

6-Acetyl-3-chloro-2-phenylquinoxaline gave 6-acetyl-2-phenyl-1,2,3,4-tetrahydroquinoxaline (**185**) [H_2 (3 atm), Pd/C, Et_3N, EtOH, 20°C, 2 h: 85%; note nuclear reduction] and thence 6-acetyl-2-phenylquinoxaline (H_2O_2, HCl, MeOH, 20°C, 40 min: 69%).[885]



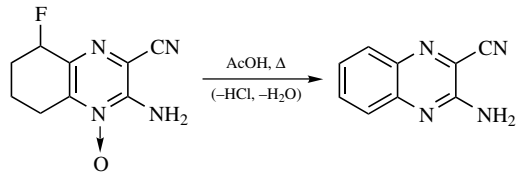
(**185**)

2,3-Dibromo-5,6,7,8-tetrafluoroquinoxaline (**187**) gave 5,6,7,8-tetrafluoroquinoxaline (**186**) [H_2, Pd/$CaCO_3$ (deactivated. Lindlar's catalyst), Et_3N CH_2Cl_2, 3 days: 44%] or 5,6,7,8-tetrafluoro-1,2,3,4-tetrahydroquinoxaline (**188**) (H_2, Pd/C, Et_3N, CH_2Cl_2, 2.5 days: >95%); note the selective dehalogenation in both procedures.[559]



(**186**) (**187**) (**188**)

3-Amino-8-chloro-5,6,7,8-tetrahydro-2-quinoxalinecarbonitrile 4-oxide (**189**) gave 3-amino-2-quinoxalinecarbonitrile (**190**) (AcOH, reflux, 3 h: 47%; by loss of HCl and H_2O).[354]



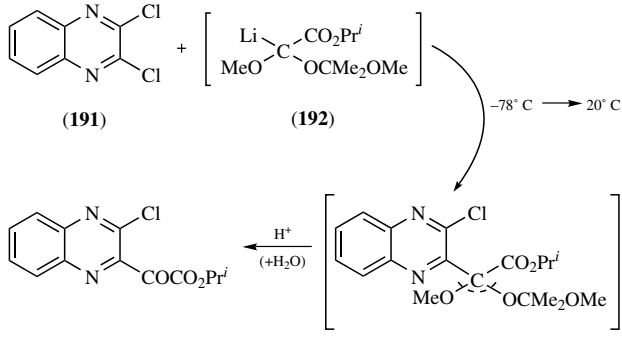
(**189**) (**190**)

3.2.7. Other Displacement Reactions of Nuclear Halogenoquinoxalines

Several minor displacement reactions of halogenoquinoxalines are illustrated in the following examples.

Replacement by an Acyl Group

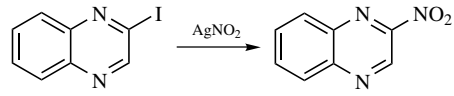
2,3-Dichloroquinoxaline (**191**) and isopropyl 2-lithio-2-methoxy-2-(1-methoxy-1-methylethoxy)acetate (**192**) (prepared in situ) gave the mixed ketal intermediate (**194**) that underwent gentle acid hydrolysis to afford 2-chloro-3-propoxyoxaloquinoxaline (**193**) [THF–$(Me_2NO)_3PO$, $-78°C \rightarrow 20°C$; then 2M HCl, 25°C, 20 min: 90%].[674]



(**191**) (**192**) (**193**) (**194**)

Replacement by a Nitro Group

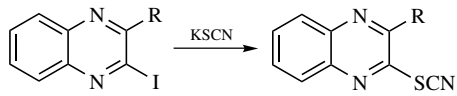
2-Iodoquinoxaline (**195**) gave 2-nitroquinoxaline (**196**) ($AgNO_2$, Bu_4NF, Me_2SO, 65°C, 3.5 h: 44% after separation from a little 2(1*H*)-quinoxalinone);[867] treatment of 2-chloroquinoxaline with sodium nitrite gave a very poor yield of product (**196**).[865]



(**195**) (**196**)

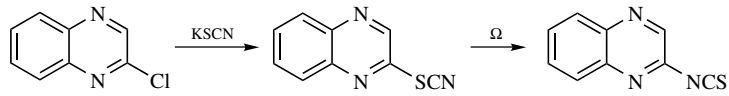
Replacement by a Thiocyanato Group

2-Iodo-3-methylquinoxaline (**197**, R = Me) gave 2-methyl-3-thiocyanatoquinoxaline (**198**, R = Me) (KSCN, MeCN, reflux, 2 h: 80%);[64] 3-iodo-2-quinoxalinecarbaldehyde (**197**, R = CHO) likewise gave 3-thiocyanato-2-quinoxalinecarbaldehyde (**198**, R = CHO) (KSCN, trace H_2O, MeCN, reflux, 30 min: 77%).[64]



(**197**) (**198**)

2-Chloroquinoxaline (**199**) gave a separable mixture of 2-thiocyanatoquinoxaline (**200**) and 2-isothiocycanatoquinoxaline (**201**) (KSCN, AcOH, 20°C, 4 h: 70% and 4%, respectively).[865]



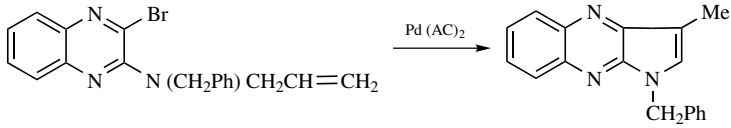
(**199**) (**200**) (**201**)

3.2.8. Cyclization Reactions of Nuclear Halogenoquinoxalines

Nuclear halogenoquinoxalines undergo a wide variety of cyclization reactions, both intra- and intermolecular. The following examples illustrate a selection of these.

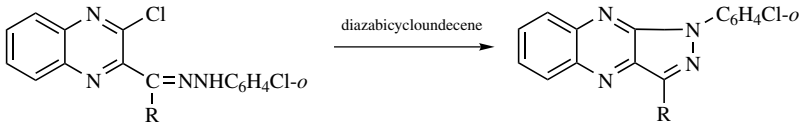
Intramolecular Cyclizations

2-(*N*-Allyl-*N*-benzylamino)-3-bromoquinoxaline (**202**) gave 1-benzyl-3-methyl-1*H*-pyrrolo[2,3-*b*]quinoxaline (**203**) [$Pd(OAc)_2$, K_2CO_3, Bu_4NBr, Me_2NCHO, 80°C, 30 min: 83%]; analogs likewise.[331]



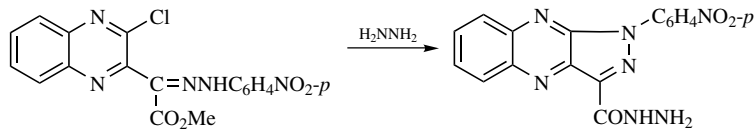
(**202**) (**203**)

2-Chloro-3-(*o*-chlorophenylhydrazonomethyl)quinoxaline (**204**, R = H) gave 1-*o*-chlorophenyl-1*H*-pyrazolo[3,4-*b*]quinoxaline (**205**, R = H) (diazabicycloundecene, Me_2NCHO, reflux, 3 h: 83%);[201] likewise 2-chloro-3-[α-(*o*-chlorophenylhydrazono-α-methoxycarbonylmethyl]quinoxaline (**204**, R = CO_2-Me) gave methyl 1-*o*-chlorophenyl-1*H*-pyrazolo[3,4-*b*]quinoxaline-3-carboxylate (**205**, R = CO_2Me) (diazabicycloundecene, Me_2NCHO–dioxane, reflux, 2 h: 97%).[213]



(**204**) (**205**)

2-Chloro-3-[α-methoxycarbonyl-α-(*p*-nitrophenylhydrazono)methyl]quinoxaline (**206**) gave 1-*p*-nitrophenyl-1*H*-pyrazolo[3,4-*b*]quinoxaline-3-carbohydrazide (**207**) ($H_2NNH_2 \cdot H_2O$, EtOH, reflux, 3 h: 86%).[491,503]

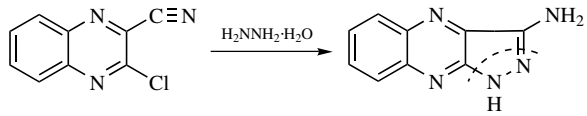


(**206**) (**207**)

Also other examples.[379,699]

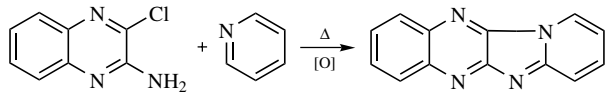
Intermolecular Cyclizations Involving One Halogeno Substituent

3-Chloro-2-quinoxalinecarbonitrile (**208**) gave 1*H*-pyrazolo[3,4-*b*]quinoxalin-3-amine (**209**) (excess neat $H_2NNH_2 \cdot H_2O$, reflux, 1 h: 90%;[477] $H_2NNH_2 \cdot H_2O$, EtOH, reflux, 30 min: 83%).[590]



(**208**) (**209**)

3-Chloro-2-quinoxalinamine (**210**) and pyridine gave pyrido[1′, 2′ : 1,2]imidazo[4,5-*b*]quinoxaline (**211**) (Me_2NCHO, 100°C, 48 h: 49%; note aerial oxidation).[93] Several 3-substituted analogs were made similarly using appropriate pyridine derivatives.[93]



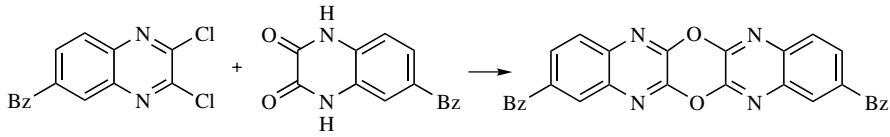
(**210**) (**211**)

Also other examples.[163,385,478,994,1071,1096]

Intermolecular Cyclizations Involving Two Adjacent Halogeno Substituents

6-Benzoyl-2,3-dichloroquinoxaline (**212**) and 6-benzoyl-2,3(1*H*,4*H*)-quinoxalinedione (**213**) gave a product formulated as 2,10-dibenzoyl[1,4]dioxino[2,3-*b* : 5,6-*b*′]diquinoxaline (**214**) [synthon (**213**), KOH, Me_2NCHO, reflux,

briefly; then substrate (**212**)↓, reflux, 8 h: 76%; there appears to be no evidence to preclude the product being, at least in part, the alternative 2,9-dibenzoyl isomer].[978]

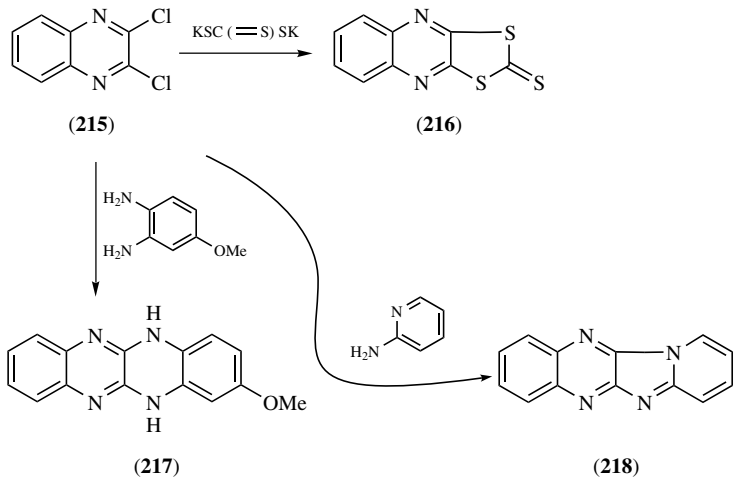


(**212**) (**213**) (**214**)

2,3-Dichloroquinoxaline (**215**) gave 1,3-dithiolo[4,5-*b*]quinoxaline-2-thione (**216**) [KSC(=S)SK (made in situ), Me_2NCHO, 45°C, 3 days: 85%].[80]

2,3-Dichloroquinoxaline (**215**) and 4-methoxy-1,2-benzenediamine gave 2-methoxy-5,12-dihydroquinoxalino[2,3-*b*]quinoxaline (**217**) (Na_2CO_3, Me_2NCHO, reflux, 5 h: 60%);[893] also many analogs somewhat similarly.[893,978]

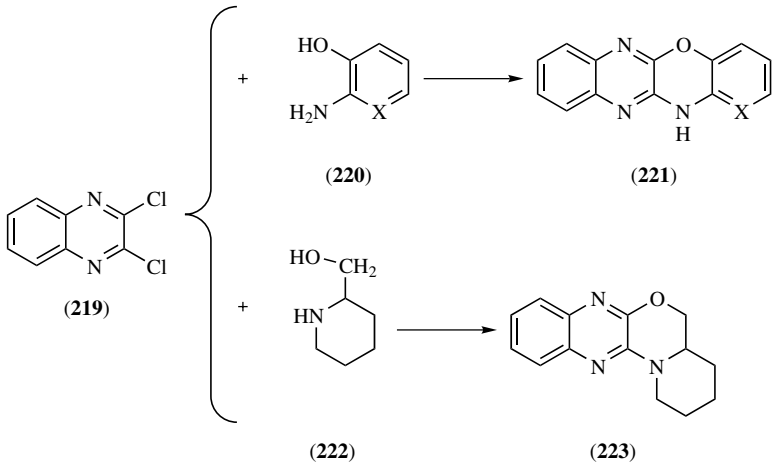
The same substrate (**215**) with 2-pyridinamine gave pyrido[1′,2′ : 1,2]imidazo[4,5-*b*]quinoxaline (**218**) (neat reactants, 220°C, 30 min: ~80%;[829] Et_3N, pyridine, reflux, 4 h: ?%);[52] also analogs somewhat similarly.[52,468]



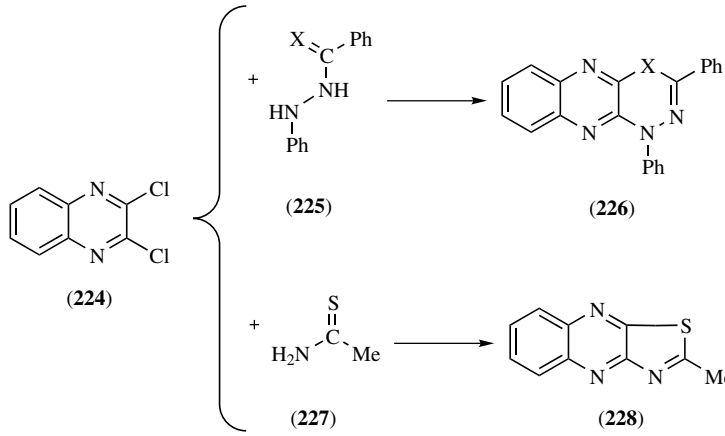
(**215**) (**216**) (**217**) (**218**)

2,3-Dichloroquinoxaline (**219**) with *o*-aminophenol (**220**, X = CH) gave 12*H*-quinoxalino[2,3-*b*][1,4]benzoxazine (**221**, X = CH) (synthon, KOH, H_2O–Me_2NCHO, warm; then substrate↓ slowly, reflux, 3 h: 83%);[243] the same substrate (**219**) with 2-amino-3-pyridinol (**220**, X = N) gave 12*H*-pyrido-[2′,3′ : 5,6]oxazino[2,3-*b*]quinoxaline (**221**, X = N) (likewise: 72%);[274] and analogs were made somewhat similarly.[978]

2,3-Dichloroquinoxaline (**219**) with 2-hydroxymethylpiperidine (**222**) gave 1,2,3,4,4a,5-hexahydropyrido[1′,2′ : 4,5][1,4]oxazino[2,3-*b*]quinoxaline (**223**) (Et_3N, Me_2NCHO, 95°C, 44 h: 71%; analogs likewise).[270]



2,3-Dichloroquinoxaline (**224**) with *N*′-phenylbenzohydrazide (**225**, X = O) gave 1,3-diphenyl-1*H*-[1,3,4]oxadiazino[5,6-*b*]quinoxaline (**226**, X = O) (Et_3N, Me_2NCHO, reflux, 12 h: 87%),[138] with *N*′-phenyl(thiobenzohydrazide) (**225**, X = S) gave 1,3-diphenyl-1*H*-[1,3,4]thiadiazino[5,6-*b*]quinoxaline (**226**, X = S) (Et_3N, MeCN, reflux, 30 min: 72%),[138] or with thioacetamide (**227**) gave 2-methylthiazolo[4,5-*b*]quinoxaline (**228**) (Me_2NCHO, reflux, 5 h: 75%;[100,cf. 52] analogs likewise).[100,232]



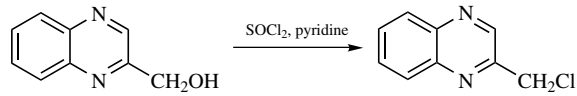
Also other examples.[275,691,818,978,1051,1111]

3.3. PREPARATION OF EXTRANUCLEAR HALOGENOQUINOXALINES

Most halogenoalkyl- and halogenoarylquinoxalines have been made by *primary synthesis* (see Chapter 1) or by *direct halogenation of alkyl- or arylquinoxalunes* (see Section 2.2.4). However, other minor procedures may be used, as illustrated in the following classified examples.

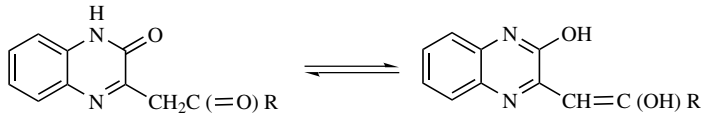
Extranuclear Halogenoquinoxalines from Corresponding Hydroxyquinoxalines or Acylmethylquinoxalines

2-Hydroxymethylquinoxaline (**229**) gave 2-chloromethylquinoxaline (**230**) ($SOCl_2$, pyridine, PhH, 0°C → 20°C, ~2.5 h: 67%).[650]

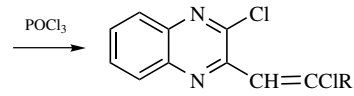


(**229**) (**230**)

3-Acetonyl-2(1*H*)-quinoxalinone (**231**, R = Me) reacted as its tautomer (**231a**, R = Me) to give 2-chloro-3-(2-chloroprop-1-enyl)quinoxaline (**232**, R = Me) ($POCl_3$, pyridine, reflux, 10 min: 62%);[78] 3-phenacyl-2(1*H*)-quinoxalinone (**231**, R = Ph) likewise gave 2-chloro-3-(β-chlorostyryl)quinoxaline (**232**, R = Ph) (30 min: 47%);[78] and other examples have been reported.[860]

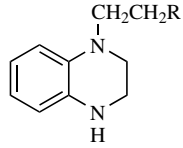


(**231**) (**231a**)



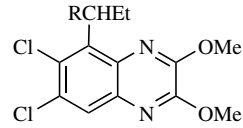
(**232**)

1-(2-Hydroxyethyl)-1,2,3,4-tetrahydroquinoxaline (**233**, R = OH) gave 1-(2-bromoethyl)-1,2,3,4-tetrahydroquinoxaline (**233**, R = Br) [48% HBr, Et_2O, then to dryness; PBr_3-$(H_2C)_4SO_2\downarrow$, 140°C, 30 min: 47% as hydrobromide].[602]



(**233**)

6,7-Dichloro-5-(1-hydroxypropyl)-2,3-dimethoxyquinoxaline (**234**, R = OH) gave 5-(1-bromopropyl)-6,7-dichloro-2,3-dimethoxyquinoxaline (**234**, R = Br) (CBr_4, Ph_2P, CH_2Cl_2, 20°C, 18 h: 46%).[1039]

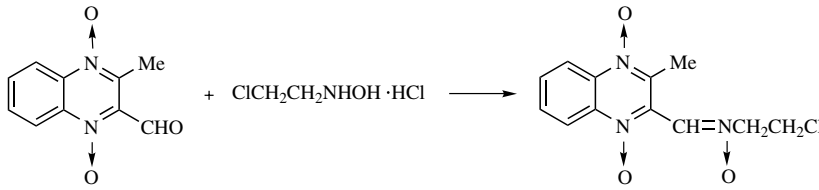


(**234**)

Extranuclear Halogenoquinoxalines by Passenger Processes

Note: The introduction of extranuclear halogeno substituents into quinoxalines by halogenoalkylation, halogenoacylation, halogenoarylaminolysis, and the like is well illustrated in appropriate chapters of this book. A single atypical example is given here.

3-Methyl-2-quinoxalinecarbaldehyde 1,4-dioxide (**235**) and *N*-(2-chloroethyl)-hydroxylamine hydrochloride (**236**) gave the nitrone, 2-(2-chloroethyliminomethyl)-3-methylquinoxaline 1,4,*N*-trioxide (**237**) ($NaHCO_3$, 95% EtOH, warm then 20°C, 1 h: 70% after separation from a byproduct).[703]



(**235**) (**236**) (**237**)

3.4. REACTIONS OF EXTRANUCLEAR HALOGENOQUINOXALINES

These halogenoquinoxalines undergo all the reactions that would be expected of a carbocyclic analog (e.g., 2-chloromethylnaphthalene) and at comparable rates unless the activity of an individual substrate is affected appreciably by an adjacent passenger group.

The *alkanelysis of extranuclear halogenoquinoxalines* has been covered in Section 2.2.1.4. Other reactions are discussed in the following subsections.

3.4.1. Aminolysis of Extranuclear Halogenoquinoxalines

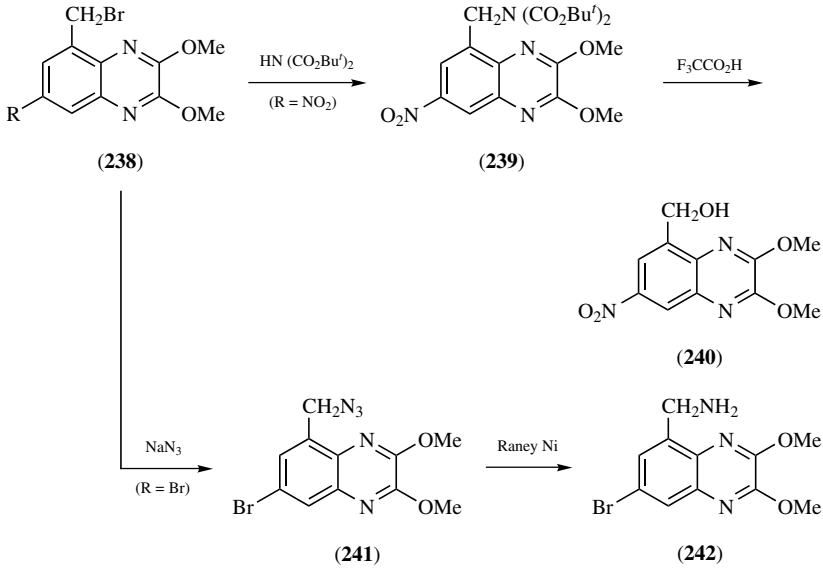
Extranuclear halogenoquinoxalines undergo aminolysis satisfactorily by primary, secondary, or tertiary amines; direct aminolysis by ammonia (ammonolysis)

is often less satisfactory: hence two indirect procedures are suggested in the classified examples that follow.

Ammonolysis (Indirect Procedures)

5-Bromomethyl-2,3-dimethoxy-7-nitroquinoxaline (**238**, R = NH_2) gave 5-(*N*,*N*-di-*tert*-butoxycarbonylaminomethyl)-2,3-dimethoxy-7-nitroquinoxaline (**239**) [$(Bu^tO_2C)_2NH$, Cs_2CO_3, Me_2NCHO, 50°C, 10 h: 98%] and thence 5-aminomethyl-2,3-dimethoxy-7-nitroquinoxaline (**240**) (F_3CCO_2H, 20°C, 8 h: 88%).[13]

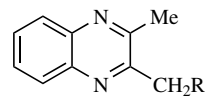
6-Bromo-8-bromomethyl-2,3-dimethoxyquinoxaline (**238**, R = Br) gave 5-azidomethyl-7-bromo-2,3-dimethoxyquinoxaline (**241**) (NaN_3, PhMe–H_2O, 55°C, 16 h: 65%) and thence 5-aminomethyl-7-bromo-2,3-dimethoxyquinoxaline (**242**) (Raney Ni, THF, 20°C, 15 h: 63%).[13]



(**238**) (**239**) (**240**) (**241**) (**242**)

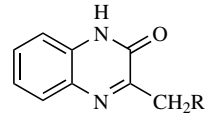
Aminolysis with Primary Amines

2-Bromomethyl-3-methylquinoxaline (**243**, R = Br) gave 2-methyl-3-(methylaminomethyl)quinoxaline (**243**, R = NHMe) ($MeNH_2$, EtOH, 0°C, 3 h: 90%).[719]



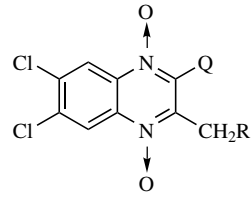
(**243**)

3-Bromomethyl-2(1*H*)-quinoxalinone (**244**, R = Br) gave 2-*p*-bromoanilinomethyl-2(1*H*)-quinoxalinone (**244**, R = NHC_6H_4Br-*p*) ($H_2NC_6H_4Br$-*p*, Na_2CO_3, EtOH, reflux, 3 h: 61%) or 3-(*N*′-phenylhydrazinomethyl)-2(1*H*)-quinoxalinone (**244**, R = NHNHPh) (H_2NHNPh, Na_2CO_3, EtOH, reflux, 3 h: 83%).[103]



(**244**)

2-Bromomethyl-6,7-dichloro-3-phenylthioquinoxaline 1,4-dioxide (**245**, Q = SPh, R = Br) gave 6,7-dichloro-2-(2-hydroxyethylamino)-3-(2-hydroxyethylamino)methylquinoxaline 1,4-dioxide (**245**, Q = R = $NHCH_2CH_2OH$) ($H_2NCH_2CH_2OH$, $CHCl_3$, 20°C, 4 days: 62%; note concomitant aminolysis of the phenylthio group).[483]

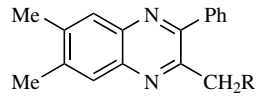


(**245**)

Also other examples.[15]

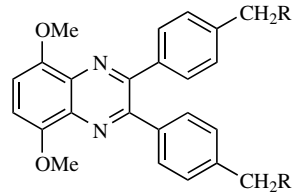
Aminolysis with Secondary Amines

2-Bromomethyl-6,7-dimethyl-3-phenylquinoxaline (**246**, R = Br) gave 2-dimethylaminomethyl-6,7-dimethyl-3-phenylquinoxaline (**246**, R = NMe_2) (Me_2NH, H_2O–MeCN, 20°C, 14 h: 15%).[728]



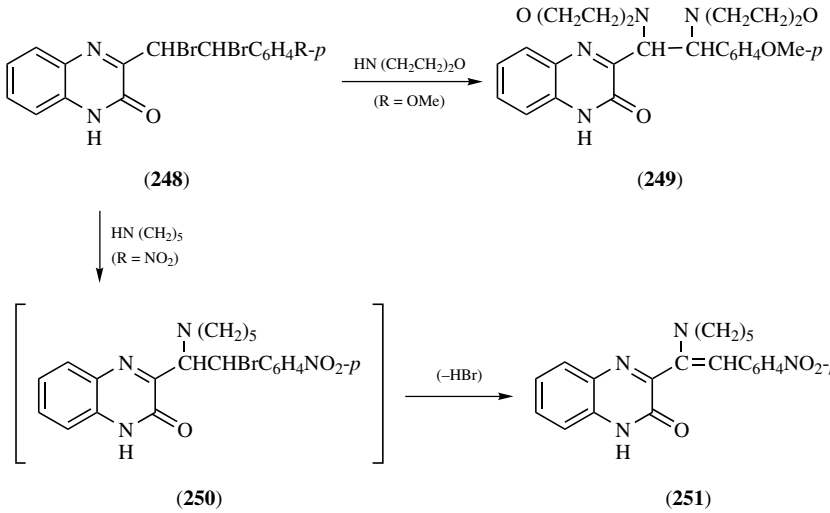
(**246**)

2,3-Bis[*p*-(bromomethyl)phenyl]-5,8-dimethoxyquinoxaline (**247**, R = Br) gave 5,8-dimethoxy-2,3-bis[*p*-(piperidinomethyl)phenyl]quinoxaline [**247**, R = $N(CH_2)_5$] [$HN(CH_2)_5$, dioxane, reflux, 30 min: 57%].[444]



(**247**)

3-(α,β-Dibromo-*p*-methoxyphenethyl)-2(1*H*)-quinoxalinone (**248**, R = OMe) gave 3-(*p*-methoxy-α,β-dimorpholinophenethyl)-2(1*H*)-quinoxalinone (**249**) [$HN(CH_2CH_2)_2O$, PhH, reflux, 4 h: 23%];[103] in contrast, 3-(α,β-dibromo-*p*-nitrophenethyl)-2(1*H*)-quinoxalinone (**248**, R = NO_2) gave 3-(*p*-nitro-α-piperidinostyryl)-2(1*H*)-quinoxalinone (**251**), probably by loss of HBr from the intermediate (**250**) [$HN(CH_2)_5$, dioxane, reflux, 1 h: 49%].[103]



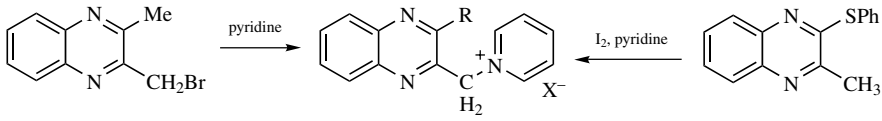
(**248**) (**249**) (**250**) (**251**)

Also other examples.[12,14,799,808]

Aminolysis with Tertiary Amines

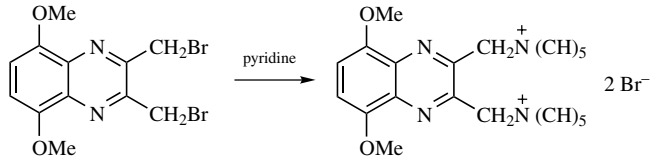
2-Bromomethyl-3-methylquinoxaline (**252**) gave 2-methyl-3-pyridiniomethylquinoxaline bromide (**253**, R = Me, X = Br) (pyridine, exothermic, 7 h: 50%);[231] in a different way, 2-methyl-3-phenylthioquinoxaline (**254**) gave 2-phenylthio-3-pyridiniomethylquinoxaline iodide (**253**, R = SPh, X = I) (I_2,

pyridine, 80°C, 3 h: 38%; probably by an initial iodination of the methyl group followed by aminolysis of the iodomethyl derivative).[231]



(**252**) (**253**) (**254**)

2,3-Bis(bromomethyl)-5,8-dimethoxyquinoxaline (**255**) gave 5,8-dimethoxy-2,3-bis(pyridiniomethyl)quinoxaline dibromide (**256**) (pyridine, 20°C, 30 min: 79%); the 6,7-dimethoxy isomer (64%) was made similarly.[553]



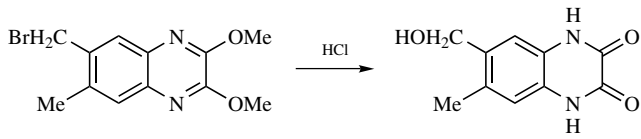
(**255**) (**256**)

3.4.2. Hydrolysis, Alcoholysis, or Phenolysis of Extranuclear Halogenoquinoxalines

Direct hydrolysis of (monohalogenoalkyl)quinoxalines can be difficult but indirect routes are available. Alcoholysis and phenolysis are usually straightforward processes. The following examples illustrate both direct and indirect procedures.

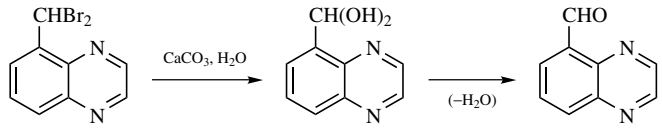
Hydrolysis (Direct)

6-Bromomethyl-2,3-dimethoxy-7-methylquinoxaline (**257**) gave 6-hydroxymethyl-7-methyl-2,3(1*H*,4*H*)-quinoxalonedione (**258**) (1M HCl, reflux, 12 h: 49%; note concomitant hydrolysis of the methoxy groups).[46]



(**257**) (**258**)

Crude 5-dibromomethylquinoxaline (**259**) (prepared by bromination of 5-methylquinoxaline) gave 5-quinoxalinecarbaldehyde (**261**) via the hydrate (**260**) ($CaCO_3$, H_2O–EtOH, reflux, 3 h: 71%).[75]

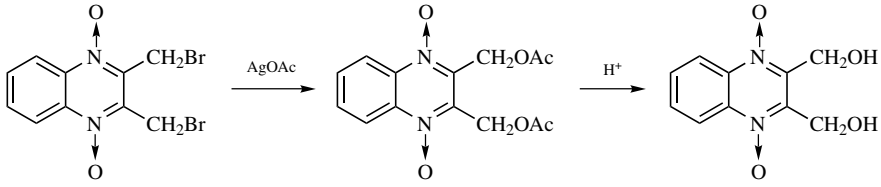


(**259**) (**260**) (**261**)

Also other examples.[799]

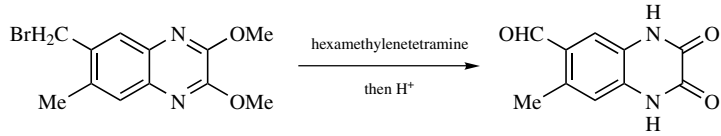
Hydrolysis (Indirect)

2,3-Bis(bromomethyl)quinoxaline 1,4-dioxide (**262**) gave 2,3-bis(acetoxymethyl)quinoxaline 1,4-dioxide (**263**) (AgOAc, AcOH, 45°C, dark, 17 h: ~40%) and thence 2,3-bis(hydroxymethyl)quinoxaline 1,4-dioxide (**264**) (2M HCl 90°C, 5 min: ~40%).[230,cf. 1072]



(**262**) (**263**) (**264**)

6-Bromomethyl-2,3-dimethoxy-7-methylquinoxaline (**265**) underwent a classical Sommelet reaction and incidental hydrolysis of the methoxy groups to give 7-methyl-2,3-dioxo-1,2,3,4-tetrahydro-6-quinoxalinecarbaldehyde (**266**) (hexamethylenetetramine, $CHCl_3$, 20°C → reflux, 30 min; solid from evaporation, AcOH–H_2O, reflux, 2 h: then HCl↓, reflux, 5 min: 76%).[46]

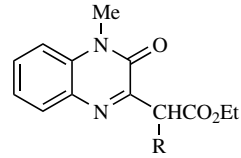


(**265**) (**266**)

Also other examples.[291,320,322,728]

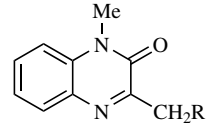
Alcoholysis or Phenolysis

3-(α-Bromo-α-ethoxycarbonylmethyl)-1-methyl-2(1*H*)-quinoxalinone (**267**, R = Br) gave 3-(α-ethoxy-α-ethoxycarbonylmethyl)-1-methyl-2(1*H*)-quinoxalinone (**267**, R = OEt) (EtONa, EtOH, 20°C, 16 h: 30%).[151]



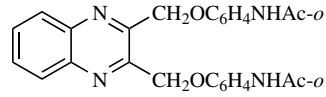
(**267**)

3-Bromomethyl-1-methyl-2(1*H*)-quinoxalinone (**268**, R = Br) gave 1-methyl-3-phenoxymethyl-2(1*H*)-quinoxalinone (**268**, R = OPh) (PhOH, NaOH, Me_3PhCH_2NCl, $CHCl_3$–H_2O, 50°C, tlc monitored: 41%; analogs likewise).[1005]



(**268**)

2,3-Bis(bromomethyl)quinoxaline gave 2,3-bis(*o*-acetamidophenoxymethyl)quinoxaline (**269**) ($AcHNC_6H_4OK$-*o*, Me_2NCHO, reflux, 5 min: 72%; analogs likewise).[1008]



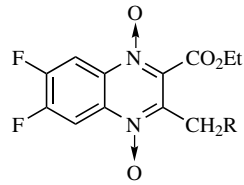
(**269**)

Also other examples.[339,800]

3.4.3. Acyloxy Derivatives from Extranuclear Halogenoquinoxalines

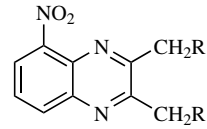
These quinoxalinylalkyl esters of alkane- or arenecarboxylic acids are sometimes used as intermediates (see, e.g., Section 3.4.2). The formation of an analogous quinoxalinylmethyl nitrate is included in this section. Examples follow.

Ethyl 3-bromomethyl- (**270**, R = Br) gave ethyl 2-acetoxymethyl-6,7-difluoro-2-quinoxalinecarboxylate 1,4-dioxide (**270**, R = OAc) (AcOH–AcMe, 20°C, $Et_3N\downarrow$ dropwise, 15 min; then substrate↓ slowly, 20°C, 2 h: 80%).[801,907]



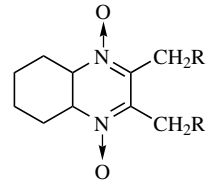
(**270**)

2,3-Bis(bromomethyl)-5-nitroquinoxaline (**271**, R = Br) gave 2,3-bis(acetoxymethyl)-5-nitroquinoxaline (**271**, R = OAc) (AcOK, H_2O–EtOH, 60°C, 8 h: 83%); the 5-methoxy analog (66%) was made similarly.[882]



(**271**)

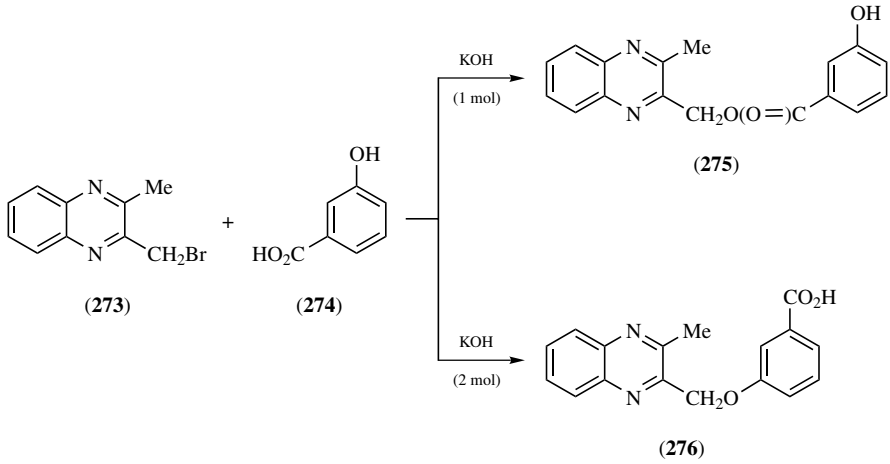
2,3-Bis(bromomethyl)- (**272**, R = Br) gave 2,3-bis(acetoxymethyl)-4a,5,6,7,8,8a-hexahydroquinoxaline 1,4-dioxide (**272**, R = OAc) (AcONa, 15-crown-5, MeCN, 20°C, 4 days: 60%).[799]



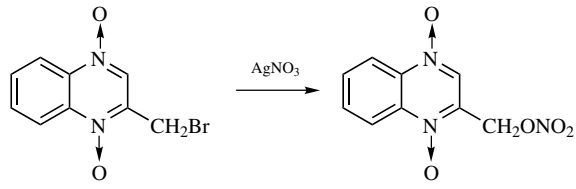
(**272**)

2-Bromomethyl-3-methylquinoxaline (**273**) and *m*-hydroxybenzoic acid (**274**) gave either 2-(*m*-hydroxybenzoyloxymethyl)-3-methylquinoxaline (**275**) [KOH (1 mol), EtOH, reflux, 2 h: 69%] or 2-(*m*-carboxyphenoxymethyl)-3-methylquinoxaline (**276**) [KOH (2 mol), EtOH, 50°C→reflux, 1 h: 44%]; *p*-hydroxybenzoic acid reacted similarly but *o*-hydroxybenzoic acid (salicylic acid) gave only the isomer of product (**275**) even in the presence of KOH (2 mol).[216] It would seem that the substrate (**275**) preferred to react at the phenolic anion rather than at the carboxylate anion when both were present

on the same synthon molecule; however, in the case of salicylic acid, steric considerations precluded that option.



2-Bromomethylquinoxaline 1,4-dioxide (**277**) gave 2-[(nitrooxy)methyl]quinoxaline 1,4-dioxide (**278**) ($AgNO_3$, MeCN, 20°C, dark, 90 min: 56%);[144] with ethyl carbazate, this afforded the antibacterial/growth-promoting agent, 2-(methoxycarbonylhydrazonomethyl)quinoxaline 1,4-dioxide (Mecadox or Carbadox) (H_2NHNCO_2Me, CH_2Cl_2, 95°C→20°C, 12 h: 96%).[144]



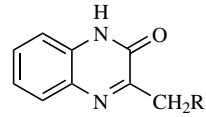
2-Bromomethyl-6,7-dimethoxy-1-methyl-2(1*H*)-quinoxalinone reacts with many fatty and other acids (K_2CO_3, 18-crown-6, MeCN, 80°C, 20 min) to afford analytically useful fluorescent esters.[942]

Also other examples.[610]

3.4.4. Thiolysis, Alkanethiolysis, Arenethiolysis, or Arenesulfinolysis of Extranuclear Halogenoquinoxalines

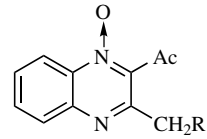
Of these processes, thiolysis appears to have been unused in recent years perhaps because the resulting mercaptoalkyl products are very prone to aerial oxidation. The following examples illustrate procedures that afford sulfides or sulfones.

3-Bromomethyl-2(1*H*)-quinoxalinone (**279**, R = Br) gave 3-methylthiomethyl-2(1*H*)-quinoxalinone (**279**, R = SMe) [MeSNa (made in situ), EtOH, substrate↓ slowly, 0°C→20°C, 2 h: 51%].[785]



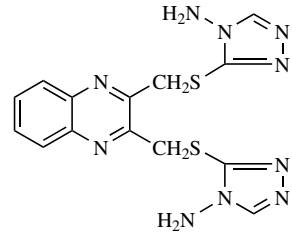
(**279**)

2-Acetyl-3-bromomethylquinoxaline 1-oxide (**280**, R = Br) gave 2-acetyl-3-methylthiomethylquinoxaline 1-oxide (**280**, R = SMe) [Et_3N, $CHCl_3$ (?), MeSH↓, during 90 min, 20°C; stood 12 h: 58%] or 2-acetyl-3-methylsulfonylmethylquinoxaline 1-oxide (**280**, R = SO_2Me) ($MeSO_2Na$, H_2O–EtOH, reflux, 90 min: 76%).[712]



(**280**)

2,3-Bis(bromomethyl)quinoxaline and 4-amino-1,3,4-triazole-3(2*H*)-thione gave 2,3-bis(4-amino-1,2,4-triazol-3-ylthiomethyl)quinoxaline (**281**) (KOH, EtOH, 20°C→reflux, 1 h: 71%; analogs likewise).[1048]



(**281**)

Also other examples.[395,954]

3.4.5. Other Displacement Reactions of Extranuclear Halogenoquinoxalines

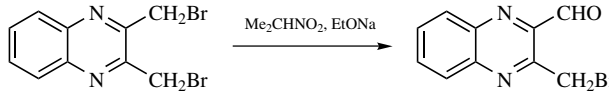
These processes may be considered minor, only in the sense that they have seldom been used in recent years. The following examples illustrate their utility in the right context.

Conversion into Extranuclear Azidoquinoxalines

An example has been given in the first group of Section 3.4.1.

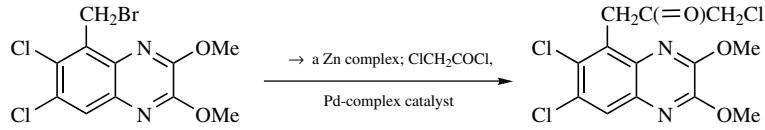
Conversion into Extranuclear Acylquinoxalines

2,3-Bis(bromomethyl)quinoxaline (**282**) gave 3-bromomethyl-2-quinoxalinecarbaldehyde (**283**) (Me_2CHNO_2, EtONa, EtOH, 20°C, N_2; then substrate↓, THF, 0°C→25°C, 12 h: 34%).[1043]



(**282**) (**283**)

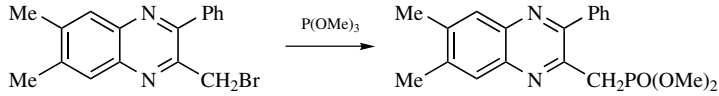
5-Bromomethyl-6,7-dichloro-2,3-dimethoxyquinoxaline (**284**) gave 6,7-dichloro-5-(3-chloroacetonyl)-2,4-dimethoxyquinoxaline (**285**) (by treatment of a derived Zn complex with $ClCH_2COCl$ in the presence of a Pd complex as catalyst: 75%. See original paper for considerable detail.[1039])



(**284**) (**285**)

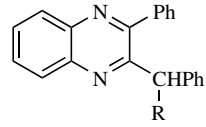
Conversion into Extranuclear Phosphinylquinoxalines

2-Bromomethyl-6,7-dimethyl-3-phenylquinoxaline (**286**) gave 2-(dimethoxyphosphinyl)methyl-6,7-dimethyl-3-phenylquinoxaline (**287**) [$P(OMe)_3$, PhMe, reflux, 5 h: 45%].[728]



(**286**) (**287**)

2-(α-Chlorobenzyl)-3-phenylquinoxaline (**288**, R = Cl) gave 2-α-(dimethoxyphosphinyl)benzyl-3-phenylquinoxaline [**288**, $R = PO(OMe)_2$] [excess neat $P(OMe)_3$, reflux, 50 h: >95%].[339]

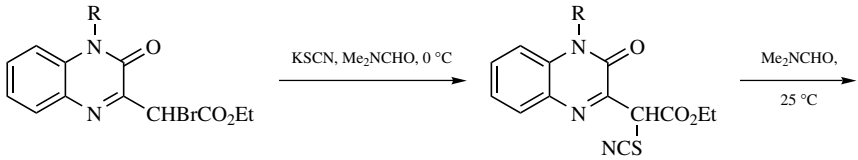


(**288**)

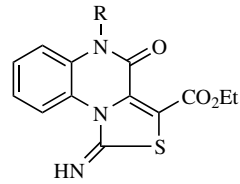
Also other examples.[356]

Conversion into Extranuclear Thiocyanatoquinoxalines

3-(α-Bromo-α-ethoxycarbonylmethyl)-2(1*H*)-quinoxalinone (**289**, R = H) or its 1-methyl derivative (**289**, R = Me) gave 3-(α-ethoxycarbonyl-α-thiocyanatomethyl)-2(1*H*)-quinoxalinone (**290**, R = H) (KSCN, Me_2NCHO–H_2O, 0°C, substrate↓ slowly, 3 h: 70%) or 3-(α-ethoxycarbonyl-α-thiocyanatomethyl)-1-methyl-2(1*H*)-quinoxalinone (**290**, R = Me) (likewise: 75%), respectively; when both reactions were done at 25°C, cyclization occurred to give ethyl 1-imino-4-oxo-4,5-dihydro-1*H*-thiazolo[3,4-*a*]quinoxaline-3-carboxylate (**291**, R = H) (80%) or its 5-methyl derivative (**291**, R = Me) (80%), respectively.[151]



(**289**) (**290**)

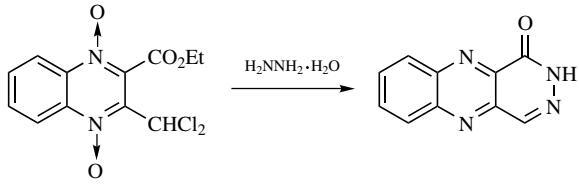


(**291**)

3.4.6. Cyclization Reactions of Extranuclear Halogenoquinoxalines

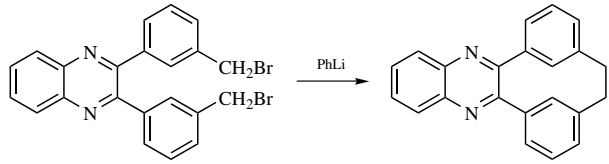
Most of the recently reported cyclizations of extranuclear halogenoquinoxalines have involved 2,3- or 6,7-bis(halogenoalkyl)quinoxalines as substrates. These and a few other types of cyclization are illustrated briefly in the following examples.

Ethyl 3-dichloromethyl-2-quinoxalinecarboxylate 1,4-dioxide (**292**) gave pyridazino[4,5-*b*]quinoxalin-1(2*H*)-one (**293**) ($H_2NNH_2 \cdot H_2O$, EtOH, 0°C→ 20°C, 24 h: 60%; note the concomitant removal of the *N*-oxide entities).[226,883]



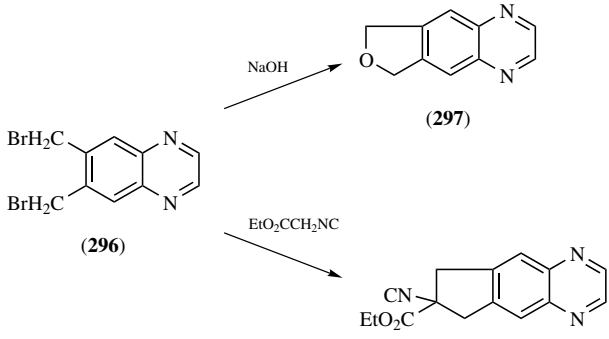
(**292**) (**293**)

2,3-Bis[*m*-(bromomethyl)phenyl]quinoxaline (**294**) gave 11,12-dihydro-6,10 : 13,17-dimethylenecyclotetradeca[*b*]quinoxaline (**295**) (PhLi, THF–PhH–Et_2O, N_2, O°C → reflux, 3 h: 8%).[218]

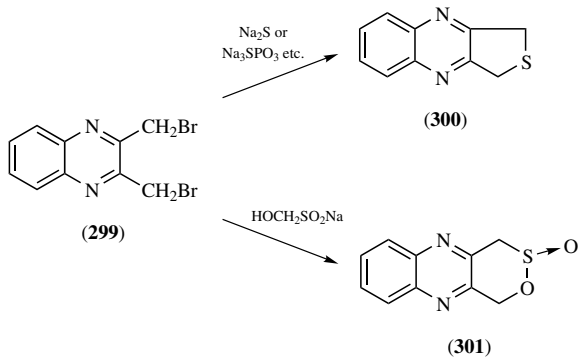


(**294**) (**295**)

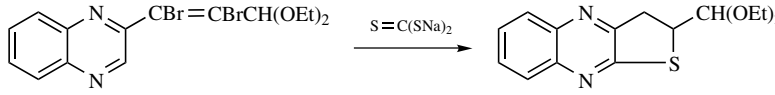
6,7-Bis(bromomethyl)quinoxaline (**296**) gave either 6,8-dihydrofuro[3,4-*g*]quinoxaline (**297**) (NaOH, H_2O–MeCN, Bu_4NHSO_4, no further details: 84%) or ethyl 7-isocyano-7,8-dihydro-6*H*-cyclopenta[*g*]quinoxaline-7-carboxylate (**298**) (EtO_2CCH_2NC, K_2CO_3, Bu_4NHSO_4, MeCN, no further details: 38%) and thence the 7-amino ester (HCl–EtOH, no further details: ~90%).[685]



2,3-Bis(bromomethyl)quinoxaline (**299**) gave 1,3-dihydrothiino[3,4-*b*]quinoxaline (**300**) (Na_2S, H_2O–EtOH, 20°C, 40 min. 77%;[185] somewhat similarly but different workup: 40%;[297] Na_3SPO_3, MeOH, reflux, 12 h, then hydrolysis and oxidation during workup: 34%)[680] or 1,4-dihydro[1,2]oxathiino[4,5-*b*]quinoxaline *S*-oxide (**301**) [$HOCH_2SO_2Na \cdot 2H_2O$ (rangolite), Me_2NCHO, 0°C, 7 h: 29%; mechanism?].[110,cf. 1073]



2-(1,2-Dibromo-3,3-diethoxyprop-1-enyl)quinoxaline (**302**) and disodium trithiocarbonate gave 2-(diethoxymethyl)thieno[2,3-*b*]quinoxaline (**303**) (MeOH–H_2O, 20°C, 2 h: 53%; mechanism discussed briefly);[1062] many analogs were made similarly.[564,1062]



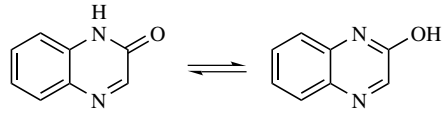
(**302**) (**303**)

Also other examples.[190,602,951]

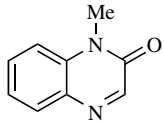
CHAPTER 4

Oxyquinoxalines
(*H* 235, 270; *E* 78, 199)

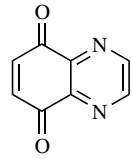
As used here, the term *oxyquinoxaline* includes derivatives such as the cycloamidic quinoxalinones, both tautomeric (**1**) and nontautomeric (**2**); the quinoxalinequinones (**3**); the alcoholic hydroxyalkylquinoxalines (**4**); the etherial alkoxyquinoxalines (**5** and **6**); the ester-like acyloxyquinoxalines (**7**); the quinoxaline *N*-oxides (**8**); and nucleus-reduced analogs of all these.



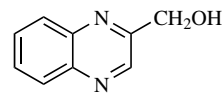
(**1**)



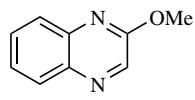
(**2**)



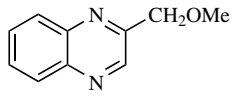
(**3**)



(**4**)



(**5**)



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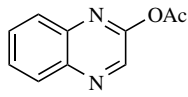
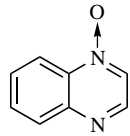


(**7**)



(**8**)

4.1. TAUTOMERIC QUINOXALINONES (*H* 235; *E* 78)

Although there can be no real doubt that the potentially tautomeric 2(1*H*)-quinoxalinones (e.g., **1**), and 2,3 (1*H*,4*H*)-quinoxalinediones normally exist as such,

Quinoxalines: Supplement II, *Chemistry of Heterocyclic Compounds, Volume 61*, by Desmond J. Brown
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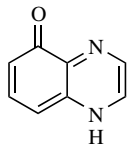
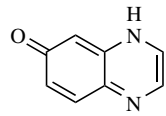
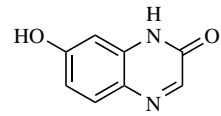
two confirmatory studies (one based on IR and UV spectra,[206] the other on aromaticity measurements[647]) have been reported. Simple 5(1*H*)-quinoxalinones (**9**) and 6(4*H*)-quinoxalinones (**10**) can also be written as such, but there appears to be no firm evidence in support of such structures: pending elucidation, they are so named in this book. However, some compounds, such as 7-hydroxy-2(1*H*)-quinoxalinone (**11**), cannot even be written in dioxo forms and accordingly are named with their oxo substituent in the prazazine ring.



(**9**)

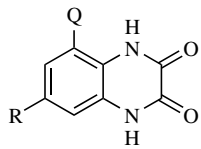


(**10**)

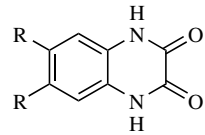


(**11**)

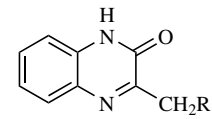
An X-ray analysis has been reported for 2(1*H*)-quinoxalinone (**1**);[41] also for each of the following quinoxalinediones: 6-nitro- (**12**, Q = H, R = NO_2),[4,45] 5,7-dinitro- (**12**, Q = R = NO_2),[45] 5,7-dichloro- (**12**, Q = R = Cl),[45] 5-chloro-7-nitro- (**12**, Q = Cl, R = NO_2),[1] 6-amino-8-chloro- (**12**, Q = Cl, R = NH_2),[2] 6,7-dinitro- (**13**, R = NO_2),[45] and 6,7-dichloro- 2,3(1*H*,4*H*)-quinoxalinedione (**13**, R = Cl).[45] A mass spectral study 3-(substituted-methyl)-2(1*H*)-quinoxalinones (**14**) and related compounds has been published.[763]



(**12**)



(**13**)



(**14**)

4.1.1. Preparation of Tautomeric Quinoxalinones (*H* 235; *E* 79)

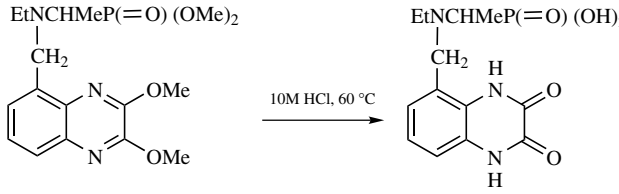
Most tautomeric quinoxalinones have been made either by *primary synthesis* (see Chapter 1) or by *direct or indirect hydrolysis of halogenoquinoxalines* (see Section 3.2.2). The remaining preparative routes are illustrated in the following classified examples.

From Alkoxyquinoxalines

Note: Both 2- and 3-alkoxyquinoxalines are amenable to reasonably gentle hydrolysis, but 5- to 8-alkoxyquinoxalines require more vigorous procedures.

5-[*N*-(1-Dimethoxyphosphinylethyl)-*N*-ethylaminomethyl]-2,3-dimethoxyquinoxaline (**15**) gave 5- [*N*-(1-dihydroxyphosphinylethyl)-*N*-ethylaminomethyl]-

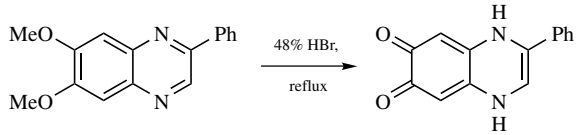
2,3(1*H*,4*H*)-quinoxalinedione (**16**) (10M HCl, 60°C: 82%; note concomitant hydrolysis of the ester).[12]



(**15**) (**16**)

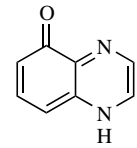
The kinetics for alkaline hydrolysis of 2-(*o*-, *m*-, or *p*-nitrophenoxy)quinoxaline to 2(1*H*)-quinoxalinone have been measured in some detail.[651,654,655]

6,7-Dimethoxy-2-phenylquinoxaline (**17**) gave 2-phenyl-6,7(1*H*,4*H*)-quinoxalinedione (**18**) (48% HBr, reflux, 23 h: 53% as hydrobromide).[728]



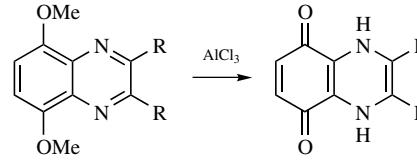
(**17**) (**18**)

5-Methoxyquinoxaline gave 5(1*H*)-quinoxalinone (**19**) (48% HBr, reflux, 6 h: 74%).[741,918,cf. 1074]



(**19**)

5,8-Dimethoxyquinoxaline (**20**, R = H) gave 5,8(1*H*,4*H*)-quinoxalinedione (**21**, R = H)($AlCl_3$, PhMe, 80°C, 4 h: 80%);[267] 5,8-dimethoxy-2,3-di-*p*-tolylquinoxaline (**20**, R = C_6H_4Me-*p*) gave 2,3-di-*p*-tolyl-5,8(1*H*,4*H*)-quinoxalinedione (**21**, R = C_6H_4Me-*p*) ($AlCl_3$, PhH, reflux, 8 h: 62%).[365]



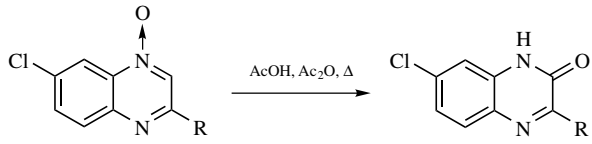
(**20**) (**21**)

Also other examples,[506,638]

From Quinoxaline 1/4-Oxides

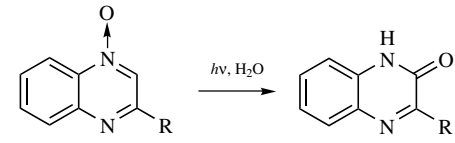
Note: This transformation is often done indirectly, for example, by a Meissenheimer reaction and subsequent hydrolysis, but it can be done at least semidirectly as exemplified here.

2-Azido-6-chloroquinoxaline 4-oxide (**22**, $R = N_3$) gave 3-azido-7-chloro-2(1*H*)-quinoxalinone (**23**, $R = N_3$) (Ac_2O, AcOH, reflux, 4 h: 46%);[480] 6-chloro-2-piperidinoquinoxaline 4-oxide [**22**, $R = N(CH_2)_5$] gave 7-chloro-3-piperidino-2(1*H*)-quinoxalinone [**23**, $R = N(CH_2)_5$](likewise: 58%).[480]

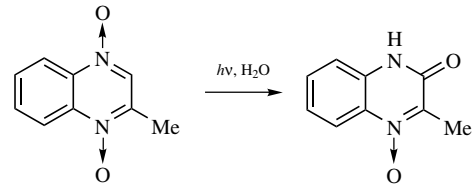


(**22**) (**23**)

Quinoxaline 1-oxide (**24**, R = H) gave 2(1*H*)-quinoxalinone (**25**, R = H) (*hν*, H_2O, N_2, until complete: 90%);[518] 2-methylquinoxaline 4-oxide (**24**, R = Me) likewise gave 3-methyl-2(1*H*)-quinoxalinone (**25**, R = Me) (95%);[518] and 2-methylquinoxaline 1,4-dioxide (**26**) gave 3-methyl-2(1*H*)-quinoxalinone 4-oxide (**27**) (*hν*, H_2O, 48 h: 85%).[76]



(**24**) (**25**)



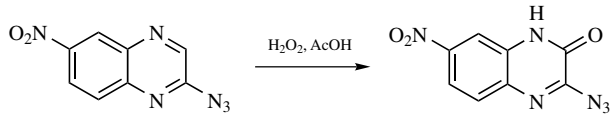
(**26**) (**27**)

Also other examples.[98]

By Oxidative Hydroxylation

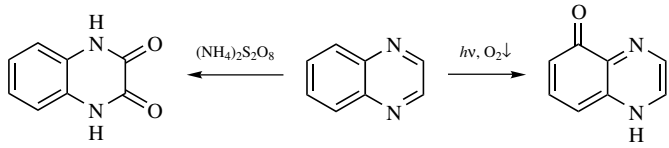
Note: Some of these oxidative introductions of *C*-OH/=O substituents may well take place via intermediate *N*-oxides.

2-Azido-6-nitroquinoxaline (**28**) gave 2-azido-7-nitro-2(1*H*)-quinoxalinone (**29**) (30% H_2O_2, AcOH, 85°C, 16 h: ~70%).[720]



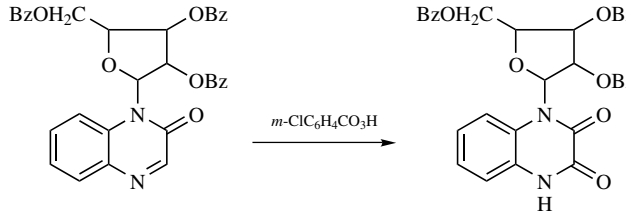
(**28**) (**29**)

Quinoxaline (**31**) gave 2,3(1*H*, 4*H*)-quinoxalinedione (**30**) [$(NH_4)_2S_2O_8$, H_2O, reflux, 1 h: 42%][263] or 5(1*H*)-quinoxalinone (**32**) (0.5M H_2SO_4, $O_2\downarrow$, *h*ν, 20°C, 4 days: ~50%);[741] 2(1*H*)-quinoxalinone gave 2,3(1*H*,4*H*)-quinoxalinedione (**30**) ($KMnO_4$, NaOH, H_2O, reflux, 3 h: 52%).[48]



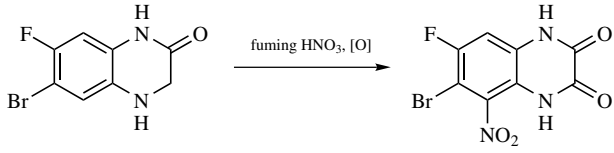
(**30**) (**31**) (**32**)

1-(2,3,5-Tri-*O*-benzoyl-β-D-ribofuranosyl)-2(1*H*)-quinoxalinone (**33**) gave 1-(2,3,5-tri-*O*-benzoyl-β-D-ribofuranosyl)-2,3(1*H*,4*H*)-quinoxalinedione (**34**) (*m*-$ClC_6H_4CO_3H$, CH_2Cl_2, 20°C, 26 h: 62%).[697]



(**33**) (**34**)

6-Bromo-7-fluoro-3,4-dihydro-2(1*H*)-quinoxalinone (**35**) gave 6-bromo-7-fluoro-5-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**36**) regioselectively (fuming HNO_3, F_3CCO_2H, 20°C, 12 h: 85%; analogs likewise).[191]



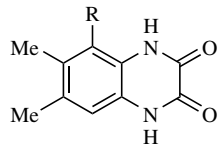
(**35**) (**36**)

Also other examples in Section 2.1.3 and elsewhere.[257,418,425]

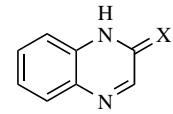
From Miscellaneous Substrates

6,7-Dimethoxy-2,3(1*H*,4*H*)-quinoxalinedione (**37**, R = H) gave 5-acetoxy- (**37**, R = OAc) (90% HNO_3, AcOH, 20°C, ? h: 52%; an unexpected outcome) and thence 5-hydroxy-6,7-dimethoxy-2,3(1*H*,4*H*)-quinoxalinedione (**37**, R = OH) (2M NaOH, ?°C, 2 h: 82%);[681] homologs likewise.[681]

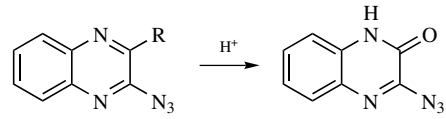
The following conversions have been studied: alkaline hydrolysis of 2(1*H*)-quinoxalinethione (**38**, X = S) to 2(1*H*)-quinoxalinone (**38**, X = O);[422] acidic hydrolysis of 3-azido-2-quinoxalinamine (**39**, R = NH_2) or 2-azido-3-(triphenylphosphoranylideneamino)quinoxaline (**39**, R = N : PPh_3) to 3-azido-2(1*H*)-quinoxalinone (**40**);[802] photolytic hydrolysis of 2,3,6-trimethyl-5-nitroquinoxaline (**41**) to 2,3,6-trimethyl-5(1*H*)-quinoxalinone (**42**);[957] and the aerial oxidation of ethyl 6-oxo-5,6,7,8-tetrahydro-5-quinoxalinecarboxylate to ethyl 6-oxo-4,6-dihydro-5-quinoxalinecarboxylate (61%).[246]



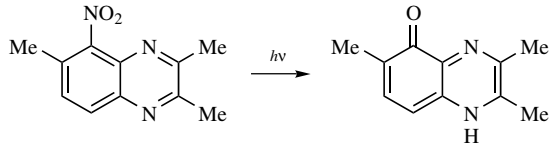
(**37**)



(**38**)



(**39**) (**40**)



(**41**) (**42**)

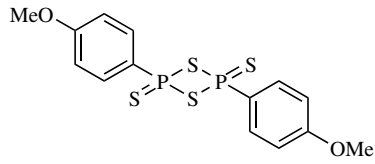
Also other examples.[929]

4.1.2. Reactions of Tautomeric Quinoxalinones (*E* 86, 95)

The important conversion of *tautomeric quinoxalinones into halogenoquinoxalines* has been discussed in Section 3.1.1. Other reactions are covered in the subsections that follow.

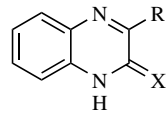
4.1.2.1. Conversion into Quinoxalinethiones

This conversion may be done indirectly via halogenoquinoxalines (Sections 3.1.1 and 3.2.3), but direct thiation with phosphorus pentasulfide/pyridine or with Lawesson's reagent (**43**) is less time-consuming. The following examples illustrate typical direct procedures.



(**43**)

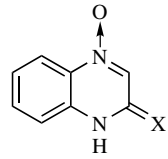
3-Phenyl-2(1*H*)-quinoxalinone (**44**, R = Ph, X = O) gave 3-phenyl-2(1*H*)-quinoxalinethione (**44**, R = Ph, X = S) (P_2S_5, pyridine, reflux, 4 h: 95%).[159]



(**44**)

3-methyl-2(1*H*)-quinoxalinone (**44**, R = Me, X = O) gave 3-methyl-2(1*H*)-quinoxalinethione (**44**, R = Me, X = S) [P_2S_5, pyridine, reflux, 5 h: 85%;[103,105,cf. 811] Lawesson's reagent (**43**), $MeOCH_2CH_2OMe$, reflux, <3 h: ?%].[47]

2(1*H*)-Quinoxalinone 4-oxide (**45**, X = O) gave 2(1*H*)-quinoxalinethione 4-oxide (**45**, X = S) (P_2S_5, pyridine, 70°C, 2 h: 81%).[65]



(**45**)

Also other examples.[68,317,812]

4.1.2.2. Conversion into O- and/or N-Alkylated Derivatives

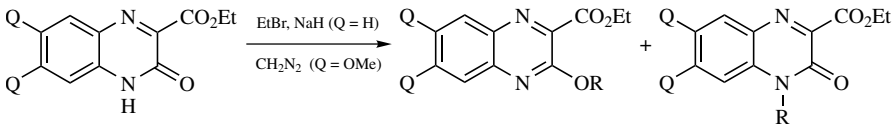
It seems likely that direct alkylation of a tautomeric quinoxalinone invariably gives a mixture of *O*- and *N*-alkylated products in which the more soluble

alkoxyquinoxaline is usually the minor component; indeed, it may be present in such a small amount that it is simply overlooked and lost during normal preparative and workup procedures. However, the proportion of *O*-alkyl to *N*-alkyl derivative may be improved when a reagent such as diazomethane is used or when steric or electronic factors associated with the substrate or reagent are favorable. To avoid any ambiguity, authentic alkoxyquinoxalines may be made from tautomeric quinoxalinones via halogenoquinoxaline intermediates (see Sections 3.1.7 and 3.2.2), and authentic *N*-alkylquinoxalinones can be made from tautomeric quinoxalinones via the *O*-trimethylsilyl derivatives using the silyl Hilbert–Johnson reaction.[1067a]

Various types of direct alkylation as well as the silyl procedure are illustrated in the following classified examples.

Direct Alkylations Affording Both *O*- and *N*-Alkylated Quinoxalinones

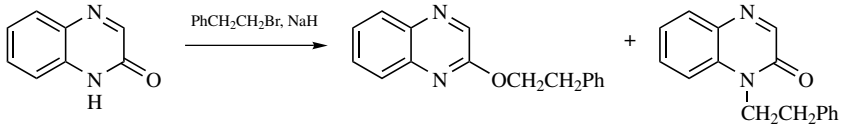
Ethyl 3-oxo-3,4-dihydro-2-quinoxalinecarboxylate (**46**, Q = H) gave a separable mixture of ethyl 3-ethoxy-2-quinoxalinecarboxylate (**47**, Q = H, R = Et) and ethyl 4-ethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate (**48**, Q = H, R = Et) (NaH, Me_2NCHO, 20°C, A, 40 min; then EtBr↓, reflux, 6 h: 11% and 20%, respectively).[535]



(**46**) (**47**) (**48**)

Ethyl 6,7-dimethoxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate (**46**, Q = OMe) gave ethyl 3,6,7-trimethoxy-2-quinoxalinecarboxylate (**47**, Q = OMe, R = Me) and ethyl 6,7-dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate (**48**, Q = OMe, R = Me) (CH_2N_2, MeOH–Et_2O, 5°C, tlc monitored for completion: 47% and 45%, respectively, after separation).[653]

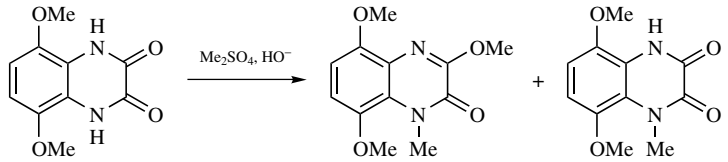
2(1*H*)-quinoxalinone (**49**) gave 2-phenethyloxyquinoxaline (**50**) and 1-phenethyl-2(1*H*)-quinoxalinone (**50a**) ($PhCH_2CH_2Br$, NaH, Me_2NCHO, 20°C, 30 min; then 120°C, 5 h: 40% and 29% respectively).[621]



(**49**) (**50**) (**50a**)

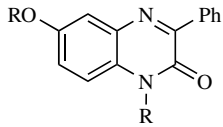
5,8-Dimethoxy-2,3-(1*H*,4*H*)-quinoxalinedione (**51**) gave 3,5,8-trimethoxy-1-methyl-2(1*H*)-quinoxalinone (**52**) and 5,8-dimethoxy-1-methyl-2,3(1*H*,4*H*)-

quinoxalinedione (**52a**) (Me_2SO_4, 2M NaOH, 20°C, 2 h: 13% and 47%, respectively).[553]

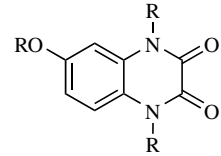


(**51**) (**52**) (**52a**)

6-Hydroxy-3-phenyl-2(1*H*)-quinoxalinone (**53**, R = H) gave 6-methoxy-1-methyl-3-phenyl-2(1*H*)-quinoxalinone (**53**, R = Me) (Me_2SO_4, 2M NaOH, 50°C → 95°C, 15 min: 45%);[956] 6-hydroxy-2,3(1*H*,4*H*)-quinoxalinedione (**54**, R = H) likewise gave 6-methoxy-1,4-dimethyl-2,3(1*H*,4*H*)-quinoxalinedione (**54**, R = Me) (?%).[956]



(**53**)

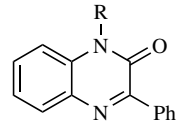


(**54**)

Also other examples.[862,899,1005,1016]

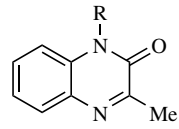
Direct Alkylations Affording Only *N*-Alkylquinoxalinones

3-Phenyl-2(1*H*)-quinoxalinone (**55**, R = H) gave 1-methyl-3-phenyl-2(1*H*)-quinoxalinone (**55**, R = Me) (NaH, Me_2NCHO, 20°C, 2 h: then MeI↓, 20°C, 12 h: 90%).[159]



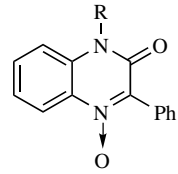
(**55**)

3-Methyl-2(1*H*)-quinoxalinone (**56**, R = H) gave 1,3-dimethyl-2(1*H*)-quinoxalinone (**56**, R = Me) (substrate, K_2CO_3, Me_2NCHO, 135°C, TsOMe↓ dropwise, 30 min: 60%;[84] MeI, K_2CO_3, AcMe, reflux, ~3 h: 65%).[105]



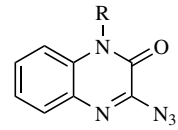
(**56**)

3-Phenyl-2(1*H*)-quinoxalinone 4-oxide (**57**, R = H) gave 1-benzyl-3-phenyl-2(1*H*)-quinoxalinone 4-oxide (**57**, R = CH_2Ph) ($PhCH_2Br$, Na_2CO_3, AcEt, reflux, 24 h: 45%).[627]



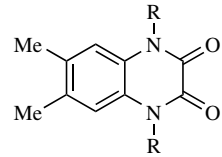
(**57**)

3-Azido-2(1*H*)-quinoxalinone (**58**, R = H) gave 3-azido-1-methyl-2(1*H*)-quinoxalinone (**58**, R = Me) (MeI, K_2CO_3, AcMe, reflux, 8 h: 90%).[51]



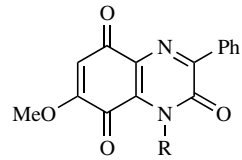
(**58**)

6,7-Dimethyl-2,3(1*H*,4*H*)-quinoxalinedione (**59**, R = H) gave 1,4,6,7-tetramethyl-2,3(1*H*,4*H*)-quinoxalonedione (**59**, R = Me) (MeI, NaH, Me_2NCHO, 20°C, 2 h: 48%).[718]



(**59**)

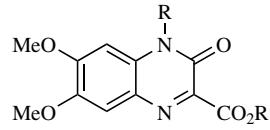
6-Methoxy-3-oxo-2-phenyl-3,4-dihydro-5,8-quinoxalinequinone (**60**, R = H) gave 6-methoxy-1-methyl-3-oxo-2-phenyl-3,4-dihydro-5,8-quinoxalinequinone (**60**, R = Me) (CH_2N_2, $CHCl_3$–Et_2O, 2 min (!): 90%).[486]



(**60**)

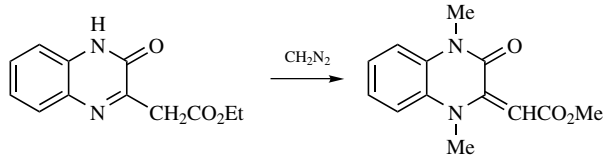
6,7-Dimethoxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid (**61**, R = H) gave methyl 6,7-dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate

(**61**, R = Me) (CH_2N_2, MeOH–Et_2O, no other details: 14%; note concomitant esterification).[943]



(**61**)

3-Ethoxycarbonylmethyl-2(1*H*)-quinoxalinone (**62**) gave 3-methoxycarbonylmethylene-1,4-dimethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**63**) (CH_2N_2, MeOH–Et_2O, 20°C, 24 h: 45%; note second *N*-methylation and transesterification).[79]

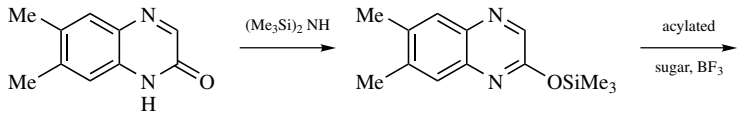


(**62**) (**63**)

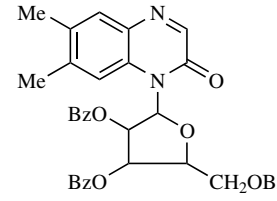
Also other examples.[22,68,76,145,459,624,653,805,814,881,910,942,952,1001,1010]

N-Alkylation by the Silyl Hilbert–Johnson Reaction

6,7-Dimethyl-2(1*H*)-quinoxalinone (**64**) gave 6,7-dimethyl-2-trimethylsiloxyquinoxaline (**65**) (excess $Me_3SiNHSiMe_3$, trace $(NH_4)_2SO_4$, reflux, 20 h: crude solid) and thence 6,7-dimethyl-1-(2,3,5-tri-*O*-benzoyl-β-D-ribofuranosyl)-2(1*H*)-quinoxalinone (**66**) (1-*O*-acetyl-2,3,5-tri-*O*-benzoyl-β-D-ribofuranose, $BF_3 \cdot Et_2O$, CH_2Cl_2, 20°C, 3 h: 36% overall).[697]

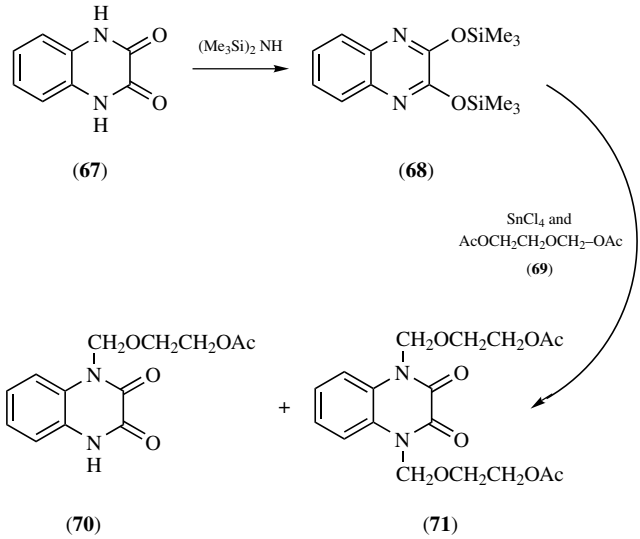


(**64**) (**65**)



(**66**)

2,3(1*H*,4*H*)-Quinoxalinedione (**67**) gave 2,3-bis(trimethylsiloxy)quinoxaline (**68**) ($Me_3SiNHSiMe_3$, trace $(NH_4)_2SO_4$, 150°C, 16 h: solid) and thence a separable mixture of 1-[(2-acetoxyethoxy)methyl]- (**70**) and 1,4-bis[(2-acetoxyethoxy)methyl]-2,3(1*H*,4*H*)-quinoxalinedione (**71**) [(**68**), $SnCl_4$, MeCN, 0°C; then the diacetoxylated ether (**69**)↓ slowly, 0°C → 20°C, 48 h: 18% and 23% overall, respectively].[877]

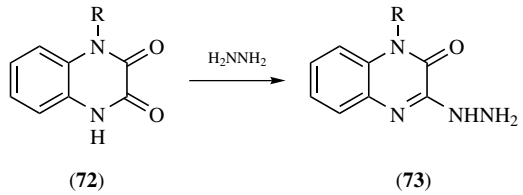


4.1.2.3. Miscellaneous Reactions

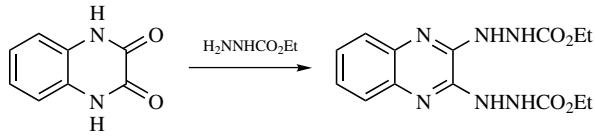
Tautomeric quinoxalines undergo a variety of other reactions that have not been used to a great extent in recent years. They are illustrated by the classified examples that follow.

Hydrazinolysis

2,3(1*H*,4*H*)-Quinoxalinedione (**72**, R = H) gave 3-hydrazino-2(1*H*)-quinoxalinone (**73**, R = H) (excess $H_2NNH_2 \cdot H_2O$, H_2O, reflux, 2 h: ~90%); 1-methyl-2,3(1*H*,4*H*)-quinoxalinedione (**72**, R = Me) likewise gave 3-hydrazino-1-methyl-2(1*H*)-quinoxalinone (**73**, R = Me) (~60%).[983]



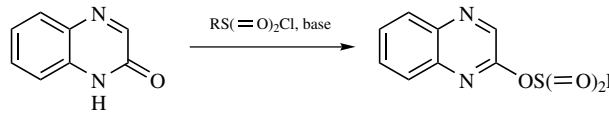
2,3(1*H*,4*H*)-Quinoxalinedione (**74**) gave 2,3-bis(*N'*-ethoxycarbonylhydrazino)-quinoxaline (**75**) (H_2NNHCO_2Et, Me_2NCHO, 95°C, 4 h: 82%); analogs likewise.[438]



(**74**) (**75**)

O-Alkane- or Arenesulfonylation

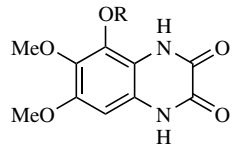
2(1*H*)-Quinoxalinone (**76**) gave 2-trifluoromethanesulfonyloxyquinoxaline (**77**, R = CF_3)[substrate, Et_3N, CH_2Cl_2, 0°C; then $(F_3CSO_2)_2O\downarrow$ slowly, 0°C, 2 h: 78%] or 2-tosyloxyquinoxaline (**77**, R = C_6H_4Me-*p*) (substrate, 4-dimethylaminopyridine, CH_2Cl_2, 0°C; then $TsCl\downarrow$ slowly, 1 h: 85%).[1050]



(**76**) (**77**)

O-Acylation

5-Hydroxy-6,7-dimethoxy-2,3(1*H*,4*H*)-quinoxalinedione (**78**, R = H) gave 6,7-dimethoxy-5-phenylacetoxy-2,3(1*H*,4*H*)-quinoxalinedione [**78**, R = C(:O)-CH_2Ph] ($PhCH_2COCl$, Et_3N, CH_2Cl_2, 20°C, ? h: 77%; note regioselectivity).[681]



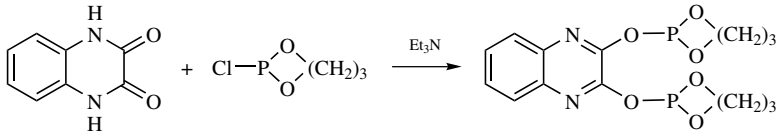
(**78**)

Also other examples.[882]

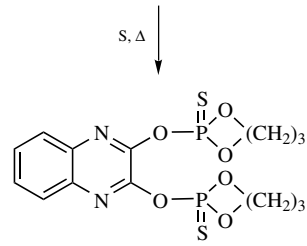
Conversion into Dialkoxyphosphinooxy- or Dialkoxyphosphinyloxyquinoxalines

2,3(1*H*,4*H*)-Quinoxalinedione (**79**) and trimethylenephosphorochloridate (**80**) gave crude 2,3-bis(trimethylenedioxyphosphinooxy)quinoxaline (**81**), which

was characterized by reaction with sulfur to give 2,3-bis(trimethylenedioxyphosphinothioyloxy)quinoxaline (**82**) [reactants, Et_3N, PhH, 20°C, 1 h: crude (**81**); then S, 130°C, sealed, 2.5 h: 27% overall].[935]

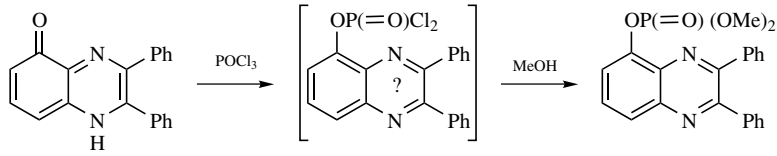


(**79**) (**80**) (**81**)



(**82**)

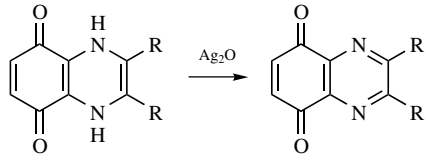
2,3-Diphenyl-5(1*H*)-quinoxalinone (**83**) gave 5-(dimethoxyphosphinyloxy)-2,3-diphenylquinoxaline (**85**) via intermediate (**84**) (neat $POCl_3$, reflux, 18 h; then cooled mixture into excess MeOH, 0°C: 60%).[638]



(**83**) (**84**) (**85**)

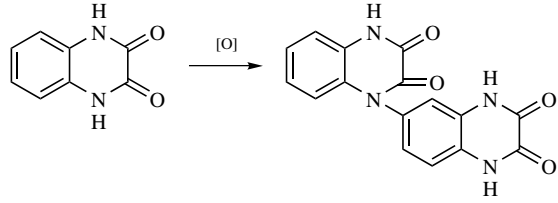
Oxidative Reactions

5,8(1*H*,4*H*)-Quinoxalinedione (**86**, R = H) gave 5,8-quinoxalinequinone (**87**, R = H) (Ag_2O, dioxane, reflux, 6 h: 89%);[267] 2,3-di-*p*-tolyl-5,8(1*H*,4*H*)-quinoxalinedione (**86**, R = C_6H_4Me-*p*) gave 2,3-di-*p*-tolyl-5,8-quinoxalinequinone (**87**, R = C_6H_4Me-*p*) (Ag_2O, C, Na_2SO_4, PhH, 20°C, 30 min: 94%).[365]



(**86**) (**87**)

2,3(1*H*,4*H*)-Quinoxalinedione (**88**) gave 1,6′-biquinoxaline-2,2′,3,3′(1*H*,1′*H*,4*H*,4′*H*)-tetrone (**89**) (substrate, NaOH, H_2O, reflux, $KMnO_4\downarrow$ during 2 h, reflux, 1 h: 62%).[48]



(**88**) (**89**)

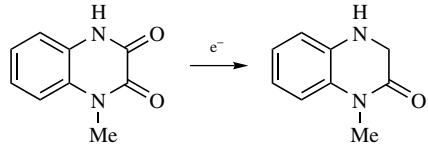
Reductive Deoxygenation

Note: Such deoxygenation is usually accompanied by partial nuclear reduction.

3-phenyl-2(1*H*)-quinoxalinone (**90**) gave 2-phenyl-3,4-dihydroquinoxaline (**91**) ($LiAlH_4$, THF, N_2, 0°C → reflux, 24 h: 65%).[159]

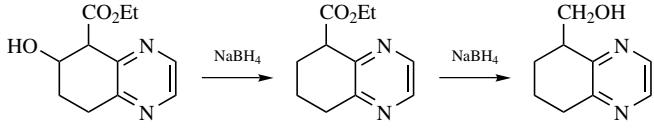
(**90**) (**91**)

1-Methyl-2,3(1*H*,4*H*)-quinoxalinedione (**92**) gave 1-methyl-3,4-dihydro-2(1*H*)-quinoxalinone (**93**) (HCl, H_2O–EtOH, electrolytic, 5 h: 62%; also analogous reactions).[314]



(**92**) (**93**)

Ethyl 6-hydroxy-5,6,7,8-tetrahydro-5-quinoxalinecarboxylate (**94**) gave a separable mixture of ethyl 5,6,7,8-tetrahydro-5-quinoxalinecarboxylate (**95**) and 5-hydroxymethyl-5,6,7,8-tetrahydroquinoxaline (**96**) [$NaBH_4$ (1 mol), Et_2O, 20°C, 4 h: 11% and 69%, respectively; when an excess of $NaBH_4$ was used, only product **96** was isolated].[246]

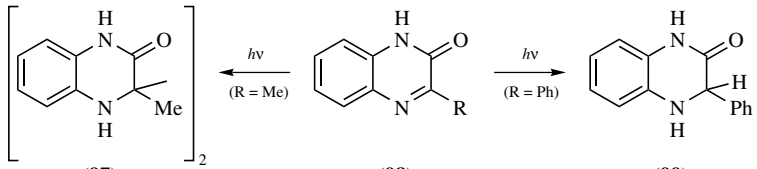


(**94**) (**95**) (**96**)

Also other examples.[330,972]

Photoreactions

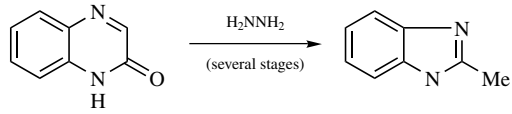
3-Methyl-2(1*H*)-quinoxalinone (**98**, R = Me) gave 3,3′-dimethyl-3,3′4,4′-tetrahydro-3,3′-biquinoxaline-2,2′(1*H*,1′*H*)-dione (**97**) (*hν*, Et_3N, CH_2Cl_2, MeOH, 20°C, 15 h: 78%);[544] in contrast, 3-phenyl-2(1*H*)-quinoxalinone (**98**, R = Ph) gave 3-phenyl-3,4-dihydro-2(1*H*)-quinoxalinone (**99**) (likewise: >95%).[544]



(**97**) (**98**) (**99**)

Ring Contraction

2(1*H*)-Quinoxalinone (**100**) gave 2-methylbenzimidazole (**101**) (excess $H_2NNH_2 \cdot H_2O$, H_2O, reflux, 24 h: ~30%); the mechanism probably involved addition of H_2NNH_2 to the 2,3 bond, fission of that bond, recyclization, and loss of N_2.[983]

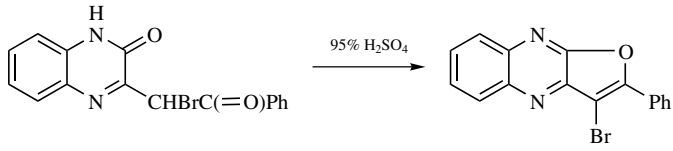


(**100**) (**101**)

Cyclization Reactions

Note: These typical examples include both intra- and intermolecular cyclizations, some of which do not directly involve the oxo substituent.

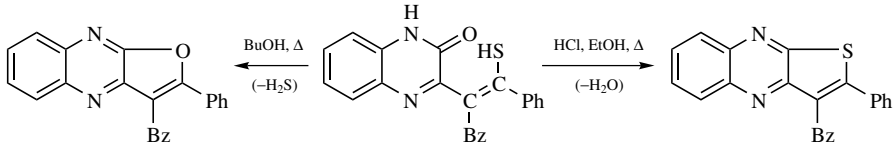
3-(α-Bromophenacyl)-2(1*H*)-quinoxalinone (**102**) gave 3-bromo-2-phenylfuro-[2,3-*b*]quinoxaline (**103**) (95% H_2SO_4, 65°C, 4 h: 90%; analogs likewise); a mechanism was suggested.[965]



(**102**) (**103**)

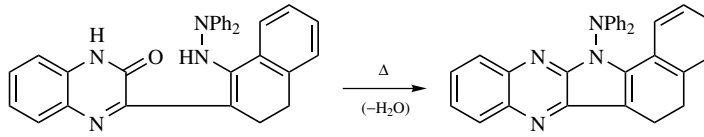
3-(α-Benzoyl-β-mercaptostyryl)-2(1*H*)-quinoxalinone (**105**) gave 3-benzoyl-2-phenylfuro[2,3-*b*]quinoxaline (**104**) (BuOH, reflux, 2 h: 47%) or 3-benzoyl-2-

phenylthieno[2,3-*b*]quinoxaline (**106**) (HCl, H_2O, EtOH, reflux, 90 min: 56%);[744] such clear-cut alternative cyclizations might scarcely be anticipated.



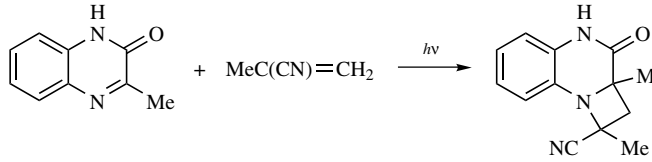
(**104**) (**105**) (**106**)

3-[1-(*N*′,*N*′-Diphenylhydrazino)-3,4-dihydronaphthalin-2-yl]-2(1*H*)-quinoxalinone (**107**) gave 13-diphenylamino-5,6-dihydro-13*H*-benzo[6,7]indolo[2,3-*b*]quinoxaline (**108**) (EtOH–H_2O, reflux, 30 min: 52%).[1002]



(**107**) (**108**)

3-Methyl-2(1*H*)-quinoxalinone (**109**) and 2-methylacrylonitrile (**110**) gave the photoadduct, 1,2a-dimethyl-3-oxo-2,2a,3,4-tetrahydro-1*H*-azeto[1,2-*a*]quinoxaline-1-carbonitrile (**111**) (CH_2Cl_2, MeOH, *hν*, N_2, <15 h: >95%);[134] also analogs using significantly electron-deficient olefines.[134,595,596]



(**109**) (**110**) (**111**)

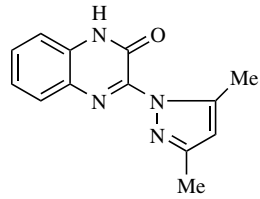
Also other examples.[950]

Formation of Complexes

Note: These are only a few typical examples of the complexes formed by tautomeric quinoxalinones.

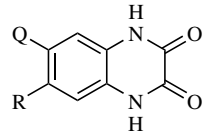
2(1*H*)-Quinoxalinone: charge-transfer complexes with tetrachloro-1,4-benzoquinone and tetrabromo-1,4-benzoquinone.[168]

3-(3,5-Dimethylpyrazol-1-yl)-2(1*H*)-quinoxalinone (**112**): complexes with Cr(III), Mn(II), Fe(III), Co(II), Ni(II), and Cu(II).[451]



(**112**)

2,3(1*H*,4*H*)-Quinoxalinedione (**113**, Q = R = H), its 6-nitro- (**113**, Q = NO_2, R = H), and its 6,7-dinitro derivative (**113**, Q = R = NO_2): preparation and polarography of their Cu(II) complexes;[285] also Ni complexes.[277]



(**113**)

2,3(1*H*,4*H*)-Quinoxalinedione (**113**, Q = R = H): preparation and structure of complexes with Ru + CO + Me_2SO and with Os + CO + Me_2SO.[963]

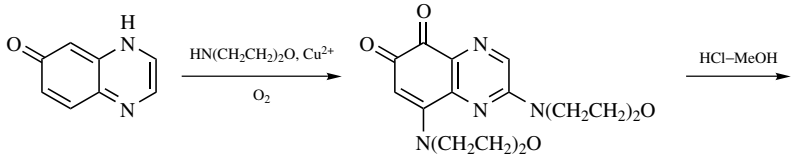
4.2. QUINOXALINEQUINONES (*E* 20)

Like the isomeric 5,6- and 5,8-quinazolinequinones,[1068a] both *ortho* (5,6)- and *para* (5,8)-quinoxalinequinones are stable, but reactive entities that may be made by oxidation of a variety of precursors.

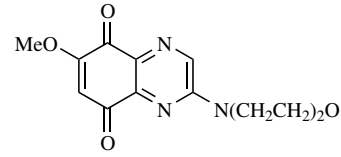
4.2.1. Preparation of Quinoxalinequinones

For the oxidative formation of a quinoxalinequinone, it appears that the precursor must have at least one appropriately placed substituent that can be oxidized directly (−OH or tautomeric =O) or that can suffer hydrolysis and subsequent oxidation (e.g., OMe or NH_2). This stipulation is illustrated in the following examples.

6(4*H*)-Quinoxalinone (**114**) gave 2,8-dimorpholino-5,6-quinoxalinequinone (**115**) [$Cu(OAc)_2$, $HN(CH_2CH_2)_2O$, MeOH, $O_2\downarrow$, <4 h: 50%], which underwent an interesting transformation to 6-methoxy-2-morpholino-5,8-quinoxalinequinone (**116**) (HCl, MeOH, reflux, 15 min: 58%).[956]

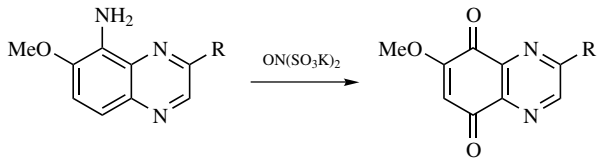


(**114**) (**115**)



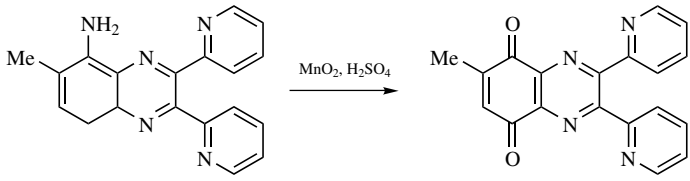
(**116**)

6-Methoxy-5-quinoxalinamine (**117**, R = H) gave 6-methoxy-5,8-quinoxalinequinone (**118**, R = H) [substrate hydrochloride, NaH_2PO_4, H_2O, $ON(SO_3K)_2$ (Fremy's salt) ↓ slowly, 20°C, 12 h: 65%];[750] 6-methoxy-3-morpholino-5-quinoxalinamine [**117**, R = $N(CH_2CH_2)_2O$] likewise gave 6-methoxy-3-morpholine-5,8-quinoxalinequinone [**118**, R = $N(CH_2CH_2)_2O$] (36%).[781]



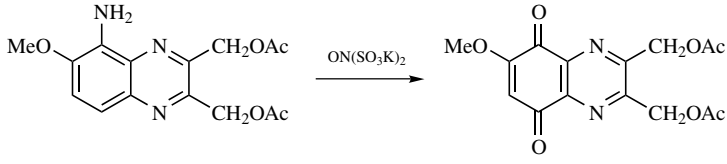
(**117**) (**118**)

6-Methyl-2,3-di(pyridin-2-yl)-5-quinoxalinamine (**119**) gave 6-methyl-2,3-di-(pyridin-2-yl)-5,8-quinoxalinequinone (**120**) (MnO_2, 100% H_2SO_2, 0°C, 4 h: 38%).[895]



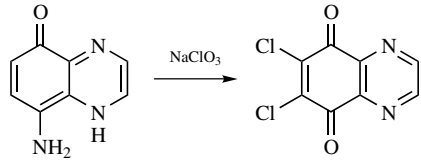
(**119**) (**120**)

2,3-Bis(acetoxymethyl)-6-methoxy-5-quinoxalinamine (**121**) gave 2,3-bis(acetoxymethyl)-6-methoxy-5,8-quinoxalinequinone (**122**) [$ON(SO_3K)_2$, Me_2NCHO, AcOH, H_2O, 20°C, 2 h: 42%].[882]



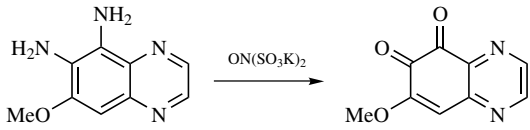
(**121**) (**122**)

8-Amino-5(1*H*)-quinoxalinone (**123**) gave 6,7-dichloro-5,8-quinoxalinequinone (**124**) (substrate sulfate, HCl, $NaClO_3$, 0°C, 3 h: >63%; note additional chlorination).[620]



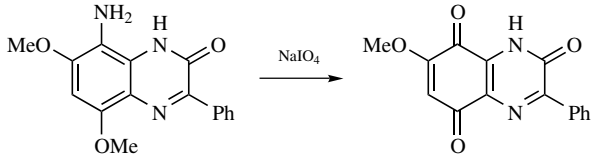
(**123**) (**124**)

7-Methoxy-5,6-quinoxalinediamine (**125**) gave 7-methoxy-5,6-quinoxalinequinone (**126**) [$ON(SO_3K)_2$, KH_2PO_4, AcMe, H_2O, 20°C, 6 h: 13%].[882]



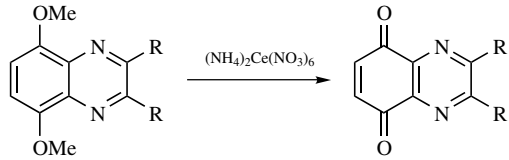
(**125**) (**126**)

8-Amino-5,7-dimethoxy-3-phenyl-2(1*H*)-quinoxalinone (**127**) gave 6-methoxy-3-oxo-2-phenyl-3,4-dihydro-5,8-quinoxalinequinone (**128**) ($NaIO_4$, H_2SO_4, MeOH, H_2O, 20°C, 3 min: 87%).[486]



(**127**) (**128**)

5,8-Dimethoxyquinoxaline (**129**, R = H) gave 5,8-quinoxalinequinone (**130**, R = H) [$(NH_4)_2Ce(NO_3)_6$, MeCN, H_2O, 20°C, 20 min: 49%];[611,cf. 1056] 2,3-dimethyl-5,8-quinoxalinequinone (**130**, R = Me) (60–70%) and other analogs were made similarly.[441,611]



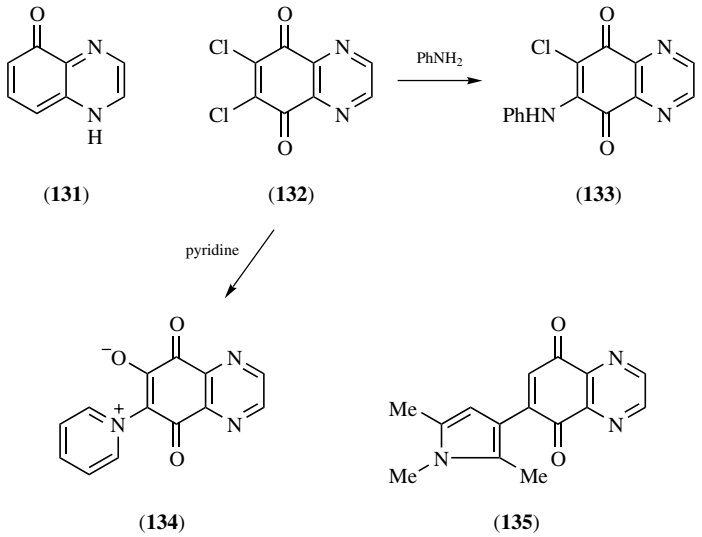
(**129**) (**130**)

Also the oxidation of 5,8(1*H*,4*H*)-quinoxalinediones to 5,8-quinoxalinequinones (see Section 4.1.2.3) and other examples.[715]

4.2.2. Reactions of Quinoxalinequinones

Unlike cycloamidic carbonyl entities, for example, that in 5(1*H*)-quinoxalinone (**131**), the carbonyl entities in quinoxalinequinones activate adjacent positions

considerably. Thus 6,7-dichloro-5,8-quinoxalinequinone (**132**) underwent facile aminolysis by aniline (in the presence of $CeCl_3$ at 25°C during 24 h) to afford 6-anilino-7-chloro-5,8-quinoxalinequinone (**133**) in 81% yield or with ethanolic pyridine (at 60°C during 3 h) to afford 7-pyridinio-5,8-quinoxalinequinon-6-olate (**134**) (85%; note the additional hydrolytic reaction).[620] A significant activating effect is evident also in the *C*-arylation of 5,8-quinoxalinequinone with 1,2,5-trimethylpyrrole (in aqueous $FeCl_3$ and chloroform at 20°C) to furnish 6-(1,2,5-trimethylpyrrol-3-yl)-5,8-quinoxalinequinone (**135**) in 56% yield.[1056] The transformation of a 5,6- into a 5,8-quinoxalinequinone has been noted in the first example of Section 4.2.1.



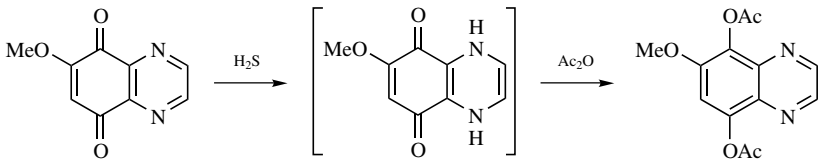
(**131**) (**132**) (**133**)

(**134**) (**135**)

Other reactions are illustrated in the following examples.

Reduction

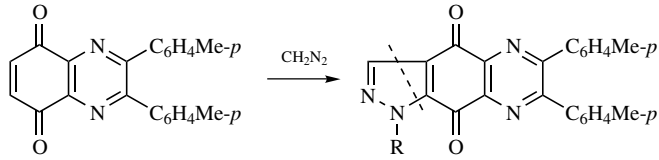
6-Methoxy-5,8-quinoxalinequinone (**136**) gave 6-methoxy-5,8(1*H*,4*H*)-quinoxalinedione (**137**), characterized as 5,8-diacetoxy-6-methoxyquinoxaline (**138**) [the quinone, EtOAc, $H_2S\downarrow$, 4 h: crude (**137**); then neat Ac_2O, 105°C, 1 h: 58% overall].[882]



(**136**) (**137**) (**138**)

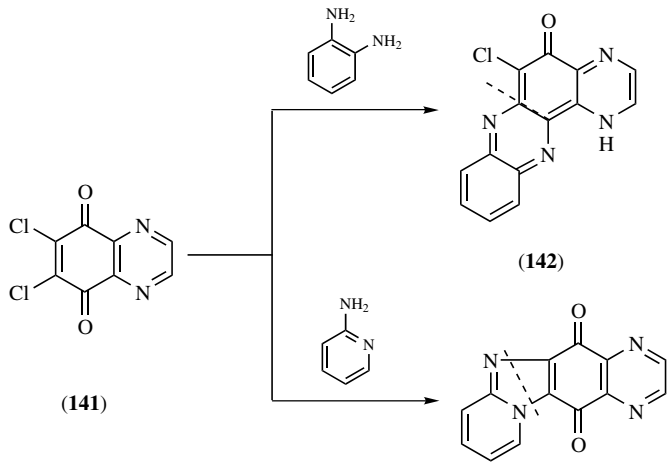
Annulations

2,3-Di-*p*-tolyl-5,8-quinoxalinequinone (**139**) gave 6,7-di-*p*-tolyl-1*H*-pyrazolo-[3,4-*g*]quinoxaline-4,9-quinone (**140**, R = H) (CH_2N_2, Et_2O, Me_2NCHO, −50°C, 45 min: 45%) or 1-methyl-6,7-di-*p*-tolyl-1*H*-pyrazolo[3,4-*g*]quinoxaline-4,9-quinone (**140**, R = Me) (CH_2N_2 (twice the initial amount), Et_2O, Me_2NCHO, 120°C → 0°C, 1 h: 42%]; in each case, an equal yield of 2,3-di-*p*-tolyl-5,8(1*H*,4*H*)-quinoxalinedione was formed but easily separated.[365]



(**139**) (**140**)

6,7-Dichloro-5,8-quinoxalinequinone (**141**) with 1,2-benzenediamine gave 6-chloropyrazino[2,3-*a*]phenazin-5(1*H*)-one (**142**) (EtOH, 60°C, 30 min: 79%)[620] or with 2-pyridinamine gave pyrido[1′,2′ : 1,2]imidazo[4,5-*g*]-quinoxaline-5,12-quinone (**143**) (EtOH, 60°C, 1 h: 46%).[620]

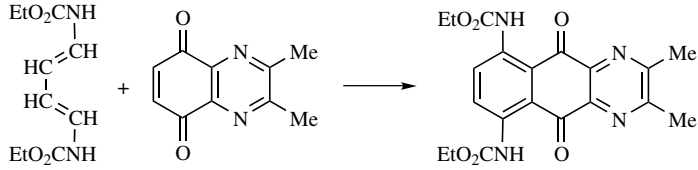


(**141**) (**142**)

(**143**)

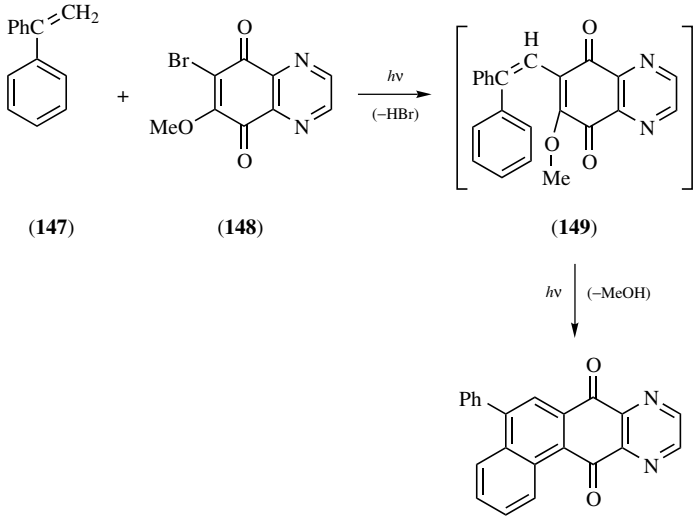
2,3-Dimethyl-5,8-quinoxalinequinone (**145**) underwent cycloaddition by 1,4-bis(ethoxycarbonylamino)-1,3-butadiene (**144**) to give 6,9-bis(ethoxycarbo-

nylamino)-2,3-dimethylbenzo[*g*]quinoxaline-5,10-quinone (**146**) (trace hydroquinone, Me_2NCHO, 80°C, 12 h: 58%).[571]



(**144**) (**145**) (**146**)

6-Bromo-7-methoxy-5,8-quinoxalinequinone (**148**) and α-phenylstyrene (**147**) gave 5-phenylnaphtho[1,2-*g*]quinoxaline-7,12-quinone (**150**), probably via the intermediate (**149**) (PhH, pyridine, 20°C, *h*ν, 30 min: 12%; analogs likewise but also in poor yield).[148]



(**147**) (**148**) (**149**)

(**150**)

Also other examples.[11,104,864]

4.3. EXTRANUCLEAR HYDROXYQUINOXALINES
(*H* 300; *E* 241)

These hydroxyquinoxalines may be considered as regular alcohols or phenols because their formation and reactions are negligibly affected by the heterocyclic system or its nuclear substituents.

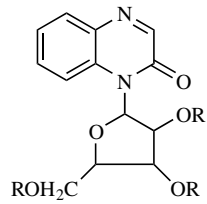
4.3.1. Preparation of Extranuclear Hydroxyquinoxalines (*H* 300; *E* 241)

Many such hydroxyquinoxalines have been made by *primary synthesis* (see Chapter 1) and some by *hydrolysis of extranuclear halogenoquinoxalines* (see Section 3.4.2); in addition, *during extranuclear alkylidenation of methylquinoxalines* (see Section 2.2.1.4) the intermediate secondary alcohols may sometimes be isolated, especially with heavily substituted aldehydes, when dehydration can be difficult or even impossible.[308,958]

Other methods of preparation that have been used recently (as of 2003) are illustrated by the following classified examples.

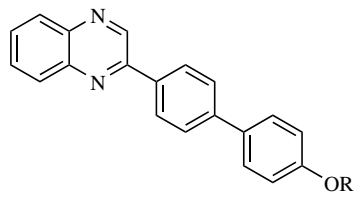
By Hydrolysis of Extranuclear Acyloxyquinoxalines

1-(2,3,5-Tri-*O*-benzoyl-β-D-ribofuranosyl)-2(1*H*)-quinoxalinone (**151**, R = Bz) gave 1-(β-D-ribofuranosyl)-2(1*H*)-quinoxalinone (**151**, R = H) (MeONa, MeOH, 60°C → 20°C, 12 h: 63%);[697] analogs likewise.[697,877]



(**151**)

2-(4′-Acetoxybiphenyl-4-yl)quinoxaline (**152**, R = Ac) gave 2-(4′-hydroxybiphenyl-4-yl)quinoxaline (**152**, R = H) (NaOH, MeOH, H_2O, reflux, 30 min: ~50%).[626]

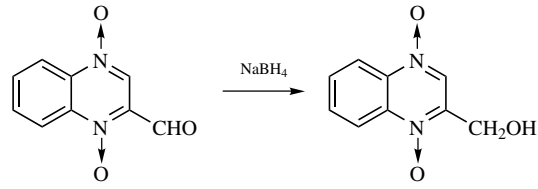


(**152**)

Also other examples.[70]

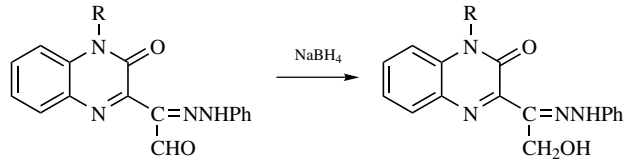
By Reduction of Quinoxaline Aldehydes, Ketones, or Esters

2-Quinoxalinecarbaldehyde 1,4-dioxide (**153**) gave 2-(hydroxymethyl)quinoxaline 1,4-dioxide (**154**) ($NaBH_4$, MeOH, 20°C, 30 min: 78%).[153]



(**153**) (**154**)

3-(α-Formyl-α-phenylhydrazonomethyl)-2(1*H*)-quinoxalinone (**155**, R = H) gave 3-(2-hydroxy-1-phenylhydrazonoethyl)-2(1*H*)-quinoxalinone (**156**, R = H) ($NaBH_4$, MeOH, Me_2NCHO, 20°C, 1 h: 70%);[913] 3-(α-formyl-α-phenylhydrazonomethyl)-1-methyl-2(1*H*)-quinoxalinone (**155**, R = Me) gave 3-(2-hydroxy-1-phenylhydrazonoethyl)-1-methyl-2(1*H*)-quinoxalinone (**156**, R = Me) (likewise but 2 h: 65%).[910]

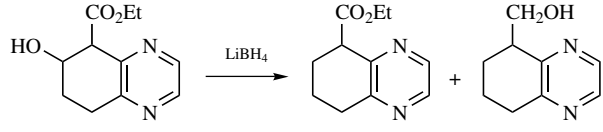


(**155**) (**156**)

2-Benzoyl-3-phenylquinoxaline (**157**) gave 2-(α-hydroxybenzyl)-3-phenylquinoxaline (**158**) (KBH_4, EtOH, 50°C, 1 h: 90%).[171]

(**157**) (**158**)

Ethyl 6-hydroxy-5,6,7,8-tetrahydro-5-quinoxalinecarboxylate (**159**) gave a separable mixture of ethyl 5,6,7,8-tetrahydro-5-quinoxalinecarboxylate (**160**) and 5-hydroxymethyl-5,6,7,8-tetrahydroquinoxaline (**161**) ($LiBH_4$, Et_2O, 20°C, 4 h: 10% and 69%, respectively; with a larger excess of $LiBH_4$, only the second product (**161**) was isolated].[246]

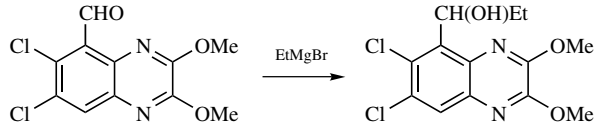


(**159**) (**160**) (**161**)

Also other examples.[650]

From a Quinoxalinecarbaldehyde and a Grignard Reagent

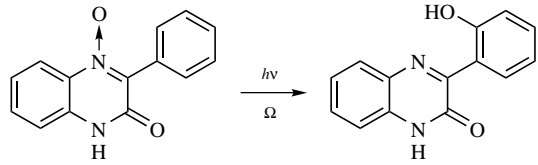
6,7-Dichloro-2,3-dimethoxy-5-quinoxalinecarbaldehyde (**162**) gave 6,7-dichloro-5-(1-hydroxypropyl)-2,3-dimethoxyquinoxaline (**163**) (EtMgBr, Et_2O, THF, 20°C, N_2, 30 min: 45%).[1039]



(**162**) (**163**)

By Photolysis of an Arylquinoxaline *N*-Oxide

3-Phenyl-2(1*H*)-quinoxalinone 4-oxide (**164**) gave the isomeric 3-*o*-hydroxyphenyl-2(1*H*)-quinoxalinone (**164a**) [*hν* (sunlight), MeOH, H_2O, 9 days: 20%].[340]

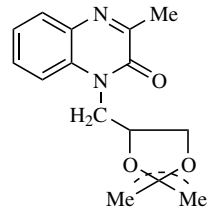


(**164**) (**164a**)

By Hydrolysis of Extranuclear Alkoxyquinoxalines

Note: There appear to be no recent examples of this reaction with simple alkoxy substrates (perhaps because normal aliphatic ethers need quite vigorous treatment, such as boiling hydriodic acid), but so-called isopropylidenedioxy derivatives (that undergo facile hydrolysis) have been used in this way.

1-[2,3-(Isopropylidenedioxy)propyl]-3-methyl-2(1*H*)-quinoxalinone (**165**) gave 1-(2,3-dihydroxypropyl)-3-methyl-2(1*H*)-quinoxalinone (70% AcOH, reflux, 2 h: 35%; homologs likewise).[881]

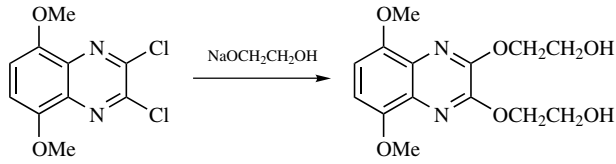


(**165**)

By Passenger Introduction of Hydroxy Groups

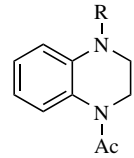
Note: Examples of such passenger introductions occur in several appropriate sections of this book. A few random examples are included here.

2,3-Dichloro-5,8-dimethoxyquinoxaline (**166**) gave 2,3-bis(2-hydroxyethoxy)-5,8-dimethoxyquinoxaline (**167**) ($HOCH_2CH_2OH$, Na, THF, reflux, 4 h: ? h).[849]



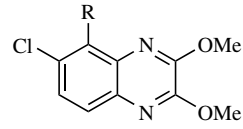
(**166**) (**167**)

1-Acetyl-1,2,3,4-tetrahydroquinoxaline (**168**, R = H) gave 1-acetyl-4-(2-hydroxyethyl)-1,2,3,4-tetrahydroquinoxaline (**168**, $R = CH_2CH_2OH$) [$(CH_2)_2O$, AcOH, O°C, sealed, 50 h: 73%].[760]



(**168**)

6-Chloro-2,3-dimethoxyquinoxaline (**169**, R = H) gave regioselectively 6-chloro-5-(α-hydroxybenzyl)-2,3-dimethoxyquinoxaline [**169**, R = CH(OH) Ph] [substrate, $LiN(CMe_2CH_2)_2CH$ (made in situ), THF, −78°C, 1 h; then PhCHO↓, −78°C, 1 h: 85%].[661]



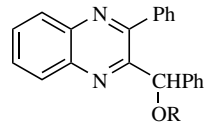
(**169**)

4.3.2. Reactions of Extranuclear Hydroxyquinoxalines (*H* 303; *E* 242)

Extranuclear hydroxyquinoxalines react as do regular alcohols or phenols. Examples of their *conversion into alkylquinoxalines* (Section 2.2.1.5) or *conversion into extranuclear halogenoquinoxalines* (Section 3.3) have been given already. Other reactions are illustrated by the following classified examples.

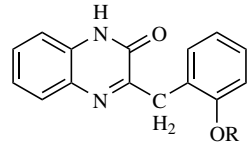
O-Acylation and Related Reactions

2-(α-Hydroxybenzyl)-3-phenylquinoxaline (**170**, R = H) gave 2-(α-acetoxybenzyl)-3-phenylquinoxaline (**170**, R = Ac) (neat Ac_2O, reflux, 6 h: 88%).[339,cf. 171]



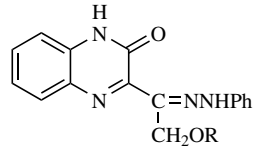
(**170**)

3-(*o*-Hydroxybenzyl)-2(1*H*)-quinoxalinone (**171**, R = H) gave 3-(*o*-acetoxybenzyl)-2(1*H*)-quinoxalinone (**171**, R = Ac) (Ac_2O, AcOH, reflux, 7 h: 51%).[240]



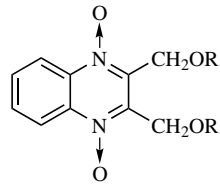
(**171**)

3-[2-Hydroxy-1-(phenylhydrazono)ethyl]-2(1*H*)-quinoxalinone (**172**, R = H) gave 3-[2-acetoxy-1-(phenylhydrazono)ethyl]-2(1*H*)-quinoxalinone (**172**, R = Ac) (Ac_2O, pyridine, 20°C, 24 h: 95%);[913] the analogous 3-[2,3,4-triacetoxy-1-(naphthalen-2-ylhydrazono)butyl]-2(1*H*)-quinoxalinone (97%) was made somewhat similarly.[966]



(**172**)

2,3-Bis(hydroxymethyl)quinoxaline 1,4-dioxide (dioxidine: **173**, R = H) and methyl isocyanate gave 2,3-bis(*N*-methylcarbamoyloxymethyl)quinoxaline 1,4-dioxide (**173**, R = CONHMe) (for details, see original).[175]

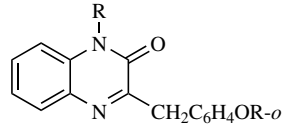


(**173**)

Also other examples.[357]

O-Alkylation

3-*o*-Hydroxybenzyl-2(1*H*)-quinoxalinone (**174**, R = H) gave 3-*o*-methoxybenzyl-1-methyl-2(1*H*)-quinoxalinone (**174**, R = Me) (Me_2SO_4, KOH, H_2O, 95°C, 1 h: 70%; note alkylation at two sites).[240]

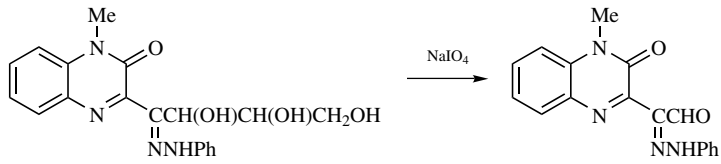


(**174**)

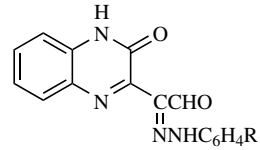
Also other examples.[626]

Oxidation to Quinoxaline Aldehydes

1-Methyl-3-(2,3,4-trihydroxy-1-phenylhydrazonobutyl)-2(1*H*)-quinoxalinone (**175**) gave 3-[α-formyl-α-(phenylhydrazono)methyl]-1-methyl-2(1*H*)-quinoxalinone (**176**) ($NaIO_4$, H_2O, 20°C, 2h: 90%);[910] analogs like 3-[α-(*m*-fluorophenylhydrazono)-α-formylmethyl]- (**177**, R = *m*-F) (80%)[612] and 3-[α-formyl-α-(*p*-nitrophenylhydrazono)methyl]-2(1*H*)-quinoxalinone (**177**, R = *p*-NO_2) (89%)[909] were made similarly.



(**175**) (**176**)

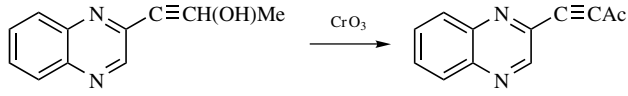


(**177**)

Also other examples.[915,966]

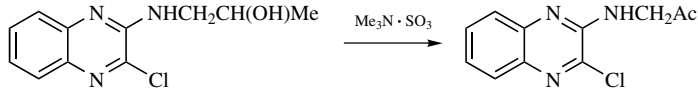
Oxidation to Quinoxaline Ketones

2-(3-Hydroxybut-1-ynyl)quinoxaline (**178**) gave 2-(acetylethynyl)quinoxaline (**179**) (substrate, AcMe; $CrO_3 + H_2SO_4 + H_2O\downarrow$ solwly, 0°C → 20°C, 1 h: 76%).[1050]



(**178**) (**179**)

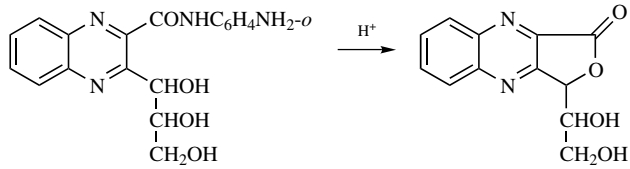
2-Chloro-3-(3-hydroxypropylamino)quinoxaline (**180**) gave 2-acetonylamino-3-chloroquinoxaline (**181**) ($Me_3N \cdot SO_3$ complex, Et_3N, Me_2SO, 20°C, 16 h: 72%).[1038]



(**180**) (**181**)

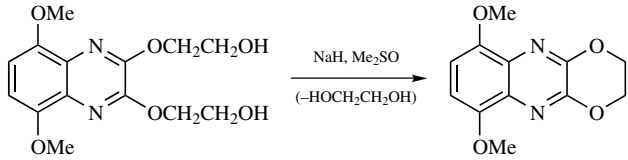
Cyclization Reactions

N-(*o*-Aminophenyl)-3-(1,2,3-trihydroxypropyl)-2-quinoxalinecarboxamide (**182**) gave 3-(1,2-dihydroxyethyl)-1,3-dihydrofuro[3,4-*b*]quinoxalin-1-one (**183**) (0.7M HCl, 20°C, 24 h: ~70%; presumably by hydrolysis of the amide and subsequent lactone formation).[914]



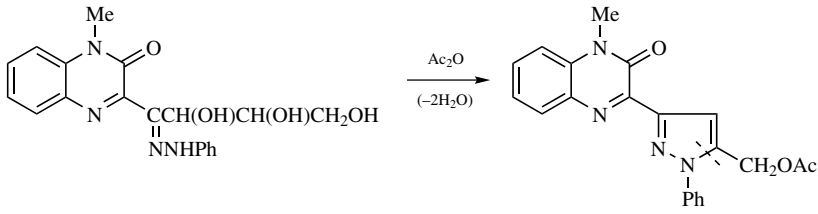
(**182**) (**183**)

2,3-Bis(2-hydroxyethoxy)-5,8-dimethoxyquinoxaline (**184**) gave 6,9-dimethoxy-2,3-dihydro-1,4-dioxino[5,6-*b*]quinoxaline (**185**) (NaH, Me_2SO, 20°C, ? h: ?%).[849]



(**184**) (**185**)

1-Methyl-3-(2,3,4-trihydroxy-1-phenylhydrazonobutyl)-2(1*H*)-quinoxalinone (**186**) gave 3-(5-acetoxymethyl-1-phenylpyrazol-3-yl)-1-methyl-2(1*H*)-quinoxalinone (**187**) (neat Ac_2O, reflux, 3 min: 80%; note additional acetylation);[910] also analogous cyclizations.[910,966]

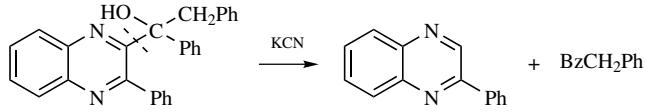


(**186**) (**187**)

Also other examples.[306,332,760]

Miscellaneous Reactions

2-(α-Hydroxy-α-phenylphenethyl)-3-phenylquinoxaline (**188**) underwent loss of dexoybenzoin (**190**) to give 2-phenylquinoxaline (**189**) (KCN, Me_2NCHO, reflux, 1 h: 83%).[601]



(**188**) (**189**) (**190**)

2-Hydroxymethylquinoxaline (and a corresponding ester, methyl 2-quinoxalinecarboxylate) have been found to induce significant single-strand scission of DNA by additive-free irradiation at 365 nm.[1047]

4.4. ALKOXY- AND ARYLOXYQUINOXALINES
(*H* 270; *E* 189)

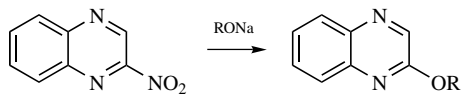
Although both nuclear and extranuclear alkoxy- and aryloxyquinoxalines are easily made, only the nuclear ethers can be used as substrates for nucleophilic displacements. Some acyloxy- and trialkylsiloxyquinoxalines are also covered briefly in this section. Many substituted phenoxyquinoxalines[1104] and analogs[1085] show antitumor properties.

4.4.1. Preparation of Alkoxy- and Aryloxyquinoxalines

Most alkoxy- or aryloxyquinoxalines have been made by *primary synthesis* (see Chapter 1), by *alcoholysis or phenolysis of halogenoquinoxalines* (see Sections 3.2.2 and 3.4.2), or by *O-alkylation of tautomeric quinoxalinones or extranuclear hydroxyquinoxalines* (see Sections 4.1.2.2 and 4.3.2). The remaining preparative routes are illustrated by the following classified examples.

From Nitroquinoxalines

2-Nitroquinoxaline (**191**) gave 2-methoxyquinoxaline (**192**, R = Me) (MeONa, MeOH, reflux, ? h: 88%) or 2-phenoxyquinoxaline (**192**, R = OPh) [PhONa, Me_2NCHO, 70°C, 2 h: 68%, after separation from 2(1*H*)-quinoxalinone (10%)].[867]

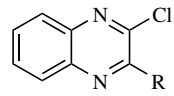


(**191**) (**192**)

6,7-Dinitroquinoxaline (**193**) gave 6-methoxy-7-nitroquinoxaline (**194**) (MeONa, MeOH, reflux, 75 min: 88%).[195]

(**193**) (**194**)

2-Chloro-3-nitroquinoxaline (**195**, R = NO_2) gave only 2-chloro-3-methoxyquinoxaline (**195**, R = OMe) (MeONa, MeOH, 0°C, 5 min: 81%).[121]

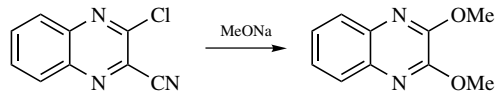


(**195**)

Also other examples.[147,668]

From Quinoxalinecarbonitriles

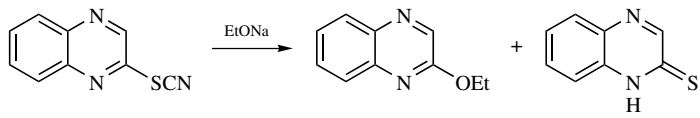
3-Chloro-2-quinoxalinecarbonitrile (**196**) gave 2,3-dimethoxyquinoxaline (**197**) (MeONa, MeOH, reflux, 3 h: 95%).[929]



(**196**) (**197**)

From Thiocyanatoquinoxalines

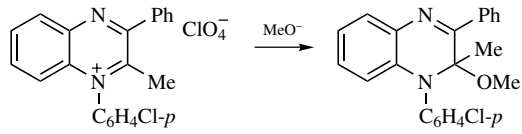
2-Thiocyanatoquinoxaline (**198**) gave 2-ethoxyquinoxaline (**199**) [EtONa, EtOH, 20°C, 3 h: 14%, after separation from 2(1*H*)-quinoxalinethione (**200**) (67%)].[597]



(**198**) (**199**) (**200**)

By Addition to Quaternary Quinoxalinium Salts

1-*p*-Chlorophenyl-2-methyl-3-phenylquinoxalinium perchlorate (**201**) underwent addition of methoxide ion to afford 1-*p*-chlorophenyl-2-methoxy-2-methyl-3-phenyl-1,2-dihydroquinoxaline (**202**) (KOH, MeOH, Me_2SO, reflux, 2 h: 87%); analogs likewise.[225]

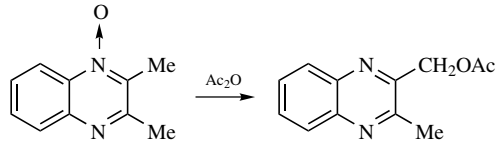


(**201**) (**202**)

From Quinoxaline *N*-Oxides

Note: Only acyloxy derivatives may be so made.

2,3-Dimethylquinoxaline 1-oxide (**203**) gave 2-acetoxymethyl-3-methylquinoxaline (**204**) (neat Ac_2O, reflux, 1 h: >90%).[70]



(**203**) (**204**)

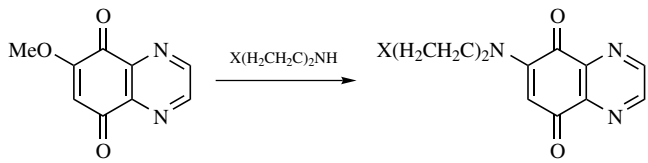
Also other examples.[153,328,641,882]

4.4.2. Reactions of Alkoxy- and Aryloxoyquinoxalines

These ethers, both nuclear and extranuclear, undergo a few useful reactions, including *hydrolysis to corresponding quinoxalinones or hydroxyquinoxalines*, which has been covered in Sections 4.1.1 and 4.3.1, respectively. The other reactions are illustrated in the following classified examples.

Aminolysis

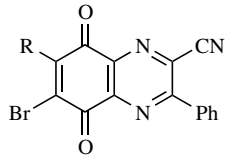
6-Methoxy-5,8-quinoxalinequinone (**205**) gave 6-morpholino-(**206**, X = O) [$O(CH_2CH_2)_2NH$, EtOH, reflux, 1 h: 86%] or 6-piperidino-5,8-quinoxalinequinone (**206**, X = CH_2) [$(CH_2)_5NH$, likewise: 78%].[750]



(**205**) (**206**)

6-Bromo-2-cyano-7-methoxy-3-phenyl-5,8-quinoxalinequinone (**207**, R = OMe) gave only 6-amino-7-bromo-3-cyano-2-phenyl-5,8-quinoxalinequinone (**207**,

R = NH_2) (NH_3, $CHCl_3$, 20°C, 20 min: 62%; the selective aminolysis of the OMe group could scarcely be anticipated).[486]

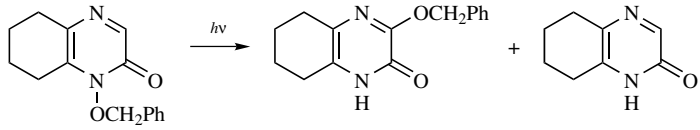


(**207**)

Also other examples.[35,956]

Photolysis

1-Benzyloxy-5,6,7,8-tetrahydro-2(1*H*)-quinoxalinone (**208**) gave a mixture from which the rearranged isomer, 3-benzyloxy-5,6,7,8-tetrahydro-2(1*H*)-quinoxalinone (**209**), and the dealkoxylated product, 5,6,7,8-tetrahydro-2(1*H*)-quinoxalinone (**210**), were isolated (*hν*, PhH, N_2, 90 min: 16% and 64%, respectively).[618]



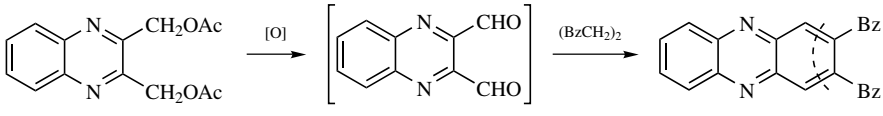
(**208**) (**209**) (**210**)

Nuclear Reduction

The formation and structures of unstable products from nuclear reduction of 2,3-dimethoxyquinoxaline by borohydride or electrochemical means have been studied.[972]

Oxidative Hydrolysis

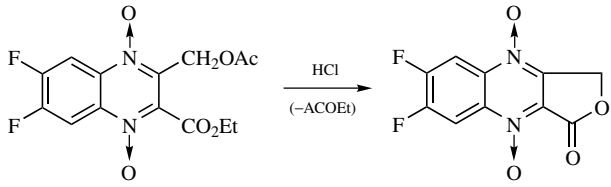
2,3-Bis(acetoxymethyl)quinoxaline (**211**) gave 2,3-quinoxalinedicarbaldehyde (**212**), isolated as 2,3-dibenzoylphenazine (**212a**) (KOH, MeOH, air?, $BzCH_2CH_2Bz$: no details).[610]



(**211**) (**212**) (**212a**)

Cyclizations

Ethyl 3-acetoxymethyl-6,7-difluoro-2-quinoxalinecarboxylate 1,4-dioxide (**213**) gave 6,7-difluoro-1,3-dihydrofuro[3,4-*b*]quinoxalin-1-one 4,9-dioxide (**214**) (10M HCl, 20°C, 18 h: 92%).[907]



(**213**) (**214**)

Also other examples.[849]

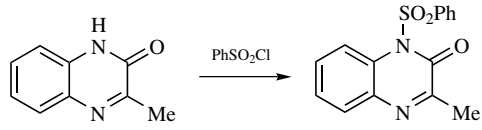
4.5. NONTAUTOMERIC QUINOXALINONES

Quinoxalinones are usually rendered nontautomeric (fixed) by *N*-alkylation, but *N*-acylation or the like can occasionally serve the same purpose.

4.5.1. Preparation of Nontautomeric Quinoxalinones

Nearly all such quinoxalinones have been made either by *primary synthesis* (see Chapter 1) or by *N-alkylation of tautomeric quinoxalinones* (see Section 4.1.2.2). However, several recently used minor routes are illustrated by the following examples.

3-Methyl-2(1*H*)-quinoxalinone (**215**) gave 1-benzenesulfonyl-3-methyl-2(1*H*)-quinoxalinone (**216**) ($PhSO_2Cl$, K_2CO_3, AcMe, reflux ~3 h: 90%; analogs likewise).[105]

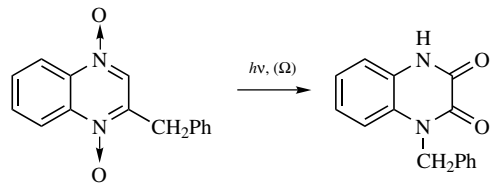


(**215**) (**216**)

2-Quinoxalinecarbonitrile (**217**) gave 1-ethyl-2(1*H*)-quinoxalinone (**218**) (EtMgBr, Et_2O, THF, reflux, 3 h: 16% after chromatographic separation from three other products; homologs likewise, also in poor yield).[584]

(**217**) (**218**)

2-Benzylquinoxaline 1,4-dioxide (**219**) rearranged into 1-benzyl-2,3(1*H*,4*H*)-quinoxalinedione (**220**) (*hν*, MeOH, until no substrate by tlc: 21%); analogs likewise.[627]



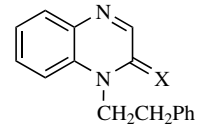
(**219**) (**220**)

4.5.2. Reactions of Nontautomeric Quinoxalinones

The few recently reported reactions of fixed quinoxalinones are illustrated in the following examples.

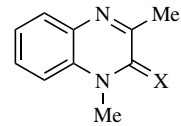
Thiation

1-Phenethyl-2(1*H*)-quinoxalinone (**221**, X = O) gave 1-phenethyl-2(1*H*)-quinoxalinethione (**221**, X = S), submitted for X-ray analysis [Lawesson's reagent (**43**), PhMe, reflux, 2 h: 85%; homologs likewise].[621]



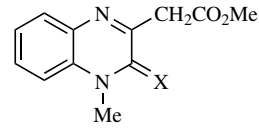
(**221**)

1,3-Dimethyl-2(1*H*)-quinoxalinone (**222**, X = O) gave 1,3-dimethyl-2(1*H*)-quinoxalinethione (**222**, X = S) [Lawesson's reagent (**43**), $MeOCH_2CH_2OMe$, reflux, <3 h: ?%].[47]



(**222**)

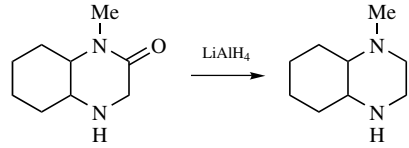
3-Methoxycarbonylmethyl-1-methyl-2(1*H*)-quinoxalinone (**223**, X = O) gave 3-methoxycarbonylmethyl-1-methyl-2(1*H*)-quinoxalinethione (**223**, X = S) (P_2S_5, PhCl, 125°C → reflux, 10 min: 41%).[65]



(**223**)

Reductive Deoxygenation

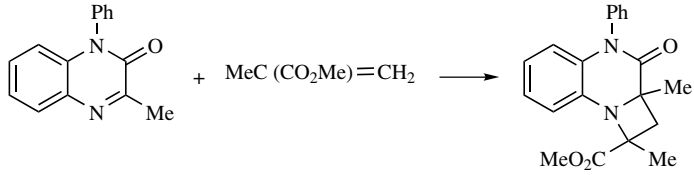
1-Methyloctahydro-2(1*H*)-quinoxalinone (**224**) gave 1-methyldecahydroquinoxaline (**225**) ($LiAlH_4$, Et_2O, 0°C → 20°C, N_2, 70 min: 44%).[457]



(**224**) (**225**)

Cyclization

3-Methyl-1-phenyl-2(1*H*)-quinoxalinone (**226**) and methyl methacrylate (**227**) gave the photoadduct, methyl 1,2a-dimethyl-3-oxo-4-phenyl-2,2a,3,4-tetrahydro-1*H*-azeto[1,2-*a*]quinoxaline-1-carboxylate (**228**) as a separable mixture of two stereoisomers (CH_2Cl_2, MeOH, *h*ν, N_2, <15 h: ~40% each); analogs likewise.[134]



(**226**) (**227**) (**228**)

4.6. QUINOXALINE *N*-OXIDES (*H* 232; *E* 28)

Quinoxaline *N*-oxides have marked biological effects; for example, *N*-(2-hydroxyethyl)-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide (Olaquindox; BAYO-N-OX) is marketed as a growth-promoting feed additive for stock;[315,948,cf. 931]

related derivatives showed significant antibacterial activities,[145,266] and another series of substituted quinoxaline 1,4-dioxides showed promising antituberculosis activities.[873,cf. 1018]

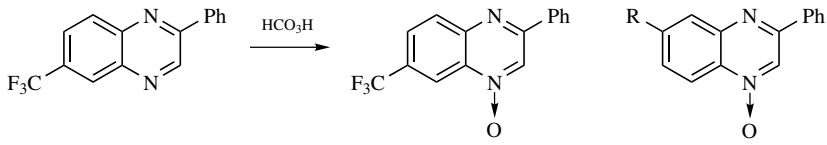
However, they are of greater interest as intermediates; not only do they undergo a variety of reactions, but the *N*-oxide entity decreases the aromaticity index[149] and substantially affects reactivities at other positions.

4.6.1. Preparation of Quinoxaline *N*-Oxides (*E* 29)

Some such *N*-oxides have been made by *primary synthesis* (see Chapter 1, especially Sections 1.1.2.2, 1.2.4, 1.6.7, and 1.7.16); virtually all others have been made *by direct oxidation*, as illustrated in the following examples, which are classified according to the oxidant used.

Using Peroxyformic Acid

2-Phenyl-6-trifluoromethylquinoxaline (**229**) gave 2-phenyl-6-trifluoromethylquinoxaline 4-oxide (**230**) (HCO_2H, 30% H_2O_2, 50°C, 12 h: 85%; homologs similarly);[840] 6-methoxy- (**231**, R = OMe) and 6-nitro-3-phenylquinoxaline 1-oxide (**231**, R = NO_2), as well as other analogs, were made similarly in unstated yields.[839]

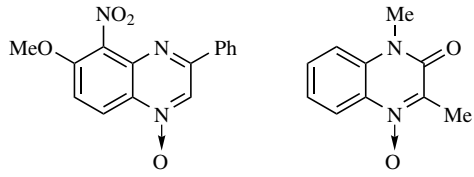


(**229**) (**230**) (**231**)

Also other examples.[885]

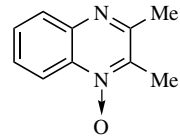
Using Peroxyacetic Acid

6-Methoxy-5-nitro-3-phenylquinoxaline gave only 6-methoxy-5-nitro-3-phenylquinoxaline 1-oxide (**232**) (AcOH, 30% H_2O_2, 80°C, 3 h: 81%);[35] 1,3-dimethyl-2(1*H*)-quinoxalinone 4-oxide (**233**) was made similarly but in only 20% yield.[84]



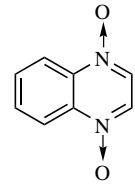
(**232**) (**233**)

2,3-Dimethylquinoxaline gave 2,3-dimethylquinoxaline 1-oxide (**234**) (AcOH, 40% AcO_2H, 35°C, 12 h: ~70% after separation from a little dioxide).[70]



(**234**)

Quinoxaline gave quinoxaline 1,4-dioxide (**235**) (AcOH, Ac_2O, 30% H_2O_2, 40°C, 6 h; then substrate↓, more H_2O_2↓, 50°C, 25 h: >50%).[420]

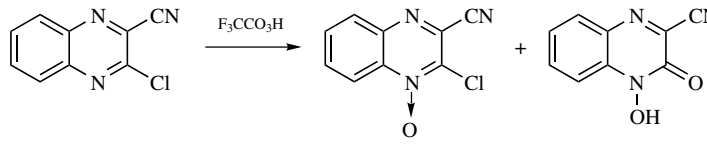


(**235**)

Also other examples.[518,703]

Using Trifluoroperoxyacetic Acid

3-Chloro-2-quinoxalinecarbonitrile (**236**) gave a separable mixture of 3-chloro-2-quinoxalinecarbonitrile 4-oxide (**237**) and 4-hydroxy-3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile (**238**) (F_3CCO_2H, 15% H_2O_2, 60°C, 5 h: 60% and 32%, respectively).[477]

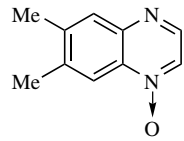


(**236**) (**237**) (**238**)

Using *m*-Chloroperoxybenzoic Acid

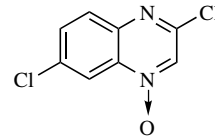
Note: Generally speaking, this appears to be the most satisfactory reagent for *N*-oxidations; it is not only reasonably stable but also used in chloroform or methylene dichloride, thus avoiding the formation of byproducts occasioned by the use of reagents that require hydroxylic solvents.

6,7-Dimethylquinoxaline gave 6,7-dimethylquinoxaline 1-oxide (**239**) (*m*-$ClC_6H_4CO_3H$, CH_2Cl_2, 20°C, 14 h: 65%); analogs likewise.[249]



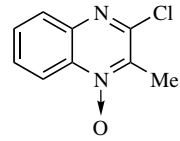
(**239**)

2,6-Dichloroquinoxaline gave only 2,6-dichloroquinoxaline 4-oxide (**240**) (*m*-$ClC_6H_4CO_3H$, $CHCl_3$, reflux, 10 h: 72%).[464,cf. 349,461]



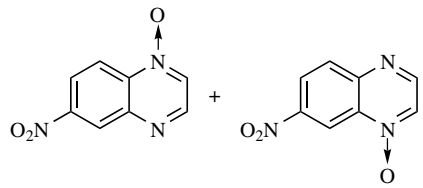
(**240**)

2-Chloro-3-methylquinoxaline gave only 2-chloro-4-methylquinoxaline 4-oxide (**241**) (*m*-$ClC_6H_4CO_3H$, $CHCl_3$, 20°C, 6 h: 82%).[590]



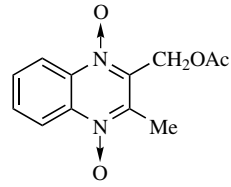
(**241**)

6-Nitroquinoxaline gave a separable mixture of 6-nitroquinoxaline 1-oxide (**242**) and 6-nitroquinoxaline 4-oxide (**243**) (*m*-$ClC_6H_4CO_3H$, $CHCl_3$, 50°C, 18 h: 63% and 5%, respectively).[161]



(**242**) (**243**)

2-Acetoxymethyl-3-methylquinoxaline gave 2-acetoxymethyl-3-methylquinoxaline 1,4-dioxide (**244**) (excess *m*-$ClC_6H_4CO_3H$, $CHCl_3$, 20°C → reflux, 16 h: >90%).[70]



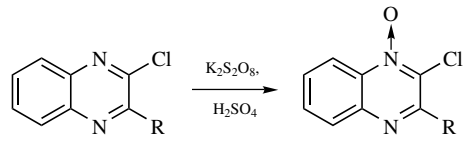
(**244**)

Also other examples.[24,411,598,638]

Using Peroxysulfuric Acid in Sulfuric Acid

Note: This is a useful reagent, at least for the oxidation of 2-chloroquinoxalines. With other reagents such substrates usually give only their 4-oxides, but with this reagent they appear to give exclusively their 1-oxides.[150]

2-Butyl-3-chloroquinoxaline (**245**, R = Bu) gave 2-butyl-3-chloroquinoxaline 4-oxide (**246**, R = Bu) ($K_2S_2O_8$, 98% H_2SO_4, 0°C → 20°C, 24 h: 51%).[721]



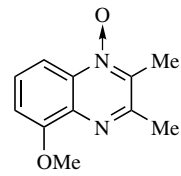
(**245**) (**246**)

2-Chloroquinoxaline (**245**, R = H) gave only 2-chloroquinoxaline 1-oxide (**246**, R = H) ($K_2S_2O_8$, 98% H_2SO_4, 10°C → 20°C, 24 h: 52%); and 2,3-dichloroquinoxaline (**245**, R = Cl) gave 2,3-dichloroquinoxaline 1-oxide (**246**, R = Cl) (likewise: 80%).[150]

Also other examples.[489]

Using Sodium Tungstate and Hydrogen Peroxide

5-Methoxy-2,3-dimethylquinoxaline gave 5-methoxy-2,3-dimethylquinoxaline 1-oxide (**247**) (H_2O_2, Na_2WO_4; for details, see original: 58%).[328]



(**247**)

4.6.2. Reactions of Quinoxaline *N*-Oxides (*E* 242)

Several recent general papers on the properties of quinoxaline *N*-oxides have reported studies on the crystal structures of quinoxaline 1,4-dioxide,[380] its 2,3-dimethyl derivative,[380] ethyl 7-chloro-3-methyl-2-quinoxalinecarboxylate 1,4-dioxide,[40] and *N*-(2-hydroxyethyl)-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide;[931] the NMR spectral data of quinoxaline 1,4-dioxide for comparison with those of related dioxides;[348] the NMR data for biologically active quinoxalinecarboxamide dioxides;[381] thermochemical data for several quinoxaline dioxides;[183] and polarographic or cyclic voltammetric data for 2,3-disubstituted quinoxaline dioxides.[239,894]

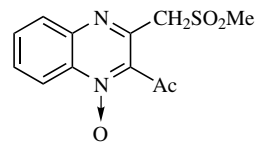
Some aspects of the *deoxidative C-substitution of quinoxaline N-oxides* (see Section 4.6.2.2 for cross-references) and the *photoinduced rearrangement of quinoxaline dioxides into quinoxalinediones* (see Section 4.5.1) have been discussed already. The remaining reactions of quinoxaline *N*-oxides are covered in the following subsections.

4.6.2.1. Deoxygenation

Because quinoxalines are often converted into their *N*-oxides in order to facilitate other reactions, subsequent removal of the oxide entity without untoward effects is quite important. The choice of a reagent for such deoxygenation is frequently governed by the type(s) of passenger group present; direct comparisons of several methods have bee presented.[391,412] The following classified examples illustrate most of the possibilities available.

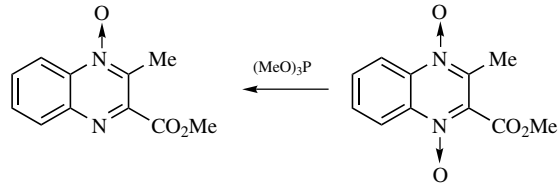
Using Trimethyl Phosphite or Sodium Hypophosphite

2-Acetyl-3-methylsulfonylmethylquinoxaline from its 1-oxide (**248**) [$(MeO)_3P$, PrOH, reflux, 4 h: 69%; note survival of the sulfone grouping].[712]



(**248**)

Ethyl 3-methyl-2-quinoxalinecarboxylate 1-oxide (**249**) from the corresponding 1,4-dioxide (**250**) [$(MeO)_3P$, PrOH, reflux, 2.5 h: 81%; this selective 4-deoxygenation was not obtained with PCl_3 or $Na_2S_2O_4$].[153,230,cf. 940]

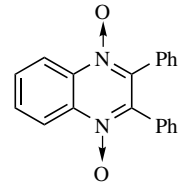


(**249**) (**250**)

2-Phenylquinoxaline from its 1,4-dioxide (NaH_2PO_2, Pd/C, H_2O, THF, 20°C, 45 min: 60%).[227]

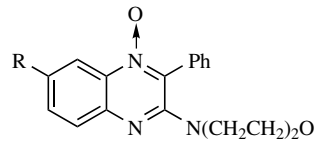
Using Sodium Bisulfite or Sodium Dithionite

2,3-Diphenylquinoxaline 1-oxide from the corresponding 1,4-dioxide (**251**) (substrate, EtOH, H_2O, reflux, $NaHSO_3$–$H_2O\downarrow$ dropwise, then reflux, 4 h: 73%).[352]



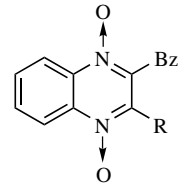
(**251**)

2-Morpholino-3-phenylquinoxaline from its 4-oxide (**252**, R = H) ($Na_2S_2O_4$, H_2O, THF, 20°C, 14 h: 78%); also 6-chloro-2-morpholino-3-phenylquinoxaline from its 4-oxide (**252**, R = Cl) (likewise but 20 h: 93%).[579]



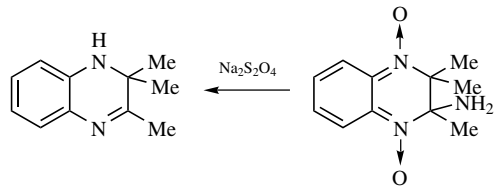
(**252**)

2-Benzoylquinoxaline from its 1,4-dioxide (**253**, R = H) (substrate, HCl, MeOH, warm; then $Na_2S_2O_4$–$H_2O\downarrow$ dropwise, until color persisted: 74%); also ethyl 3-benzoyl-2-quinoxalinecarboxylate from its 1,4-dioxide (**253**, R = CO_2Et) (likewise: 85%).[540]



(**253**)

2,2,3-Trimethyl-1,2-dihydroquinoxaline (**254**) from 2,3,3-trimethyl-2,3-dihydro-2-quinoxalinamine 1,4-dioxide (**255**) ($Na_2S_2O_2$, H_2O, MeOH, 20°C, until colorless: 6%; note additional deamination).[245]

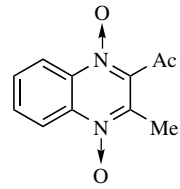


(**254**) (**255**)

Also other examples.[98,137,152,375,391,472,801]

Using Titanium(III) Chloride

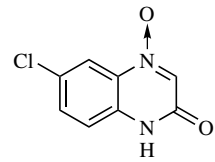
2-Acetyl-3-methylquinoxaline from its 1,4-dioxide (**256**) ($TiCl_3$, MeOH, H_2O, 20°C, ~1 h: 39%;[245] substrate, Et_2O, 20°C, $TiCl_4\downarrow$, then Zn dust↓ slowly, 1 h: 54%).[412] Several analogs were made by each procedure.[245,412]



(**256**)

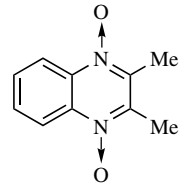
Using Zinc or Magnesium Reduction

6-Chloro-2(1*H*)-quinoxalinone from its 4-oxide (**257**) (Zn dust, 5% NaOH, 35°C, 10 min; then 18% NaOH↓, 40°C, 2.5 h: 77%).[391]



(**257**)

2,3-Dimethylquinoxaline from its 1,4-dioxide (**258**) (Mg, NH_4OAc, MeOH, reflux: >32%; for more detail and analogous preparations, see original).[302]



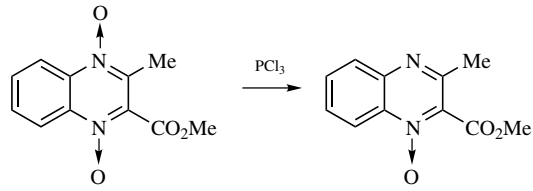
(**258**)

Using Catalytic Hydrogenation or Sodium Borohydride

6-Chloro-2(1*H*)-quinoxalinone from its 4-oxide (**257**) (Pd/C, H_2, EtOH, 20°C, until complete: 72%;[371] $NaBH_4$, 5% NaOH, 20°C, 90 min: 94%).[391]

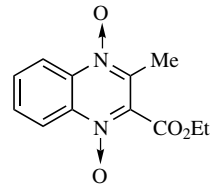
Using Phosphorus Trichloride or Diphosphorus Tetraiodide

Methyl 3-methyl-2-quinoxalinecarboxylate 1,4-dioxide (**259**) gave a ~5 : 2 : 3 mixture of methyl 3-methyl-2-quinoxalinecarboxylate 1-oxide (**260**), the isomeric 4-oxide, and methyl 3-methyl-2-quinoxalinecarboxylate itself; only the 1-oxide (**260**) could be isolated therefrom [PCl_3, $CHCl_3$, 20°C, 12 h: 85% (mixture) affording **260** in 20% yield].[153]



(**259**) (**260**)

Ethyl 3-methyl-2-quinoxalinecarboxylate from its 1,4-dioxide (**261**) (P_2I_4, $CHCl_3$, 20°C, 3 h: 74%).[227]



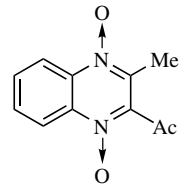
(**261**)

Using Hexachlorodisilane or Iodotrimethylsilane

Ethyl 3-methyl 2-quinoxalinecarboxylate from its 1,4-dioxide (**261**) ($Cl_3SiSiCl_3$, $CHCl_3$, 5°C → 20°C, 4 h: 74%; $SiIMe_3$, $CHCl_3$, 20°C, 48 h: 85%); also several analogs using each reagent.[412]

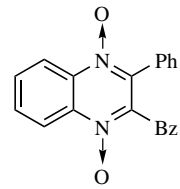
Using Sodium Iodide with Trifluoroacetic Anhydride or Sulfur Trioxide-Pyridine

2-Acetyl-3-methylquinoxaline from its 1,4-dioxide (**262**) [substrate, NaI, AcMe, 20°C; then $(F_3CCO)_2O\downarrow$ slowly, ''extremely rapid reaction'': 86%]; analogs likewise.[412]



(**262**)

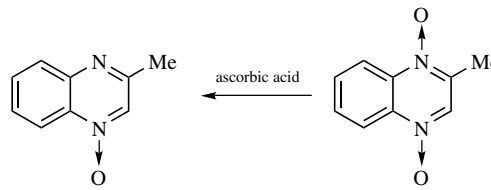
2-Benzoyl-3-phenylquinoxaline from its 1,4-dioxide (**263**) (pyridine·SO_3 complex, NaI, MeCN, 20°C, 1 h: 84%).[227]



(**263**)

Using Ascorbic Acid

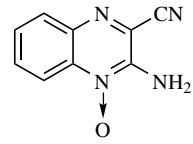
2-Methylquinoxaline 4-oxide (**264**) selectively from 2-methylquinoxaline 1,4-dioxide (**265**) (ascorbic acid, H_2O, ~90°C, 5 h: 58%; homologs likewise);[59] the natural amino acids in foods can also reduce such quinoxaline *N*-oxides.[1075]



(**264**) (**265**)

Using Ethanolic Hydrochloric Acid

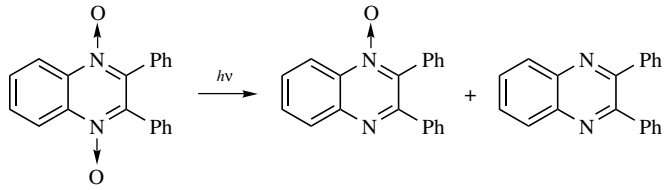
3-Amino-2-quinoxalinecarbonitrile 4-oxide (**266**) selectively from the corresponding 1,4-dioxide (HCl, H_2O, EtOH, reflux, 24 h: 75%; mechanism unclear).[477]



(**266**)

Using Photolysis in Methanol

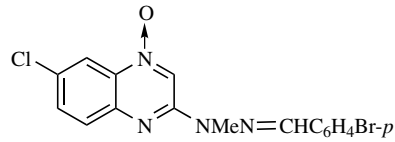
Photolysis of 2,3-diphenylquinoxaline 1,4-dioxide (**267**) gave identifiable amounts of the corresponding 1-oxide (**268**), 2,3-diphenylquinoxaline (**269**), and other products (MeOH, $h\nu$; <10% of each).[287]



(**267**) (**268**) (**269**)

Using Dimethyl Acetylenedicarboxylate

2-(*N*′-*p*-Bromobenzylidene-*N*-methylhydrazino)-6-chloroquinoxaline from its 4-oxide (**270**) [$MeO_2CC{\equiv}CCO_2Me$, Me_2NCHO, reflux, 2 h: 30%; this is a one-pot version involving cyclization to a tricyclic intermediate (see Section 4.6.2.3) and its subsequent degradation (see Section 1.7.14)].[472]



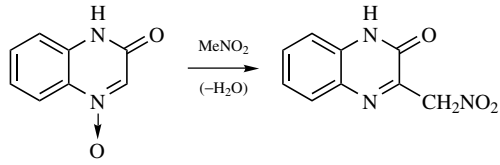
(**270**)

4.6.2.2. Deoxidative C-Substitutions

Of these Meissenheimer-type reactions, *classical C-chlorinations* (Section 3.1.5), *C-hydroxylations* (Section 4.1.1), and *C-aryloxylations* (Section 4.4.1) have been covered previously. The remaining types are illustrated in the following examples.

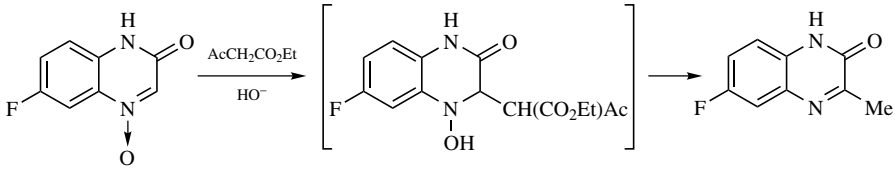
Deoxidative *C*-Alkylations

2(1*H*)-Quinoxalinone 4-oxide (**271**) gave 3-nitromethyl-2(1*H*)-quinoxalinone (**272**) ($MeNO_2$, pyridine, EtOH, reflux, 1 h: 52%).[79]



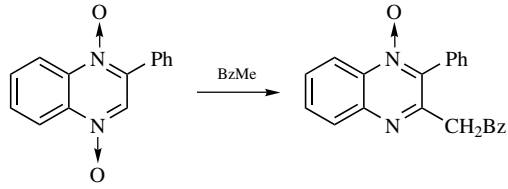
(**271**) (**272**)

6-Fluoro-2(1*H*)-quinoxalinone 4-oxide (**273**) gave 6-fluoro-3-methyl-2(1*H*)-quinoxalinone (**275**), probably by degradation of the adduct (**274**) ($AcCH_2CO_2Et$, PhH, H_2O, NaOH, 65°C, 1 h: ?%; several analogs likewise).[413]



(**273**) (**274**) (**275**)

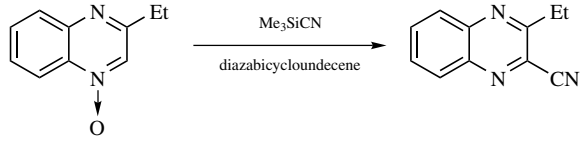
2-Phenylquinoxaline 1,4-dioxide (**276**) gave 2-phenacyl-3-phenylquinoxaline 4-oxide (**277**) (BzMe, KOH, MeOH, reflux, 5 min: 45%).[137]



(**276**) (**277**)

Deoxidative *C*-Cyanation

2-Ethylquinoxaline 4-oxide (**278**) gave 3-ethyl-2-quinoxalinecarbonitrile (**279**) (Me_3SiCN, 1,8-diazabicyclo[5.4.0]undec-7-ene, THF, 20°C, 30 min: 89%; many analogs likewise).[598]

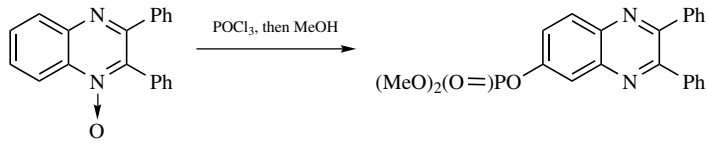


(**278**) (**279**)

Also other examples.[641]

Deoxidative Phosphinyloxylation

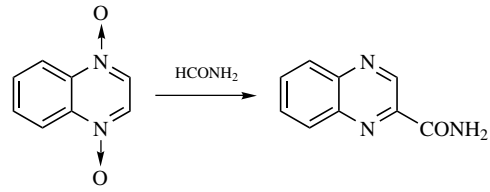
2,3-Diphenylquinoxaline 1-oxide (**280**) gave (in two steps) 6-dimethoxyphosphinyloxy-2,3-diphenylquinoxaline (**281**) ($POCl_3$, reflux, 30 min: residue from evaporation; MeOH↓, NH_4OH↓: 68% after purification from several byproducts).[638]



(**280**) (**281**)

Deoxidative *C*-Carbamoylation

Quinoxaline 1,4-dioxide (**282**) gave 2-quinoxalinecarboxamide (**283**) (neat $HCONH_2$, 200°C, 1 h: 30%, after separation from other products); other simple quinoxalinecarboxamides were made similarly but all in <10% yield).[455]



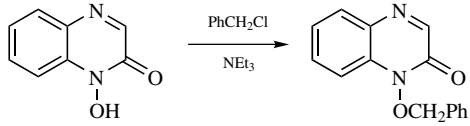
(**282**) (**283**)

4.6.2.3. Other Reactions

Some minor reactions of quinoxaline *N*-oxides are illustrated in the following classified examples.

***O*-Alkylation of 1-Hydroxy-2(1*H*)-quinoxalinones**

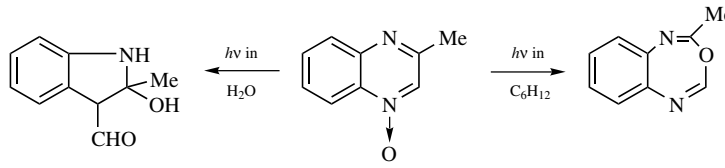
The *N*-oxide tautomer, 1-hydroxy-5,6,7,8-tetrahydro-2(1*H*)-quinoxalinone (**284**) gave 1-benzyloxy-5,6,7,8-tetrahydro-2(1*H*)-quinoxalinone (**285**) ($PhCH_2Cl$, Et_3N, Me_2SO, 20°C, 24 h: 58%).[618]



(**284**) (**285**)

Ring Contraction or Expansion

2-Methylquinoxaline 4-oxide (**287**) gave an isolable amount of either 2-hydroxy-2-methyl-2,3-dihydro-3-indolecarbaldehyde (**286**) ($h\nu$, H_2O: ?%) or 2-methyl-3,1,5-benzoxadiazepine (**288**) ($h\nu$, C_6H_{12}: ?%), in each case accompanied by other products;[518] related substrates behaved somewhat similarly.[518]

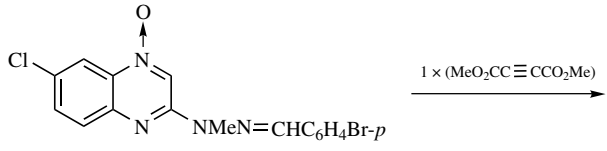


(**286**) (**287**) (**288**)

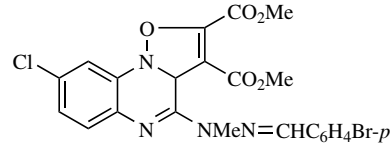
Also other examples; see Section 6.5.

Cyclizations

2-(*N*′-*p*-Bromobenzylidene-*N*-methylhydrazino)-6-chloroquinoxaline 4-oxide (**289**) gave dimethyl 4-(*N*′-*p*-bromobenzylidene-*N*-methylhydrazino)-8-chloro-3a*H*-isoxazolo[2,3-*a*]quinoxaline-2,3-dicarboxylate (**290**) [$MeO_2CC \equiv CCO_2Me$ (1 mol), dioxane, reflux, 2 h: >95%].[472]



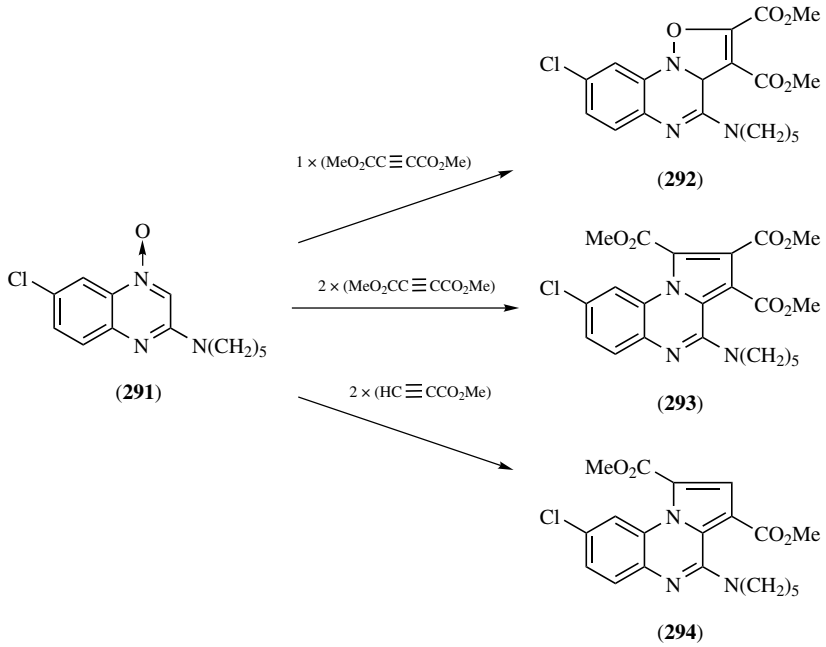
(**289**)



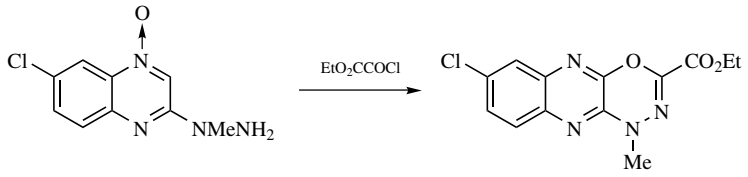
(**290**)

Likewise, 6-chloro-2-piperidinoquinoxaline 4-oxide (**291**) gave dimethyl 8-chloro-4-piperidino-3a*H*-isoxazolo[2,3-*a*]quinoxaline-2,3-dicarboxylate (**292**) [$MeO_2CC{\equiv}CCO_2Me$ (1 mol), C_6H_{12}, reflux, 1 h: >95%);[464,1094] analogs likewise.[349,461]

In contrast, the same substrate (**291**) gave trimethyl 8-chloro-4-piperidinopyrrolo[1,2-*a*]quinoxaline-1,2-3-tricarboxylate (**293**) [$MeO_2CC{\equiv}CCO_2Me$ (2 mol), dioxane, reflux, 6 h: 30%][464,1094] or dimethyl 8-chloro-4-piperidinopyrrolo[1,2-*a*]quinoxaline-1,3-dicarboxylate (**294**) [$HC{\equiv}CCO_2Me$ (2 mol), dioxane, reflux, 10 h: 16%];[980] mechanisms are suggested.[464,980]



6-Chloro-2-(*N*-methylhydrazino)quinoxaline 4-oxide (**295**) gave ethyl 7-chloro-1-methyl-1*H*-[1,3,4]oxadiazino[5,6-*b*]quinoxaline-3-carboxylate (**296**) (EtO_2CCOCl, pyridine, $CHCl_3$, 5°C → reflux, 2 h: 81%; mechanism discussed).[500]



Also other examples.[508]

Complex Formation

Note: Only a few simple examples of the metal complexes formed by quinoxaline oxides and dioxides are given here.

Quinoxaline 1-oxide gave complexes with the chlorides of Cr, Mn, Fe, Co, Ni, Cu, and Zn;[919] also with the perchlorates of the same metals.[921]

Quinoxaline 1,4-dioxide gave complexes with the chlorides of Cr, Mn, Fe, Co, Ni, Cu, and Zn;[312,886] also with the perchlorates of the same metals.[312,922]

CHAPTER 5

Thioquinoxalines (*E* 112)

The general term *thioquinoxaline* is used here to denote any quinoxaline with a sulfur-containing substituent that is attached directly or indirectly to the quinoxaline nucleus through the sulfur atom. The parent quinoxaline thiones and extranuclear thiols can be *S*-alkylated to afford alkylthioquinoxalines (thioethers: RSR′) that can undergo oxidation to alkylsulfinyl-[sulfoxides: RS(=O)R′] or alkylsulfonylquinoxalines [sulfones: $RS(=O)_2R'$]; alternatively, the parent thiols or thiones can be oxidized directly to furnish diquinoxalinyl disulfides (RSSR′), quinoxalinesulfenic acids (RSOH), quinoxalinesulfinic acids (RSO_2H), or quinoxalinesulfonic acids (RSO_3H). Diquinoxalinyl sulfides (RSR), nontautomeric quinoxalinethiones, and derivatives of the foregoing acids are included in appropriate sections of this chapter, but thiocyanatoquinoxalines (RSCN) will be found in Chapter 8 with their isomeric isothiocyanatoquinoxalines (RN=C=S). Unfortunately, there is little or no recent information on several such categories of thioquinoxaline.

5.1. QUINOXALINETHIONES AND QUINOXALINETHIOLS (*E* 112)

This section covers mainly tautomeric quinoxalinethiones, but the meagre material on fixed quinoxalinethiones and (extranuclear) quinoxalinethiols is also included.

5.1.1. Preparation of Quinoxalinethiones and Quinoxalinethiols (*E* 112, 116)

Most tautomeric quinoxalinethiones hae been made by *primary synthesis* (see Chapter 1), by *thiolysis of halogenoquinoxalines* (see Section 3.2.3), or by *thiation of quinoxalinones* (see Section 4.1.2.1); most nontautomeric quinoxalinethiones by *primary synthesis* (see Chapter 1) or by *thiation of the corresponding quinoxalinones* (see Section 4.5.2); and an occasional extranuclear quinoxalinethiol by

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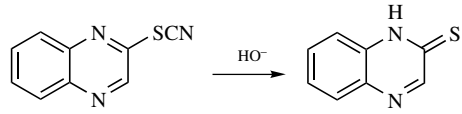
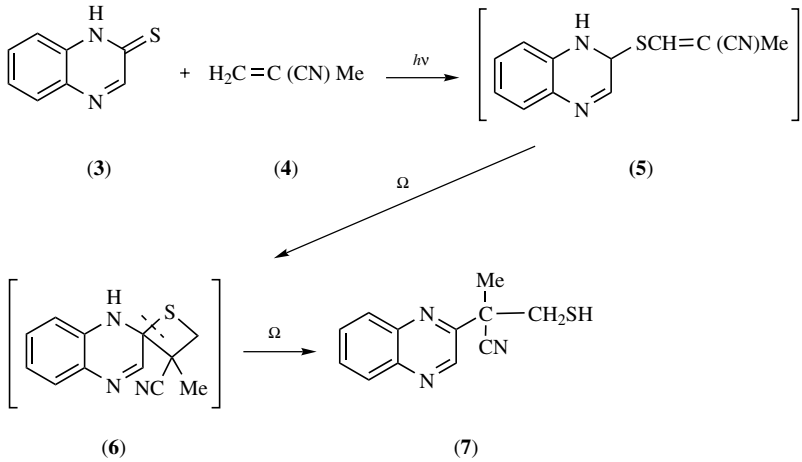
primary synthesis (see Chapter 1). Other routes to any such thiones or thiols appear to be confined to examples that follow.

2-Thiocyanatoquinoxaline (**1**) gave 2(1*H*)-quinoxalinethione (**2**) [2M NaOH, 20°C, 2.5 H: 85%; EtONa, EtOH, 20°C, 3 h: 67%, after separation from 2-ethoxyquinoxaline (14%)].[597]



(**1**) (**2**)

2(1H)-Quinoxalinethione (**3**) and methacrylonitrile (**4**) gave 2-(1-cyano-2-mercapto-1-methylethyl)quinoxaline (**7**) [reactants, $MeOCH_2CH_2OMe$, *hν*, 20°C, 12 h: 35%; the mechanism probably involved rearrangement of the photoadduct (**5**) via the spiro intermediate (**6**)];[47] a variety of analogous extranuclear quinoxalinethiols, like 2-(2-mercapto-1-methoxycarbonyl-1-methylethyl)-3-methylquinoxaline (59%), were made similarly.[47,397]



(**3**) (**4**) (**5**) (**6**) (**7**)

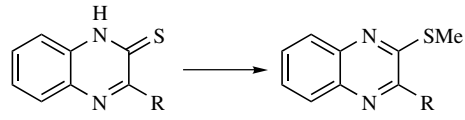
5.1.2. Reactions of Quinoxalonethiones and Quinoxalinethiols
(*E* 114, 116)

The *hydrolysis of tautomeric quinoxalinethiones* has been mentioned toward the end of Section 4.1.1. All other recently reported reactions of these thiones and thiols are illustrated by the following classified examples.

S-Alkylation

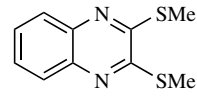
Note: In contrast with quinoxalinones, alkylation of quinoxalinethiones affords only *S*-alkyl derivatives.

3-Methyl-2(1*H*)-quinoxalinethione (**8**, R = Me) gave 2-methyl-3-methylthioquinoxaline (**9**, R = Me) (Me_2SO_4, NaOH, H_2O, 20°C, 12 h: 79%;[103] MeI, K_2CO_3, AcMe, reflux, 4 h: 95%);[105] 3-phenyl-2(1*H*)-quinoxalinethione (**8**, R = Ph) gave 2-methylthio-3-phenylquinoxaline (**9**, R = Ph) (MeI, EtONA, EtOH, 20°C, 4 h: 40%).[159]



(**8**) (**9**)

Crude 2,3(1*H*,4*H*)-Quinoxalinedithione [prepared by thiolysis of 2,3-dichloroquinoxaline (see Section 3.2.3)] gave 2,3-bismethylthioquinoxaline (**10**) (MeI, NaOH, H_2O, 20 min: 40% overall).[28]

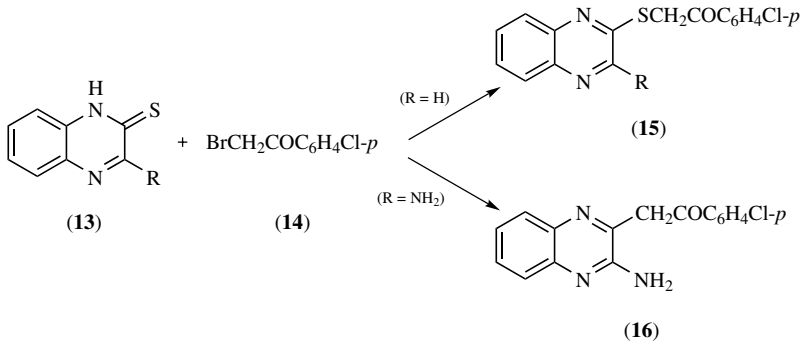


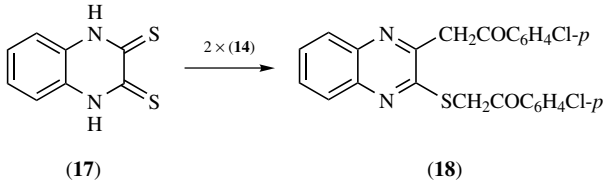
(**10**)

2(1*H*)-Quinoxalonethione gave 2-carboxymethylthioquinoxaline (**11**, R = H) ($ClCH_2CO_2Na$, H_2O, reflux, 1 h: 95%; homologs likewise);[794] 3-thioxo-3,4-dihydro-2-quinoxalinecarbonitrile gave 3-carboxymethylthio-2-quinoxalinecarbonitrile (**11**, R = CN) ($ClCH_2CO_2H$, AcONa, EtOH, reflux, 2 h: 70%) or 3-(*N*-phenylcarbamoylmethylthio)-2-quinoxalinecarbonitrile (**12**) ($ClCH_2$-CONHPh, likewise: 65%).[930]

(**11**) (**12**)

2(1*H*)-Quinoxalinethione (**13**, R = H) and *p*-chlorophenacyl bromide (**14**) gave 2-(*p*-chlorophenacylthio)quinoxaline (**15**) (NaOH, EtOH, 20°C, 5 h: 70%); in contrast, 3-amino-2(1*H*)-quinoxalinethione (**13**, R = NH_2) with the same synthon (**14**) gave 3-*p*-chlorophenacyl-2-quinoxalinamine (**16**) (likewise: 45%; note sulfur extrusion); and 2,3(1*H*, 4*H*)-quinoxalinedithione (**17**) with the same synthon (**15**) (2 mol) gave 2-*p*-chlorophenacyl-3-(*p*-chlorophenacylthio)quinoxaline (**18**) (likewise: 70%; note extrusion of only one atom of sulfur). It was concluded that extrusion occurred when an electron-donating group occupied a position adjacent to the reacting thioxo substituent.[224]

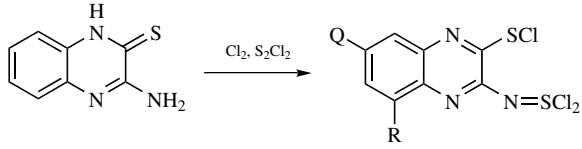




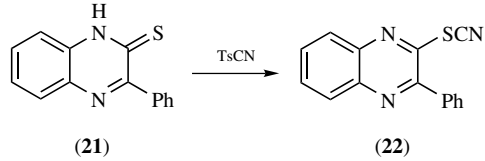
Also other examples. [317,372,748,811,812,953]

S-Chlorination or Cyanation

3-Amino-2(1*H*)-quinoxalinethione (**19**) gave 3-chlorothioquinoxaline-2-ylimino sulfur dichloride (**20**, Q = R = H) (substrate, MeCN, <5°C, Cl↓, S_2Cl_2↓, 15 min: 48%), 6-chloro-3-chlorothioquinoxaline-2-yliminosulfur dichloride (**20**, Q = Cl, R = H) (substrate, excess SCl_2, MeCN, 20°C, 1 h: 66%), or 6,8-dichloro-3-chlorothioquinoxaline-2-yliminosulfur dichloride (**20**, Q = R = Cl) (excess S_2Cl_2, Cl↓, MeCN, reflux, 30 min: 66%; structure confirmed by X-ray analysis).[1034]

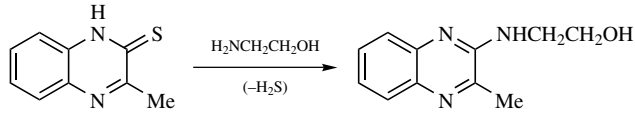


3-Phenyl-2(1*H*)-quinoxalinethione (**21**) gave 2-phenyl-3-thiocyanatoquinoxaline (**22**) (TsCN, NaH, THF, 0°C, 2 h: 80%).[622]



Aminolysis

3-Methyl-2(1*H*)-quinoxalinethione (**23**) gave 2-(2-hydroxyethylamino)-3-methylquinoxaline (**24**) ($H_2NCH_2CH_2OH$, EtOH, reflux, 2 h: 15%).[103]

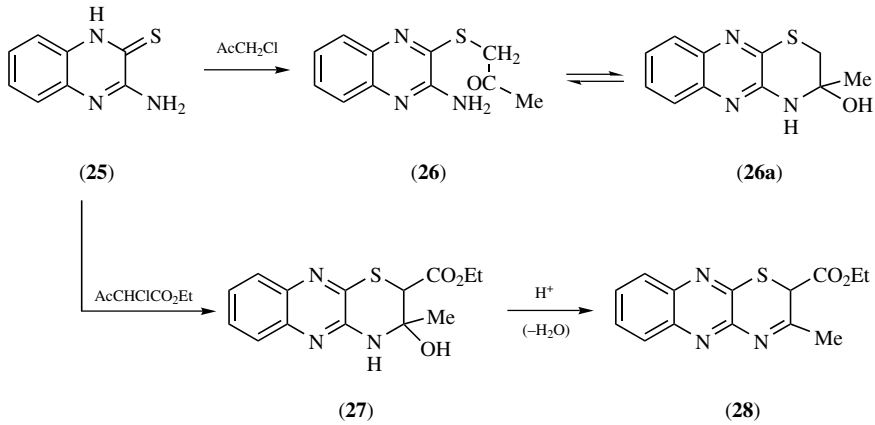


(**23**) (**24**)

Cyclizations

3-Amino-2(1*H*)-quinoxalinethione (**25**) and chloroacetone gave 3-methyl-3,4-dihydro-2*H*-1,4-thiazino[2,3-*b*]quinoxalin-3-ol (**26a**), which in solution (only) existed in equilibrium with its tautomer (**26**) (KOH, EtOH, <10°C, 4 h: 52%; analogues likewise).[759]

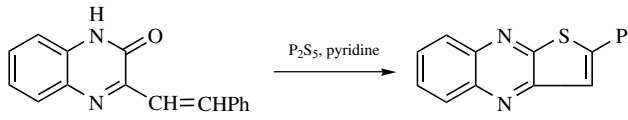
The same substrate (**25**) and ethyl 2-chloroacetoacetate gave an analogous product, ethyl 3-hydroxy-3-methyl-3,4-dihydro-2*H*-1,4-thiazino[2,3-*b*]quinoxaline-2-carboxylate (**27**) (KOH, EtOH, 20°C, 3 h: 58%), which underwent dehydration to ethyl 3-methyl-2H-1,4-thiazino[2,3-*b*]quinoxaline-2-carboxylate (**28**) (HCl gas, EtOH, 20°C, 15 h: 60%; analogs likewise).[754]



(**25**) (**26**) (**26a**)

(**27**) (**28**)

3-Styryl-2(1*H*)-quinoxalinone (**29**) underwent a one-pot thiation and cyclization to give 2-phenylthieno[2,3-*b*]quinoxaline (**30**) (P_2S_5, pyridine, reflux, 10 h: ~55%).[68]



(**29**) (**30**)

Complex Formation

Typical complexes from 2,3(1*H*, 4*H*)-quinoxalinedithione include those with Ni,[920] Pt(II),[889] and Mo.[536]

The complexation of 6-nitro-2,3(1*H*, 4*H*)-quinoxalinedithione with Co(II) and Ni(II) froms the basis of a procedure for the simultaneous estimation of both metals with serious interference from only Cu(II), Pd(II), Pt(IV), or Os(VIII).[933]

5.2. ALKYLTHIOQUINOXALINES AND DIQUINOXALINYL SULFIDES

Alkylthio- and arylthioquinoxalines are potentially valuable intermediates for the preparation of other quinoxalines, but they have seldom been used as such; diquinoxalinyl sulfides usually arise only as byproducts.

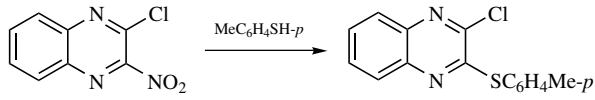
5.2.1. Preparation of Alkylthioquinoxalines

Most alkylthioquinoxalines (nuclear and extranuclear) have been made by *primary synthesis* (see Chapter 1), by *alkanethiolysis of halogenoquinoxalines* (see Sections 3.2.3 and 3.4.4), or by *alkylation of quinoxalinethiones* (see Section 5.1.2); the formation of a diquinoxalinyl sulfide *during thiolysis of a halogenoquinoxaline* has been noted in Section 3.2.3.

Some minor routes to these thioethers are illustrated in the following examples.

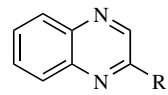
From Nitroquinoxalines

2-Chloro-3-nitroquinoxaline (**31**) gave only 2-chloro-3-*p*-tolylthioquinoxaline (**32**) (MeC_6H_4SNa, MeOH, 0°C, 20 min: 76%).[121]



(**31**) (**32**)

2-Nitroquinoxaline (**33**, R = NO_2) gave 2-phenylthioquinoxaline (**33**, R = SPh) (PhSNa, EtOH, ?°C, 30 min: 85%) or 2-methylthioquinoxaline (**33**, R = SMe) (MeSNa, likewise: 85%).[867]

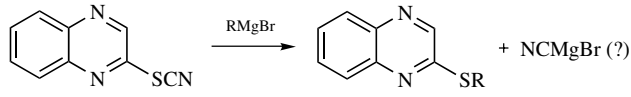


(**33**)

Also other examples.[147]

From Thiocyanatoquinoxalines

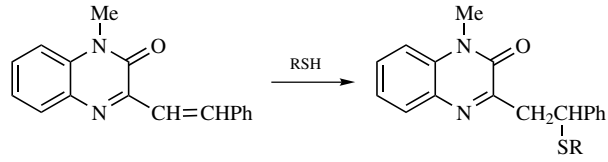
2-Thiocyanatoquinoxaline (**34**) gave 2-methylthioquinoxaline (**35**, R = Me) (MeMgBr, Et_2O–PhH, 20°C, 4 h: 85%); 2-phenylthio- (**35**, R = Ph) (85%), 2-benzylthio-(**35**, R = CH_2Ph) (73%), and other alkylthioquinoxalines were made simillarly using appropriate Grignard reagents.[597]



(**34**) (**35**)

From Alkenylquinoxalines

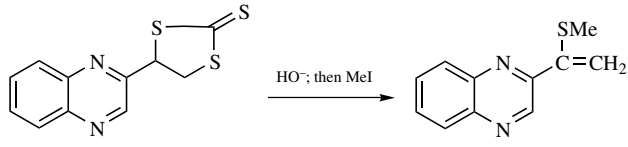
1-Methyl-3-styryl-2(1*H*)-quinoxalinone (**36**) underwent addition of thiophenol to give 1-methyl-2-(β-phenylthiophenethyl)-2(1*H*)-quinoxalinone (**37**, R = Ph) (neat PhSH, 100°C, 2 h: 65%; analogs likewise) or addition of mercaptoacetic acid to give 3-[β-(carboxymethylthio)phenethyl]-1-methyl-2(1*H*)-quinoxalinone (**37**, R = CH_2CO_2H) (neat $HSCH_2CO_2H$, 100°C, 2 h: 80%; analogs likewise).[105]



(**36**) (**37**)

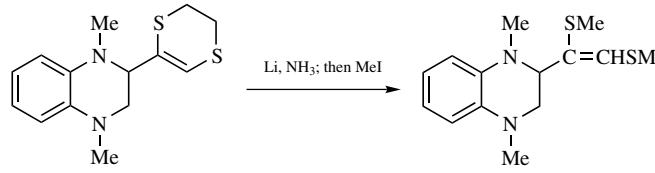
From Cyclic Dithia Derivatives

2-(2-Thioxo-1,3-dithiolan-4-yl)quinoxaline (**38**) gave 2-(1-methylthiovinyl)quinoxaline (**39**) (NaOH, H_2O, MeOH, reflux, 1 min; then MeI↓, 20°C, 5 min: 31%; mechanism suggested).[541]



(**38**) (**39**)

2-(5,6-Dihydro-1,4-dithiin-2-yl)-1,4-dimethyl-1,2,3,4-tetrahydroquinoxaline (**40**) gave 2-(1,2-bismethylthiovinyl)-1,4-dimethyl-1,2,3,4-tetrahydroquinoxaline (**41**) [Li, NH_3 (liquid), THF, <-30°C, 30 min; then NH_3↑ and MeI↓, 20°C, 3 h: 66%; mechanism suggested].[541]



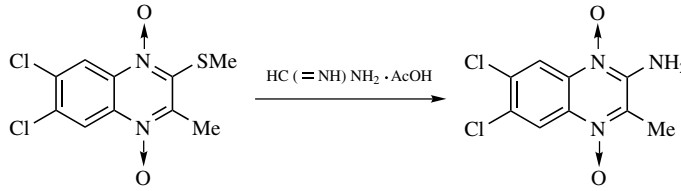
(**40**) (**41**)

5.2.2. Reactions of Alkylthioquinoxalines

The few recently reported reactions of these thioethers are illustrated by the following examples.

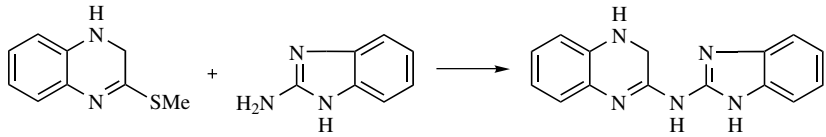
Aminolysis

6,7-Dichloro-2-methyl-3-methylthioquinoxaline 1,4-dioxide (**42**) gave 6,7-dichloro-3-methyl-2-quinoxalinamine 1,4-dioxide (**43**) [$HC(=NH)NH_2 \cdot AcOH$, $EtOCH_2CH_2OH$, reflux, 30 min: 30%].[483]



(**42**) (**43**)

2-Methylthio-3,4-dihydroquinoxaline (**44**) and 2-benzimidazolamine (**45**) gave 2-(benzimidazol-2-ylamino)-3,4-dihydroquinoxaline (**46**) (Me_2NCHO, reflux, 4 h: 57%; several analogs likewise).[439]

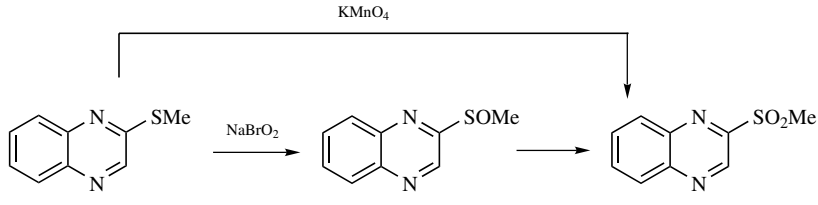


(**44**) (**45**) (**46**)

Oxidation to Alkylsulfinyl- and/or Alkylsulfonylquinoxalines

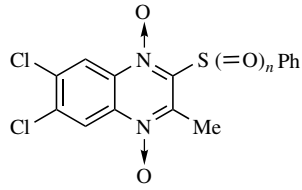
Note: Treatment of an alkylthio- or arylthioquinoxaline with 1 equiv of an appropriate oxidizing agent usually gives mainly the sulfoxide accompanied by some sulfone; the use of 2 equiv of oxidant usually gives only the sulfone.

2-Methylthioquinoxaline (**47**) gave a separable mixture of 2-methylsulfinyl- (**48**) and 2-methylsulfonylquinoxaline (**49**) ($NaBrO_2$, AcOH, H_2O, <20°C, 2 h: 69% and 8%, respectively) or only the sulfone (**49**) ($KMnO_4$, AcOH, H_2O, 20°C: 76%);[597] analogs, like 2-phenylsulfinyl- (70%) and 2-phenylsulfonylquinoxaline (88%), were made similarly.[597]



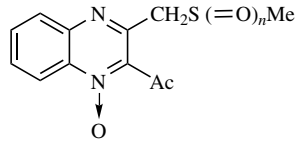
(**47**) (**48**) (**49**)

6,7-dichloro-2-methyl-3-phenylthioquinoxaline 1,4-dioxide (**50**, $n = 0$) gave 6,7-dichloro-2-methyl-3-phenylsulfinyl- (**50**, $n = 1$) or 6,7-dichloro-2-methyl-3-phenylsulfonylquinoxaline 1,4-dioxide (**50**, $n = 2$) [*m*-$ClC_6H_4CI_3H$ (1 or 2 equiv, respectively), $CHCl_3$, 0°C → 20°C, 12 h: 78% or 85%, respectively).[483]



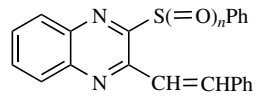
(**50**)

2-Acetyl-3-methylthiomethylquinoxaline 1-oxide (**51**, $n = 0$) gave 2-acetyl-3-methylsulfinylmethyl- (**51**, $n = 1$) (*m*-$ClC_6H_4CO_3H$, CH_2Cl_2, 0°C, 4 h: 62%) or 2-acetyl-3-methylsulfonylmethylquinoxaline 1-oxide (**51**, $n = 2$) (*m*-ClC_6H_4-CO_3H, $CHCl_3$, 20°C, 4 h: 85%).[712]



(**51**)

2-Phenylthio-3-styrylquinoxaline (**52**, $n = 0$) gave 2-phenylsulfonyl-3-styrylquinoxaline (**52**, $n = 2$) (substrate, Ac_2O, 20°C; 30% $H_2O_2\downarrow$ dropwise, 20°C, ~8 h: 70%; analogs likewise).[996]

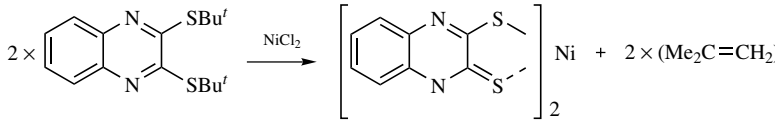


(**52**)

Also other examples.[372,710,1086]

Complex Formation

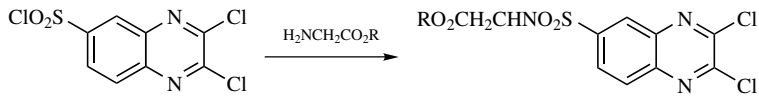
2,3-Bis-*tert*-butylthioquinoxaline (**53**) afforded the Ni complex (**54**) with loss of 2-methylpropene (**55**) ($NiCl_2$, trace HCl, $MeOCH_2CH_2OH$, reflux, 12 h: 78%).[887]



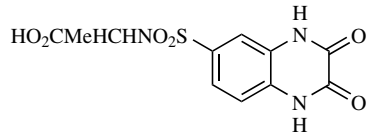
(**53**) (**54**) (**55**)

5.3. DIQUINOXALINYL DISULFIDES AND QUINOXALINESULFONIC ACID DERIVATIVES

These oxidation derivatives of quinoxalinethiones have been almost totally neglected in recent literature. However, a few *quinoxaline sulfenyl chlorides* have been prepared by chlorination of quinoxalinethiones (Section 5.1.2) and two quinoxalinesulfonyl chlorides have been converted into correspondng sulfonamides for antimicrobial evaluation. For example, 2,3-dichloro-6-quinoxalinesulfonyl chloride (**56**) with glycine or its methyl ester gave *N*-carboxymethyl-2,3-dichloro- (**57**, R = H) or 2,3-dichloro-*N*-methoxycarbonylmethyl-6-quinoxalinesulfonamide (**57**, R = OMe), respectively;[386] and 2,3-dioxo-1,2,3,4-tetrahydro-6-quinoxalinesulfonyl chloride gave similar amidic derivatives, such as *N*-(1-carboxyethyl)-2,3-dioxo-1,2,3,4-tetrahydro-6-quinoxalinesulfonamide (**58**) (by using alanine as synthone).[255] At least one extranuclear quinoxalinesulfonic acid has been reported.[174]



(**56**) (**57**)

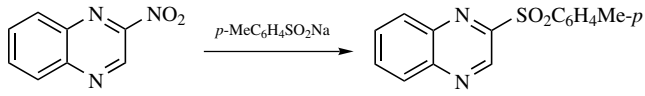


(**58**)

5.4. QUINOXALINE SULFOXIDES AND SULFONES

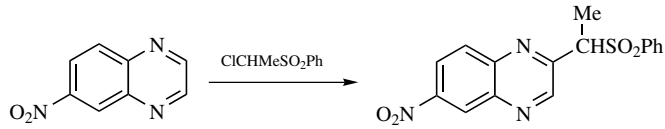
Preparation. A few such derivatives have been made by *primary synthesis* (see Sections 1.6.7 and 1.8) or by *arenesulfinolysis of halogenoquinoxalines* (see Sections 3.2.7 and 3.4.4) but most have been prepared by the *oxidation of alkyl- or arylthioquinoxalines* (see Section 5.2.2). In addition, recently used minor routes are represented in the following examples.

2-Nitroquinoxaline (**59**) gave 2-*p*-tolylsulfonylquinoxaline (**60**) (p-$MeC_6H_4SO_2Na$, Me_2SO, 90°C, 2 h: 70%).[867]



(**59**) (**60**)

6-Nitroquinoxaline (**61**) gave 6-nitro-2-(1-phenylsulfonylethyl)quinoxaline (**62**) [$ClCHMeSO_2Ph$, KOH, Me_2SO, 20°C, <5 h: 58%; a passenger introduction during alkylation).[203]



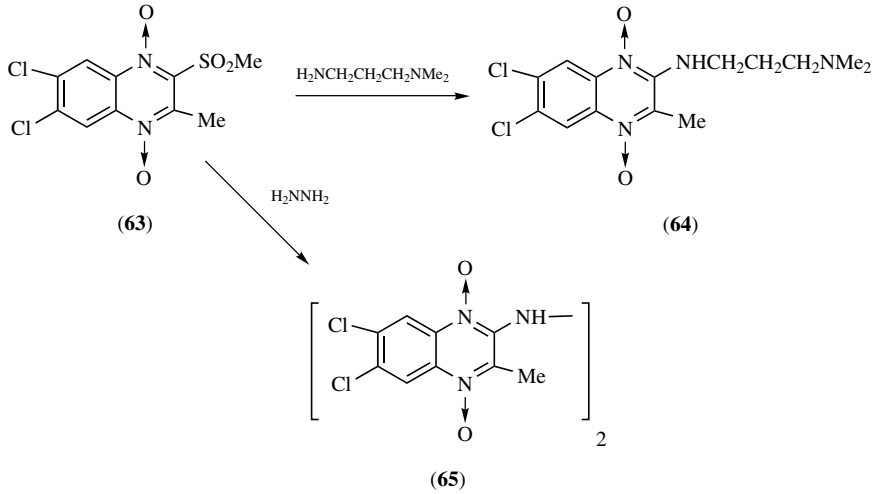
(**61**) (**62**)

Reactions. Although both *C*-alkylsulfinyl- and *C*-alkylsulfonylquinoxalines have great potential as versatile intermediates, especially for displacement reactions, they have seldom been used as such in recent years; for example, their hydrolysis, alcoholysis, and phenolysis have been totally ignored. However, an example of the *conversion of an arylsulfonyl-into a halogenoquinoxaline* has been given in Section 3.1.5, and some other reported reactions are illustrated in the following examples.

Aminolysis

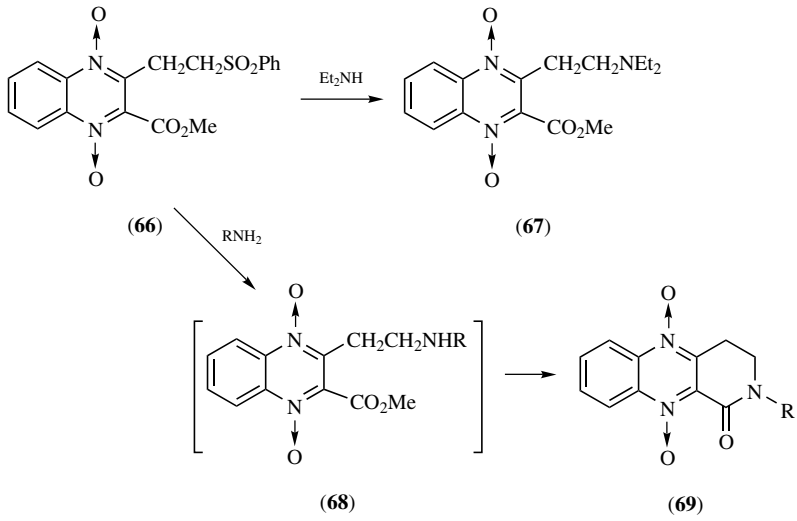
6,7-Dichloro-2-methyl-3-methylsulfonylquinoxaline 1,4-dioxide (**63**) gave 6,7-dichloro-2-(3-dimethylaminopropylamino)-3-methylquinoxaline 1,4-dioxide (**64**) ($H_2NCH_2CH_2CH_2NMe_2$, $CHCl_3$, dioxane, 20°C → 80°C, 10 h: 47%) or *N*,*N*′-bis(6,7-dichloro-3-methyl-1,4-dioxidoquinoxaline-2-yl)hydrazine (**65**) (H_2NNH_2, EtOH, 20°C, 10 h: 66%).[483]

Methyl 3-(2-phenylsulfonylethyl)-2-quinoxalinecarboxylate 1,4-dioxide (**66**) and diethylamine gave only methyl 3-(2-diethylaminoethyl)-2-quinoxaline-carboxylate 1,4-dioxide (**67**) (Et_2NH, MeCN, 20°C, 2 h: 40%);[710] when ammonia or a primary amine was used similarly, the analogous (unisolated) product (**68**, R = H or alkyl) underwent spontaneous cyclization to afford, for



(**63**) (**64**) (**65**)

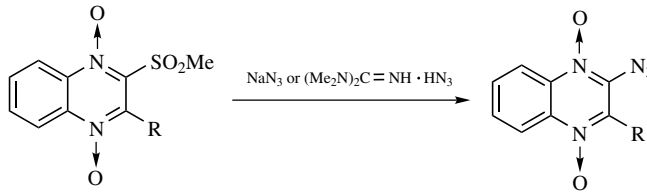
example, 3,4-dihydropyrido[3,4-*b*]quinoxalin-1(2*H*)-one 5,10-dioxide (**69**, R = H) (64%) or its 2-methyl derivative (**69**, R = Me) (85%).[710]



(**66**) (**67**) (**68**) (**69**)

Azidolysis

2-Methylsulfonylquinoxaline 1,4-dioxide (**70**, R = H) gave 2-azidoquinoxaline 1,4-dioxide (**71**, R = H) (NaN_3, Me_2SO, 20°C, 15 h: 60%); 2-methyl-3-methylsulfonyl- (**70**, R = Me) gave 2-azido-3-methylquinoxaline 1,4-dioxide (**71**, R = Me) [$(Me_2N)_2C{=}NH \cdot HN_3$, $CHCl_3$, 20°C, 90 min: 66%].[155]

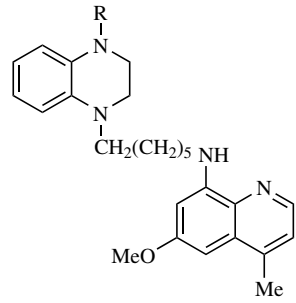


(**70**) (**71**)

Removal of *N*-Arenesulfonyl Groups

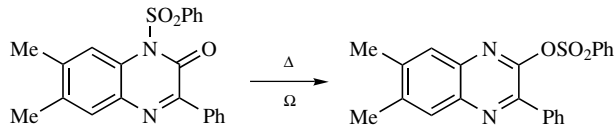
Note: When attached to an atom other than carbon, alkyl- or arylsulfonyl groups are usually known as *alkane-* or *arenesulfonyl groups* (IUPAC Rule C 631)[1076] and are thus considerd as acyl-type derivatives rather than sulfones. Nevertheless, two important reactions are exemplified here.

1-Benzenesulfonyl-4-[6-(6-methoxy-4-methylquinolin-8-ylamino)hexyl]-1,2,3,4-tetrahydroquinoxaline (**72**, R = SO_2Ph) gave l-[6-(6-methoxy-4-methylquinolin-8-ylamino)hexyl]-1,2,3,4-tetrahydroquinoxaline (**72**, R = H) (98% H_2SO_4, 20°C, 12 h: 92%).[711]



(**72**)

1-Benzenesulfonyl-6,7-dimethyl-3-phenyl-2(1*H*)-quinoxalinone (**73**) rearranged into 2-benzenesulfonyloxy-6,7-dimethyl-3-phenylquinoxaline (**74**) (xylene, 140°C, 2 h: 75%).[964]



(**73**) (**74**)

CHAPTER 6

Nitro-, Amino-, and Related Quinoxalines (*H* 263, *E* 179)

This chapter embraces quinoxalines bearing nitrogenous substituents that are joined to the quinoxaline nucleus through their nitrogen atom. However, isocyano-, isocyanato-, and isothiocyanatoquinoxalines will be found in Chapter 7 to ensure that they are adjacent to quinoxalinecarbonitriles.

6.1. NITROQUINOXALINES

Most nitroquinoxalines have been made as precursors for quinoxalinamines. Moreover, the presence of a powerfully electron-withdrawing nitro group in the molecule will activate leaving groups (such as halogeno) toward all sorts of nucleophilic displacement reactions.

6.1.1. Preparation of Nitroquinoxalines

Many nitroquinoxalines have been prepared by *primary synthesis* (see Chapter 1), from *halogenoquinoxalines* (see Section 3.2.7), or by *passenger introduction* (e.g., on deoxidative alkylation of an *N*-oxide: see Section 4.6.2.2). However, one major route and one minor preparative route remain, and they are illustrated in the following subsections.

6.1.1.1. By Direct Nitration

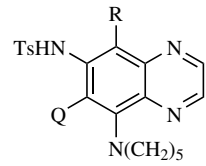
Nitration of a substituted quinoxaline usually occurs in the homocyclic ring and occasionally in an exocyclic substituent such as a phenyl group. The actual position(s) of nitration can seldom be forecast with any confidence: analogy with reported nitrations is still the best guide. Accordingly, the following examples are classified, not by nitration sites but by the reagents used.

Quinoxalines: Supplement II, *Chemistry of Heterocyclic Compounds, Volume 61*, by Desmond J. Brown
ISBN 0-471-26495-4 Copyright © 2004 John Wiley & Sons, Inc.

Using Nitric Acid–Acetic Acid

5-Piperidino-7-*p*-toluenesulfonamidoquinoxaline (**1**, Q = R = H) gave a separable mixture of 5-nitro-8-piperidino-6-*p*-toluenesulfonamido- (**1**, Q = H, R = NO_2) and 6-nitro-5-piperidino-7-*p*-toluenesulfonamidoquinoxaline (**1**, Q = NO_2, R = H) (70% HNO_3, AcOH, 40°C, tlc monitored: 31% and 6%, respectively).[195]

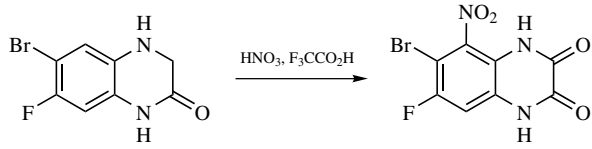
Also other examples.[25]



(**1**)

Using Nitric Acid–Trifluoroacetic Acid

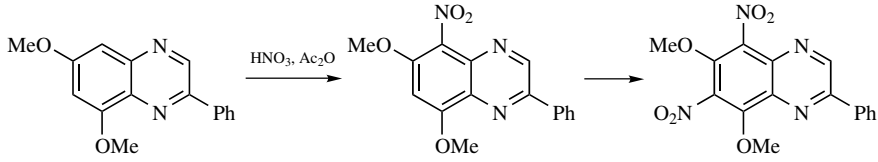
6-Bromo-7-fluoro-3,4-dihydro-2(1*H*)-quinoxalinone (**2**) gave only 6-bromo-7-fluoro-5-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**3**) (excess >90% HNO_3, F_3CCO_2H, 20°C, 12 h: 85%; note the additional oxidative introduction of a second oxo aubstituent);[191] also analogous products likewise.[191,1045]



(**2**) (**3**)

Using Nitric Acid–Acetic Anhydride

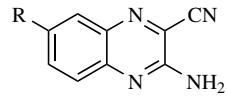
5,7-Dimethoxy-3-phenylquinoxaline (**4**) gave either 5,7-dimethoxy-8-nitro-3-phenylquinoxaline (**5**) [70% HNO_3, Ac_2O, 22°C, until tlc showed that (**4**) had gone: 63%] or 5,7-dimethoxy-6,8-dinitro-3-phenylquinoxaline (**6**) [similarly but until the spot for **5** had gone: 75%].[486]



(**4**) (**5**) (**6**)

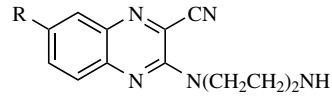
Using Nitric Acid–Sulfuric Acid

3-Amino-2-quinoxalinecarbonitrile (**7**, R = H) gave only 3-amino-7-nitro-2-quinoxalinecarbonitrile (**7**, R = NO_3) (60% HNO_3, 95% H_2SO_4, <5°C, ~1 h: 77%).[477]



(**7**)

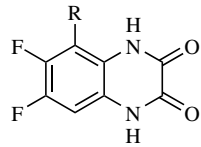
3-(Piperazin-1-yl)-2-quinoxalinecarbonitrile (**8**, R = H) gave only 7-nitro-3-(piperazin-1-yl)-2-quinoxalinecarbonitrile (**8**, R = NO_2) (70% HNO_3, 95% H_2SO_4, <5°C, ~1 h: 71%).[722]



(**8**)

Using Potassium Nitrate–Trifluoroacetic Acid

6,7-Difluoro-2,3(1*H*, 4*H*)-quinoxalinedione (**9**, R = H) gave 6,7-difluoro-5-nitro-2,3(1*H*, 4*H*)-quinoxalinedione (9, R = NO_2) (KNO_3, F_3CCO_2H, 55°C, 60 h with additional $KNO_3\downarrow$ after 20 and 40 h: 68%).[1045]

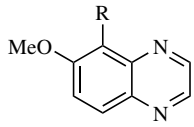


(**9**)

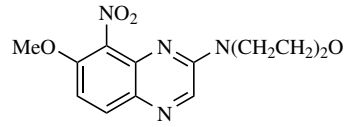
Using Potassium Nitrate–Sulfuric Acid

Note: This is currently the most popular nitrating agent, but the reason is not obvious.

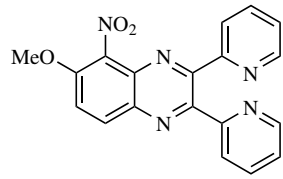
6-Methoxyquinoxaline (**10**, R = H) gave 6-methoxy-5-nitroquinoxaline (**10**, R = NO_2) (95% H_2SO_4, $KNO_3\downarrow$ slowly, 20°C, 2 h: 79%);[750] a similar procedure was used to make 6-methoxy-3-morpholino-5-nitroquinoxaline (**11**) (90%);[781] but more vigorous conditions were used to afford 6-methoxy-5-nitro-2,3-bis(pyridin-2-yl)quinoxaline (**12**) (95% H_2SO_4, $KNO_3\downarrow$ slowly, 20°C → 70°C, 3 h: 96%).[895]



(**10**)

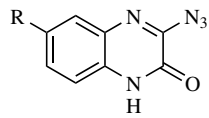


(**11**)

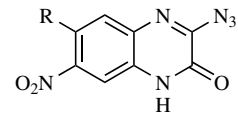


(**12**)

3-Azido-2(1*H*)-quinoxalinone (**13**, R = H) gave 3-azido-6-nitro-2(1*H*)-quinoxalinone (**13**, R = NO_2) (KNO_3, 95% H_2SO_4, 25°C, 4 h: ~65%);[720] understandably, more vigorous conditions were used for the introduction of a second nitro group into 3-azido-7-nitro-2(1*H*)-quinoxalinone (**14**, R = H) to afford 3-azido-6,7-dinitro-2(1*H*)-quinoxalinone (**14**, R = NO_2) (KNO_3, 95% H_2SO_4, 50°C, 34 h: ~90% before purification).[720]

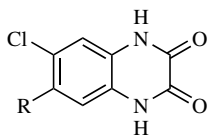


(**13**)



(**14**)

6-Chloro-2,3(1*H*,4*H*)-quinoxalinedione (**15**, R = H) gave 6-chloro-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**15**, R = NO_2) (95% H_2SO_4, $KNO_3\downarrow$ slowly, 0°C → 20°C, 4.5 h: 83%).[438] Such a procedure was used to prepare the analogous 6-fluoro-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**16**) (~2.5 h: 55%)[723] and 6-fluoro-4-hydroxy-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**17**) (74%).[730]



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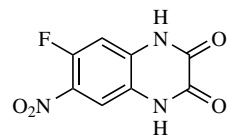

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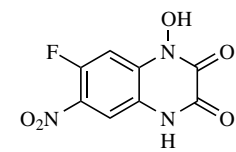
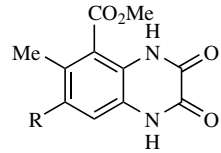


(**17**)

Methyl 6-methyl-2,3-dioxo-1,2,3,4-tetrahydro-5-quinoxalinecarboxylate (**18**, R = H) gave its 7-nitro derivative (**18**, R = NO_2) (KNO_3, 95% H_2SO_4,

20°C, 15 h: 83%; note survival of the ester grouping);[506] 6,7-dichloro-5-nitro-2,3(1*H*, 4*H*)-quinoxalinedione (**19**) was made similarly (0°C → 20°C, 20 h: 85%).[1045]



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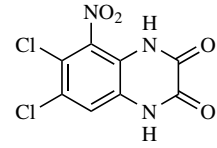
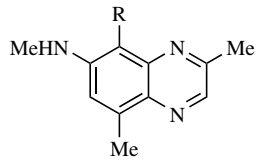


(**19**)

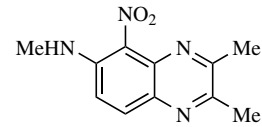
Also other examples, some of which produced two isomeric products.[5,16,214,256,279,410,936,959]

Using Potassium Nitrate–Sulfuric Acid–Acetic Acid

2,5-Dimethyl-7-methylaminoquinoxaline (**20**, R = H) gave 2,5-dimethyl-7-methylamino-8-nitroquinoxaline (**20**, R = NO_2) (95% H_2SO_4, AcOH, 0°C, KNO_3↓, 20°C, 90 min: 65%);[9] the isomeric 2,3-dimethyl-6-methylamino-5-nitroquinoxaline (**21**) was made somewhat similarly (substrate, AcOH, 95% H_2SO_4↓ slowly, <25°C → 5°C, KNO_3↓, 20°C, 2 h: 54%).[8]



(**20**)

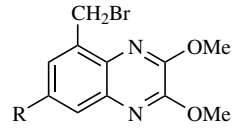


(**21**)

Also other examples.[6,7]

Using Potassium Nitrate–Sulfuric Acid–Trifluoroacetic Acid

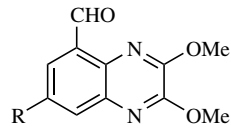
5-Bromomethyl-2,3-dimethoxyquinoxaline (**22**, R = H) gave 5-bromomethyl-2,3-dimethoxy-7-nitroquinoxaline (**22**, R = NO_2) (KNO_3, H_2SO_4, F_3CCO_2H, no further details: 38%).[14]



(**22**)

Using Nitric Acid–Sulfuric Acid–Trifluoroacetic Acid–Trifluoroacetic Anhydride

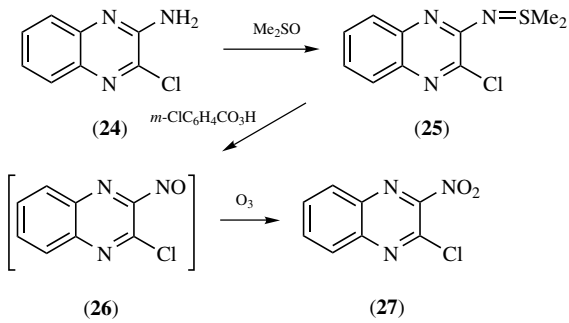
2,3-Dimethoxy-5-quinoxalinecarbaldehyde (**23**, R = H) gave 2,3-dimethoxy-7-nitro-5-quinoxalinecarbaldehyde (**23**, R = NO_2) [HNO_3, H_2SO_4, F_3CCO_2H, $(F_3CCO)_2O$, 0°C, no further details: 75%].[15]



(**23**)

6.1.1.2. From Dimethylsulfimidoquinoxalines

This minor but important route enables a quinoxalinamine to be converted into the corresponding nitroquinoxaline by oxidation of a derived dimethylsulfimidoquinoxaline. Thus 3-chloro-2-quinoxalinamine (**24**) was converted into 2-chloro-3-dimethylsulfimidoquinoxaline (**25**) (68–88%; see Section 6.3.2.4), which underwent initial oxidation to a green solution of 2-chloro-3-nitrosoquinoxaline (**26**) (*m*-$ClC_6H_4CO_3H$, CH_2Cl_2, −5°C, 40 min; then $Me_2S\downarrow$, 0°C, 10 min) and thence further oxidation to 2-chloro-3-nitroquinoxaline (**27**) ($O_3\downarrow$, 0°C, until colorless: 20–62%).[121,234,cf. 793]



(**24**) (**25**) (**26**) (**27**)

6.1.2. Reactions of Nitroquinoxalines

The mass spectral behavior of several nuclear and extranuclear nitroquinoxalines has been investigated in some detail.[290,763] The X-ray analysis of 5-nitro-2,3-bis(pyridin-2-yl)quinoxaline[1115] and its bisperchlorate salt[1113] have been reported. Reduction to quinoxalinamines is the main transformation of nitroquinoxalines, but they also undergo useful displacement reactions.

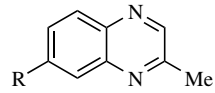
6.1.2.1. Reduction to Quinoxalinamines

The method of choice for reducing a nitroquinoxaline to a quinoxalinamine is sometimes determined by any passenger group(s) in the molecule, but usually it is

simply a matter of perceived convenience. The following examples illustrate the main procedures employed in recent literature.

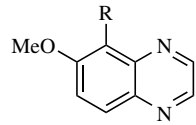
Using Hydrogenation over Raney Nickel

2-Methyl-7-nitroquinoxaline (**28**, R = NO_2) gave 3-methyl-6-quinoxalinamine (**28**, R = NH_2) (Raney Ni, H_2, 1 atm, EtOH, 20°C, 1 h: 95%).[640]



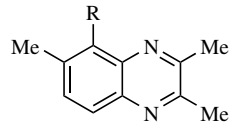
(**28**)

6-Methoxy-5-nitroquinoxaline (**29**, R = NO_2) gave 6-methoxy-5-quinoxalinamine (**29**, R = NH_2) (Raney Ni, H_2, 1 atm, MeOH, 20°C: 71%, isolated as hydrochloride).[750]



(**29**)

2,3,6-Trimethyl-5-nitroquinoxaline (**30**, R = NO_2) gave 2,3,6-trimethyl-5-quinoxalinamine (**30**, R = NH_2) (Raney Ni, H_2, 1 atm, Pr^iOH, 20°C, 30 h: ~85%).[936]

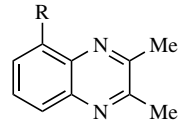


(**30**)

Also other examples, in most of which the unisolated amine was used for further reactions.[5–9,747,781,892]

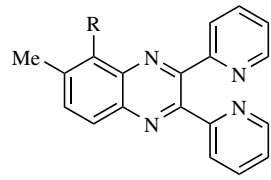
Using Hydrogenation over Palladium-on-Carbon

2,3-Dimethyl-5-nitroquinoxaline (**31**, R = NO_2) gave 2,3-dimethyl-5-quinoxalinamine (**31**, R = NH_2) [Pd/C, H_2, 1 atm, EtOH (?), 20°C, ? h: 60%].[882]



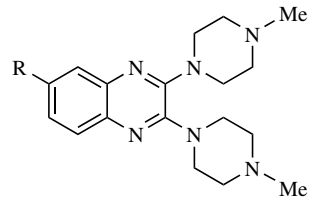
(**31**)

6-Methyl-5-nitro-2,3-bis(pyridin-2-yl)quinoxaline (**32**, $R = NO_2$) gave 6-methyl-2,3-bis(pyridin-2-yl)-5-quinoxalinamine (**32**, $R = NH_2$) Pd/C, H_2, 1 atm, dioxane–MeOH, 20°C, ? h: 81%).[895]



(**32**)

2,3-Bis(4-methylpiperazine-1-yl)-6-nitroquinoxaline (**33**, $R = NO_2$) gave 2,3-bis(4-methylpiperazin-1-yl)-6-quinoxalinamine (**33**, $R = NH_2$) (Pd/C, H_2, 1 atm, dilute HCl, 20°C, ? h: 60%);[707] similar treatment of the 5-nitro isomer gave the 5-quinoxalinamine in 15% yield, but sulfide reduction gave a much better yield (cf. analog (**45**) later in this section).[707]

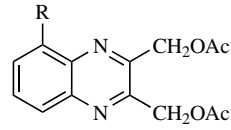


(**33**)

Also other examples, in most of which the unisolated products were employed for other reactions.[19,501,885,1031,1049]

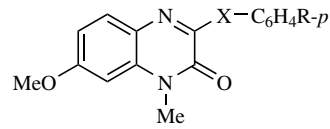
Using Hydrogenation over Platinum Catalysts

2,3-Bis(acetoxymethyl)-5-nitroquinoxaline (**34**, $R = NO_2$) gave 2,3-bis(acetoxymethyl)-5-quinoxalinamine (**34**, $R = NH_2$) [PtO_2, H_2, 1 atm, EtOH (?), 20°C, ? h: 46%].[882]



(**34**)

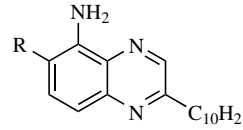
1,6,7-Trimethyl-3-*p*-nitrostyryl-2(1*H*)-quinoxalinone (**35**, $R = NO_2$, $X = CH:CH$) gave 3-*p*-aminophenethyl-1,6,7-trimethyl-2(1*H*)-quinoxalinone (**35**, $R = NH_2$, $X = CH_2CH_2$) (PtO_2, H_2 AcOEt, 20°C: 72%; note two reductions).[852]



(**35**)

Using Hydrazine or Ammonium Formate with Palladium Catalysts

2-Decyl-6-nitro-5-quinoxalinamine (**36**, $R = NO_2$) gave 2-decyl-5,6-quinoxalinediamine (**36**, $R = NH_2$) (substrate, Pd/C, EtOH; $H_2NNH_2\downarrow$ dropwise, 20°C → 65°C, until complete by tlc: 96%); the 3-decyl isomer likewise (97%).[123]

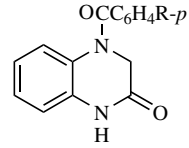


(**36**)

Also other examples.[16]

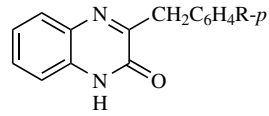
Using Iron–Acid or Iron(II) Hydroxide

4-*p*-Nitrobenzoyl-3,4-dihydro-2(1*H*)-quinoxalinone (**37**, $R = NO_2$) gave 4-*p*-aminobenzoyl-3,4-dihydro-2(1*H*)-quinoxalinone (**37**, $R = NH_2$) (Fe powder, AcOH, MeOH, reflux, 1 h: ?%).[570]



(**37**)

3-*o*-Nitrobenzyl-2(1*H*)-quinoxalinone (**38**, $R = NO_2$) gave 3-*o*-aminobenzyl-2(1*H*)-quinoxalinone (**38**, $R = NH_2$) [freshly made $Fe(OH)_2$ EtOH, reflux, 90 min: 72%].[1046]

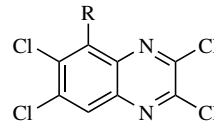


(**38**)

Also other examples.[25,251]

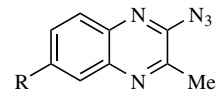
Using Tin(II) Chloride

2,3,6,7-Tetrachloro-5-nitroquinoxaline (**39**, R = NO_2) gave 2,3,6,7-tetrachloro-5-quinoxalinamine (**39**, R = NH_2) ($SnCl_2 \cdot 2H_2O$, AcOEt, reflux, 4 h: 84%).[1039]



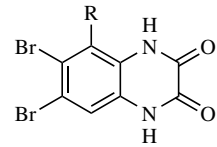
(**39**)

2-Azido-3-methyl-6-nitroquinoxaline (**40**, R = NO_2) gave 2-azido-3-methyl-6-quinoxalinamine (**40**, R = NH_2) ($SnCl_2 \cdot 2H_2O$, EtOH, reflux, 4 h: 61%; analogs likewise).[135]



(**40**)

6,7-Dibromo-5-nitro-2,3(1*H*,4*H*)-quinoxalinedione (**41**, R = NO_2) gave 5-amino-6,7-dibromo-2,3(1*H*,4*H*)-quinoxalinedione (**41**, R = NH_2) ($SnCl_2 \cdot 2H_2O$, EtOH, Me_2SO, reflux, 2.5 h: 59%).[1045]



(**41**)

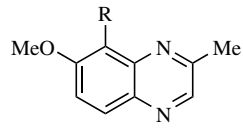
Also other examples.[20,722]

Using Titanium(III) Chloride

6-Nitroquinoxaline gave 6-quinoxalinamine ($TiCl_3$, H_2O, AcMe, N_2, 20°C, 1 h: 71%).[642]

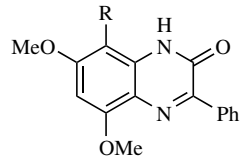
Using Sodium Dithionite

6-Methoxy-3-methyl-5-nitroquinoxaline (**42**, R = NO_2) gave 6-methoxy-3-methyl-5-quinoxalinamine (**42**, R = NH_2) ($Na_2S_2O_4$, MeOH, H_2O, reflux, 1 h: 93%).[35]



(**42**)

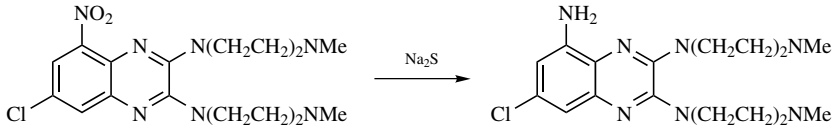
5,7-Dimethoxy-8-nitro-3-phenyl-2(1*H*)-quinoxalinone (**43**, $R = NO_2$) gave 8-amino-5,7-dimethoxy-3-phenyl-2(1*H*)-quinoxalinone (**43**, $R = NH_2$) ($Na_2S_2O_4$, $NaHCO_3$, MeOH, H_2O, reflux, 30 min: 64%).[486]



(**43**)

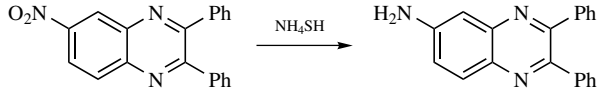
Using Sodium or Ammonium Sulfide

6-Chloro-2,3-bis(4-methylpiperazin-1-yl)-8-nitroquinoxaline (**44**) afforded 7-chloro-2,3-bis(4-methylpiperazin-1-yl)-5-quinoxalinamine (**45**) ($Na_2S \cdot H_2O$, dioxane, H_2O, ~85°C, 45 min: 81%).[250,707]



(**44**) (**45**)

6-Nitro-2,3-diphenylquinoxaline (**46**) furnished 2,3-diphenyl-6-quinoxalinamine (**47**) (substrate, NH_4OH, EtOH; $H_2S\downarrow$, 50°C, 1 h: ~50%).[955]



(**46**) (**47**)

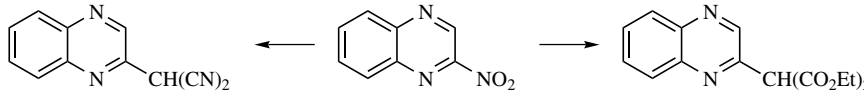
6.1.2.2. Displacement Reactions

Nitroquinoxalines undergo several displacement reactions, of which *halogenolysis* (Section 3.1.5), *alcoholysis* (Section 4.4.1), *alkanethiolysis* (Section 5.2.1),

and *arenesulfinolysis* (Section 5.4) have been covered. Other such reactions are illustrated by the following examples.

Alkanelysis

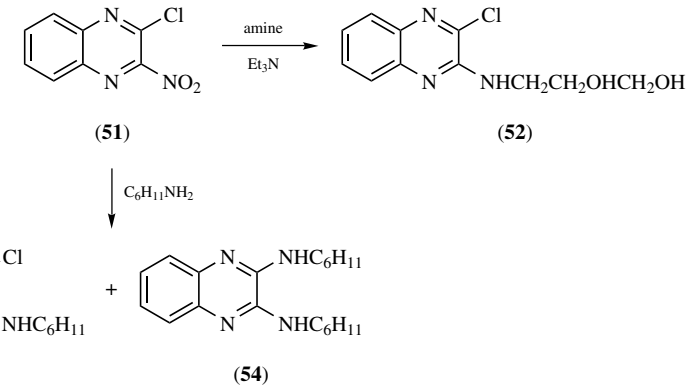
2-Nitroquinoxaline (**49**) with appropriate carbanions gave 2-(dicyanomethyl)-quinoxaline (**48**) (95%), 2-[di(ethoxycarbonyl)methyl]quinoxaline (**50**) (85%), and the like.[867]



(**48**) (**49**) (**50**)

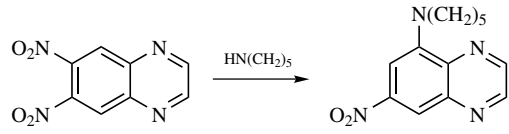
Aminolysis

2-Chloro-3-nitroquinoxaline (**51**) gave only 2-chloro-3-(2,3-dihydroxypropylamino)quinoxaline (**52**) ($H_2NCH_2CHOHCH_2OH$, Et_3N, MeCN, 20°C, 16 h: 77%)[234] or a separable mixture of 2-chloro-3-cyclohexylamino- (**53**) and 2,3-bis(cyclohexylamino)quinoxaline (**54**) (neat $C_6H_{11}NH_2$, 18°C, 30 min: 65% and 9%, respectively);[121] piperidine likewise gave 2-chloro-3-piperidino- and 2,3-dipiperidinoquinoxaline.[121]



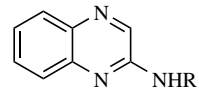
(**51**) (**52**) (**53**) (**54**)

6,7-Dinitroquinoxaline (**55**) gave only the *cine*-monoaminolytic product, 6-nitro-8-piperidinoquinoxaline (**56**) [excess $HN(CH_2)_5$, EtOH, reflux, 60 min: >95%].[195,cf. 668]



(**55**) (**56**)

2-Nitroquinoxaline and the appropriate amine in excess gave 2-hexylamino- (**57**, $R = C_6H_{13}$), 2-cyclohexylamino- (**57**, $R = C_6H_{11}$), 2-piperidino- (86%), or 2-morpholinoquinoxaline (90%).[867]



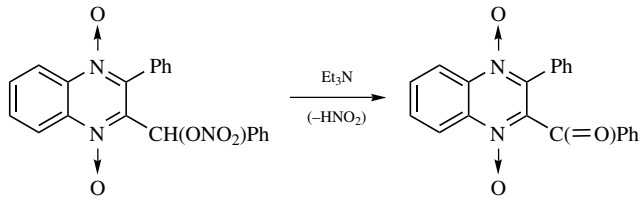
(**57**)

Cyanolysis

2-Nitroquinoxaline and potassium cyanide gave a separable mixture of 2-quinoxalinecarbonitrile and 2,3-quinoxalinedicarbonitrile [Me_2SO, reflux (?), 4 h: 23% and 10%, respectively].[867]

Denitration of Extranuclear Nitrooxyquinoxalines

2-[α-(Nitrooxy)benzyl]-3-phenylquinoxaline 1,4-dioxide (**58**) gave 2-benzoyl-3-phenylquinoxaline 1,4-dioxide (**59**) (Et_3N, CH_2Cl_2, reflux, 75 min: 72%).[144]

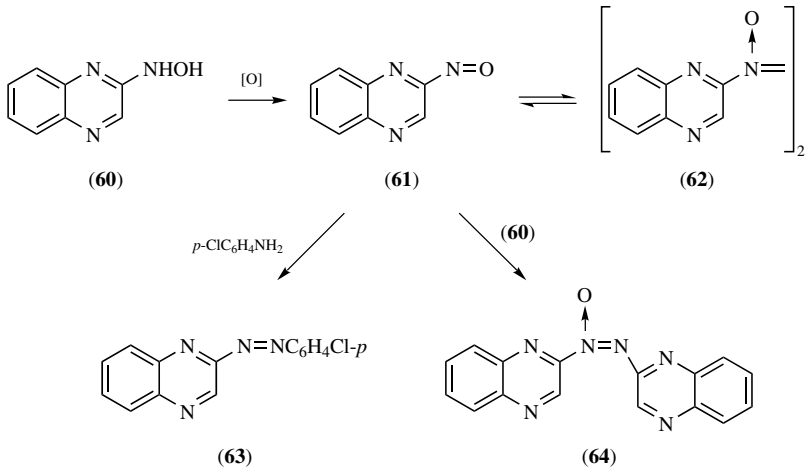


(**58**) (**59**)

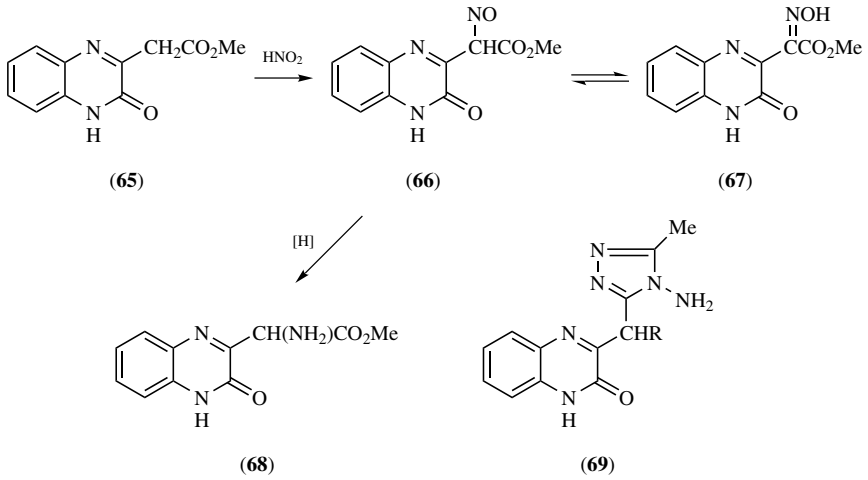
6.2. NITROSOQUINOXALINES

Very few nuclear or extranuclear nitrosoquinoxalines have been reported.

However, the *nuclear C-nitrosoquinoxalines* are represented by 2-nitrosoquinoxaline (**61**), which was made by oxidation of 2-hydroxyaminoquinoxaline (**60**) ($HIO_4 \cdot 2H_2O$, Pr^iOH, 20°C, 12 h: 80%).[1077] It was shown subsequently by X-ray analysis to exist in the solid state entirely as the dimer (**62**), but spectra indicated that solutions contained both monomer and dimer.[85] 2-Chloro-3-nitrosoquinoxaline has also been prepared in solution by oxidation of 2-chloro-3-dimethylsulfimidoquinoxaline (see Section 6.1.1.2). The simple nitrosoquinoxaline (**61**) reacted with *p*-chloroaniline to give 2-(*p*-chlorophenylazo)quinoxaline (**63**) (AcOH, reflux, 10 min: 60%) or with 2-hydroxyaminoquinoxaline (**60**) to give 2,2′-azoxyquinoxaline (**64**) (THF, 40°C, 20 h: 85%).[1077]



The *extranuclear C-nitrosoquinoxalines* are typified by 3-(α-methoxycarbonyl-α-nitrosomethyl)-2(1*H*)-quinoxalinone (**66**), made by nitrosation of 3-(methoxycarbonylmethyl)-2(1*H*)-quinoxalinone (**65**) [AcOH, Cl_3CO_2H, $C_5H_{11}ONO$, 20°C, 3 h: 91%; spectra suggest that the hydroxyimino tautomer (**67**) may predominate][527] and was subsequently reduced to afford 3-(α-amino-α-methoxycarbonylmethyl)-2(1*H*)-quinoxalinone (**68**) (PtO_2, H_2, 1 atm, THF, EtOH, 20°C, 4 h: 59%);[527] also by 3-[α-(4-amino-5-methyl-4*H*-1,2,4-triazol-3-yl)-α-nitrosomethyl]-2(1*H*)-quinoxalinone (**69**, R = NO), prepared by nitrosation of 3-(4-amino-5-methyl-4*H*-1,2,4-triazol-3-ylmethyl)-2(1*H*)-quinoxalinone (**69**, R = H) [$NaNO_2$ (~1.25 equiv), AcOH, H_2O, no further details: 79%].[417]



Some *N-nitrosohydroquinoxalines*, like 4-nitroso-3,4-dihydro-2(1*H*)-quinoxalinone,[193] have also been prepared and subsequently reduced to *N*-amino analogs for cyclization reactions.

6.3. REGULAR AMINOQUINOXALINES
(*H* 263; *E* 179)

This section covers primary, secondary, tertiary, and quaternary aminoquinoxalines, both nuclear and extranuclear, but not hydrazino- or azidoquinoxalines, which are discussed separately in later sections.

The mass spectra of primary mono- and diaminoquinoxalines (with or without additional *C*-methyl groups) have been measured and analyzed.[819] The role of *N*-pyridinyl-*N*′-(quinoxalinylethyl)thiourea derivatives as HIV-1 (human immunodeficiency 1) reverse transcriptase inhibitors has been discussed in detail.[1004]

6.3.1. Preparation of Regular Aminoquinoxalines
(*E* 179, 184)

Of the many synthetic routes to aminoquinoxalines, those discussed already are indicated in the following list that includes the potential scope of each procedure.

By primary synthesis (nuclear, extranuclear, primary, secondary, or tertiary): Chapter 1.

By aminolysis of halogenoquinoxalines (nuclear, extranuclear, primary, secondary, tertiary, or quaternary): Sections 3.2.1 and 3.4.1.

By aminolysis of alkoxyquinoxalines (nuclear, primary, secondary, or tertiary): Section 4.4.2.

By aminolysis of tautomeric quinoxalinethiones (nuclear, primary, secondary, or tertiary): Section 5.1.2.

By aminolysis of alkylthioquinoxalines (nuclear, primary, secondary, or tertiary): Section 5.2.2.

By aminolysis of alkylsulfinyl- or alkylsulfonylquinoxalines (nuclear, primary, secondary, or tertiary): Section 5.4.

By reduction of nitroquinoxalines (nuclear, extranuclear, or primary): Section 6.1.2.1.

By aminolysis of nitroquinoxalines (nuclear, primary, secondary, or tertiary): Section 6.1.2.2.

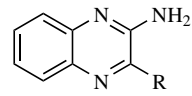
By reduction of nitrosoquinoxalines (nuclear, extranuclear, or primary): Section 6.2.

The remaining recently used routes to aminoquinoxalines are illustrated by the following classified examples.

By *C*-Amination

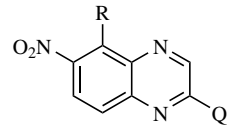
Note: For nuclear primary, secondary, or tertiary aminoquinoxalines; irrespective of the reagent used, the position of amination is clearly affected by any passenger groups present.

Quinoxaline gave a separable mixture of 2-quinoxalinamine (**70**, R = H) and 2,3-quinoxalinediamine (**70**, R = NH_2) (KNH_2, liquid NH_3, 10 min; then $KMnO_4\downarrow$, 10 min: 53% and 23%, respectively).[239]



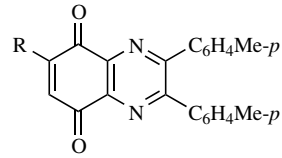
(**70**)

6-Nitroquinoxaline (**71**, Q = R = H) gave a separable mixture of 6-nitro-2-quinoxalinamine (**71**, Q = NH_2, R = H) and 6-nitro-5-quinoxalinamine (**71**, Q = H, R = NH_2) (liquid NH_3, $KMnO_4$, 5 h: 51% and 32%, respectively);[701] similar treatment of 2,3-dimethyl-6-nitroquinoxaline gave only 2,3-dimethyl-6-nitro-5-quinoxalinamine (18%) and unchanged substrate (55%);[701] and other such aminations are also reported.[701]



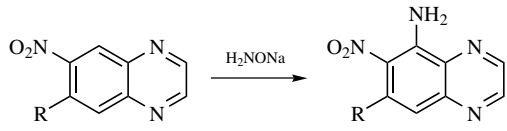
(**71**)

2,3-Di-*p*-tolyl-5,8-quinoxalinequinone (**72**, R = H) gave 6-amino-2,3-di-*p*-tolyl-5,8-quinoxalinequinone (**72**, R = NH_2) [NaN_3, AcOH, H_2O, 20°C, 10 min: 46%; or Me_3SiN_3, CH_2Cl_2, 5°C → 20°C, 18 h: 38% after separation from substrate (33%); mechanisms proposed].[365]



(**72**)

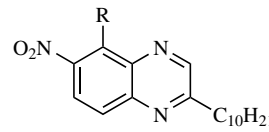
6-Nitroquinoxaline (**73**, R = H) gave 6-nitro-5-quinoxalinamine (**74**, R = H) (MeONa, $H_2NOH \cdot HCl$, MeOH, reflux, 90 min: 56%);[853] similar treatment of appropriate substrates (**73**) during 18 h gave 7-bromo- (**74**, R = Br) (50%), 7-chloro- (**74**, R = Cl) (49%), or 7-fluoro-6-nitro-5-quinoxalinamine (**74**, R = F) (<35%).[853]



(**73**) (**74**)

6-Methoxy-7-nitroquinoxaline (**73**, R = OMe) gave 7-methoxy-6-nitro-5-quinoxalinamine (**74**, R = OMe) (substrate, $H_2NOH \cdot HCl$, dioxane, EtOH; then KOH/MeOH↓ slowly, −10°C → 20°C, 3.5 h: 50%).[195]

2-Decyl-6-nitroquinoxaline (**75**, R = H) gave 2-decyl-6-nitro-5-quinoxalinamine (**75**, R = NH_2) ($H_2NOH \cdot HCl$, KOH, EtOH, 20°C → reflux, 30 min: 75%).[123]



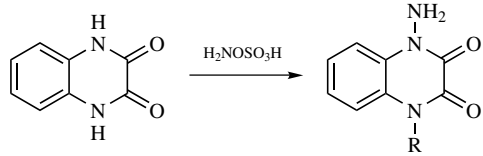
(**75**)

Also other examples affording primary,[117,272,286,809] secondary,[305,363] or tertiary aminoquinoxalines.[200]

By *N*-Amination

Note: For nuclear primary, secondary, or tertiary aminoquinoxalines but seldom used.

2,3(1*H*, 4*H*)-Quinoxalinedione (**76**) with hydroxylamine-*O*-sulfonic acid (1 or 2 equiv) gave 1-amino- (**77**, R = H) or 1,4-diamino-2,3(1*H*, 4*H*)-quinoxalinedione (**77**, R = NH_2), respectively (see original for details).[269]

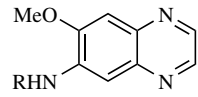


(**76**) (**77**)

By Deacylation of Acylaminoquinoxalines

Note: Such deacylations have been done by acidic or alkaline hydrolysis to give primary or secondary aminoquinoxalines, both nuclear and extranuclear. Treatment with hydrazine should also achieve deacylation, but there appear to be no recent examples.

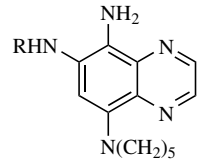
6-Acetamido-7-methoxyquinoxaline (**78**, R = Ac) gave 7-methoxy-6-quinoxalinamine (**78**, R = H) (5M HCl, reflux, 3 h: 63%).[282]



(**78**)

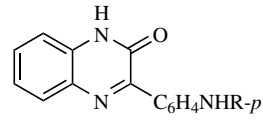
5-Nitro-8-piperidino-6-*p*-toluensulfonamidoquinoxaline (**79**, R = Ts) gave 5-nitro-8-piperidino-6-quinoxalinamine (**79**, R = H) [95% H_2SO_4, 100°C (?),

30 min: ~65%, characterized as its picrate];[195] a similar procedure converted 2,3-dimethyl-6-(*N*-methylbenzenesulfonamido)quinoxaline into 2,3-dimethyl-6-methlyaminoquinoxaline (69%).[8]



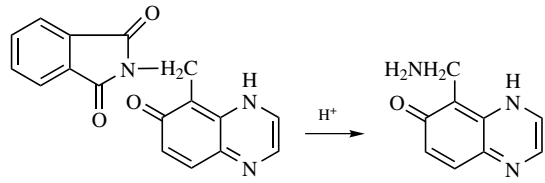
(**79**)

3-*p*-Acetamidophenyl-2(1*H*)-quinoxalinone (**80**, R = Ac) gave 3-*p*-aminophenyl-2(1*H*)-quinoxalinone (**80**, R = H) (KOH, EtOH, H_2O, reflux, 4 h: 95%);[1046] the isomeric substrate, 3-*o*-acetamidophenyl-2(1*H*)-quinoxalinone, gave 3-*o*-aminophenyl-2(1*H*)-quinoxalinone (2.5M NaOH, reflux, 5 h: 93%; or 6M HCl, reflux, 2 h: 95%).[770]



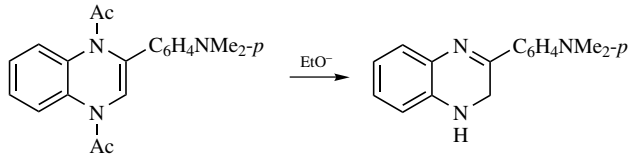
(**80**)

5-Phthalimidomethyl-6(4*H*)-quinoxalinone (**81**) gave 5-aminomethyl-6(4*H*)-quinoxalinone (**82**) (10M HCl, reflux, N_2, 3 h: 29%).[714]



(**81**) (**82**)

1,4-Diacetyl-2-(*p*-dimethylaminophenyl)-1,4-dihydroquinoxaline (**83**) gave 2-(*p*-dimethylaminophenyl)-3,4-dihydroquinoxaline (**84**) (NaOH, EtOH, reflux, 2 h: 72%; note apparent prototropy).[780]



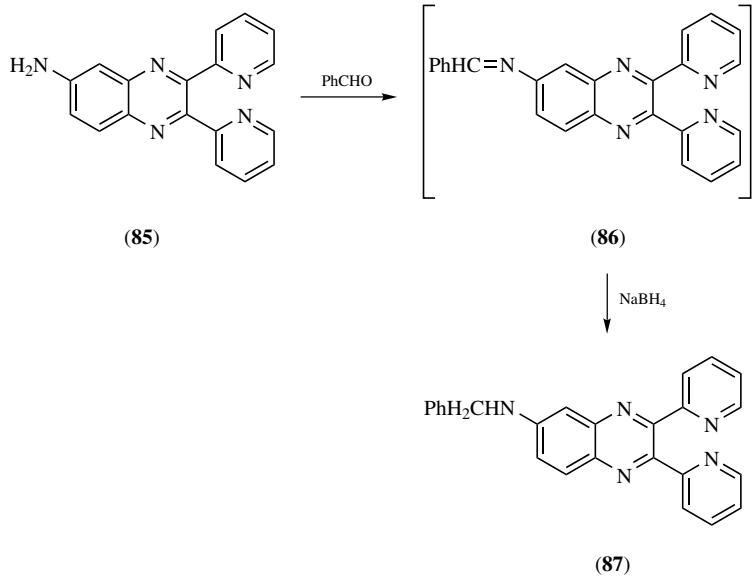
(**83**) (**84**)

Also other examples.[25,602,620,738,774,1043]

From Alkylideneaminoquinoxalines

Note: Hydrolysis can give nuclear or extranuclear primary aminoquinoxalines (no recent examples); reduction can give secondary aminoquinoxalines.

2,3-Di(pyridin-2-yl)-6-quinoxalinamine (**85**) gave 6-benzylamino-2,3-di(pyridin-2-yl)quinoxaline (**87**) via the unisolated benzylideneamino derivative (**86**) [one-pot reaction: substrate (**85**), PhCHO, $NaBH(OAc)_3$, $ClCH_2CH_2Cl$, 25°C, 5 h: 85%].[20]

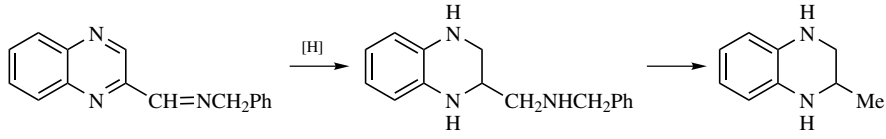


(**85**) (**86**) (**87**)

By Reduction of Schiff Bases of Quinoxalinecarbaldehydes

Note: Such reductions can give extranuclear secondary aminoquinoxalines.

2-Benzyliminomethylquinoxaline (**88**) gave 2-benzylaminomethyl-1,2,3,4-tetrahydroquinoxaline (**89**) ($NaBH_4$, MeOH, 0°C, 2 h; then 30°C, 12 h: 75%) or a separable mixture of the same product (**89**) and 2-methyl-1,2,3,4-tetrahydroquinoxaline (**90**) [PtO_2 (?), H_2, 4 atm, EtOH, 20°C, 8 h: 50% and 17%, respectively].[421]



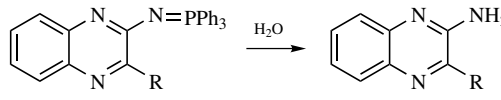
(**88**) (**89**) (**90**)

Also other examples.[184]

From Triphenylphosphoranylideneaminoquinoxalines

Note: Hydrolysis of these substrates (easily made[802] from azidoquinoxalines with triphenylphosphine) can give nuclear or extranuclear primary aminoquinoxalines.

2-Azido-3-triphenylphosphoranylideneamino- (**91**, R = N_3) or 2,3-bis(triphenylphosphoranylideneamino)quinoxaline (**91**, R = N:PPh_3) underwent hydrolysis to give 3-azido-2-quinoxalinamine (**92**, R = N_3) or 2,3-quinoxalinediamine (**92**, R = NH_2), respectively (for details, see original).[802]

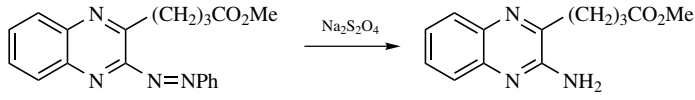


(**91**) (**92**)

By Reduction of Arylazoquinoxalines

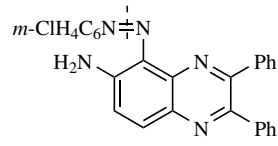
Note: Such reductions can give nuclear or extranuclear primary aminoquinoxalines.

2-(3-Methoxycarbonylpropyl)-3-phenylazoquinoxaline (**93**) gave 3-(3-methoxycarbonylpropyl)-2-quinoxalinamine (**94**) ($Na_2S_2O_4$, MeOH, H_2O, reflux, until yellow: 71%)[878]



(**93**) (**94**)

5-*m*-Chlorophenylazo-2,3-diphenyl-6-quinoxalinamine (**95**) gave 2,3-diphenyl-5,6-quinoxalinediamine ($Na_2S_2O_4$, NaOH, EtOH, H_2O, reflux until orange color faded: ?%).[955]

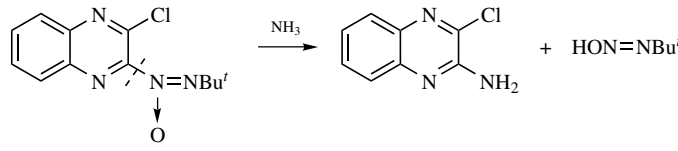


(**95**)

By Aminolysis of Alkylazoxyquinoxalines

Note: The *tert*-butylazoxy substituent appears to be a useful leaving group for aminolysis to afford nuclear primary, secondary, or tertiary aminoquinoxalines; however, the route remains underdeveloped.

2-*tert*-Butylazoxy-3-chloroquinoxaline (**96**) gave 3-chloro-2-quinoxalinamine (**97**) [NH_3, EtOH, 100°C, sealed (?), 3 h: 80%].[796]

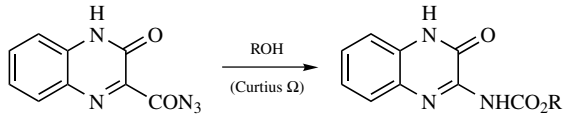


(**96**) (**97**)

By Hofmann or Curtius Reactions

Note: Such conversions of carboxylic acid derivatives into nuclear or extranuclear primary aminoquinoxalines appear to be almost unrepresented in recent literature, apart from one partial Curtius procedure.

3-Oxo-3,4-dihydro-2-quinoxalinecarbonyl azide (**98**) gave 3-ethoxycarbonylamino- (**99**, R = Et) (EtOH, reflux, 3 h: ?%) or 3-*tert*-butoxycarbonylamino-2(1*H*)-quinoxalinone (**99**, R = Bu^t) (Bu^{t}OH, reflux, 3 h: ?%).[692]



(**98**) (**99**)

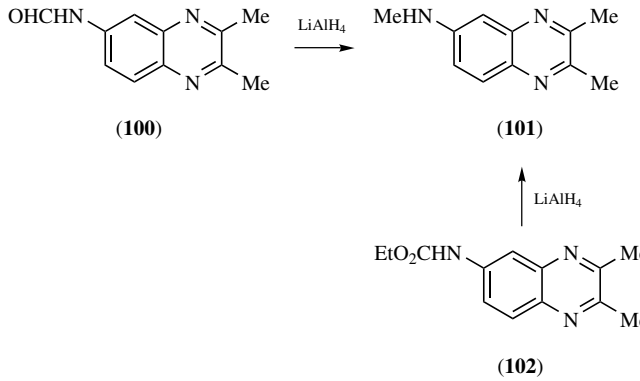
By Reduction of Acylaminoquinoxalines

Note: For the preparation of nuclear or extranuclear secondary or tertiary aminoquinoxalines but rarely used recently.

6-Formamido- (**100**) or 6-ethoxycarbonylamino-2,3-dimethylquinoxaline (**102**) gave 2,3-dimethyl-6-methylaminoquinoxaline (**101**) ($LiAlH_4$, THF, <5°C, 4 h: 74% or ~70%, respectively);[8] 6-formamido-3,8-dimethylquinoxaline likewise gave 2,5-dimethyl-7-methylaminoquinoxaline (70%);[9] and 6-formamido-3,7-dimethylquinoxaline gave 2,6-dimethyl-7-methylaminoquinoxaline (82%).[640]

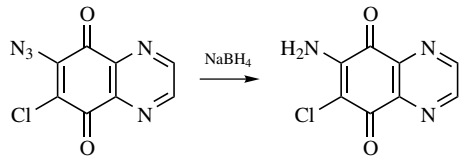
By Reduction of Azidoquinoxalines

Note: For the preparation of nuclear or extranuclear primary aminoquinoxalines.



(**100**) (**101**) (**102**)

6-Azido-7-chloro-5,8-quinoxalonequinone (**103**) gave 6-amino-7-chloro-5,8-quinoxalinequinone (**104**) ($NaBH_4$, EtOH, 20°C, 30 min: >95%); 6-acetamido-7-amino-5,8-quinoxalonequinone (>54%) was made similarly.[738]

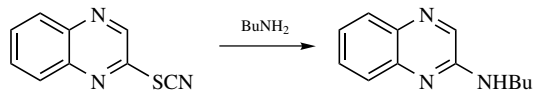


(**103**) (**104**)

By Aminolysis of Thiocyanatoquinoxalines

Note: For the preparation of nuclear or extranuclear primary, secondary, or tertiary aminoquinoxalines.

2-Thiocyanatoquinoxaline (**105**) gave 2-butylaminoquinoxaline (**106**) (neat $BuNH_2$, reflux, 1 h: 68%); 2-piperidino- (73%) and 2-morpholinoquinoxaline (75%) were made similarly (at 95°C).[597]

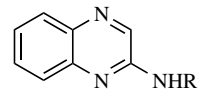


(**105**) (**106**)

By Transamination

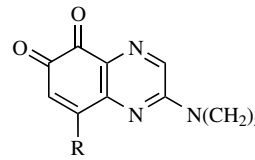
Note: The replacement of one amino group by another can be used to make nuclear or extranuclear primary, secondary, or tertiary aminoquinoxalines, but the criteria for success are still obscure.

2-Quinoxalinamine (**107**, R = H) gave 2-hydroxyaminoquinoxaline (**107**, R = OH) ($H_2NOH \cdot HCl$, MeOH, reflux, 15 h: 85%).[1077]



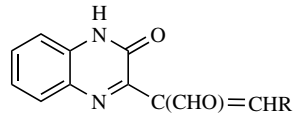
(**107**)

2,8-Dipiperidino-5,6-quinoxalinequinone [**108**, R = $N(CH_2)_5$] gave 8-butylamino-2-piperidino-5,6-quinoxalinequinone (**108**, R = NHBu) ($CaCl_2$, excess $BuNH_2$, MeOH, 20°C, 30 min: 67%); several analogs were made similarly.[827]



(**108**)

3-(2-Dimethylamino-1-formylvinyl)-2(1*H*)-quinoxalinone (**109**, R = NMe_2) gave 3-(1-formyl-2-piperidinovinyl)-2(1*H*)-quinoxalinone [**109**, R = $N(CH_2)_5$] [HN-$(CH_2)_5$, EtOH, reflux, briefly: 81%; analogs likewise].[60]

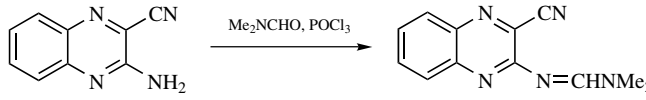


(**109**)

By Passenger Introductions

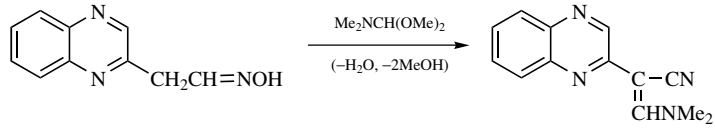
Note: Instances of the formation of extranuclear primary, secondary, or tertiary aminoquinoxalines by introduction of the amino entity as a passenger group will be found in most chapters. Only a couple of random examples are given here.

3-Amino-2-quinoxalinecarbonitrile (**110**) gave 3-dimethylaminomethyleneamino-2-quinoxalinecarbonitrile (**111**) (Me_2NCHO, $POCl_3\downarrow$ dropwise, 0°C → 20°C; then solution↓ dropwise to substrate, Me_2NCHO, 20°C, 2 h: 68%); analogs likewise.[462]



(**110**) (**111**)

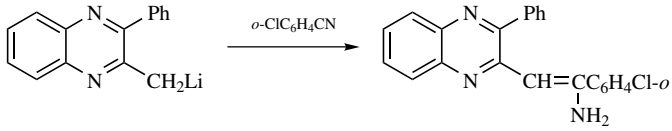
2-[2-(Hydroxyimino)ethyl]quinoxaline (**112**) gave 2-(1-cyano-2-dimethylaminovinyl)quinoxaline (**113**) [$Me_2NCH(OMe)_2$, PhMe, reflux, 10 min: 10%].[487]



(**112**) (**113**)

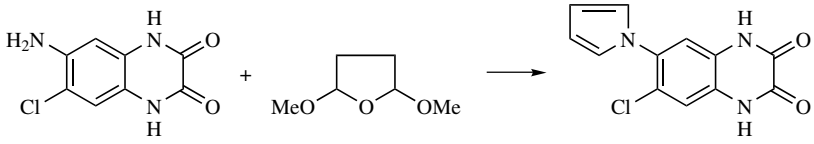
By Miscellaneous Procedures

2-Lithiomethyl-3-phenylquinoxaline (**114**) and *o*-chlorobenzonitrile gave 2-(β-amino-*o*-chlorostyryl)-3-phenylquinoxaline (**115**) (no details: 28%).[973]



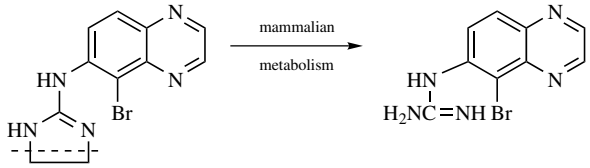
(**114**) (**115**)

6-Amino-7-chloro-2,3(1*H*, 4*H*)-quinoxalinedione (**116**) and 2,5-dimethoxytetrahydrofuran (**117**) gave 6-chloro-7-(pyrrol-1-yl)-2,3-(1*H*, 4*H*)-quinoxalinedione (**118**) (AcOH, reflux: no further details).[16]



(**116**) (**117**) (**118**)

5-Bromo-6-(2-imidazolin-2-ylamino)quinoxaline (brimonidine: **119**) afforded 5-bromo-6-guanidinoquinoxaline (**120**) as a major metabolite from several mammals.[803]



(**119**) (**120**)

6.3.2. Reactions of Regular Aminoquinoxalines
(*E*, 183, 185)

Reactions of aminoquinoxalines that have been covered already include the *conversion of primary amino- into halogenoquinoxalines* (Section 3.1.3),

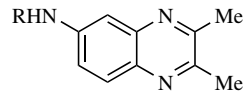
the *hydrolysis of aminoquinoxalines into quinoxalinones* (Section 4.1.1), and the *oxidative hydrolysis of primary aminoquinoxalines into quinoxalinequinones* (Section 4.2.1). Many other reactions, some quite important, are discussed briefly in the following subsections.

6.3.2.1. N-Acylation of Aminoquinoxalines or Reduced Quinoxalines

The *N*-acylation of primary or secondary amino groups attached to quinoxaline or the ring NH group(s) of reduced quinoxalines can be done for several reasons, one of which is subsequent intramolecular cyclization (see Section 6.3.2.5). The following examples illustrate such acylations and related processes.

Formylation of Nuclear Aminoquinoxalines

2,3-Dimethyl-6-quinoxalinamine (**121**, R = H) gave 6-formamido-2,3-dimethylquinoxaline (**121**, R = CHO) [HCO_2H (large excess), Ac_2O, 20°C, 75 min: 78%];[8] the isomeric 6-formamido-3,8-dimethylquinoxaline (70%) was made similarly.[9]

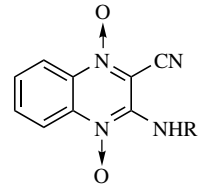


(**121**)

Also other examples.[640]

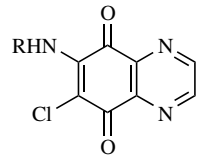
Acetylation of Nuclear Aminoquinoxalines

3-Amino-(**122**, R = H) gave 3-acetamido-2-quinoxalinecarbonitrile 1,4-dioxide (**122**, R = Ac) (excess neat Ac_2O, reflux to dissolution: 80%).[1012]



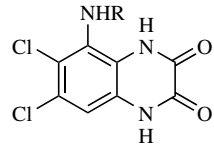
(**122**)

6-Amino- (**123**, R = H) gave 6-acetamido-7-chloro-5,8-quinoxalinequinone (**123**, R = Ac) (excess neat Ac_2O, trace 98% H_2SO_4, <5°C, 1 h: 62%).[738]



(**123**)

5-Amino- (**124**, R = H) gave 5-acetamido-6,7-dichloro-2,3(1*H*, 4*H*)-quinoxalinedione (**124**, R = Ac) (AcCl, Et_3N, Me_2NCHO, 20°C, 12 h: 88%).[1045]

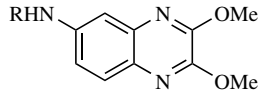


(**124**)

Also other examples.[174,885,955]

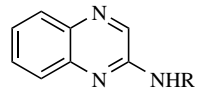
Other Acylations of Nuclear Aminoquinoxalines

2,3-Dimethoxy-6-quinoxalinamine (**125**, R = H) gave 6-lauramido-2,3-dimethoxyquinoxaline [6-dodecanoylamino-2,3-dimethoxyquinoxaline: **125**, R = Me-$(CH_2)_{10}CO$] [$Me(CH_2)_{10}CO_2H$, $(C_6H_{11}N{=})_2C$, 1-hydroxybenzotriazole hydrate, no further details: 87%].[19]



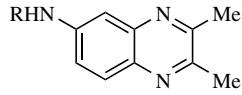
(**125**)

2-Quinoxalinamine (**126**, R = H) gave 2-acetoacetamidoquinoxaline (**126**, R = $AcCH_2CO$) (diketene, PhH or $CHCl_3$: 60%; for details, see original).[313]



(**126**)

2,3-Dimethyl-6-quinoxalinamine (**127**, R = H) gave 6-ethoxycarbonylamino-2,3-dimethylquinoxaline (**127**, R = CO_2Et) ($ClCO_2Et$, pyridine, THF, 20°C, 15 min: 81%).[8]

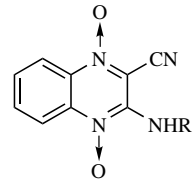


(**127**)

Also other examples.[292,599,781]

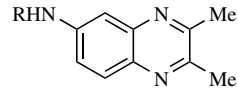
Alkane- or Arenesulfonylation of Nuclear Aminoquinoxalines

3-Amino- (**128**, R = H) gave 3-methanesulfonamido-2-quinoxalinecarbonitrile 1,4-dioxide (**128**, R = SO_2Me) ($MeSO_2Cl$, $NaHCO_3$, dioxane, 20°C, 48 h: 39%).[1012]



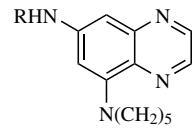
(**128**)

2,3-Dimethyl-6-quinoxalinamine (**129**, R = H) gave 6-benzenesulfonamido-2,3-dimethylquinoxaline (**129**, R = SO_2Ph) ($PhSO_2Cl$, pyridine, 20°C → 95°C, 40 min: 86%).[8]



(**129**)

8-Piperidino-6-quinoxalinamine (130, R = H) gave 5-piperidino-7-*p*-toluenesulfonamidoquinoxaline (130, R = Ts) (TsCl, pyridine, 20°C, 15 min: 76%);[195] 5,6-quinoxalinediamine gave 5,6-bis(*p*-toluenesulfonamido)quinoxaline (TsCl, pyridine, reflux, 24 h: 85%).[195]

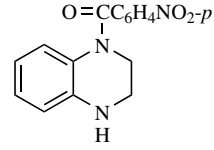


(**130**)

Also other examples.[25]

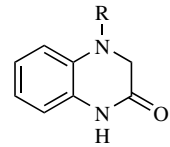
The 1- and/or 4-Acylation of Reduced Quinoxalines

1,2,3,4-Tetrahydroquinoxaline afforded 1-*p*-nitrobenzoyl-1,2,3,4-tetrahydroquinoxaline (**131**) (*p*-$O_2NC_6H_4COCl$, Et_3N, CH_2Cl_2, <5°C → 20°C, 1 h: 67%).[1031]



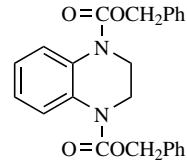
(**131**)

3,4-Dihydro-2(1*H*)-quinoxalinone (**132**, R = H) gave 4-acetyl- (**132**, R = Ac) (neat Ac_2O, 100°C, 1 h: 85%;[447] or AcCl, Et_3N, THF, 0°C → 20°C, 30 min: 84%),[724] 4-benzoyl- (**132**, R = Bz) (BzCl as with AcCl: 89%;[724] or BzCl, K_2CO_3, AcMe, N_2, 20°C, 1 h: 62%),[459] 4-acetoacetyl- (**132**, R = $AcCH_2CO$) (diketene, 4-Me_2N-pyridine, CH_2Cl_2, reflux, 2 h: 67%),[732] or 4-*tert*-butoxycarbonyl-3,4-dihydro-2(1*H*)-quinoxalinone (**132**, R = Bu^tCO) [$O(CO_2\ Bu^t)_2$, K_2CO_3, THF, 60°C, 12 h: more $O(CO_2Bu^t)_2\downarrow$ (twice) during subsequent 8 h, 65°C: 59%].[724]



(**132**)

Quinoxaline gave dibenzyl 1,2,3,4-tetrahydro-1,4-quinoxalinedicarboxylate (**133**) ($PhCH_2OCOCl$, $NaB(CN)H_3$, MeOH, N_2, 20°C, 16 h: 52%; a one-pot nuclear reduction and diacylation).[546]

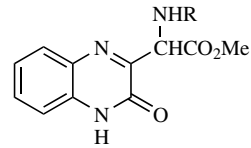


(**133**)

Also other examples.[69,445,447,449,457,624]

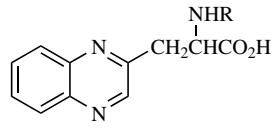
Acylation of Extranuclear Aminoquinoxalines

3-(α-Amino-α-methoxycarbonylmethyl)- (**134**, R = H) gave 3-(α-methoxycarbonyl-α-propionamidomethyl)-2(1*H*)-quinoxalinone [**134**, R = C(:O)Et] (EtCOCl, Me_2NCHO, 20°C, 2 h: 81%); analogs likewise.[527]



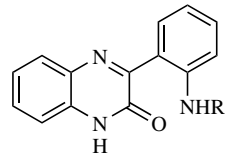
(**134**)

2-(2-Amino-2-carboxyethyl)quinoxaline (**135**, R = H) gave 2-(2-carboxy-2-pivalamidoethyl)quinoxaline [**135**, R = $C(:O)Bu^t$] [$O(CO_2Bu^t)_2$, Et_3N, MeOH, 40°C, 30 min: 48%].[650]



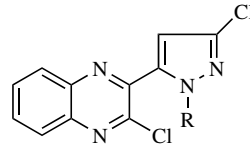
(**135**)

3-*o*-Aminophenyl- (**136**, R = H) gave 3-*o*-acetamidophenyl-2(1*H*)-quinoxalinone (**136**, R = Ac) (AcCl, pyridine, <5°C, 1 h: 60%).[770]



(**136**)

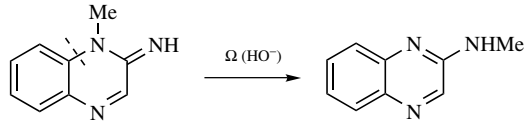
2-Chloro-3-(5-chloropyrazol-3-yl)quinoxaline (**137**, R = H) gave mainly 2-(2-acetyl-5-chloropyrazol-3-yl)-3-chloroquinoxaline (**137**, R = Ac) [neat Ac_2O, reflux, 7 min, then 20°C, 30 min: 86% (after separation from a little of the l-acetyl isomer); structure confirmed by X-ray analysis] or mainly 2-(1-acetyl-5-chloropyrazol-3-yl)-3-chloroquinoxaline [neat Ac_2O, 80°C, 2 min (?), then 20°C, 12 h: 70% (after separation from the 2-acetyl isomer)]; an extraordinary pair of regioselective reactions.[495]



(**137**)

6.3.2.2. N-Alkylation or Alkylidenation of Aminoquinoxalines

It should be borne in mind that a primary or secondary *C*-amino group at any position on quinoxaline can undergo alkylation. However, when that amino group occupies the 2- or 3-position, alkylation may well occur via a (base-catalyzed) Dimorth rearrangement[1078] of an isolable intermediate, such as l-methyl-2(1*H*)-quinoxalinimine (**138**), to afford the product (**139**); unfortunately, the meager recent data on fixed quinoxalinimines (see Sections 1.2.1 and 1.2.3.6) are only marginally relevant to this rearrangement. Alkylidenation (Schiff base formation) can occur only with primary aminoquinoxalines.



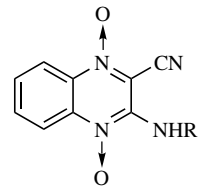
(**138**) (**139**)

The foregoing processes are illustrated in the following examples, not including the 1/4-alkylation of reduced quinoxalines that has been discussed in Section 2.2.2.

N-Alkylation

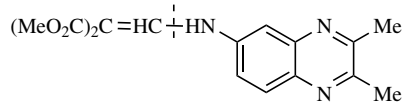
Note: The alkylation of nuclear or extranuclear aminoquinoxalines is an unattractive process, and examples are limited. Initial acylation of an aminoquinoxaline is sometimes used to assist subsequent alkylation, and the acyl group can be removed later with ease.

3-Amino- (**140**, R = H) gave 3-methylamino-2-quinoxalinecarbonitrile 1,4-dioxide (**140**, R = Me) Me_2SO_4, $NaHCO_3$, dioxane, 90°C, 4 h: 34%).[1012]



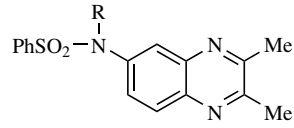
(**140**)

2,3-Dimethyl-6-quinoxalinamine with dimethyl methoxymethylenemalonate [$MeOCH{=}C(CO_2Me)_2$] gave 6-(2,2-dimethoxycarbonylvinylamino)-2,3-dimethylquinoxaline (**141**) (MeOH, reflux, 30 min: 61%);[1049] analogs, like 6-(2,2-dicyanovinylamino)-2,3-dimethylquinoxaline (57%)[1049] or 6-(2,2-diacetylvinylamino)-2,3-diphenylquinoxaline (67%),[747] were made similarly.



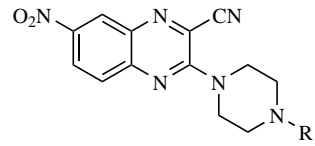
(**141**)

6-Benzenesulfonamido-2,3-dimethylquinoxaline (**142**, R = H) gave 2,3-dimethyl-6-(*N*-methylbenzenesulfonamido)quinoxaline (**142**, R = Me) (Me_2SO_4, Bu_4N^+ HSO_4^-, 2M NaOH, CH_2Cl_2, reflux, 50 min: 84%), which underwent deacylation (see Section 6.3.1) to give 2,3-dimethyl-6-methylaminoquinoxaline.[8]



(**142**)

7-Nitro-3-(piperazin-1-yl)-2-quinoxalinecarbonitrile (**143**, R = H) gave 3-(4-ethylpiperazin-1-yl)-7-nitro-2-quinoxalinecarbonitrile (**143**, R = Et) (EtI, EtOH, reflux, 5 h: 50%).[722]

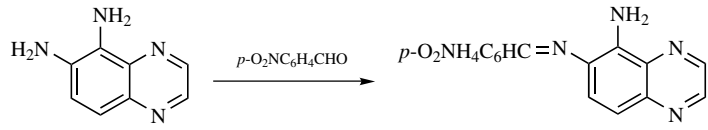


(**143**)

N-Alkylidenation

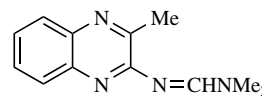
Note: Such Schiff base formation occurs readily between primary aminoquinoxqlines and aldehydes, ketones, or their derivatives.

5,6-quinoxalinediamine (**144**) gave only 6-(*p*-nitrobenzylideneamino)-5-quinoxalinamine (**145**) [substrate, MeOH, −5°C, *p*-$O_2NC_6H_4CHO\downarrow$ slowly, 2 h: 50%]; analogs likewise.[429]



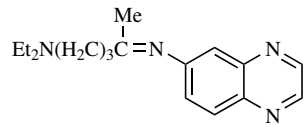
(**144**) (**145**)

3-Methyl-2-quinoxalinamine gave 2-dimethylaminomethyleneamino-3-methylquinoxaline (**146**) [$Me_2NCH(OMe)_2$, PhMe, reflux, 2 h: 89%].[229]



(**146**)

6-Quinoxalinamine gave 6-(4-diethylamino-1-methylbutylideneamino)quinoxaline (**147**) [$Et_2N(CH_2)_3C(OMe)_2Me$, trace TsOH, 160°C, 3 h, MeOH↑: low yield].[282]



(**147**)

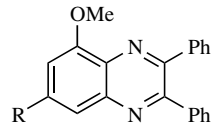
Also other examples.[417]

6.3.2.3. *Reactions Involving Initial Diazotization of Aminoquinoxalines*

Naturally these reactions are applicable only to primary aminoquinoxalines. The diazonium salt is prepared in aqueous or nonaqueous solution and then converted into the required product as illustrated in the following classified examples.

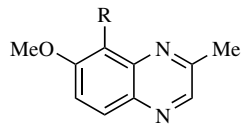
Deamination

8-Methoxy-2,3-diphenyl-6-quinoxalinamine (**148**, R = NH_2) gave 5-methoxy-2,3-diphenylquinoxaline (**148**, R = H) (substrate, 10M H_2SO_4, trace H_3PO_4, trace Cu; $NaNO_2/H_2O\downarrow$ dropwise, $<0°C \rightarrow 4°C$, 20 h: 42%).[638]



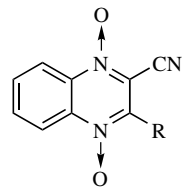
(**148**)

6-Methoxy-3-methyl-5-quinoxalinamine (**149**, R = NH_2) gave 6-methoxy-3-methylquinoxaline (**149**, R = H) (98% H_2SO_4, EtOH, $NaNO_2\downarrow$ slowly, $<5°C \rightarrow 60°C$, 10 min: 67%).[35]



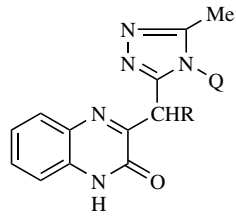
(**149**)

3-Amino-2-quinoxalinecarbonitrile 1,4-dioxide (**150**, R = NH_2) gave 2-quinoxalinecarbonitrile 1,4-dioxide (**150**, R = H) (substrate, Me_2NCHO, N_2; $Bu^tONO\downarrow$, 65°C, 10 min: ?%; several analogs likewise).[1012]



(**150**)

3-(4-Amino-5-methyl-4*H*-1,2,4-triazol-3-ylmethyl)-2(1*H*)-quinoxalinone (**151**, Q = NH_2, R = H) gave 3-[α-(5-methyl-4*H*-1,2,4-triazol-3-yl)-α-nitrosomethyl]-2(1*H*)-quinoxalinone (**151**, Q = H, R = NO) [$NaNO_2$ (~2.5 equiv), AcOH, H_2O, no further details: 97%; note additional nitrosation].[417]

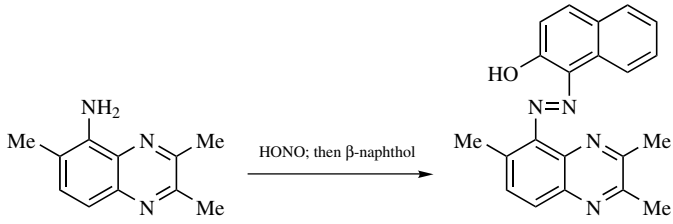


(**151**)

2,3,3-Trimethyl-2,3-dihydro-2-quinoxalinamine 1,4-dioxide gave 2,2,3-trimethyl-1,2-dihydro-1-quinoxalinol 4-oxide (Pd/C, MeOH, H_2: 90%; mechanism involed spontaneous loss of NH_3).[245]

Azo Coupling

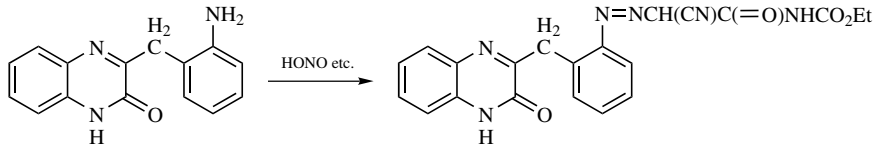
2,3,6-Trimethyl-5-quinoxalinamine (**152**) gave 5-(2-hydroxynaphthalen-1-ylazo)-2,3,6-trimethylquinoxaline (**153**) substrate, 50% H_3PO_4; $NaNO_2$/H_2O↓, 3°C, 1 h; then β-naphthaol/2.5M NaOH↓ dropwise, 3°C: 94%).[936]



(**152**) (**153**)

In much the same way, 2,3-diphenyl-6-quinoxalinamine gave 6-(2-hydroxynaphthalen-1-ylazo)-2,3-diphenylquinoxaline (substrate, 18% HCl; $NaNO_2$/H_2O↓, <5°C, 15 min; then solution↓ to β-naphthol/2.5M NaOH, 30 min: ~90%; analogs likewise).[955]

3-*o*-Aminobenzyl-2(1*H*)-quinoxalinone (**154**) gave 3-{*o*-[α-cyano-α-(*N*-ethoxycarbamoyl)methylazo]benzyl}-2(1*H*)-quinoxalinone (**155**) (substrate, $NaNO_2$, dilute HCl, <5°C, 35 min; then solution↓ to $NCCH_2CONHCO_2Et$, AcONa, H_2O, ~20°C, 12 h: 94%).[1046]

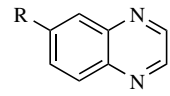


(**154**) (**155**)

Also other examples.[327,1040]

Conversion into Azidoquinoxalines

6-Quinoxalinamine (**156**, R = NH_2) gave 6-azidoquinoxaline (**156**, R = N_3) (substrate, 4M HCl, $NaNO_2\downarrow$, <5°C; then AcONa↓, $NaN_3\downarrow$, no further details: 56%);[642] 7-azido-2(1*H*)-quinoxalinone (70%) somewhat similarly.[1104]



(**156**)

Conversion into Halogenoquinoxalines

See Section 3.1.3.

Conversion into Quinoxalinecarbonitriles

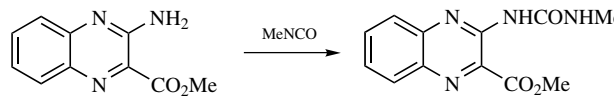
2-Quinoxalinamine gave 2-quinoxalinecarbonitrile (Sandmeyer reaction: no details).[918]

6.3.2.4. Miscellaneous Transformations of Aminoquinoxalines

Aminoquinoxalines undergo several other important but seldom used transformations that are illustrated in the following classified examples.

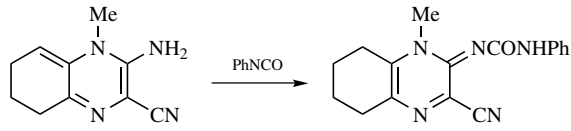
Conversion into Ureidoquinoxalines

Methyl 3-amino-2-quinoxalinecarboxylate (**157**) gave methyl 3-(*N*′-methylureido)-2-quinoxalinecarboxylate (**158**) (excess neat MeNCO, 20°C, dark, 3 days: 34% after separation from a tricyclic byproduct).[66]



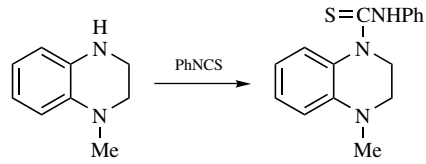
(**157**) (**158**)

3-Amino-4-methyl-4,6,7,8-tetrahydro-2-quinoxalinecarbonitrile (**159**) gave 4-methyl-3-[(*N*-phenylcarbamoyl)imino]-3,4,5,6,7,8-hexahydro-2-quinoxalinecarbonitrile (**160**) (authors' formulations) (PhNCO, $CHCl_3$, 20°C, 24 h: 55%; several analogs likewise).[169]



(**159**) (**160**)

1-Methyl-1,2,3,4-tetrahydroquinoxaline (**161**) gave 1-methyl-4-[*N*-phenyl(thiocarbamoyl)]-1,2,3,4-tetrahydroquinoxaline (**162**) (PhNCS, CH_2Cl_2, reflux, 1 h: 30%).[635]

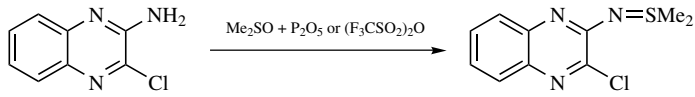


(**161**) (**162**)

Conversion into Dimethyl- or Dichlorosulfimidoquinoxalines

Note: The only examples of the formation of dichlorosulfimido derivatives, including 3-chlorothioquinoxalin-2-yliminosulfur dichloride, have been given in Section 5.1.2.

3-Chloro-2-quinoxalinamine (**163**) gave 2-chloro-3-dimethylsulfimidoquinoxaline (**164**) [Me_2SO, $P_2O_5\downarrow$ slowly, 20°C, 1 h, then substrate$\downarrow$ slowly, 25°C, 3 h: 68%; or Me_2SO, CH_2Cl_2, $(F_3CSO_2)_2O\downarrow$ slowly, −78°C, N_2, then substrate/$CH_2Cl_2/Me_2SO\downarrow$, −78°C → −55°C, 3 h: 88%].[234,671]

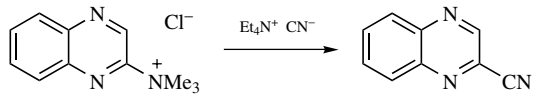


(**163**) (**164**)

Conversion into Quinoxalinecarbonitriles or the Like

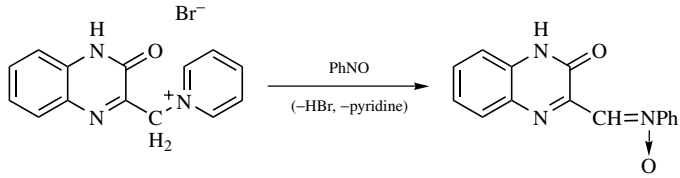
Note: Trimethylammonio or pyridinio groups can be displaced from quinoxaline by cyanide ion to afford quinoxalinecarbonitriles or, with nitrosobenzene, to give a nitrone.

2-Trimethylammonioquinoxaline chloride (**165**) gave 2-quinoxalinecarbonitrile (**166**) (Et_4N^+ CN^-, CH_2Cl_2, 20°C, 15 min: 72%).[696]



(**165**) (**166**)

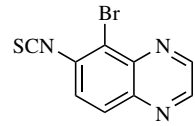
3-Pyridiniomethyl-2(1*H*)-quinoxalinone bromide (**167**) with nitrosobenzene gave the nitrone, 3-[(*N*-oxidophenylimino)methyl]-2(1*H*)-quinoxalinone (**167a**) (for details, see original).[202]



(**167**) (**167a**)

Conversion into Isothiocyanatoquinoxalines

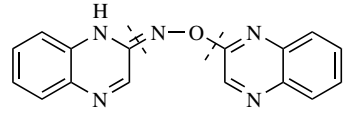
5-Bromo-6-quinoxalinamine hydrobromide gave 5-bromo-6-isothiocyanatoquinoxaline (**168**) ($SCCl_2$, $NaHCO_3$, H_2O, $CHCl_3$, 20°C, 14 h: ~30%).[949]



(**168**)

Formation of Near-Dimers

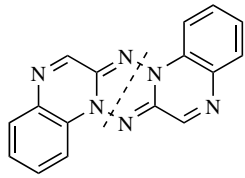
2-Chloroquinoxaline and hydroxylamine hydrochloride (0.5 equiv) gave 2-(quinoxalin-2-yloxyimino)-1,2-dihydroquinoxaline (**169**) (Me_2SO, Na_2CO_3, 20°C, 24 h: >80%, in two polymorphic forms, checked by X-ray analysis; the mechanism clearly involved rapid aminolysis followed by *O*-arylation).[941]



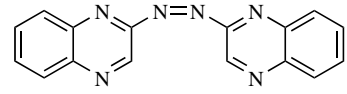
(**169**)

2-Hydroxyaminoquinoxaline self-condensed with loss of 2 × (H_2O) to afford a product, initially formulated as the pentacyclic near-dimer, [1,2,4,5]-tetrazino[1,6-*a*:4,5-a′]diquinoxaline (**170**),[982,992] but subsequently (after X-ray analysis) as the isomeric 2,2′-azoquinoxaline (**170a**)[62,838] ($CoCl_2 \cdot 6H_2O$ as

template, EtOH, 20°C → 90°C, 1 h: 74%;[850,992] or KOH, EtOH, H_2O, 20°C, 10 min: 56%).[838,850]

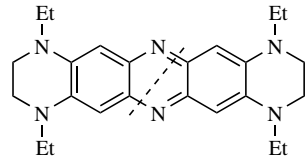


(**170**)



(**170a**)

1,4-Diethyl-1,2,3,4-tetrahydro-2-quinoxalinamine (easily prepared in ethanol by catalytic hydrogenation of the corresponding nitro compound) afforded the near-dimer, 1,4,8,11-tetramethyl-1,2,3,4,8,9,10,11-octahydrodipyrazino[2,3-*b*:2′,3′-*i*]phenazine (**171**) in three steps involving two unisolated intermediates [EtOH, air↓, 50°C, 2 h; then $MeSO_2Cl$↓, 0°C, until complete by tlc; then reflux, 1 h (for ring closure): 23%].[501]



(**171**)

Formation of Charge-Transfer or Metal Complexes

The composition and nature of the charge-transfer complexes of 2-quinoxalinamine with tetrachlorobenzoquinone (chloranil), tetrabromobenzoquinone (bromanil), 1,3,5-trinitrobenzen, and picric acid have been determined;[168] likewise those of 2,3-quinoxalinediamine with chloranil and bromanil.[180]

A fascinating Ge complex has been made from 2-*tert*-butylamino-3-chloroquinoxaline.[1093]

Also other examples.[1099]

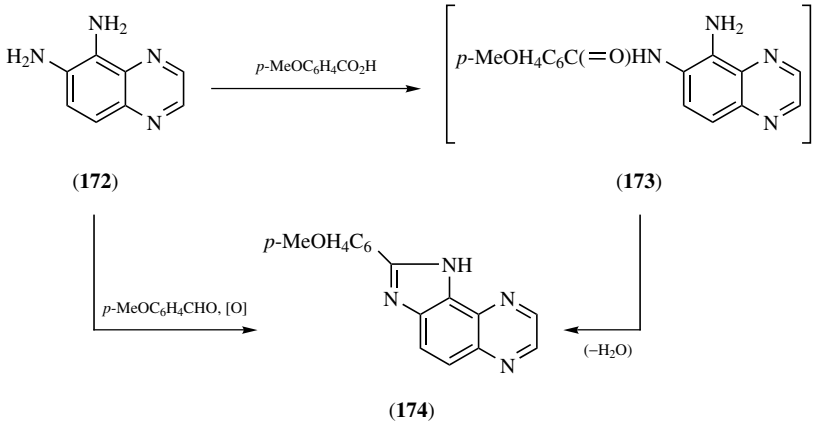
6.3.2.5. Cyclization of Aminoquinoxalines

This section contains some typical examples (not given elsewhere) of the cyclization reactions of regular aminoquinoxalines or their substituted-amino analogs.

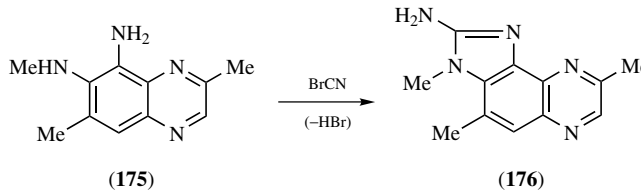
Formation of Imidazo[4,5-*f*]quinoxalines

5,6-Quinoxalinediamine (**172**) and *p*-methoxybenzoic acid gave 2-*p*-methoxyphenyl-1*H*-imidazo[4,5-*f*]quinoxaline (**174**) [neat synthon (2 equiv), 210°C,

4 h: 60%; polyphosphoric acid, 95°C, 6 h: 48%; when aliphatic acids were used, intermediates akin to **173** could be isolated];[437] the foregoing conversion (**172** → **174**) was also done with *p*-methoxybenzaldehyde, presumably with a spontaneous aerial oxidation step ($PhNO_2$, reflux, 90 min: ?%).[429]

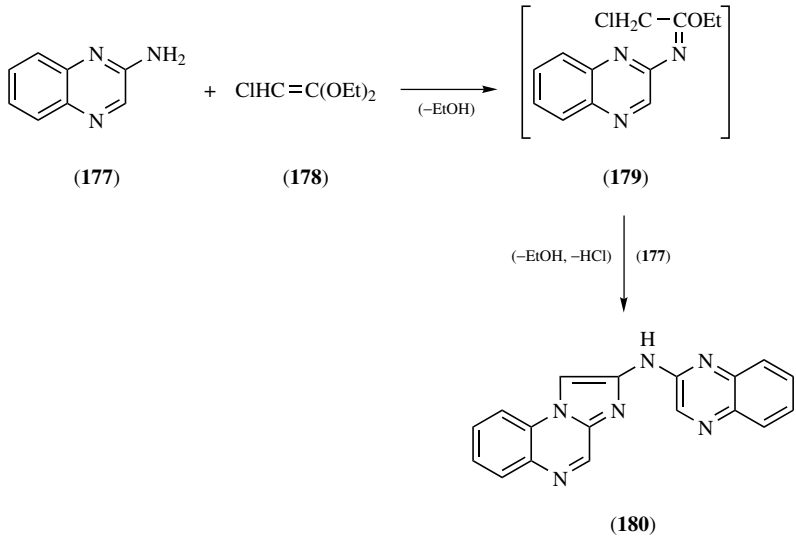


3,7-Dimethyl-6-methylamino-5-quinoxalinamine (**175**) (prepared freshly as an ethanolic solution by catalytic hydrogenation of the corresponding nitro compound) and cyanogen bromide gave 3,4,8-trimethyl-3*H*-imidazo-[4,5-*f*]quinoxalin-2-amine (**176**) (20°C, 3.5 h: 75%);[6] the analogous 3,4,7-trimethyl- (?%),[6] 3,5,8-trimethyl- (73%),[9] 3,7,8-trimethyl- (?%),[8] 3,7-dimethyl- (?%),[5] and 3,8-dimethyl-3*H*-imidazo[4,5-*f*]quinoxalin-2-amine (77%),[5,25] as well as related mutagenic entities were made similarly.[2,272]



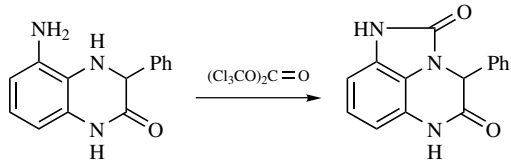
Formation of Imidazo[1,2-*a*]quinoxalines (*E* 654)

2-Quinoxalinamine (**177**) and chloroketene diethyl acetal (**178**) gave 2-(quinoxalin-2-ylamino)imidazo[1,2-*a*]quinoxaline (**180**), probably via the intermediate (**179**) [reactants, TsOH, MeCN, 20°C, 6 h; then more (**177**)↓, reflux, 4 h: 42%].[639]



Formation of Imidazo[1,5,4-*de*]quinoxalines (*E* 672)

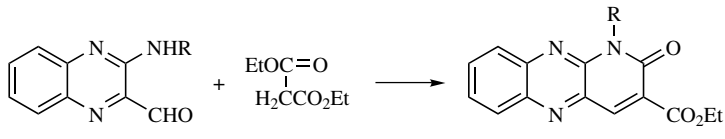
5-Amino-3-phenyl-3,4-dihydro-2(1*H*)-quinoxalinone (**181**) and triphosgene gave 4-phenyl-4*H*-imidazo[1,5,4-*de*]quinoxaline-2,5(1*H*, 6*H*)-dione (**182**) (Et_3N, THF, 20°C, N_2, until substrate gone by tlc: 65%); analogs likewise.[880]



Formation of Pyrido[2,3-*b*]quinoxalines (*E* 746)

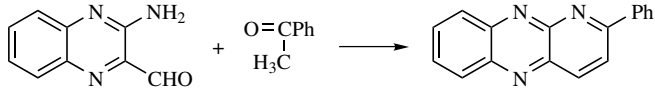
Note: These examples are extensions of the Friedländer quinoline synthesis.

3-Amino-2-quinoxalinecarbaldehyde (**183**, R = H) and diethyl malonate (**184**) gave ethyl 2-oxo-1,2-dihydropyrido[2,3-*b*]quinoxaline-3-carboxylate (**185**, R = H) (trace NaOH, trace H_2O, EtOH, 10 min: 60%).[143]



3-Anilino-2-quinoxalinecarbaldehyde (**183**, R = Ph) and diethyl malonate (**184**) gave ethyl 2-oxo-1-phenyl-1,2-dihydropyrido[2,3-*b*]quinoxaline-3-carboxylate (**185**, R = Ph) (trace piperidine, trace AcOH, PhH, reflux with H_2O removal, 4 h: 55%; analogs likewise).[143]

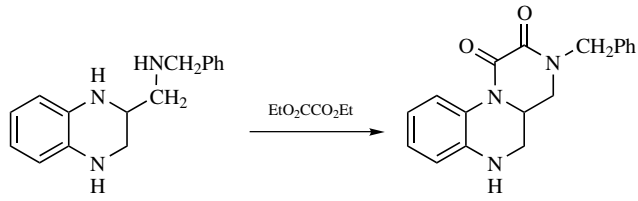
3-Amino-2-quinoxalinecarbaldehyde (**186**) and acetophenone (**187**) gave 2-phenylpyrido[2,3-*b*]quinoxaline (**188**) (NaOH, EtOH, H_2O, briefly: ?%; many analogs likewise).[128]



(**186**) (**187**) (**188**)

Formation of Pyrazino[1,2-*a*]quinoxalines

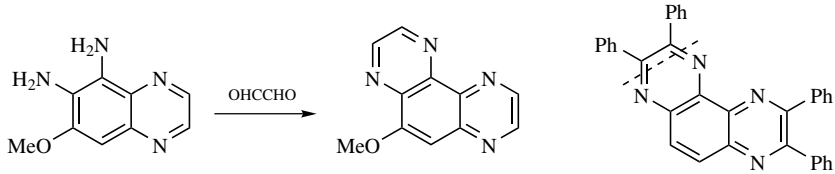
2-(Benzylamino)methyl-1,2,3,4-tetrahydroquinoxaline (**189**) and diethyl oxalate gave 2-benzyl-4,4a,5,6-tetrahydro-1*H*-pyrido[1,2-*a*]quinoxaline-1,2(3*H*)-dione (**190**) (neat reactants, 95°C, 20 h: 46%).[421]



(**189**) (**190**)

Formation of Pyrazino[2,3-*f*]quinoxalines

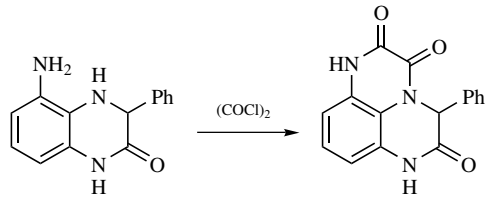
7-Methoxy-5,6-quinoxalinediamine (**191**) and glyoxal gave 5-methoxypyrazino[2,3-*f*]quinoxaline (**192**) (EtOH, H_2O, reflux, 1 h: >70%);[195] 2,3-di-phenyl-5,6-quinoxalinediamine and benzil likewise gave 2,3,8,9-tetraphenylpyrazino[2,3-*f*]quinoxaline (**193**) (AcOH, reflux, 1 h: ?%).[955]



(**191**) (**192**) (**193**)

Formation of Pyrazino[1,2,3-*de*]quinoxalines

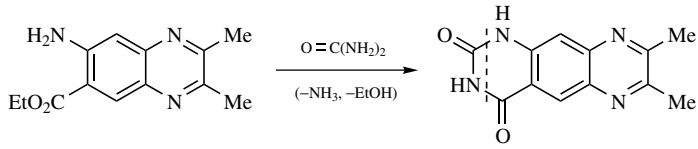
5-Amino-3-phenyl-3,4-dihydro-2(1*H*)-quinoxalinone (**194**) gave 5-phenyl-2,3-dihydro-1*H*, 5*H*-pyrazino[1,2,3-*de*]quinoxaline-2,3,6(7*H*)-trione (**195**) [$(COCl)_2$, Et_3N: 30%];[880] also analogs.[880,1080]



(**194**) (**195**)

Formation of Pyrazino[2,3-*g*]quinazolines

Ethyl 7-amino-2,3-dimethyl-6-quinoxalinecarboxylate (**196**) and urea gave 7,8-dimethylpyrazino[2,3-*g*]quinazoline-2,4(1*H*, 3*H*)-dione (**197**) (neat reactants, 198°C, 20 min: 92%); analogs likewise.[247]

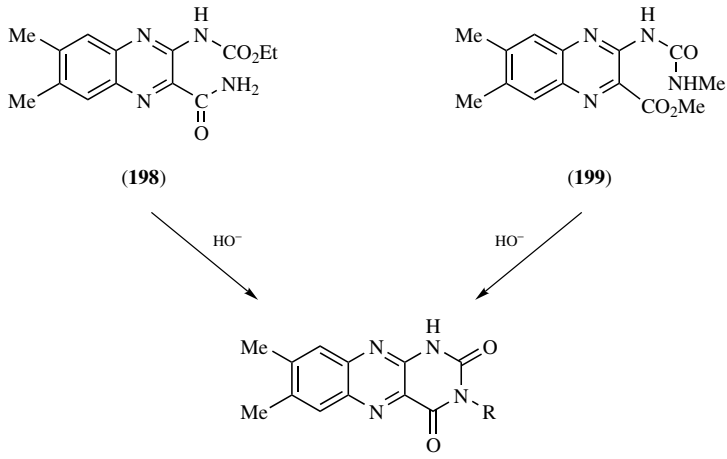


(**196**) (**197**)

Formation of Benzo[*g*]pteridines

3-Ethoxycarbonylamino-6,7-dimethyl-2-quinoxalinecarboxamide (**198**) gave 7,8-dimethylbenzo[*g*]pteridine-2,4(1*H*, 3*H*)-dione (**200**, R = H) (KOH, MeOH, 20°C, a few hours: 83%).[66]

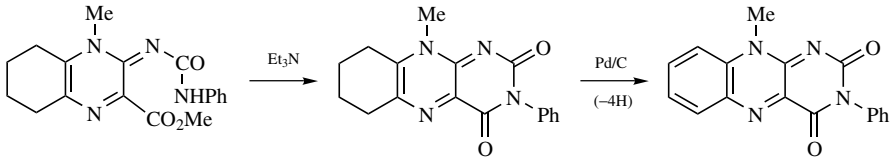
Methyl 6,7-dimethyl-3-(*N*′-methylureido)-2-quinoxalinecarboxylate (**199**) gave 3,7,8-trimethylbenzo[*g*]pteridine-2,4(1*H*, 3*H*)-dione (200, R = Me) (KOH, MeOH, 20°C, a few minutes: 84%).[66]



(**198**) (**199**)

(**200**)

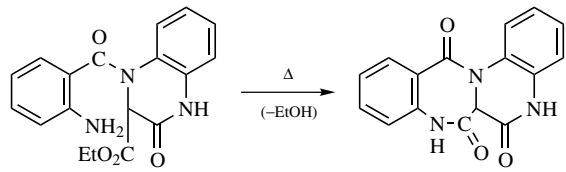
Methyl 4-methyl-3-[(*N*-phenylcarbamoyl)imino]-3,4,5,6,7,8-hexahydro-2-quinoxalinecarboxylate (**201**) underwent cyclization to give 10-methyl-3-phenyl-6,7,8,9-tetrahydrobenzo[*g*]pteridine-2,4(3*H*, 10*H*)-dione (**202**) (Et_3N, MeOH, reflux, 20 min: 80%) and subsequent catalytic aromatization to 10-methyl-3-phenylbenzo[*g*]pteridine-2,4(3*H*, 10*H*)-dione (**203**) (Pd/C, decahydronaphthalene, reflux, 1 h: 85%);[169] analogs likewise.[169]



(**201**) (**202**) (**203**)

Formation of Quinoxalino[2,1-*c*][1,4]benzodiazepines

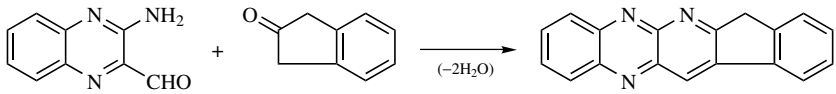
Ethyl 1-(*o*-aminobenzoyl)-3-oxo-1,2,3,4-tetrahydro-2-quinoxalinecarboxylate (**204**) underwent thermal cyclization with loss of EtOH to give 6a,7-dihydroquinoxalino[2,1-*c*][1,4]benzodiazepine-6,7,13(5*H*, 8*H*)-trione (**205**) (neat substrates, 200°C, vacuum, 30 min: 60%).[248]



(**204**) (**205**)

Formation of Indeno[1′,2′:5,6]pyrido[2,3-*b*]quinoxalines

3-Amino-2-quinoxalinecarbaldehyde (**206**) and 2-indanone (**207**) gave 7*H*-indeno[1′,2′:5,6]pyrido[2,3-*b*]quinoxaline (**208**) (EtOH, 40°C, 2 h: ? h).[128]



(**206**) (**207**) (**208**)

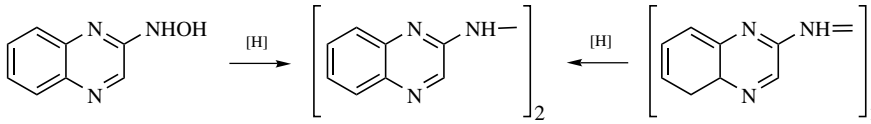
6.4. HYDRAZINO- AND HYDRAZONOQUINOXALINES (*E* 194)

The hydrazines of quinoxaline aldehydes and ketones are so closely akin to regular hydrazinoquinoxalines that all are included in this section. The NMR properties of such hydrazine derivatives have been studied.[280]

6.4.1. Preparation of Hydrazino- and Hydrazonoquinoxalines
(*E* 125, 194)

The major preparative routes to hydrazinoquinoxalines, by *primary synthesis* (Chapter 1) and by *hydrazinolysis of halogenoquinoxalines* (Sections 3.2.1 and 3.4.1) have been covered already; minor routes by hydrazinolysis of alkoxy-, alkylthio-, alkylsulfinyl-, or alkylsulfonylquinoxalines do not appear to have been used recently.

However, at least two other minor routes have been used. Thus reduction of 2-hydroxyaminoquinoxaline (**209**) gave *N*,*N*′-di(quinoxalin-2-yl)hydrazine (**210**) ($CoCl_2 \cdot 6H_2O$, THF, reflux, 1 h: ?%; $LiAlH_4$, THF, 20°C, 10 min: 62%)[838] and reduction of 2,2′-azoquinoxaline (**211**) gave the same product (**210**) ($NiCl_2 \cdot 6H_2O$, MeOH, $NaBH_4\downarrow$ slowly, 20°C, 30 min: 76%; 1,2,3,4-tetrahydronaphthalene, reflux, 2 h: 60%; Pd/C, MeOH, $H_2NNH_2 \cdot H_2O\downarrow$ slowly, reflux, 1 h: 23%).[838]

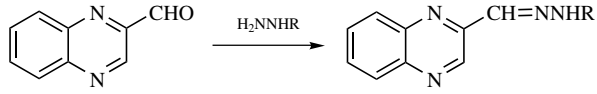


(**209**) (**210**) (**211**)

Nearly all (extranuclear) hydrazonoquinoxalines are made by treatment of quinoxaline aldehydes, ketones, or their acetals with hydrazine or substituted hydrazine, but a few are produced by diazo coupling. These reactions are illustrated by the following examples.

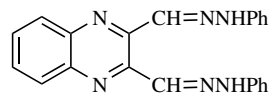
From Quinoxaline Aldehydes

2-Quinoxalinecarbaldehyde (**212**) gave 2-*p*-bromophenylhydrazonomethylquinoxaline (**213**, R = C_6H_4Br-*p*) (*p*-$BrC_6H_4NHNH_2$, MeOH, 20°C, 1 h: 73%);[430] likewise the 2-*p*-chlorophenyl (**213**, R = C_6H_4Cl-*p*) (83%),[433] 2-*p*-tolyl (**213**, R = C_6H_4Me-*p*) (79%),[433] 2-tosyl (**213**, R = Ts) (47%),[231,1092] and similar analogs; also 2-[(dimethylhydrazono)methyl]quinoxaline (Me_2NNH_2-H_2O, EtOH, 65°C, 10 min: 66%).[1092]



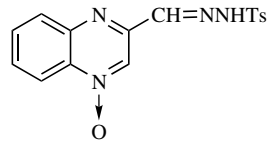
(**212**) (**213**)

2,3-Quinoxalinedicarbaldehyde gave 2,3-bis(phenylhydrazonomethyl)quinoxaline (**214**) ($PhNHNH_2$, EtOH, reflux, 30 min: ~90%).[746]



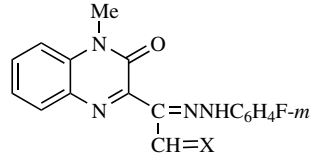
(**214**)

2-Quinoxalinecarbaldehyde 4-oxide (**215**) gave 2-(tosylhydrazonomethyl) quinoxaline 4-oxide (**215**) ($TsHNNH_2$, MeOH, reflux, 30 min: >95%).[149]



(**215**)

3-[α-(*m*-Fluorophenylhydrazono)-α-formylmethyl]-1-methyl-2(1*H*)-quinoxalinone (**216**, X = O) gave 3-[2-(benzoylhydrazono)-1-(*m*-fluorophenylhydrazono)ethyl]-1-methyl-2(1*H*)-quinoxalinone (**216**, X = NNHBz) ($BzHNNH_2$, EtOH, reflux, 15 min: 73%).[612]

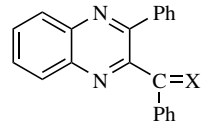


(**216**)

Also other examples, some from functional derivatives of quinoxaline aldehydes.[182,202,231,273,310,590,909,932]

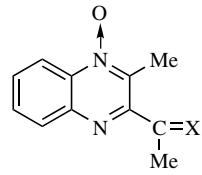
From Quinoxaline Ketones

2-Benzoyl-3-phenylquinoxaline (**217**, X = O) gave 2-phenyl-3-(α-(phenylhydrazono)benzyl]quinoxaline (**217**, X = NNHPh) ($PhNHNH_2$, AcOH, H_2O, HCl, PrOH, reflux, 4 h: 83%).[433]



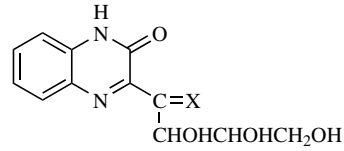
(**217**)

2-Acetyl-3-methylquinoxaline 4-oxide (**218**, X = O) gave 2-methyl-3-(1-tosylhydrazonoethyl)quinoxaline 1-oxide (**218**, X = NNHTs) ($TsNHNH_2$, MeOH, reflux, 1 h: 80%).[149]



(**218**)

3-(2,3,4-Trihydroxybutyryl)-2(1*H*)-quinoxalinone (219, X = O) gave 3-(2,3,4-trihydroxy-1-phenylhydrazonobutyl)-2(1*H*)-quinoxalinone (**219**, X = NNHPh) ($PhNHNH_2$, EtOH, hot → cold: 93%); substituted-phenylhydrazono derivatives likewise.[911]

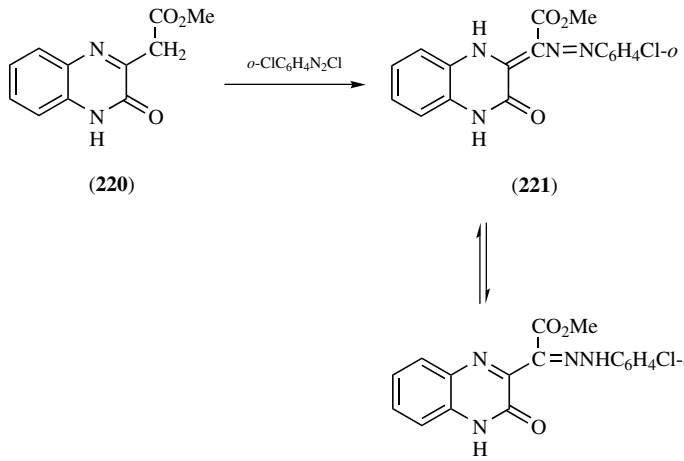


(**219**)

Also other examples.[259,407]

By Diazo Coupling

3-Methoxycarbonylmethyl-2(1*H*)-quinoxalinone (**220**) with *p*-chlorobenzenediazonium chloride gave a product, initially formulated as 3-[α-(*p*-chlorophenylazo)-α-methoxycarbonylmethylene]-3,4-dihydro-2(1*H*)-quinoxalinone (**221**) but later as the tautomeric 3-[α-(*p*-chlorophenylhydrazono)-α-methoxycarbonylmethyl]-2(1*H*)-quinoxalinone (**222**) (HCl, H_2O, AcOH, <5°C, 10 min, then 95°C, 30 min: 90%).[604,1081] Such tautomerisms have been studied in some detail.[196,201,208,481] (See also Section 6.6.)



(**220**) (**221**) (**222**)

6.4.2. Reactions of Hydrazino- and Hydrazonoquinoxalines (*E* 195)

The reactions of these quinoxalines may be divided conveniently into those that do not involve cyclization and those that do.

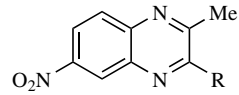
6.4.2.1. Noncyclization Reactions

These simple reactions of hydrazino- and hydrazonoquinoxalines are illustrated by the following classified examples.

Dehydrazination

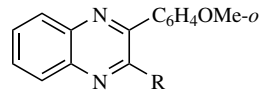
Note: Removal of a hydrazino group is usually done oxidatively with loss of nitrogen.

2-Hydrazino-3-methyl-7-nitroquinoxaline (**223**, R = $NHNH_2$) gave 2-methyl-6-nitroquinoxaline (**223**, R = H) (PbO_2, H_2O, PhH, reflux, 15 h: 63%).[117]



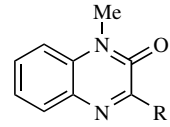
(**223**)

2-Hydrazino-3-*o*-methoxybenzylquinoxaline (**224**, R = $NHNH_2$) gave 2-*o*-methoxybenzylquinoxaline (**224**, R = H) (EtONa, EtOH, reflux, 90 min: 56%; aerial oxidation?).[240]



(**224**)

3-Hydrazino-1-methyl-2(1*H*)-quinoxalinone (**225**, R = $NHNH_2$) gave 1-methyl-2(1*H*)-quinoxalinone (**225**, R = H) ($CuSO_4$, H_2O, reflux, ? h: ?%).[983]

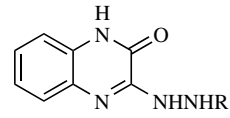


(**225**)

Acylation

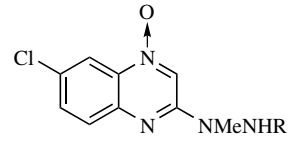
Note: Acylation usually occurs at the *N′*-position and is often followed by cyclization without characterization or even isolation of the acyl derivative (see Section 6.4.2.2).

3-Hydrazino-2(1*H*)-quinoxalinone (**226**, R = H) gave 3-(*N′*-benzoylhydrazino)-2(1*H*)-quinoxalinone (**226**, R = Bz) [$(Me_2N)_3PO$, BzCl↓ dropwise, 20°C, 1 h: 90%].[453]



(**226**)

6-Chloro-2-(*N*-methylhydrazino)quinoxaline 4-oxide (**227**, R = H) gave 2-(*N'*-acetyl-*N*-methylhydrazino)-6-chloroquinoxaline 4-oxide (**227**, R = Ac) (Ac_2O, pyridine, dioxane, reflux, 30 min: >95%) or 6-chloro-2-(*N*-methyl-*N'*-trifluoroacetylhydrazino)quinoxaline 4-oxide [$CHCl_3$, $(F_3CCO)_2O\downarrow$ dropwise, <5°C; then reflux, 1 h: 63%].[496]

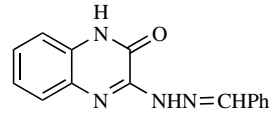


(**227**)

Alkylidenation

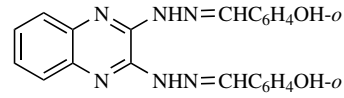
Note: Like acylation, alkylidenation is often carried out with a view to subsequent cyclization. Such alkylidenation is almost invariably done with an arene- or heteroarenecarbaldehyde, a related ketone, or occasionally an ethynylarene: appropriate procedures are exemplified here.

3-Hydrazino-2(1*H*)-quinoxalinone gave 3-benzylidenehydrazino-2(1*H*)-quinoxalinone (**228**) (PhCHO, EtOH, reflux, 5 h: >95%;[990] or PhCHO, Me_2NCHO, 20°C, 1 h: >95%);[452] analogs likewise.[990]



(**228**)

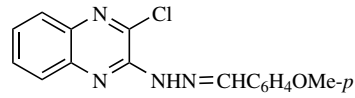
2,3-Dihydrazinoquinoxaline gave 2,3-bis(*o*-hydroxybenzylidenehydrazino)quinoxaline (**229**) (HOC_6H_4CHO-*o*, Me_2NCHO, reflux, 3 h: 70%;[962] or HOC_6H_6CHO-*o*, trace HCl, EtOH, reflux, briefly: 61%).[1099]



(**229**)

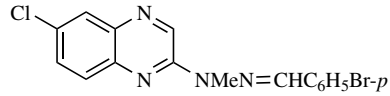
2-Chloro-3-hydrazinoquinoxaline gave 2-chloro-3-*p*-methoxybenzylidenehydrazinoquinoxaline (**230**) (*p*-$MeOC_6H_4CHO$, AcOH, 20°C, 20 min: 90%;[776]

p-$MeOC_6H_4CHO$, Me_2NCHO, 20°C, 2 h: 71%;[450] note that the product from each procedure analyzed correctly but the melting points of these products differed appreciably); analogs were made similarly.[450,776]



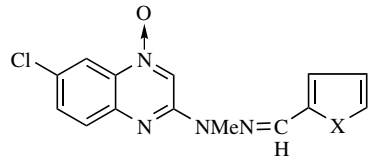
(**230**)

6-Chloro-2-(*N*-methylhydrazino)quinoxaline gave 2-[*N*′-(*P*-bromobenzylidene)-*N*-methylhydrazino]-6-chloroquinoxaline (**231**) (*p*-$BrCH_2C_6H_4CHO$, Me_2-NCHO, reflux, 2 h: 87%);[472] analogs likewise.[465]



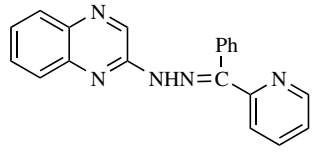
(**231**)

6-Chloro-2-(*N*-methylhydrazino)quinoxaline 4-oxide gave 6-chloro-2-[*N*′-(fur-2-ylmethylene)-*N*-methylhydrazino]- (**232**, X = O) (2-furaldehyde, dioxane, reflux, 1 h: >95%)[473] or 6-chloro-2-[*N*-methyl-*N*′-(thien-2-ylmethylene) hydrazino]quinoxaline 4-oxide (**232**, X = S) (2-thiophenecarbaldehyde, dioxane, reflux, 1 h: 91%).[515]



(**232**)

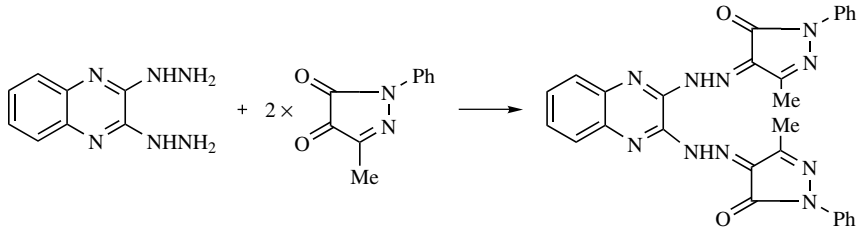
2-Hydrazinoquinoxaline gave 2-{[α-(pyridin-2-yl)benzylidene]hydrazino}quinoxaline (**233**) (2-benzoylpyridine, MeOH, trace AcOH, reflux, <12 h: 55%);[736] also analogs.[932]



(**233**)

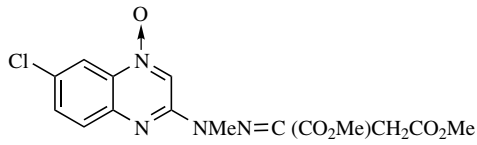
2,3-Dihydrazinoquinoxaline (**234**) and 5-methyl-2-phenyl-4*H*-pyrazole-3,4(2*H*)-dione (**235**) (2 equiv) gave 2,3-bis(3-methyl-5-oxo-1-phenyl-1,5-dihydro-

4*H*-pyrazol-4-ylidenehydrazino)quinoxaline (**236**) (Me_2SO, trace TsOH, 20°C, 5 h: 53%; or simply AcOH, 20°C, 2 h: 55%).[761]



(**234**) (**235**) (**236**)

6-Chloro-2-(*N*-methylhydrazino)quinoxaline 4-oxide and dimethyl acetylenedicarboxylate gave 6-chloro-2-[*N*′-(1,2-dimethoxycarbonylethylidene)-*N*-methylhydrazino]quinoxaline 4-oxide (**237**) (EtOH, C_6H_{12}, reflux, 3 h: 44%).[463]



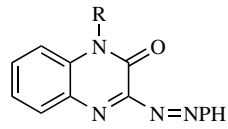
(**237**)

Also other examples.[983]

Oxidation to Azo Derivatives

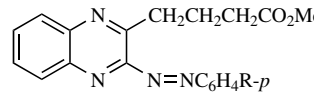
Note: (*N*′-Arylhydrazino)quinoxalines are easily oxidized to the corresponding arylazoquinoxalines.

1-Methyl-3-phenylhydrazino-2(1*H*)-quinoxalinone gave 1-methyl-3-phenylazo-2(1*H*)-quinoxalinone (**238**, R = Me) (MeOH, air↓, 20°C, 6 h: ?h);[516] 3-phenylhydrazino- gave 3-phenylazo-2(1*H*)-quinoxalinone (**238**, R = H) (H_2O_2, EtOH, H_2O, 20°C, 24 h: ?%).[998]



(**238**)

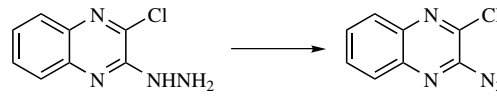
2-(3-Methoxycarbonlypropyl)-3-(*N*′-phenylhydrazino)quinoxaline gave 2-(3-methoxycarbonylpropyl)-3-phenylazoquinoxaline (**239**, R = H) (MeOH, air↓, 20°C, ~5 h: 52%); 2-*p*-chlorophenylazo-3-(3-methoxycarbonylpropyl)quinoxaline (**239**, R = Cl) (47%) was made similarly.[878]



(**239**)

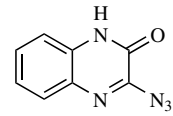
Conversion into azidoquinoxalines

2-Chloro-3-hydrazinoquinoxaline (**240**) gave 2-azido-3-chloroquinoxaline (**241**) ($NaNO_2$, AcOH, H_2O, <5°C, → 20°C, 30 min: 95%).[141]



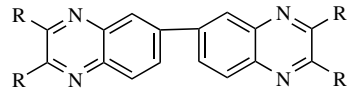
(**240**) (**241**)

3-Hydrazino-2(1*H*)-quinoxalinone gave 3-azido-2(1*H*)-quinoxalinone (**242**) (2M HCl, 0°C, $NaNO_2/H_2O\downarrow$ dropwise, 30 min: >90%).[983]



(**242**)

2,2′,3,3′-Tetrahydrazino-6,6′-biquinoxaline (**243**, R = $NHNH_2$) gave 2,2′,3,3′-tetraazido-6,6′-biquinoxaline (**243**, R = N_3) (90% H_2SO_4, $NaNO_2\downarrow$, "with cooling," 6 h: 69%).[752]

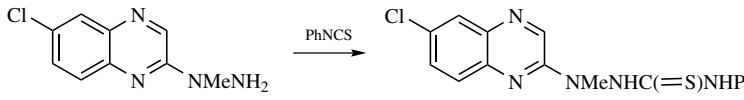


(**243**)

Also other examples.[974]

Conversion into Semicarbazidoquinoxalines

6-Chloro-2-(*N*-methylhydrazino)quinoxaline (**244**) gave 6-chloro-2-[1-methyl-4-phenyl(thiocarbazido)]quinoxaline (**245**) (PhNCS, $CHCl_3$, reflux, 2 h: 87%);[471] the corresponding 4-oxide (86%) was made similarly but in ethanolic chloroform.[469]

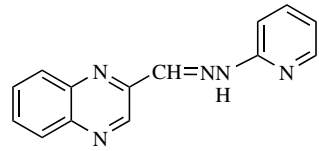


(**244**) (**245**)

Formation of Complexes

Note: Many of the (substituted hydrazino)quinoxalines covered in this section have been converted into metal complexes, randomly exemplified here.

2-(Pyridin-2-ylhydrazonomethyl)quinoxaline (**246**): Fe(II), Ni(II), and Cu(II) chelates.[932]



(**246**)

2,3-Bis(*o*-hydroxybenzylidenehydrazino)quinoxaline (**229**): Mn(II), Fe(III), Co(II), Ni(II), and Zn(II).[962]

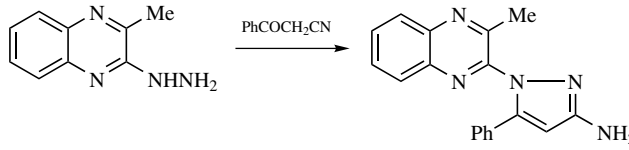
2,3-Bis(3-methyl-5-oxo-1-phenyl-1,5-dihydro-4*H*-pyrazol-4-ylidenehydrazino) quinoxaline (**236**): Ni(II), Cu(II), and Co(II).[761]

6.4.2.2. Cyclization Reactions

Hydrazino-, hyrazono-, and derived quinoxalines are often used for cyclization that may or may not involve annulation of the quinoxaline system. The following examples are classified according to the type of product, initially a few typical azahetarylquinoxalines and then some (annulated) azahetarenoquinoxalines.

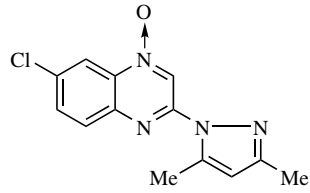
Formation of Pyrazolylquinoxalines

2-Hydrazino-3-methylquinoxaline (**247**) and phenacyl cyanide gave 2-(3-amino-5-phenylpyrazol-1-yl)-3-methylquinoxaline (**248**) (EtOH, reflux, 4 h: 60%; or neat reactants, fused, 5 min: >50%); analogs likewise.[847]



(**247**) (**248**)

6-Chloro-2-hydrazinoquinoxaline 4-oxide and acetylacetone gave 6-chloro-2-(3,5-dimethylpyrazol-1-yl)quinoxaline 4-oxide (**249**) (EtOH, reflux, 2 h: 68%); analogs likewise.[508]

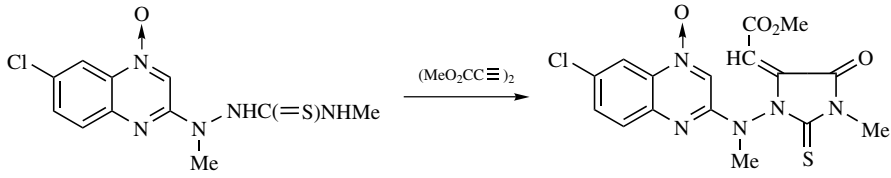


(**249**)

Also other examples.[362,489,990]

Formation of Imidazolylaminoquinoxalines

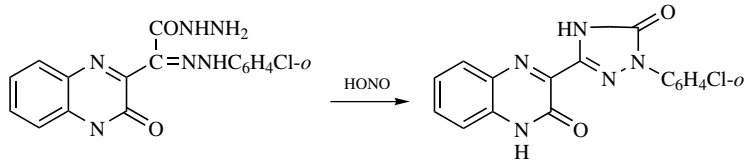
6-Chloro-2-[1,4-dimethyl(thiosemicarbazido)]quinoxaline 4-oxide (**250**) with dimethyl acetylenedicarboxylate gave 6-chloro-2-[*N*-(5-methoxycarbonylmethylene-3-methyl-4-oxo-2-thioxoimidazolidin-1-yl)-*N*-methylamino]quinoxaline 4-oxide (**251**) (EtOH, reflux, 5 h: 54%); a homolog likewise.[469]



(**250**) (**251**)

Formation of (1,2,4-Triazolyl)quinoxalines

3-(α-*o*-Chlorophenylhydrazono-α-hydrazinocarbonylmethyl)-2(1*H*)-quinoxalinone (**252**) gave 3-(1-*o*-chlorophenyl-5-oxo-4,5-dihydro-1,2,4-triazol-3-yl)-2(1*H*)-quinoxalinone (**253**) ($NaNO_2$, AcOH, H_2O, $<5°C \rightarrow 95°C$, 2 h: 88%; clearly by conversion into an azidocarbonyl intermediate, rearrangement to the isocyanato analog, and a final cyclization).[1081]

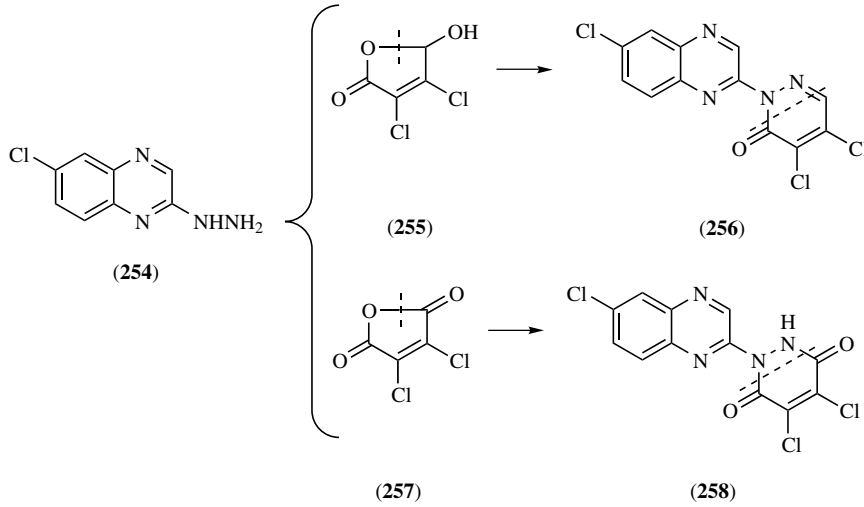


(**252**) (**253**)

Formation of Pyridazinylquinoxalines

6-Chloro-2-hydrazinoquinoxaline (**254**) with mucochloric acid (**255**) gave 6-chloro-2-(4,5-dichloro-6-oxo-1,6-dihydropyridazin-1-yl)quinoxaline (**256**) (AcOH, reflux, 5.5 h: 87%; analogs likewise).[387]

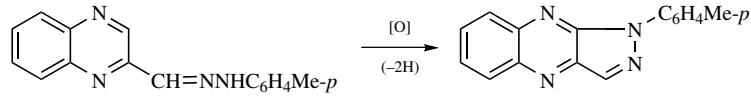
The same substrate (**254**) with 2,3-dichloromalonic anhydride (**257**) gave 6-chloro-2-(4,5-dichloro-3,6-dioxo-1,2,3,6-tetrahydropyridazin-1-yl)quinoxaline (**258**) (AcOH, reflux, 3 h: 87%; analogs likewise).[387]



(**254**) (**255**) (**256**) (**257**) (**258**)

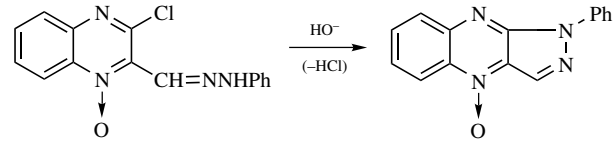
Formation of Pyrazolo[3,4-*b*]quinoxalines (*H* 348; *E* 693)

2-*p*-Tolylhydrazonomethylquinoxaline (**259**) gave 1-*p*-tolyl-1*H*-pyrazolo[3,4-*b*]-quinoxaline (**260**) [$(PhN{=})_2$ (oxidant), AcOH, PrOH, HCl, H_2O, reflux, 10 h: 91%; analogs likewise];[433] 2-methylhydrazonomethylquinoxaline gave 1-methyl-1*H*-pyrazolo[3,4-*b*]quinoxaline (trace H_2SO_4, H_2O, EtOH, reflux, 4 h: 75%; note spontaneous aerial oxidation).[1092]



(**259**) (**260**)

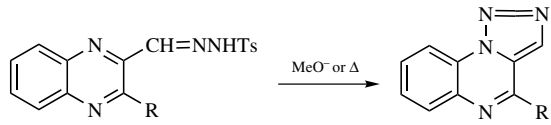
2-Chloro-3-phenylhydrazonomethylquinoxaline 4-oxide (**261**) gave 1-phenyl-1*H*-pyrazolo[3,4-*b*]quinoxaline 4-oxide (**262**) (Me_2NCHO, H_2O, KOH, <5°C, 30 min: 91%).[590]



(**261**) (**262**)

Formation of [1,2,3]Triazolo[1,5-*a*]quinoxalines

3-Phenoxy-2-tosylhydrazonomethylquinoxaline (**263**, R = OPh) gave 4-phenoxy [1,2,3]triazolo[1,5-*a*]quinoxaline (**264**, R = OPh) (MeONa, MeOH, reflux, 1 h: 89%; or BuOH, reflux, 15 min: 97%);[231] other procedures were also used to convert appropriate substrates (**263**) into 4-chloro- (**264**, R = Cl) (solid Me ONa, C_6H_{12}, reflux, 5 h: 87%) or 4-methoxy[1,2,3]triazolo[1,5-*a*]quinoxaline (**264**, R = OMe) (xylene, reflux, 30 min: 73%) as well as related products.[231]



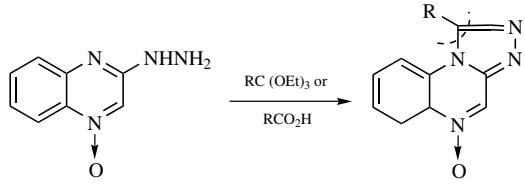
(**263**) (**264**)

Note: Derivatives of this tricyclic system may also be made from appropriately substituted 1-phenyl-1,2,3-triazoles by several procedures.[1087,1090]

Formation of [1,2,4]Triazolo[4,3-*a*]quinoxalines

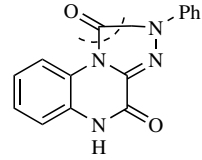
Note: Such products may be made from hydrazinoquinoxalines with appropriate one-carbon synthons or more directly from preacylated or prealkylidenated hydrazinoquinoxalines.

2-Hydrazinoquinoxaline 4-oxide (**265**) gave [1,2,4]triazolo[4,3-*a*]quinoxaline 5-oxide (**266**, R = H) [neat $(EtO)_3CH$, reflux, 3 h: 61%] or 1-methyl[1,2,4]triazolo [4,3-*a*]quinoxaline 5-oxide (**266**, R = Me) (neat AcOH, reflux, 2 h: 30%).[149]



(**265**) (**266**)

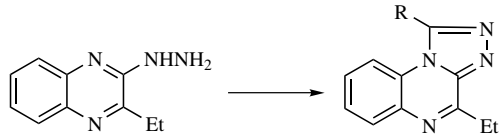
3-(*N*′-Phenylhydrazino)-2(1*H*)-quinoxalinone gave 2-phenyl[1,2,4]triazolo[4,3-*a*]quinoxaline-1,4(2*H*, 5*H*)-dione (**267**) [$(Cl_3CO)_2CO$ ($\equiv COCl_2$), THF, 20°C, 3 h: 94%; analogs likewise].[879]



(**267**)

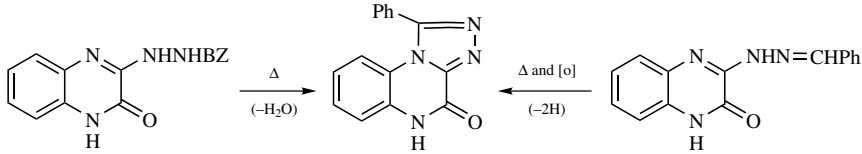
2-Ethyl-3-hydrazinoquinoxaline (**268**) gave 4-ethyl[1,2,4]triazolo[4,3-*a*]quinoxaline (**269**, R = H) [neat $(EtO)_3CH$, reflux, 12 h: 44%], 4-ethyl-1-

methyl[1,2,4]triazolo[4,3-*a*]quinoxaline (**269**, R = Me) (neat Ac_2O, reflux, 1 h: 40%), or 2-dichloromethyl-4-ethyl[1,2,4]triazolo[4,3-*a*]quinoxaline (**269**, R = $CHCl_2$) (neat Cl_2CHCO_2H, reflux, 3 h: 69%); also analogs likewise.[235]



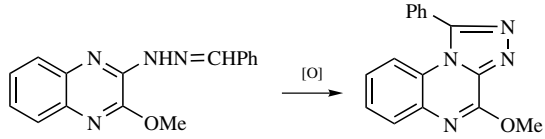
(**268**) (**269**)

3-(*N*′-Benzoylhydrazino)-2(1*H*)-quinoxalinone (**270**) gave 1-phenyl[1,2,4]triazolo[4,3-*a*]quinoxalin-4(5*H*)-one (**271**) [$(Me_2N)_3PO$, trace HCl, 100°C, 1 h: 84% by loss of H_2O];[453] 3-benzylidenehydrazino-2(1*H*)-quinoxalinone (**272**) also gave product (**271**) ($HOCH_2CH_2OH$, reflux, ~6 h: 60%; presumably by aerial oxidation of a dihydro intermediate).[990]



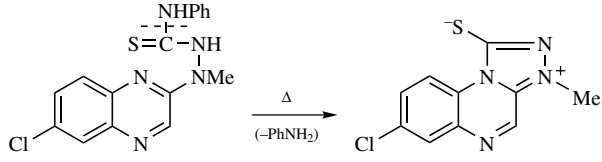
(**270**) (**271**) (**272**)

2-Benzylidenehydrazino-3-methoxyquinoxaline (**273**) underwent oxidative cyclization to 4-methoxy-1-phenyl[1,2,4]triazolo[4,3-*a*]quinoxaline (**274**) [$Cu(OAc)_2$, AcOH, reflux, 1 h: 80%;[452] tetrachlorobenzoquinone, $ClCH_2CH_2Cl$, reflux, 90 min: 92%;[450] analogs by both procedures].[450,452]



(**273**) (**274**)

6-Chloro-2-[1-methyl-4-phenyl(thiosemicarbazido)]quinoxaline (**275**) gave 7-chloro-3-methyl[1,2,4]triazolo[4,3-*a*]quinoxalin-3-ium-1-thiolate (**276**) (Me_2NCHO, reflux, 2 h: 80%, with loss of $PhNH_2$).[471]



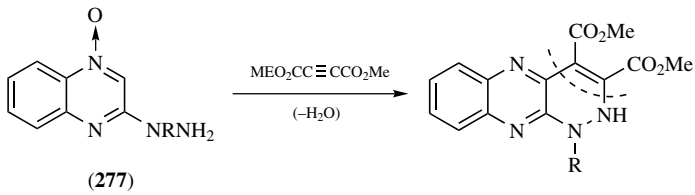
(**275**) (**276**)

Also other examples.[280,367,418,716,734,1071]

Formation of Pyridazino[3,4-*b*]quinoxalines

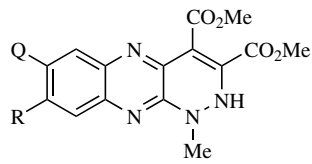
Note: Most of the available examples employ a hydrazinoquinoxaline *N*-oxide as substrate and afford a dihydropyridazinoquinoxaline as product.

2-(*N*-Ethylhydrazino)quinoxaline 4-oxide (**277**, R = Et) and dimethyl acetylenedicarboxylate gave dimethyl 1-ethyl-1,2-dihydropyridazino[3,4-*b*]quinoxaline-3,4-dicarboxylate (**278**, R = Et) (EtOH, reflux, 3 h: 77%); the 1-methyl homolog (**278**, R = Me) (70%) was made similarly.[512]



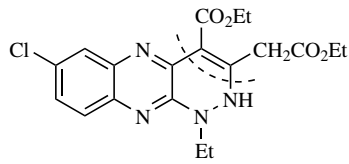
(**277**) (**278**)

In a similar way, 6-chloro-2-(*N*-methylhydrazino)quinoxaline 4-oxide gave dimethyl 7-chloro- (**279**, Q = Cl, R = H) (61%)[460,463] and the isomeric substrate, 6-chloro-3-(*N*-methylhydrazino)quinoxaline 1-oxide, gave dimethyl 8-chloro-1-methyl-1,2-dihydropyridazino[3,4-*b*]quinoxaline-3,4-dicarboxylate (**279**, Q = H, R = Cl) (50% after separation from a byproduct).[489]



(**279**)

6-Chloro-2-(*N*-ethylhydrazino)quinoxaline 4-oxide with diethyl 1,3-acetonedicarboxylate ($EtO_2CCH_2COCH_2CO_2Et$) gave only one product, formulated on spectral evidence as ethyl 7-chloro-3-ethoxycarbonylmethyl-1-ethyl-1,2-dihydropyridazino[3,4-*b*]quinoxaline-4-carboxylate (**280** or tautomer) (AcOH, trace H_2SO_4, reflux, 5 h: 80%).[513]

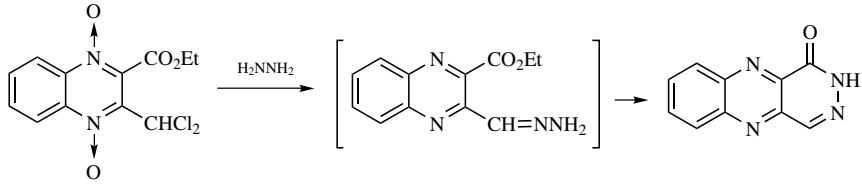


(**280**)

Also other examples.[78]

Formation of Pyridazino[4,5-*b*]quinoxalines

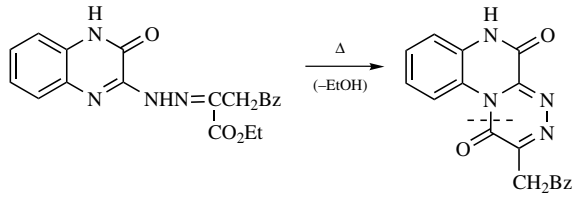
Ethyl 3-dichloromethyl-2-quinoxalinecarboxylate 1,4-dioxide (**281**) with hydrazine gave pyridazino[4,5-*b*]quinoxalin-1(2*H*)-one (**283**, presumably via the intermediate hydrazone (**282**) (substrate, EtOH, $H_2NNH_2 \cdot H_2O\downarrow$ dropwise, 0°C → 20°C, 24 h: ~60%; note loss of *N*-oxide entities during the reactions).[226]



(**281**) (**282**) (**283**)

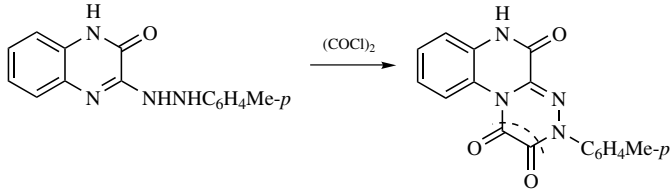
Formation of [1,2,4]Triazino[4,3-*a*]quinoxalines

3-[(2-Benzoyl-1-ethoxycarbonylethylidene)hydrazino]-2(1*H*)-quinoxalinone (**284**) gave 2-phenacyl-1*H*-[1,2,4]triazino[4,3-*a*]quinoxaline-1,5(6*H*)-dione (**285**) (neat substrate, 280°C, 30 min: 85%).[990]



(**284**) (**285**)

3-(*N*′-*p*-Tolylhydrazino)-2(1*H*)-quinoxalinone (**286**) with oxalyl chloride gave 3-*p*-tolyl-1*H*-[1,2,4]triazino[4,3-*a*]quinoxaline-1,2,5(3*H*, 6*H*)-trione (**287**) [excess $(COCl)_2$, substrate/THF↓ slowly, 0°C; then 20°C, 15 min: 50°C].[879]

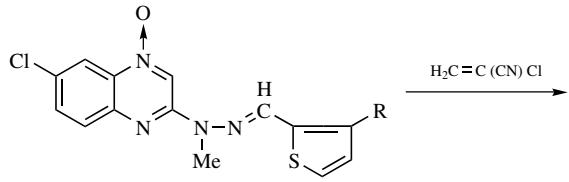


(**286**) (**287**)

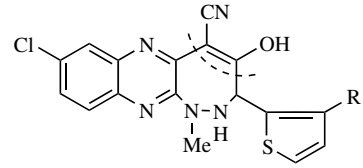
Formation of 1,2-Diazepino[3,4-*b*]quinoxalines

6-Chloro-2-[*N*-methyl-*N*′-(thien-2-ylmethylene)hydrazino]quinoxaline 4-oxide (**288**, R = H) with 2-chloroacrylonitrile gave 8-chloro-4-hydroxy-1-methyl-

3-(thien-2-yl)-2,3-dihydro-1*H*-1,2-diazepino[3,4-*b*]quinoxaline-5-carbonitrile (**289**, R = H) (dioxane, reflux, 2 h: 96%);[515] the 3-(3-methylthien-2-yl) homolog (**289**, R = Me) (58%) likewise.[473]



(**288**)

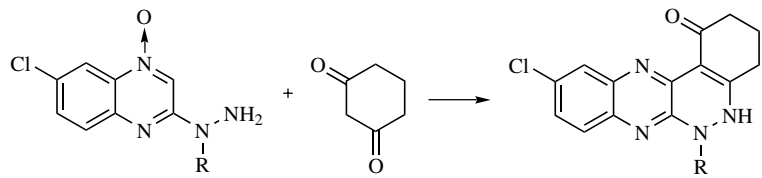


(**289**)

Also other examples.[465]

Formation of Quinoxalino[2,3-*c*]cinnolines

6-Chloro-2-(*N*-methylhydrazino)quinoxaline 4-oxide (**290**, R = Me) and 1,3-cyclohexanedione (**291**) gave 10-chloro-6-methyl-1,2,3,4,5,6-hexahydroquinoxalino[2,3-*c*]cinnolin-1-one (**292**, R = Me) (Me_2NCHO, reflux, 2 h: 31%); likewise the homologous *N*-ethyl substrate (**290**, R = Et) gave the 6-ethyl product (**292**, R = Et) (AcOH, reflux, 1 h: 66%).[513]



(**290**) (**291**) (**292**)

6.5. AZIDOQUINOXALINES (*E*, 196)

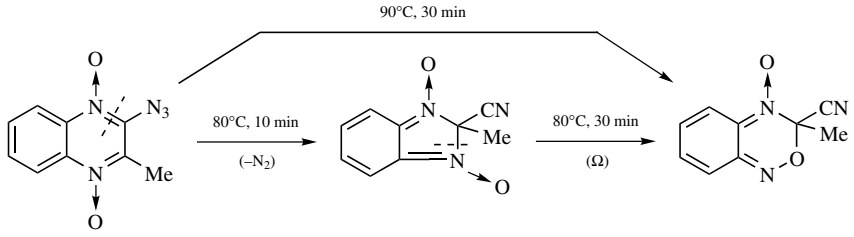
The 2- or 3-azidoquinoxalines exist in valence tautomerism with tetrazolo[1,5-*a*]quinoxalines;[30,1082] indeed, they are often known and indexed in the literature only under the latter name, irrespective of the dominant form. However, in this book all are known as *azidoquinoxalines* (see also Section 3.2.4).

Preparation. All recently used routes to azidoquinoxalines have been covered already: by *azidolysis of halogenoquinoxalines* (Sections 3.2.4 and 3.4.5), by *azidolysis of alkylsulfonylquinoxalines* (Section 5.4), by *diazotization and subsequent azidolysis of quinoxalinamines* (Section 6.3.2.3), and by *treatment of hydrazinoquinoxalines with nitrous acid* (Section 6.4.2.1).

Reactions. The *reduction of azidoquinoxalines to quinoxalinamines* has been exemplified in Section 6.3.1. Other recently reported reactions are illustrated in the following classified examples.

Ring Contractions (and Subsequent Rearrangements)

2-Azido-3-methylquinoxaline 1,4-dioxide (**293**) underwent thermolytic ring contraction with loss of N_2 to give a separable mixture of 2-methyl-3*H*-benzimidazole-2-carbonitrile 1,3-dioxide (**294**) and its rearrangement product, 3-methyl-3*H*-2,1,4-benzoxadiazine-3-carbonitrile 4-oxide (**295**) (PhH, reflux, 10 min: 46% and 41%, respectively); the latter product (**295**) was also obtained from the benzimidazole (**294**) (PhH, reflux, 30 min: 76%) or from the quinoxaline (**293**) (PhMe, 90°C, 30 min: 94%).[155]

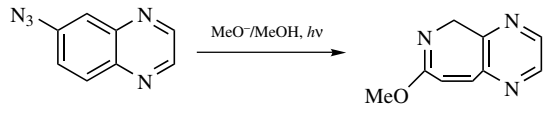


(**293**) (**294**) (**295**)

Somewhat analogous reactions have also been reported.[30,640]

Ring Expansions

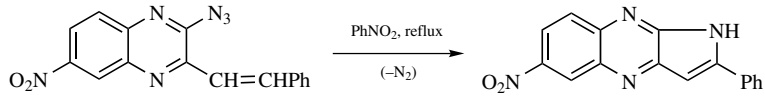
6-Azidoquinoxaline (**296**) gave 7-methoxy-5*H*-pyrazino[2,3-*c*]azepine (**297**) (MeOK, MeOH, dioxane, N_2, *hν*, ~4 h: 55% after separation from a little 6-quinoxalinamine; mechanism proposed).[642]



(**296**) (**297**)

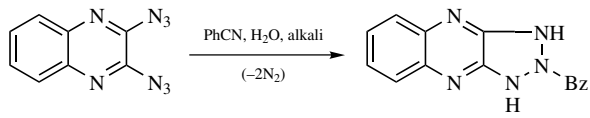
Cyclization

2-Azido-6-nitro-3-styrylquinoxaline (**298**) underwent thermal cyclization with loss of N_2 to afford 6-nitro-2-phenyl-1*H*-pyrrolo[2,3-*b*]quinoxaline (**299**) ($PhNO_2$, reflux, 90 min: 54%).[135]



(**298**) (**299**)

2,3-Diazidoquinoxaline (**300**) with benzonitrile in alkali gave 2-benzoyl-2,3-dihydro-1*H*-1,2,3-triazolo[4,5-*b*]quinoxaline (**301**) (for details, see original).[802]



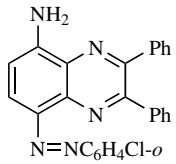
(**300**) (**301**)

6.6. ARYLAZOQUINOXALINES

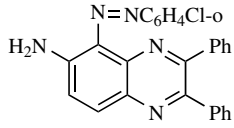
Preparation. Examples have been given already for the preparation of arylazoquinoxalines by *oxidation of arylhydrazinoquinoxalines* (Section 1.2.3.14), by *condensation of nitrosoquinoxalines with primary amines* (Section 6.2) and by *diazotization of quinoxalinamines and subsequent coupling* (Section 6.3.2.3), as well as the *formation of 2,2′-azoquinoxaline from 2-hydroxyaminoquinoxaline* (Section 6.3.2.4). The only remaining route, involving the coupling of aromatic diazonium salts with quinoxalines, is illustrated by the following examples.

Note: Some abnormal examples of this procedure have been cited at the end of Section 6.4.1.

2,3-Diphenyl-5-quinoxalinamine with diazotized *o*-chloroaniline gave 8-*o*-chlorophenylazo-2,3-diphenyl-5-quinoxalinamine (**302**) [substrate, *o*-$ClC_6H_4N_2Cl$ (prepared in situ), EtOH, H_2O, <5°C, 30 min: ~90%); 2,3-diphenyl-6-quinoxalinamine likewise gave 5-*o*-chlorophenylazo-2,3-diphenyl-6-quinoxalinamine (**303**) (~90%); and many analogs of both types were made similarly.[955]



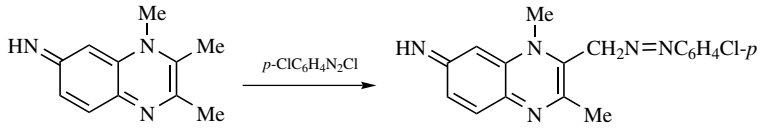
(**302**)



(**303**)

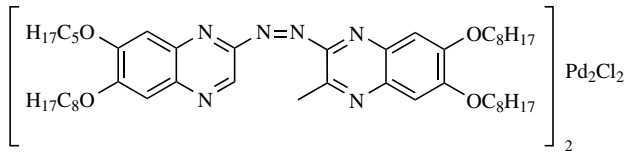
2,3,4-Trimethyl-6(4*H*)-quinoxalinimine (**304**) with diazotized *p*-chloroaniline gave 3-*p*-chlorophenylazomethyl-2,4-dimethyl-6(4*H*)-quinoxalinimine (**305**)

(substrate, *p*-$ClC_6H_4N_2Cl$ (made in situ), AcONa, H_2O, 5°C, briefly: ?%, isolated as hydrochloride).[174]



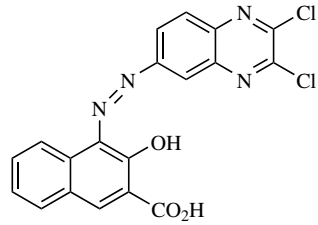
(**304**) (**305**)

Reactions. The *reduction of arylazoquinoxalines to quinoxalinamines* has been covered in Section 6.3.1. The only other reaction reported recently is the formation of metal complexes. Although 6,6′,7,7′-tetraoctyloxy-2,2′-biquinoxaline and palladium chloride formed a complex (**306**) that proved too insoluble for analytical



(**306**)

purposes,[850] the complexations of 6-(3-carboxy-2-hydroxynaphthalen-1-ylazo)-2,3-dichloroquinoxaline (**307**) with Au(III)[1040] and of the same and related



(**307**)

arylazoquinoxalines with Hg(II)[1041] were developed into analytical procedures for the estimation of trace amounts of these metals.

CHAPTER 7

Quinoxalinecarboxylic Acids and Related Derivatives
(*H* 246, 250; *E* 123, 130, 137)

This chapter covers not only nuclear and extranuclear quinoxalinecarboxylic acids (and anhydrides) but also the carboxylic esters, acyl halides, carboxamides, carbohydrazides, carbonitriles, carbaldehydes, and (ketonic) acyl derivatives of quinoxaline; a few related speceis are also included. To avoid repetition, the interconversions of these quinoxaline derivatives are discussed only at the first opportunity; thus the esterification of quinoxalinecarboxylic acids in covered as a reaction of carboxylic acids rather than as a preparative route to carboxylic esters, simply because the section on carboxylic acids precedes that on carboxylic esters. To minimize any confusion, appropriate cross-references have been inserted.

7.1. QUINOXALINECARBOXYLIC ACIDS AND ANHYDRIDES
(*H* 250; *E* 137)

There is an extensive recent literature on quinoxalinecarboxylic acids and anhydrides, much of it in connection with their role as intermediates for derivatives such as carbohydrazides.

7.1.1. Preparation of Quinoxalinecarboxylic Acids

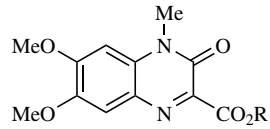
Two routes to quinoxalinecarboxylic acids have been covered already: by *primary synthesis* (see Chapter 1) and by *oxidation of alkylquinoxalines* (see Section 2.2.4). The remaining methods are illustrated by the following classified examples.

Quinoxalines: Supplement II, *Chemistry of Heterocyclic Compounds, Volume 61*, by Desmond J. Brown
ISBN 0-471-26495-4 Copyright © 2004 John Wiley & Sons, Inc.

By Hydrolysis of Quinoxalinecarboxylic Esters

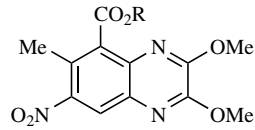
Note: Such hydrolyses may be done in aqueous alkali, in aqueous organic base, or under acidic conditions.

Methyl 6,7-dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate (**1**, R = Me) gave 6,7-dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid (**1**, R = H) (1M NaOH, 20°C, 79 min: 79%).[943]



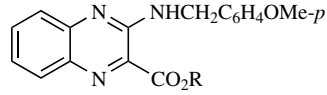
(**1**)

Methyl 2,3-dimethoxy-6-methyl-7-nitro-5-quinoxalinecarboxylate (**2**, R = Me) gave 2,3-dimethoxy-6-methyl-7-nitro-5-quinoxalinecarboxylic acid (**2**, R = H) (KOH, H_2O, THF, 20°C, 27 h: 95%).[506]



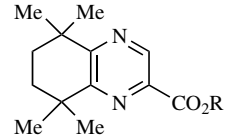
(**2**)

Ethyl 3-*p*-methoxybenzylamino-2-quinoxalinecarboxylate (**3**, R = Et) gave 3-*p*-methoxybenzylamino-2-quinoxalinecarboxylic acid (**3**, R = H) (NaOH, H_2O, EtOH, reflux, 1 h: 95%; several analogs likewise).[1020]



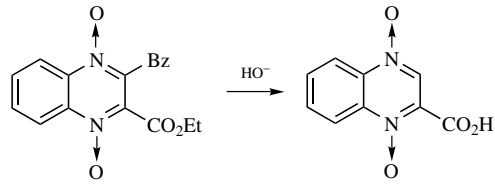
(**3**)

Methyl 5,5,8,8-tetramethyl-5,6,7,8-tetrahydro-2-quinoxalinecarboxylate (**4**, R = Me) gave 5,5,8,8-tetramethyl-5,6,7,8-tetrahydro-2-quinoxalinecarboxylic acid (**4**, R = H) (NaOH, H_2O, MeOH, 20°C, 2h: 96%).[737]



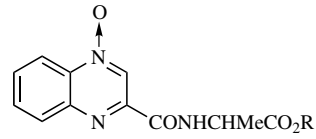
(**4**)

Ethyl 3-benzoyl-2-quinoxalinecarboxylate 1,4-dioxide (**5**) gave 2-quinoxalinecarboxylic acid 1,4-dioxide (**6**) (KOH, EtOH, 20°C, rapidly: 58%; note additional debenzoylation).[540]



(**5**) (**6**)

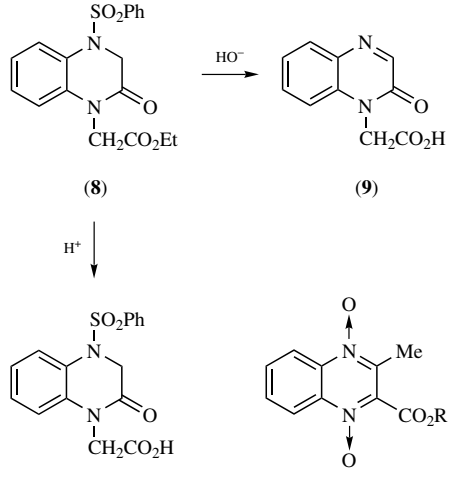
N-(1-Methoxycarbonylethyl)- (**7**, R = Me) gave *N*-(1-carboxyethyl)-2-quinoxalinecarboxamide 4-oxide (**7**, R = H) (KOH, MeOH, 20°, 2 min; excess $H_2O\downarrow$ $HCl\downarrow$ to pH 2: >95%; note survival of the amide entity under these gentle conditions).[663]



(**7**)

4-Benzenesulfonyl-1-ethoxycarbonylmethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**8**) gave either 1-carboxymethyl-2(1*H*)-quinoxalinone (**9**) (1.25M NaOH, reflux, 6 h: 92%; note additional nuclear oxidation by loss of $PhSO_2H$) or 4-benzenesulfonyl-1-carboxymethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**10**) (H_2SO_4, AcOH, H_2O, 80°C, 15 min; then 60°C, 5 h; then 20°C, 16 h: 27%).[459]

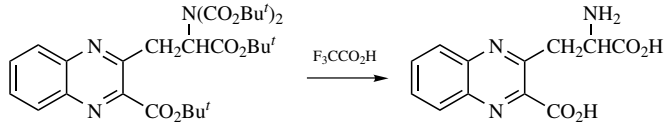
Methyl 3-methyl-2-quinoxalinecarboxylate 1,4-dioxide (**11**, R = Me) gave 3-methyl-2-quinoxalinecarboxylic acid 1,4-dioxide (**11**, R = H) (Et_3N, $CaCl_2$, H_2O, EtOH, 50°C, 3 h: 60%; the efficacious effect of $CaCl_2$ is discussed).[228]



(**8**) (**9**)

(**10**) (**11**)

tert-Butyl 3-[2-*tert*-butoxycarbonyl-2-(*N*,*N*-di-*tert*-butoxycarbonylamino)ethyl]-2-quinoxalinecarboxylate (**12**) gave 3-(2-amino-2-carboxyethyl)-2-quinoxalinecarboxylic acid (**13**) [F_3CCO_2H, trace PhOMe, 20°C, 12 h; >95% as its trifluoroacetate salt].[276,1000]

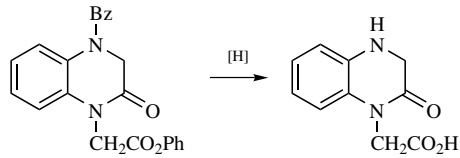


(**12**) (**13**)

Also other examples.[22,240,440,662,721,742,1104]

By Hydrogenolysis of Quinoxalinecarboxylic Benzyl Esters

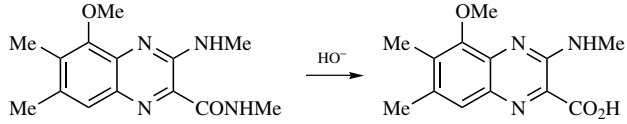
4-Benzoyl-1-benzyloxycarbonylmethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**14**) gave 1-carboxymethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**15**) (Pd/C, AcOEt, H_2, 20°C, 90%; note additional *N*-debenzoylation).[459]



(**14**) (**15**)

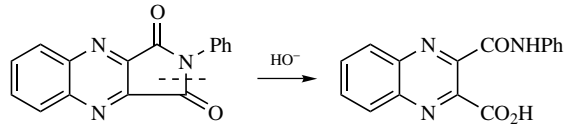
By Hydrolysis of Quinoxaline Amides or Imides

5-Methoxy-6,7,*N*-trimethyl-3-methylamino-2-quinoxalinecarboxamide (**16**) gave 5-methoxy-6,7-dimethyl-3-methylamino-2-quinoxalinecarboxylic acid (**17**) (NaOH, H_2O, MeOH, reflux, 3 h: 89%).[742]



(**16**) (**17**)

N-Phenyl-2,3-quinoxalinedicarboxamide (**18**) gave 3-phenylcarbamoyl-2-quinoxalinecarboxylic acid (**19**) (NH_4OH, H_2O, reflux, 4 h: ?%).[633]

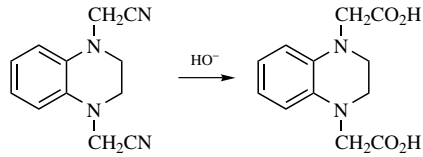


(**18**) (**19**)

Also other examples.[430]

By Hydrolysis of Quinoxaline Nitriles

1,4-Bis(cyanomethyl)- (**20**) gave 1,4-bis(carboxymethyl)-1,2,3,4-tetrahydroquinoxaline (**21**) (5M NaOH, reflux, 3 h: 22%).[449]

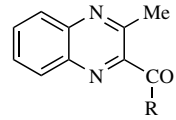


(**20**) (**21**)

Also other examples.[929]

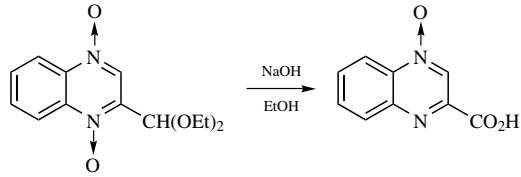
By Oxidation of Quinoxaline Aldehydes

3-Methyl-2-quinoxalinecarbaldehyde (**22**, R = H) gave 3-methyl-2-quinoxalinecarboxylic acid (**22**, R = OH) ($KMnO_4$, H_2O, pyridine, acidified, 20°C, 24 h: 66%; or SeO_2, H_2O, dioxane, reflux, 1 h: 50%).[746]



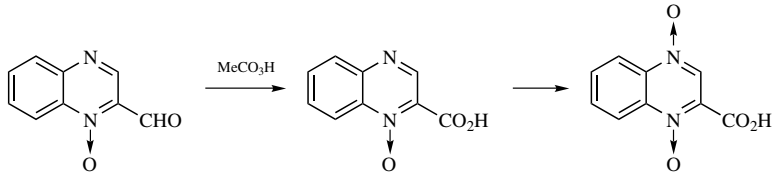
(**22**)

2-Quinoxalinecarbaldehyde 1,4-dioxide diethyl acetal (**23**) gave 2-quinoxalinecarboxylic acid 4-oxide (**24**) (NaOH, EtOH, 22°C, 24 h: 96%; mechanism proposed).[762]



(**23**) (**24**)

2-Quinoxalinecarbaldehyde 1-oxide (**25**) gave initially mainly 2-quinoxalinecarboxylic acid 1-oxide (**26**) (AcOH, 30% H_2O_2, 20°C, 24 h: ?%) but subsequently 2-quinoxalinecarboxylic acid 1,4-dioxide (**27**) (same conditions, 72 h: ~1 : 1 mixture).[663]



(**25**) (**26**) (**27**)

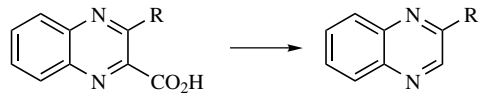
Also other examples.[408]

7.1.2. Reactions of Quinoxalinecarboxylic Acids

Quinoxalinecarboxylic acids undergo several useful reactions, illustrated in the following classified examples.

Decarboxylation (see also Section 2.2.1.5)

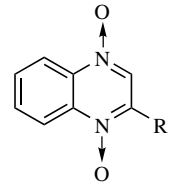
3-Anilino-2-quinoxalinecarboxylic acid (**28**, R = NHPh) gave 2-anilinoquinoxaline (**29**, R = NHPh) (neat, 200°C, 30 min: 72%);[430] 2-(2,4-dichloroanilino)-quinoxaline was made similarly (180°C, 30 min: 86%).[440]



(**28**) (**29**)

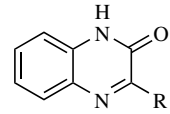
3-Phenoxy-2-quinoxalinecarboxylic acid (**28**, R = OPh) gave 2-phenoxyquinoxaline (**29**, R = OPh) [polyphosphoric acid (from P_2O_5 + 85% H_3PO_4), xylene, 90°C, 5 h: 72%].[240]

2-Quinoxalinecarboxylic acid 1,4-dioxide (**30**, R = CO_2H) gave quinoxaline 1,4-dioxide (**30**, R = H) (PrOH, reflux, 7 h: 47%).[244]



(**30**)

3-Oxo-3,4-dihydro-2-quinoxalinecarboxylic acid (**31**, R = CO_2H) gave 2(1*H*)-quinoxalinone (**31**, R = H) (neat, 265°C until complete: 74%).[217]



(**31**)

Also other examples.[408,425,535,619,653,1098]

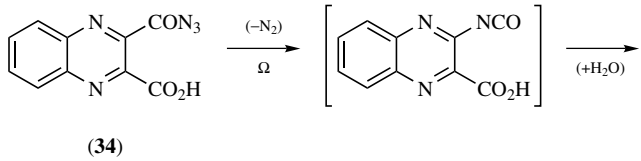
Conversion into Anhydrides

Note: Although linear anhydrides of quinoxalinecarboxylic acids appear to be unrepresented in the literature, some cyclic quinoxalinedicarboxylic anhydrides are well known.

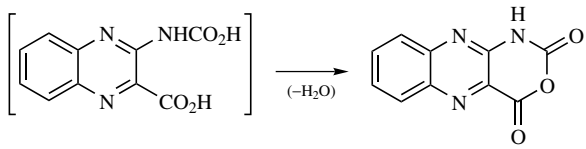
6,7-Dimethyl-2,3-quinoxalinedicarboxylic acid (**32**) gave 6,7-dimethylquinoxalinedicarboxylic anhydride (**33**) (Ac_2O, reflux: ?%).[401]

(**32**) (**33**)

3-Azido formyl-2-quinoxalinecarboxylic acid (**34**) underwent a Curtius reacrtion to afford the cyclic anhydride of 3-carboxyamino-2-quinoxalinecarboxylic acid, 4*H*-[1,3]oxazino[4,5-*b*]quinoxaline-2,4(1*H*)-dione (**35**) (for details, see original).[370]



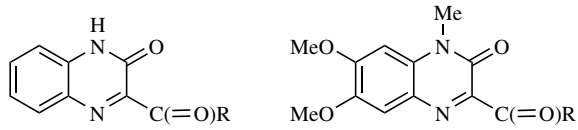
(**34**)



(**35**)

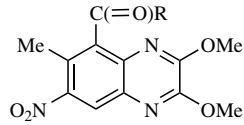
Conversion into Quinoxalinecarbonyl Halides

3-Oxo-3,4-dihydro-2-quinoxalinecarboxylic acid (**36**, R = OH) gave 3-oxo-3,4-dihydro-2-quinoxalinecarbonyl chloride (**36**, R = Cl) (neat $SOCl_2$, reflux, 1 h: 77%);[434] 6,7-dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid (**37**, R = OH) gave 6,7-dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarbonyl chloride (**37**, R = Cl) (likewise: >91%).[653,943]



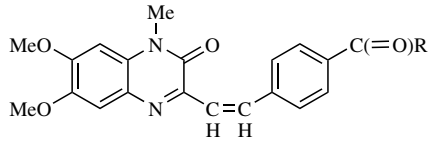
(**36**) (**37**)

2,3-Dimethoxy-6-methyl-7-nitro-5-quinoxalinecarboxylic acid (**38**, R = OH) gave 2,3-dimethoxy-6-methyl-7-nitro-5-quinoxalinecarbonyl chloride (**38**, R = Cl) (neat $SOCl_2$, reflux, 20 h: 96%).[506]



(**38**)

3-*p*-Carboxystyryl- (**39**, R = OH) gave 3-*p*-(chloroformyl)styryl-6,7-dimethoxy-1-methyl-2(1*H*)-quinoxalinone (**39**, R = Cl) (neat $SOCl_2$, reflux, <1 h: ~92%).[653]

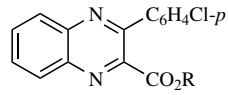


(**39**)

Also other examples.[396,705]

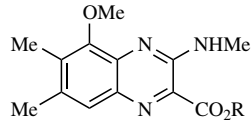
Esterification

3-*p*-Chloroanilino-2-quinoxalinecarboxylic acid (**40**, R = H) gave methyl 3-*p*-chloroanilino-2-quinoxalinecarboxylate (**40**, R = Me) (CH_2N_2, Et_2O, cold, 1 h: 90%).[440]



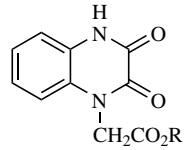
(**40**)

5-Methoxy-6,7-dimethyl-3-methylamino-2-quinoxalinecarboxylic acid (**41**, R = H) gave methyl 5-methoxy-6,7-dimethyl-3-methylamino-2-quinoxalinecarboxylate (**41**, R = Me) (HCl/MeOH, reflux, 3 h: ~80%).[742]



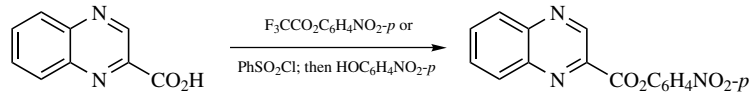
(**41**)

1-Carboxymethyl- (**42**, R = H) gave 1-methoxycarbonylmethyl-2,3(1*H*,4*H*)-quinoxalinedione (**42**, R = Me) (H_2SO_4, MeOH, reflux, 1 h: ~75%).[425]



(**42**)

2-Quinoxalinecarboxylic acid (**43**) gave *p*-nitrophenyl 2-quinoxalinecarboxylate (**44**) ($F_3CO_2C_6H_4NO_2$-*p*, pyridine, 25°C, 3.5 h: 91%; or $PhSO_2Cl$, pyridine, 0°C, 5 min, then $HOC_6H_4NO_2$-*p*↓, 0°C, 60 min: 47%).[107]



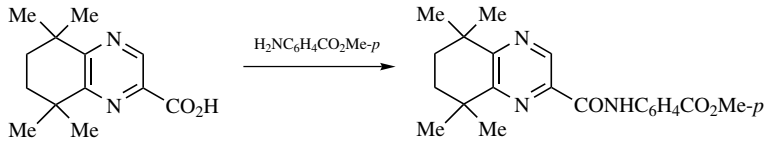
(**43**) (**44**)

Also other examples.[255,374,401,408,663,970]

Conversion into Quinoxalinecarboxamides

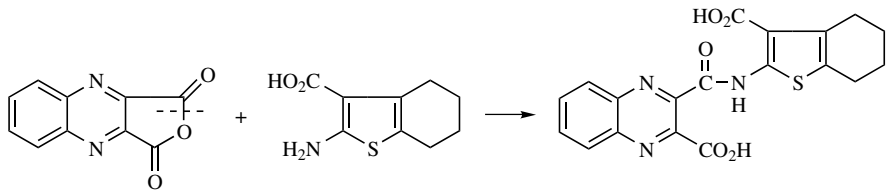
Note: The conversion of a quinoxalinecarboxylic acid into a quinoxalinecarboxamide is usually done indirectly via the corresponding acyl halide or ester. However, the direct conversion of an acid or anhydride into an amide is possible.

5,5,8,8-Tetramethyl-5,6,7,8-tetrahydro-2-quinoxalinecarboxylic acid (**45**) gave *N*-(*p*-methoxycarbonylphenyl)-5,5,8,8-tetramethyl-5,6,7,8-tetrahydro-2-quinoxalinecarboxamide (**46**) [$MeO_2CC_6H_4NH_2$-*p*, benzotriazol-1-yloxytrisdimethylaminophosphonium hexafluorophosphate (usually known as the "BOP" reagent), Et_3N, CH_2Cl_2, 20°C, 12 h: ~65%].[737]



(**45**) (**46**)

2.3-Quinoxalinedicarboxylic anhydride (**47**) and 2-amino-4,5,6,7-tetrahydrobenzo[*b*]thiophene-2-carboxylic acid (**48**) gave 3-[*N*-(3-carboxy-4,5,6,7-tetrahydrobenzo[*b*]thien-2-yl)carbamoyl]-2-quinoxalinecarboxylic acid (**49**) (EtOH, reflux, 30 min: 80%; analogs likewise).[1098]

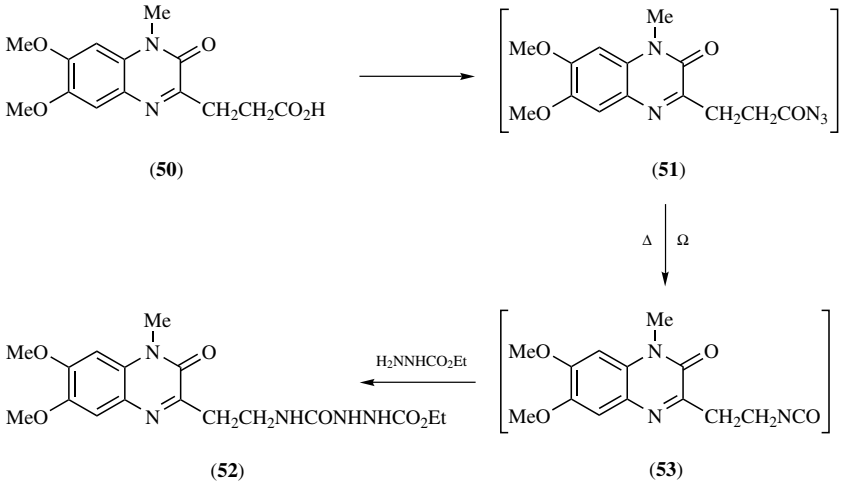


(**47**) (**48**) (**49**)

Also other examples.[22,336,401]

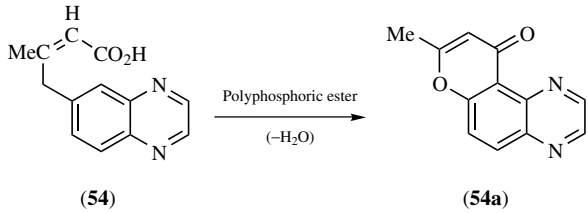
Conversion into Quinoxalinecarbonyl Azides and Derived Products

3-(2-Carboxyethyl)-6,7-dimethoxy-1-methyl-2(1*H*)-quinoxalinone (**50**) gave successively 3-[2-(aziodformyl)ethyl]- (**51**) [$(PhO)_2PON_3$, Et_3N, Me_2NCHO, no details: crude], 3-(2-isocyanatoethyl)- (**53**) [PhH, reflux, 1 h : unisolated (Curtius Ω)], and 3-{2-[*N*′-(ethoxycarbonylamino)ureido]ethyl}-6,7-dimethoxy-1-methyl-2(1*H*)-quinoxalinone (**52**) (H_2NNHCO_2Et, PhH, reflux, 30 min: 65% overall).[101]

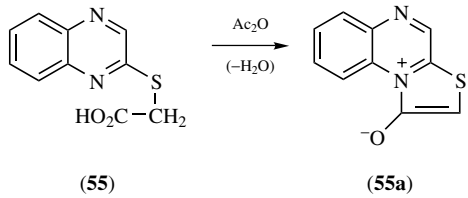


Cyclizations

6-(2-Carboxy-1-methylvinyloxy)quinoxaline (**54**) cyclized to 8-methyl-1O*H*-pyrano[3,2-*f*]quinoxalin-10-one (**54a**) (polyphosphoric ester, no details).[864]



2-Carboxymethylthioquinoxaline (**55**) gave thiazolo[3,2-*a*]quinoxalin-10-ium-1-olate (**55a**) (Ac_2O, pyridine, 0°C, 2 h: 63%; analogs likewise).[794]



Formation of Complexes

Formation constants and thermodynamic parameters have been measured for the Fe(II) chelates of 3-chloro-2-quinoxalinecarboxylic acid and 3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid.[427]

7.2. QUINOXALINECARBOXYLIC ESTERS

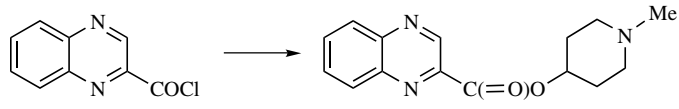
This section covers the preparation and reactions of quinoxalinecarboxylic esters, generally the most convenient derivatives of quinoxalinecarboxylic acids.

7.2.1. Preparation of Quinoxalinecarboxylic Esters

Many such esters, both nuclear and extranuclear, have been made by *primary synthesis* (see Chapter 1) or by *esterification of quinoxalinecarboxylic acids* (see Section 7.1.2). The remaining methods are illustrated by the following classified examples.

From Quinoxalinecarbonyl Halides

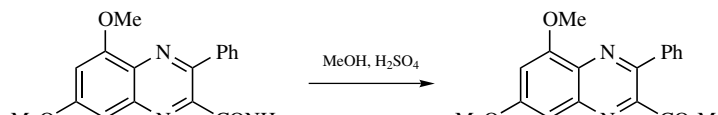
2-Quinoxalinecarbonyl chloride (**56**) (prepared in situ) and 1-methyl-4-piperidinol gave 1-methylpiperidin-4-yl 2-quinoxalinecarboxylate (**57**) (pyridine, reflux, ~5 h: 49% as hydrochloride).[705]



(**56**) (**57**)

From Quinoxalinecarboxamides

5,7-Dimethoxy-3-phenyl-2-quinoxalinecarboxamide (**58**) gave methyl 5,7-dimethoxy-3-phenyl-2-quinoxalinecarbixylate (**59**) (H_2SO_4, MeOH, ~90°C, 1 h: 62%).[486]

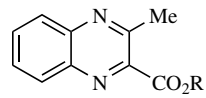


(**58**) (**59**)

By Transesterification

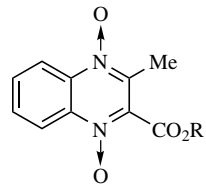
Note: This process is surprisingly easy and deserves more frequent use.

Ethyl 3-methyl-2-quinoxalinecarboxylate (**60**, R = Et) gave 2-diethylaminoethyl 3-methyl-2-quinixalinecarboxylate (**60**, $R = CH_2CH_2NEt_2$) (neat $HOCH_2CH_2NEt_2$, reflux, 4 h: 21%) or 2-morpholinoethyl 3-methyl-2-quinoxalinecarboxylate [**60**, $R = CH_2CH_2N(CH_2CH_2)_20$] [neat $HOCH_2CH_2N(CH_2CH_2)_2O$, reflux, 4 h: 66%].[475]



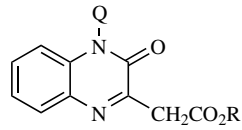
(**60**)

Ethyl 3-methyl-2-quinoxalinecarboxylate 1,4-dioxide (**61**, R = Et) gave methyl 3-methyl-2-quinoxalinecarboxylate 1,4-dioxide (**61**, R = Me) (Et_3N, $CaCl_2$, MeOH, 50°C, 2 h: 80%).[228]



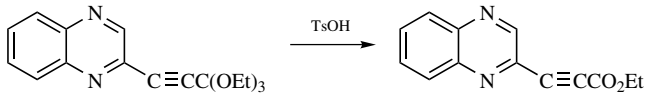
(**61**)

3-Ethoxycarbonylmethyl-2(1*H*)-quinoxalinone (**62**, Q = H, R = Et) gave 3-methoxycarbonylmethyl-1-methyl-2(1*H*)-quinoxalinone (**62**, Q = R = Me) (CH_2N_2, Et_2O, MeOH, 20°C, 24 h: 45%; note the additional *N*-methylation).[79]



(**62**)

The orthoester, 2-(triethoxyprop-1-ynyl)quinoxaline (**63**), gave the regular ester, 2-ethoxycarbonylethynylquinoxaline (**64**) (TsOH, PhH, 20°C, 1 h: 79%).[1062]

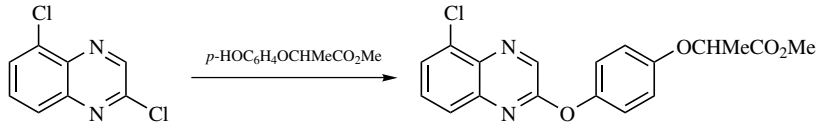


(**63**) (**64**)

By Passenger Introduction

Note: Such introductions of extranuclear ester groupings have been exemplified already in several chapters. A few typical examples (not used elsewhere) are given here.

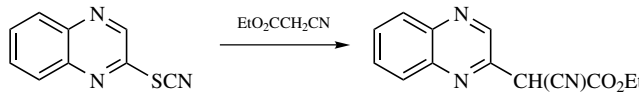
2,5-Dichloroquinoxaline (**65**) and *p*-(1-methoxycarbonylethoxy)phenol gave 5-chloro-2-[*p*-(1-methoxycarbonylethoxy)phenoxy)quinoxaline (**66**) (K_2CO_3, MeCN, reflux, 6 h: 69%).[1104]



(**65**) (**66**)

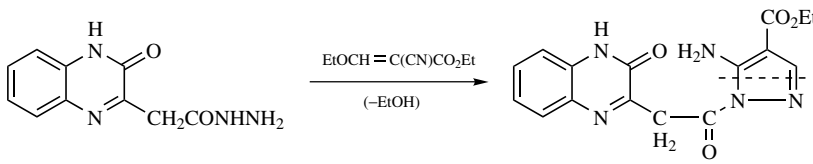
2-Chloroquinoxaline and triethyl orthopropiolate gave the extranuclear ortho-ester, 2-(triethoxyprop-1-ynyl)quinoxaline (**63**) (Et_3N, $Pd(OAc)_2$, CuI, Ph_3P, MeCN, N_2, 70°C, 3 h: 97%).[1062]

2-Thiocyanatoquinoxaline (**67**) and ethyl cyanoacetate gave 2-(α-cyano-α-ethoxycarbonylmethyl)quinoxaline (**68**) [NaH, $(Me_2N)_3PO$, 20°C, 2 h: 75%].[597]



(**67**) (**68**)

3-Hydrazinocarbonylmethyl-2(1*H*)-quinoxalinone (**69**) and ethyl α-cyano-α-ethoxymethyleneacetate gave 3-(5-amino-4-ethoxycarbonylpyrazol-1-ylcarbonylmethyl)-2(1*H*)-quinoxalinone (**70**) (Me_2NCHO, EtOH, reflux, 3 h: 63%).[482]



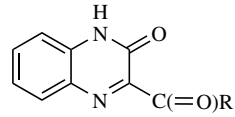
(**69**) (**70**)

7.2.2. Reactions of Quinoxalinecarboxylic Esters

Two reactions of quinoxalinecarboxylic esters have been covered already: *reduction to hydroxyalkylquinoxalines* (Section 4.3.2) and *hydrolysis to quinoxaline carboxylic acids* (Section 7.1.1). Other reactions are illustrated in the following classified examples.

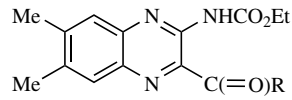
Conversion into Quinoxalinecarboxamides

Ethyl 3-oxo-3,4-dihydro-2-quinoxalinecarboxylate (**71**, R = OEt) gave 3-oxo-3,4-dihydro-2-quinoxalinecarboxamide (**71**, R = NH_2) [$(NH_4)_2CO_3$, MeOH, 20°C, 12 h: 89%].[590]



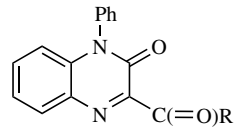
(**71**)

Methyl 3-ethoxycarbonylamino-6.7-dimethyl-2-quinoxalinecarboxylate (**72**, R = OMe) gave 3-ethoxycarbonylamino-6,7-dimethyl-2-quinoxalinecarboxamide (**72**, R = NH_2) (MeOH, $NH_3\downarrow$, 24°C until no tlc spot for substrate: 65%).[66]



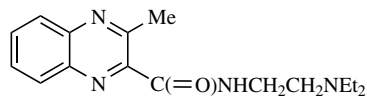
(**72**)

Ethyl 3-oxo-4-phenyl-3,4-dihydro-2-quinoxalinecarboxylate (**73**, R = OEt) gave *N*-methyl-3-oxo-4-phenyl-3,4-dihydro-2-quinoxalinecarboxamide (**73**, R = NHMe) ($MeNH_2$, EtOH, H_2O, 20°C, A, 5 min: 91%).[535]



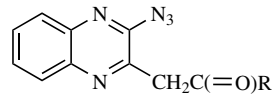
(**73**)

Ethyl 3-methyl-2-quinoxalinecarboxylate gave *N*-(2-dimethylaminoethyl)-3-methyl-2-quinoxalinecarboxamide (**74**) (neat $H_2NCH_2CH_2NEt_2$, reflux, 1 h: 76%); analogs likewise.[475]



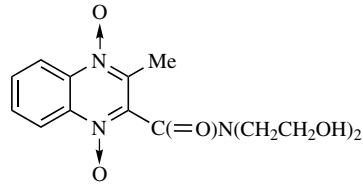
(**74**)

2-Azido-3-ethoxycarbonylmethylquinoxaline (**75**, R = OEt) gave 2-azido-3-[*N*-(2-hydroxyethyl)carbamoylmethyl]quinoxaline (**75**, R = $NHCH_2CH_2OH$) (neat $H_2NCH_2CH_2OH$, 130°C, 30 min: 75%).[51]



(**75**)

Ethyl 3-methyl-2-quinoxalinecarboxylate 1,4-dioxide gave *N*,*N*-bis(2-hydroxyethyl)-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide (**76**) [$(HOCH_2CH_2)_2$-NH, MeOH, 60°C, 8 h: 51%); analogs likewise].[940]

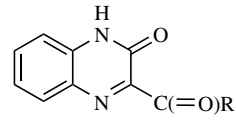


(**76**)

Also other examples.[65,264,292,436,692,712,742,805,813,815,1020]

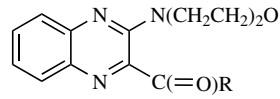
Conversion into Quinoxalinecarbohydrazides

Ethyl 3-oxo-3,4-dihydro-2-quinoxalinecarboxylate (**77**, R = OEt) gave 3-oxo-3,4-dihydro-2-quinoxalinecarbohydrazide (**77**, R = $NHNH_2$) ($H_2NNH_2 \cdot H_2O$, EtOH, 20°C, 1 h: 86%;[448] or reflux, 2 h: ?%).[692]



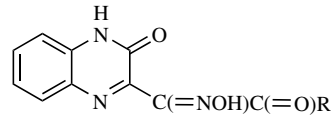
(**77**)

Ethyl 3-morpholino-2-quinoxalinecarboxylate (**78**, R = OEt) gave 3-morpholino-2-quinoxalinecarbohydrazide (**78**, R = $NHNH_2$) ($H_2NNH_2 \cdot H_2O$, MeOH, reflux, 8 h: 73%).[688,689]



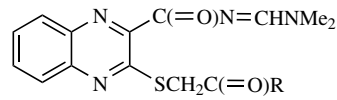
(**78**)

3-(α-Hydroxyimino-α-methoxycarbonylmethyl)-2(1*H*)-quinixalinone (**79**, R = OMe) gave 3-(α-hydrazinocarbonyl-α-hydroxyiminomethyl)-2(1*H*)-quinoxalinone (**79**, R = $NHNH_2$) ($H_2NNH_2 \cdot H_2O$, EtOH, reflux, 3 h: 93%).[574,591]



(**79**)

N-Dimethylaminomethylene-3-ethoxycarbonylmethylthio-2-quinoxalinecarboxamide (**80**, R = OEt) gave *N*-dimethylaminomethylene-3-hydrazinocarbonylmethylthio-2-quinoxalinecarboxamide (**80**, R = $NHNH_2$) ($H_2NNH_2 \cdot H_2O$, EtOH, reflux, 3 h: 78%).[748]

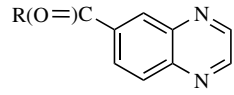


(**80**)

Also other examples.[51,298,351,386,434,491,590,810]

Reduction to Quinoxalinecarbaldehydes

Methyl 6-quinoxalinecarboxylate (**81**, R = OMe) gave 6-quinoxalinecarbaldehyde (**81**, R = H) ($LiAlH_4$, THF, −70°C, 2 h: ~20%).[970]

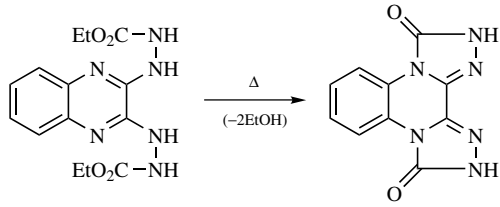


(**81**)

Cyclization Reactions

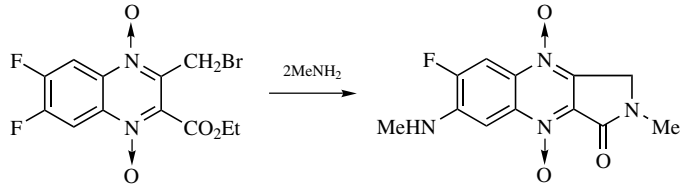
Note: Cyclization reactions that involve other substituents as well as ester groupings have been given in previous chatpers; a few other typical examples are give here.

2,3-Bis(*N*′-ethoxycarbonylhydrazino)quinoxaline (**82**) gave bis[1,2,4]triazolo[4,3-*a*:3′,4′-*c*]quinoxaline-1,6(2*H*,5*H*)-dione (**83**) (Ph_2O, 250°C, 45 min: 90%); analogs likewise.[438]



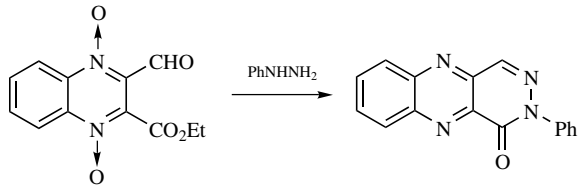
(**82**) (**83**)

Ethyl 3-bromomethyl-6,7-difluoro-2-quinoxalinecarboxylate 1,4-dioxide (**84**) gave 6-fluoro-2-methyl-7-methylamino-2,3-dihydro-1*H*-pyrrolo[3,4-*b*]quinoxalin-1-one 4,9-dioxide (**85**) (MeCN, $MeNH_2\downarrow$, 15°C, 90 min: 87%; note additional replacement of one fluoro substituent); analogs likewise.[801,907]



(**84**) (**85**)

Ethyl 3-formyl-2-quinoxalinecarboxylate 1,4-dioxide (**86**) gave 2-phenylpyridazino[4,5-*b*]quinoxaline-1(2*H*)-one (**87**) (excess $PhNHNH_2$, EtOH, reflux, 2 h: ~80%; note additional reductive removal of the *N*-oxide entities).[226]



(**86**) (**87**)

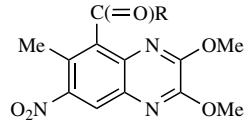
7.3. QUINOXALINECARBONYL HALIDES

These useful intermediates are frequently used crude without characterization as such.

Preparation. Virtually all quinoxalinecarbonyl chlorides have been made by treatment of the corresponding quinoxalinecarboxylic acids with thionyl chloride (see Section 7.1.2).

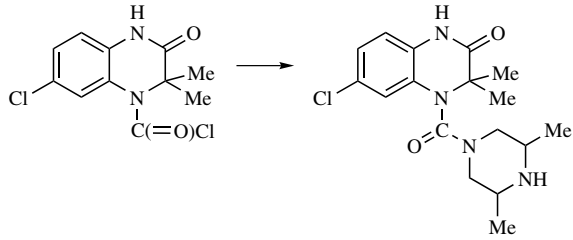
Reactions. Quinoxalinecarbonyl chlorides are occasionally used to make the corresponding quinoxalinecarboxylic esters (see Section 7.2.1) but more often to make quinoxalinecarboxamides or the like. Typical examples of such reactions follow.

2,3-Dimethoxy-6-methyl-7-nitro-5-quinoxalinecarbonyl chloride (**88**, R = Cl) gave 2,3-dimethoxy-6,*N*,*N*-trimethyl-7-nitro-5-quinoxalinecarboxamide (**88**, R = NMe_2) (Me_2NH, THF, 20°C, 19 h: >95%), *N*-(*tert*-butoxycarbonylmethyl)-2,3-dimethoxy-6-methyl-7-nitro-5-quinoxalinecarboxamide (**88**, R = $NHCH_2\ CO_2Bu^t$) ($Bu^tO_2CCH_2NH_2 \cdot HCl$, Et_3N, THF; substrate/THF↓ dropwise, N_2, 5 min; then 20°C, 24 h: 77%), and a variety of analogs similarly.[506]



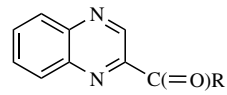
(**88**)

7-Chloro-2,2-dimethyl-3-oxo-1,2,3,4-tetrahydro-1-quinoxalinecarbonyl chloride (**89**) gave 6-chloro-4-(3,5-dimethylpiperazin-1-yl)carbonyl-3,3-dimethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**90**) [substrate, $EtPr^i_2N$, CH_2Cl_2; $HN(CH_2CHMe)_2NH\downarrow$, 0°C → 20°C, 17 h: 54%]; analogs likewise.[740]



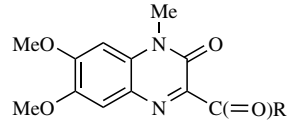
(**89**) (**90**)

2-Quinoxalinecarbonyl chloride (**91**, R = Cl) gave *N*′-phenyl-2-quinoxalinecarbohydrazide (**91**, R = NHNHPh) ($PhNHNH_2$, $NaHCO_3$, Et_2O, H_2O; substrate/$Et_2O\downarrow$ dropwise; 20°C, 1 h: 77%); analogs likewise.[434]



(**91**)

6,7-Dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarbonyl chloride (**92**, R = Cl) gave the corresponding carbonyl azide (**92**, $R = N_3$) (NaN_3, AcMe, 0°C, 2 h: 78%).[934]



(**92**)

Also other examples.[653]

7.4. QUINOXALINECARBOXAMIDES AND RELATED DERIVATIVES

Quinoxalinecarboxamides have added interest in that some complicated derivatives occur naturally. Thus quinoxapeptin A and B were isolated from a bark disk

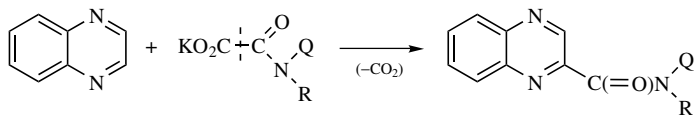
on a *Betula papyrifera* in Alaska and proved to be active against HIV-1 and HIV-2 as well as mutants thereof;[1105,1106] their total synthesis and establishment of absolute stereochemistry followed.[944] Several linear heptapeptides with terminal quinoxalinecarbonyl groups showed no intercalation into calf thymus DNA.[979,cf. 1107] The crystal structure and tautomerism of *N*-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide have been investigated.[299]

7.4.1. Preparation of Quinoxalinecarboxamides and the Like

Several routes to such derivatives have been covered already: by *primary synthesis* (Chapter 1), from *quinoxalinecarboxylic acids* (Section 7.1.2), from *quinoxalinecarboxylic esters* (Section 7.2.2), and from *quinoxalinecarbonyl halides* (Section 7.3). Other preparative routes are illustrated in the following classified examples.

By Homolytic Carbamoylation

Quinoxaline (**93**) and potassium *N*,*N*-dimethyloxamate (**94**, Q = R = Me) gave only *N*,*N*-dimethyl-2-quinoxalinecarboxamide (**95**, Q = R = Me) ($Na_2S_2O_8$, $AgNO_3$, H_2SO_4, H_2O, $CHCl_2$, reflux, 1 h: 88%);[614] appropriate oxamates likewise gave only *N*-cyclohexyl-2-quinoxalinecarboxamide (**95**, Q = C_6H_{11}, R = H) (93%), *N*-*p*-chlorophenyl-2-quinoxalinamide (**95**, Q = C_6H_4Cl-*p*, R = H) (75%), and other such product;[614] however, the potassium salt of *N*-oxalopiperidine [**94**, Q + R = $(CH_2)_5$ gave a mixture of 2-piperidinocarbonyl- and 2,3-bis(piperidinocarbonyl)quinoxaline.[614]

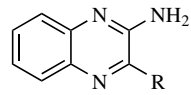


(**93**) (**94**) (**95**)

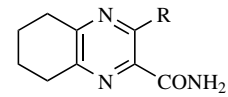
From Quinoxalinecarbonitriles

Note: Controlled hydrolysis of quinoxalinecarbonitriles to the corresponding amides (rather than the carboxylic acids) may be achieved under Radziszewski conditions (H_2O_2, alkali), sometimes under mildly alkaline conditions, or occasionally in concentrated acid containing only a trace of water.

3-Amino-2-quinoxalinecarbonitriles (**96**, R = CN) gave 3-amino-2-quinoxalinecarboxamide (**96**, R = $CONH_2$) (KOH, H_2O_2, H_2O, EtOH, 40°C, 2 h: 72%);[477] the corresponding 5,6,7,8-tetrahydro substrate likewise gave 3-amino-5,6,7,8-tetrahydro-2-quinoxalinecarboxamide (**97**, R = NH_2) (KOH, H_2O_2, AcMe, H_2O, 20°C, 12 h: 56%).[54]



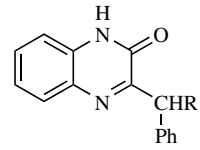
(**96**)



(**97**)

3-Bromo-5,6,7,8-tetrahydro-2-quinoxalinecarbonitrile gave 3-bromo-5,6,7,8-tetrahydro-2-quinoxalinecarboxamide (**97**, R = Br) (K_2CO_3, H_2O, reflux, 5 or 15 min: 85%).[55]

3-(α-Cyanobenzyl)-2(1*H*)-quinoxalinone (**98**, R = CN) gave 3-(α-carbamoylbenzyl)-2(1*H*)-quinoxalinone (**98**, R = $CONH_2$) (AcOH, reflux, 3 h: 44%).[146]



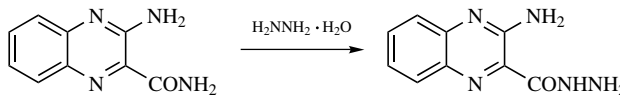
(**98**)

Also other examples.[375,722,929]

By Transamidation

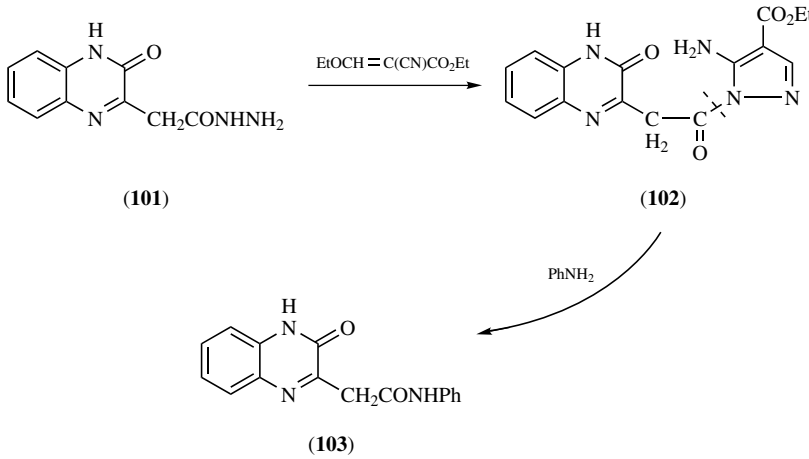
Note: Quinoxalinecarboxamides may be converted into quinoxalinecarbohydrazides and vice versa (albeit indirectly). 3-Carbamoyl-2-quinoxalinecarboxylic acids and 2,3-quinoxalinedicarboxamides can give cyclic quinoxalinedicarboximides.

3-Amino-2-quinoxalinecarboxamide (**99**) gave 3-amino-2-quinoxalinecarbohydrazide (**100**) (neat $H_2NNH_2 \cdot H_2O$, reflux, 2 h: 68%).[477]



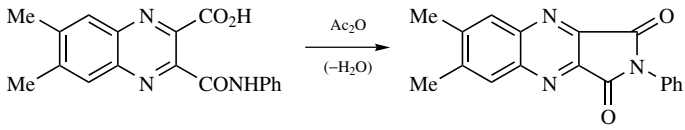
(**99**) (**100**)

3-Hydrazinocarbonylmethyl-2(1*H*)-quinoxalinone (**101**) with ethyl α-cyano-α-ethoxymethyleneacetate gave the intermediate, 3-(5-amino-4-ethoxycarbonylpyrazol-1-ylcarbonylmethyl)-2(1*H*)-quinoxalinone (**102**) (Me_2NCHO, EtOH, reflux, 3 h: 63%), which underwent transamidation to give 3-(*N*-phenylcarbamoyl)methyl-2(1*H*)-quinoxalinone (**103**) ($PhNH_2$, Me_2NCHO, reflux, 3 h: 46%); many analogs (substituted in the phenyl group) were made similarly.[482]



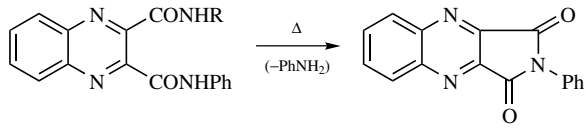
(**101**) (**102**) (**103**)

6,7-Dimethyl-3-(*N*-phenylcarbamoyl)-2-quinoxalinecarboxylic acid (**104**) underwent dehydration to 6,7-dimethyl-*N*-phenyl-2,3-quinoxalinedicarboximide (**105**) (Ac_2O, reflux: for details, see original);[401] analogs somewhat similarly.[1097]



(**104**) (**105**)

2,3-Quinoxalinedicarboxanilide (**106**) lost aniline on heating to afford *N*-phenyl-2,3-quinoxalinedicarboximide (**107**) (heat; for details, see original).[264]



(**106**) (**107**)

Also other examples.[813]

7.4.2. Reactions of Quinoxalinecarboxamides and the Like

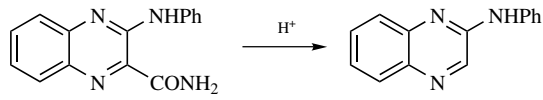
Several reactions of quinoxalinecarboxamides and related derivatives have been discussed already: the *Hofmann or Curtius degradation to quinoxalinamines* (Section 6.3.1), *hydrolysis to quinoxalinecarboxylic acids* (Section 7.1.1), and

alcoholysis to quinoxalinecarboxylic esters (Section 7.2.1). Any other reactions are illlustrated in the following classified examples.

Decarbamoylation

Note: This indirect process proceeds by hydrolysis and subsequent decarboxylation.

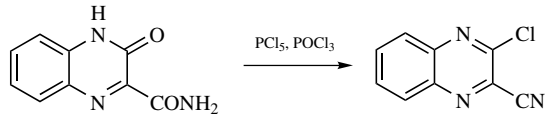
3-Anilino-2-quinoxalinecarboxamide (**108**) gave 2-anilinoquinoxaline (**109**) (10M HCl, reflux, 4 h: 84%).[430]



(**108**) (**109**)

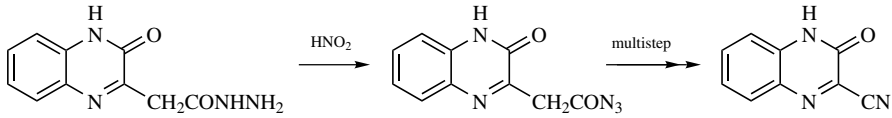
Dehydration to Quinoxalinecarbonitriles

3-Oxo-3,4-dihydro-2-quinoxalinecarboxamide (**110**) gave 3-chloro-2-quinoxaline carbonitrile (**111**) (PCl_5, $POCl_3$, 110°C, 90 min: 85%).[590]



(**110**) (**111**)

3-Hydrazinocarbonylmethyl-2(1*H*)-quinoxalinone (**112**) gave 3-azidoformylmethyl-2(1*H*)-quinoxalinone (**113**) (crude material: uncharacterized) and thence 3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile (**114**) [$NaNO_2$, H_2O, ~5°C: solid azide, which redissolved on "prolonged stirring"; then 95°C, 2 h: product (**114**) (27% after separation from a major tricyclic product); a mechanism for this one-pot reaction is suggested].[574,591]

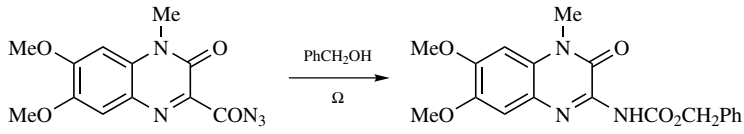


(**112**) (**113**) (**114**)

Partial Curtius Reactions

6,7-Dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarbonyl azide (**115**) gave 3-benzyloxycarbonylamino-6,7-dimethoxy-1-methyl-2(1*H*)-quinoxalinone (**116**) ($PhCH_2OH$, PhH, 80°C, sealed, 1 h: 20°C; also analogs, all in

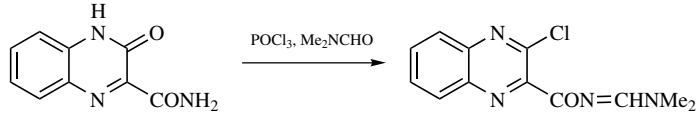
poor yield); this reaction may be used for fluorescence derivatization of alcohols in chromatography.[934]



(**115**) (**116**)

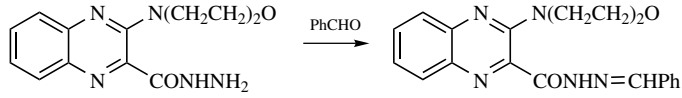
N-Alkylidenation

3-Oxo-3,4-dihydro-2-quinoxalinecarboxamide (**117**) gave 3-chloro-*N*-dimethyl aminomethylene-2-quinoxalinecarboxamide (**118**) ($POCl_3$, Me_2NCHO, 0°C → 70°C, 8 h: 65%).[748]



(**117**) (**118**)

3-Morpholino-2-quinoxalinecarbohydrazide (**119**) gave *N*′-benzylidene-3-morpholino-2-quinoxalinecarbohydrazide (**120**) (PhCHO, PhH, reflux, H_2O removal, 15 h: 92%; analogs likewise).[689]

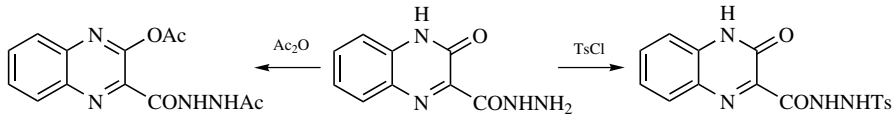


(**119**) (**120**)

Also other examples.[748,806]

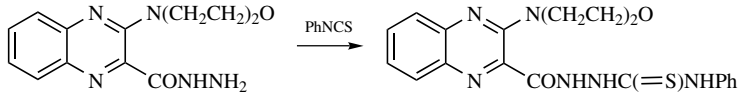
N-Acylation and the Like

3-Oxo-3,4-dihydro-2-quinoxalinecarbohydrazide (**122**) gave 3-acetoxy-*N*′-acetyl-2-quinoxalinecarbohydrazide (**121**) (neat Ac_2O, 95°C, 2 h: 84%)[448] or 3-oxo-*N*′-tosyl-3,4-dihydro-2-quinoxalinecarbohydrazide (**123**) (TsCl, pyridine, reflux, 2 h: ?%).[692]



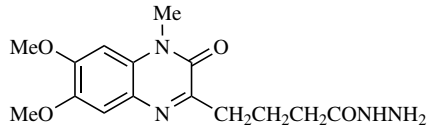
(**121**) (**122**) (**123**)

3-Morpholino-2-quinoxalinecarbohydrazide (**124**) gave 2-morpholino-3- [4-phenyl-(thiosemicarbazido)carbonyl]quinoxaline (**125**) (PhNCS, EtOH, 30°C → reflux, 3 h: 93%; analogs likewise).[688]



(**124**) (**125**)

3-(3-Hydrazinocarbonylpropyl)-6,7-dimethoxy-1-methyl-2(1*H*)-quinoxalinone (**126**) undergoes acylation by fatty acids (EtN=C=NCH$_2$CH$_2$CH$_2$NMe$_2$, H$_2$O, pyridine, 20°C) to afford highly fluorescent derivatives that are useful for the detection and estimation of such fatty acids.[351]



(**126**)

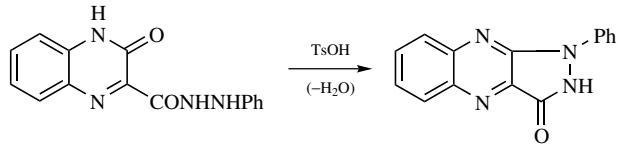
Complex Formation

Some typical chelates of 2-quinoxalinecarboxamide with Cu(II), Co(II), or Ni(II) have been prepared and examined.[424]

Cyclization Reactions

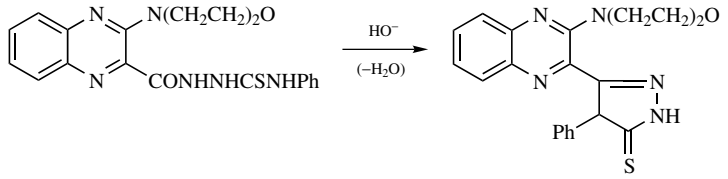
Note: Quinoxalinecarbohydrazides, their derivatives, and quinoxalinecarbonyl azides are especially useful for cyclizations; typical examples are given here.

4-Oxo-*N*′-phenyl-3,4-dihydro-2-quinoxalinecarbohydrazide (**127**) gave 1-phenyl-1*H*-pyrazolo[3,4-*b*]quinoxaline-3(2*H*)-one (**128**) (TsOH, AcOH, 95°C, 4 h: 91%).[434]

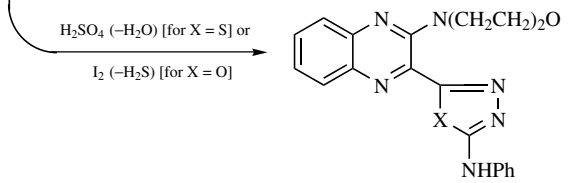


(**127**) (**128**)

2-Morpholino-3-[4-phenyl(thiosemicarbazido)carbonyl]quinoxaline (**129**) gave 2-morpholino-3-(4-phenyl-5-thioxo-5,6-dihydro-4*H*-1,2,4-triazol-3-yl)quinoxaline (**130**) (2M NaOH, reflux, 3 h: 35%), 2-(5-anilino-1,3,4-thiadiazol-2-yl)-3-morpholinoquinoxaline (**131**, X = S) (98% H$_2$SO$_4$, 0°C, 1 h, then 20°C, 12 h: 89%), or 2-(5-anilino-1,3,4-oxadiazol-2-yl)-3-morpholinoquinoxaline (**131**, X = O) (NaOH, H$_2$O, EtOH, I/Ki↓ dropwise, ~5°C → 95°C, 4 h: 81%);[688] analogs of all three products were made similarly.[688]

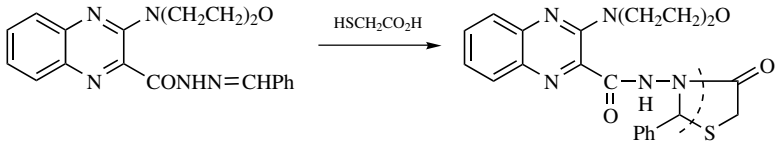


(**129**) (**130**)



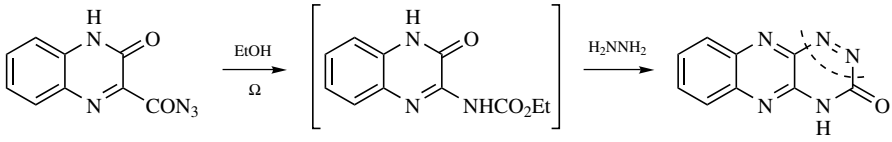
(**131**)

N′-Benzylidene-3-morpholino-2-quinoxalinecarbohydrazide (**132**) gave 3-morpholino-*N*-(4-oxo-2-phenylthiadiazolidin-3-yl)-2-quinoxalinecarboxamide (**133**) ($HSCH_2CO_2H$, PhH, reflux, H_2O removal, 15 h: 69%; analogs similarly).[689]



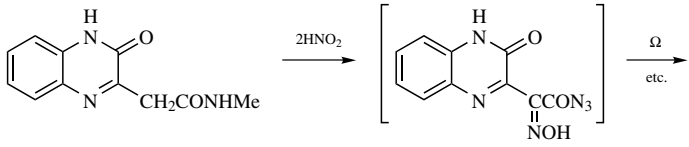
(**132**) (**133**)

3-Oxo-3,4-dihydro-2-quinoxalinecarbonyl azide (**134**) with ethanolic hydrazine hydrate gave 1,2,4-triazino[5,6-*b*]quinoxalin-3(4*H*)-one (**136**), presumably via the Curtius intermadiate (**135**) (reflux, 2 h: ?%).[692]

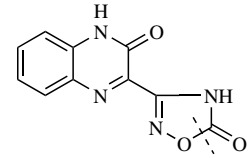


(**134**) (**135**) (**136**)

3-Hydrazinocarbonylmethyl-2(1*H*)-quinoxalinone (**137**) gave 3-(5-oxo-4,5-dihydro-1,2,4-oxadiazol-3-yl)-2(1*H*)-quinoxalinone (**139**) [2$NaNO_2$, AcOH, H_2O, ~5°C, until solid redissolved, then 95°C, 2 h: 90%; presumably by rearrangement and cyclization of the oximino azide (**138**)].[591,cf. 574]



(**137**) (**138**)



(**139**)

Also other examples.[406,591]

7.5. QUINOXALINECARBONITRILES

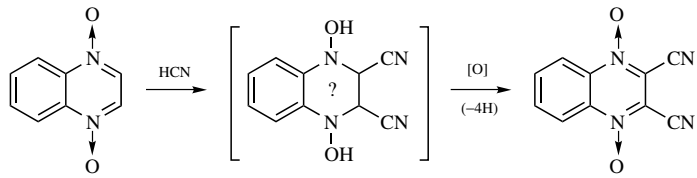
Quinoxalinecarbonitriles are important derivatives. The brevity of this section results from the fact that most routes to such nitriles and most reactions thereof have been discussed in earlier parts of this book.

7.5.1. Preparation of Quinoxalinecarbonitriles

These major routes to quinoxalinecarbonitriles have been covered already: by *primary synthesis* (Chapter 1), by *cyanolysis of halogenoquinoxalines* (Section 3.2.5), by *deoxidative cyanation of quinoxaline N-oxides* (Section 4.6.2.2), by *cyanolysis of nitroquinoxalines* (Section 6.1.2.2), from *primary quinoxalinamines by a Sandmeyer-type reaction* (Section 6.3.2.3), from *quaternary ammonioquinoxalines with cyanide ion* (Section 6.3.2.4), and by *dehydration of quinoxalinecarboxamides* (Section 7.4.2). Those remaining preparative routes that have been used recently are illustrated in the following examples.

By Oxidative Addition of Cyanide Ion

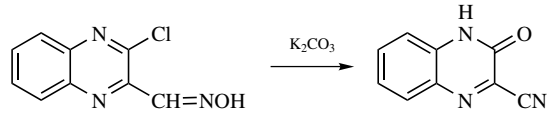
Quinoxaline 1,4-dioxide (**140**) gave 2,3-quinoxalinedicarbonitrile 1,4-dioxide (**142**), possibly via the intermediate (**141**) [KCN, $K_3Fe(CN)_6$, H_2O, EtOH, 0°C, 3 h: 18%).[1012]



(**140**) (**141**) (**142**)

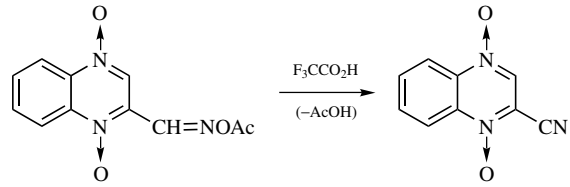
By Dehydration of Quinoxalinecarbaldehyde Oximes

3-Chloro-2-quinoxalinecarbaldehyde oxime (**143**) gave 3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile (**144**) (K_2CO_3, EtOH, reflux, 3 h: 82%; note concomitant hydrolysis of the chloro substituent).[64]



(**143**) (**144**)

Kinetics have been measured for the conversion of 2-acetoxyiminomethylquinoxaline 1,4-dioxide (**145**) into 2-quinoxalinecarbonitrile 1,4-dioxide (**146**) by loss of acetic acid.[197]

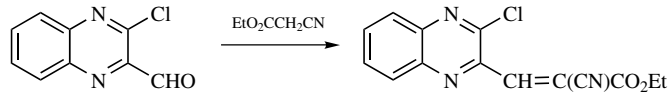


(**145**) (**146**)

By Passenger Introduction

Note: The introduction of a passenger cyano group has been exemplified in earlier chapters. A single typical example is given here.

3-Chloro-2-quinoxalinecarbaldehyde (**147**) and ethyl cyanoacetate gave 2-chloro-3-(2-cyano-2-ethoxycarbonylvinyl)quinoxaline (**148**) (neat reactants, trace AcOH, trace piperidine, 20°C, 1 h: 90%).[64]



(**147**) (**148**)

7.5.2. Reactions of Quinoxalinecarbonitriles

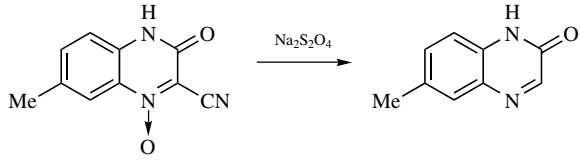
Reactions of quinoxalinecarbonitriles that have been discussed already include *hydrolysis to quinoxalinecarboxylic acids* (Section 7.1.1) and *controlled hydrolysis to quinoxalinecarboxamides* (Section 7.4.1); the *reduction of quinoxalinecarbonitriles to aminomethylquinoxalines* and their *alcoholysis to quinoxalinecarboximidic*

esters appear to have been unused recently. The remaining reactions are illustrated in the following examples.

Decyanation

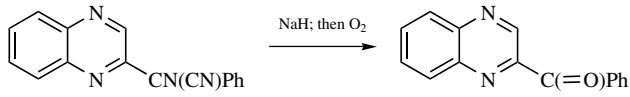
Note: Decyanation may be done by hydrolysis to the corresponding carboxylic acid and subsequent decarboxylarion. Some less generally applicable procedure are exemplified here.

7-Methyl-3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile 1-oxide (**149**) gave 6-methyl-2(1*H*)-quinoxalinone (**150**) ($Na_2S_2O_4$, H_2O, EtOH, ?°C, ? h: ?%).[98]



(**149**) (**150**)

2-(α-Cyanobenzyl)quinoxaline (**151**) gave 2-benzoylquinoxaline (**152**) (THF, NaH, 20°C, 5 min; then $O_2\downarrow$ until colorless: 91%).[608]

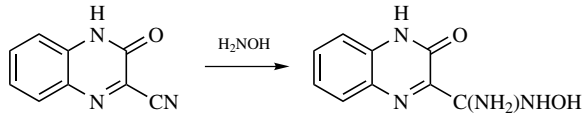


(**151**) (**152**)

Conversion into Quinoxalinecarboxamidines

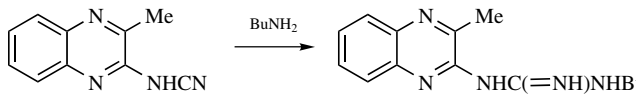
Note: The usual method for such conversions is via the carboximidic esters, but it has not been used of late.

3-Oxo-3,4-dihydro-2-quinoxalinecarbonitrile (**153**) gave *N*-hydroxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxamidine (**153a**) ($H_2NOH \cdot HCl$, pyridine, 6,8-diazabicyclo[5.4.0]undec-7-ene, EtOH, reflux, 2 h: 96%).[591,cf. 404]



(**153**) (**153a**)

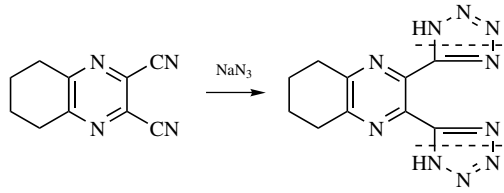
2-Cyanoamino-3-methylquinoxaline (**154**) gave 2-(*N*′-butylguanidino)-3-methylquinoxaline (**154a**) (neat $BuNH_2$, 75°C, 18 h: 16%).[709]



(**154**) (**154a**)

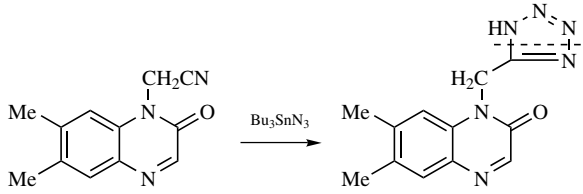
Cyclization Reactions

5,6,7,8-Tetrahydro-2,3-quinoxalinedicarbonitrile (**155**) gave 2,3-bis(tetrazol-5-yl)-5,6,7,8-tetrahydroquinoxaline (**156**) (NaN_3, NH_4Cl, LiCl, Me_2NCHO, ~110°C, ~60 h: 23%).[700]



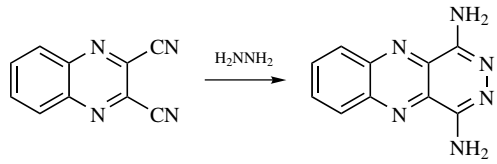
(**155**) (**156**)

1-Cyanomethyl-6,7-dimethyl-2(1*H*)-quinoxalinone (**157**) gave 6,7-dimethyl-1-(tetrazol-5-ylmethyl)-2(1*H*)-quinoxalinone (**158**) (Bu_3SnN_3, PhH, reflux, ~24 h:, %);[22] 2-butyl-4-[2′-(tetrazol-5-yl)biphenyl-4-ylmethyl]quinoxaline (~88%) was made somewhat similarly.[24]



(**157**) (**158**)

2,3-Quinoxalinedicarbonitrile (**159**) gave pyridazino[4,5-*b*]quinoxaline-1,4-diamine (**160**) (neat $H_2NNH_2 \cdot H_2O$, 70°C, 90 min: 58%).[477]



(**159**) (**160**)

7.6. QUINOXALINECARBALDEHYDES (*H* 246; *E* 123)

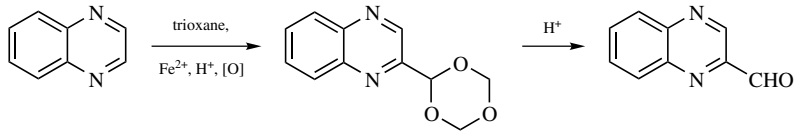
At least the 2/3-quinoxalinecarbaldehydes appear to be reasonably stable toward aerial oxidation, and most are characterized and stored as such rather than as derivative such as oximes.

7.6.1. Preparation of Quinoxalinecarbaldehydes (*H* 246; *E* 123)

The main routes to quinoxalinecarbaldehydes were outlined earlier: by *primary synthesis* (Chapter 1), by *controlled oxidation of alkylquinoxalines* (Section 2.2.4), from *mono- or dihalogenomethylquinoxalines* (*three procedures*) (Sections 3.4.2 and 3.4.5), by *oxidation of hydroxyalkylquinoxalines* (Section 4.3.2), and by *reduction of quinoxalinecarboxylic esters* (Section 7.2.2). Other methods of preparation are illustrated in the following examples.

Indirect Homolytic *C*-Formylation

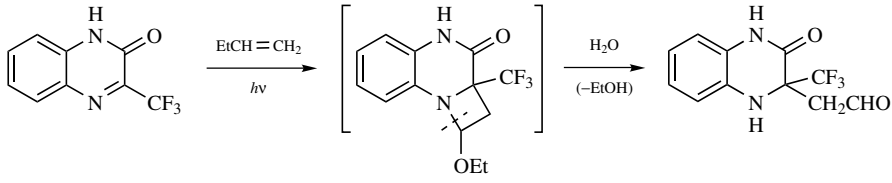
Quinoxaline (**161**) with 1,3,6-trioxane gave the cyclic acetal, 2-(1,3,5-trioxan-2-yl)-quinoxaline (**162**) (Bu^tO_2H, $FeSO_4$, MeCN, reflux, 5 h: crude), and thence 2-quinoxalinecarbaldehyde (**163**) [5% H_2SO_4, reflux, briefly ~35% overall, by gas–liquid chromatography (glc)];[122] 1,4-dioxane has been used in a somewhat similar way to afford 2-quininoxalinecarbaldehyde oxime (17%).[116]



(**161**) (**162**) (**163**)

By Way of an Ethoxyethylene Adduct

3-Trifluoromethyl-2(1*H*)-quinoxalinone (**164**) and ethoxyethylene gave 3-formylmethyl-3-trifluoromethyl-3,4-dihydro-2(1*H*)-quinoxalinone (**166**), presumably via the tricyclic adduct (**165**) (MeCN, *hν*, A, 20°C, 1 h: 87%); irradiation in EtOH gave the same product as its diethyl acetal (95%).[596]

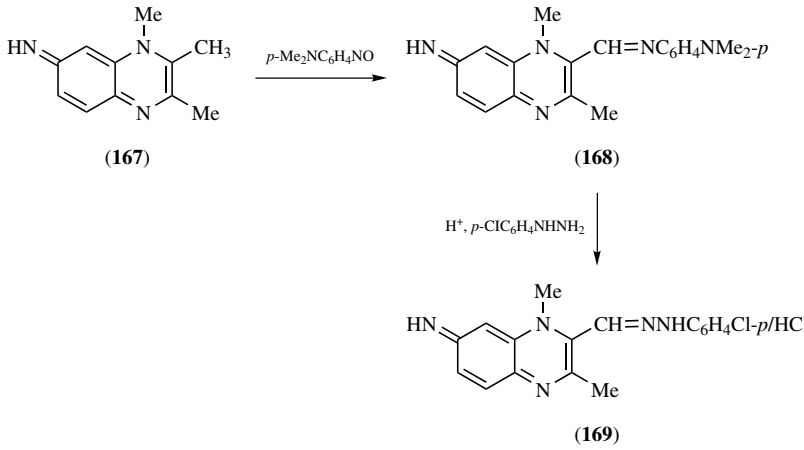


(**164**) (**165**) (**166**)

By Way of an Aryliminomethylquinoxaline

2,3,4-Trimethyl-6(4*H*)-quinoxalinimine (**167**) with *N*,*N*-dimethyl-*p*-nitrosoaniline gave 3-*p*-dimethylaminophenyliminomethyl-2,4-dimethyl-6(4*H*)-quinoxalinimine (**168**) (EtOH, trace piperidine, reflux, 45 min: crude) and thence 7-imino-1,3-dimethyl-1,7-dihydro-2-quinoxalinecarbaldehyde (**169**), as its

p-chlorophenylhydrazone hydrate (dilute HCl, 20°C, until colorless; then *p*-$ClC_6H_4NHNH_2\downarrow$: ?%).[174]

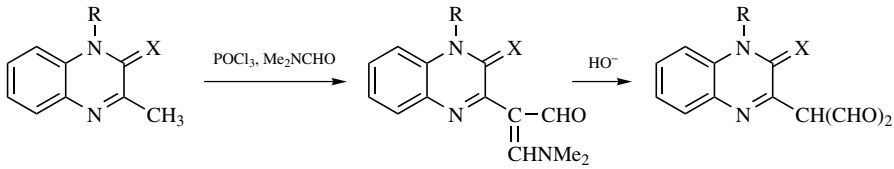


Also other examples.[229]

By Vilsmeier *C*-Formylation

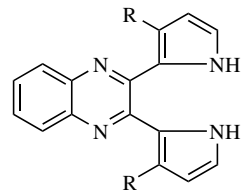
Note: This procedure may be used to furnish extranuclear aldehydes.

3-Methyl-2(1*H*)-quinoxalinone (**170**, R = H, X = O) gave 3-(2-dimethylamino-1-formylvinyl)-2(1*H*)-quinoxalinone (**171**, R = H, X = O) ($POCl_3$, Me_2NCHO, 0°C, 20 min; then substrate↓, 60°C, 5 h: 72%) and thence 3-diformylmethyl-2(1*H*)-quinoxalinone (**172**, R = H, X = O) (1.25M NaOH, 80°C, 30 min: 63%; likewise, 1,3-dimethyl-2(1*H*)-quinoxalinone (**170**, R = Me, X = O) gave 3-(2-dimethylamino-1-formylvinyl)-1-methyl-2(1*H*)-quinoxalinone (**171**, R = Me, X = O) (75%) and thence 3-diformylmethyl-1-methyl-2(1*H*)-quinoxalinone (**172**, R = Me, X = O) (68%).[60]



The foregoing procedures were repeated on 3-methyl- (**170**, R = H, X = S) and 1,3-dimethyl-2(1*H*)-quinoxalinethione (**170**, R = Me, X = S) to furnish 3-(2-dimethylamino-1-formylvinyl)-2(1*H*)-quinoxalinethione (**171**, R = H, X = S) (72%), its 1-methyl derivative (**171**, R = Me, X = S) (78%), 3-diformylmethyl-2(1*H*)-quinoxalinethione (**172**, R = H, X = S) (71%), and its 1-methyl derivative (**172**, R = Me, X = S) (76%); analogs likewise.[443]

2,3-Di(pyrrol-2-yl)quinoxaline (**173**, R = H) gave 2,3-bis(3-formylpyrrol-2-yl)quinoxaline (**173**, R = CHO) ($POCl_3$, Me_2NCHO, 0°C → 20°C, 10 min; $ClCH_2CH_2Cl\downarrow$, substrate↓ slowly, 20°C → reflux, 30 min: 80%); several analogs similarly.[1095]

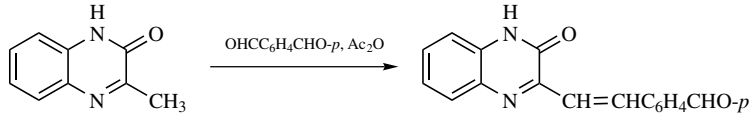


(**173**)

By Passenger Introduction

Note: Although rare, passenger introduction of extranuclear *C*-formyl groups into quinoxaline is possible as exemplified here.

3-Methyl-2(1*H*)-quinoxalinone (**174**) with terephthalaldehyde gave 3-*p*-formylstyryl-2(1*H*)-quinoxalinone (**175**) (Ac_2O, reflux, 5 h: 39%).[84]



(**174**) (**175**)

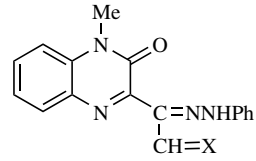
7.6.2. Reactions of Quinoxalinecarbaldehydes (*E* 125)

Reactions of quinoxalinecarbaldehydes that have already been covered include *conversion into styrylquinoxalines* (Section 2.2.1.3), *reduction to hydroxyalkylquinoxalines* (Section 4.3.1), and *oxidation to quinoxalinecarboxylic acids* (Section 7.1.1). Other reactions are illustrated by the following examples.

Formation of Functional Derivatives

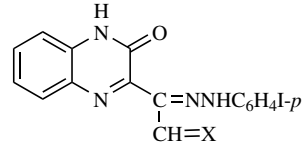
Note: The mundane procedures for conversion of quinoxalinecarbaldehydes into their oximes, hydrazones, semicarbazones, acetals, Schiff bases, and the like are often used but seldom described. Accordingly, only a few typical examples are given here.

3-(α-Formyl-α-phenylhydrazonomethyl)-1-methyl-2(1*H*)-quinoxalinone (**176**, X = O) gave its *oxime*, 3-(2-hydroxyimino-1-phenylhydrazonoethyl)-1-methyl-2(1*H*)-quinoxalinone (**176**, X = NOH) ($H_2NOH \cdot HCl$, AcONa, EtOH, Me_2NCHO, reflux, ~5 min: 70%).[910]



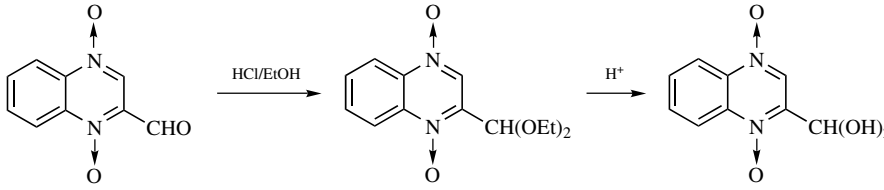
(**176**)

3-(α-Formyl-α-*p*-iodophenylhydrazonomethyl)-2(1*H*)-quinoxalinone (**177**, X = O) gave its *hydrazone*, 3-(2-benzoylhydrazono-1-*p*-iodophenylhydrazonoethyl)-2(1*H*)-quinoxalinone (**177**, X = NNHBz) ($BzNHNH_2$, BuOH, reflux, 15 min: 85%; analogs similarly).[909]



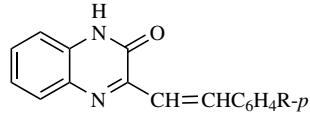
(**177**)

2-Quinoxalinecarbaldehyde 1,4-dioxide (**178**) gave its *acetal*, 2-(diethoxymethyl)quinoxaline 1,4-dioxide (**179**) (HCl gas/EtOH, reflux, 1 h: 47%) and thence the corresponding "*hydrate*," 2-(dihydroxymethyl)quinoxaline 1,4-dioxide (**180**) (1M HCl, 80°C, until dissolved: 90%).[762]



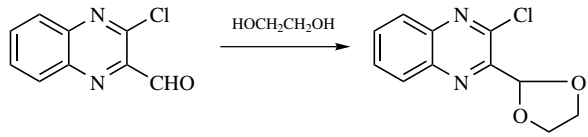
(**178**) (**179**) (**180**)

3-*p*-Formylstyryl-2(1*H*)-quinoxalinone (**181**, R = CHO) gave its *acetal*, 3-*p*-(dimethoxymethyl)styryl-2(1*H*)-quinoxalinone [**181**, $R = CH(OMe)_2$] ($CHCl_3$, MeOH, trace $SOCl_2$, 20°C, 12 h: >95%).[84]



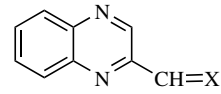
(**181**)

3-Chloro-2-quinoxalinecarbaldehyde (**182**) gave its *cyclic acetal*, 2-chloro-3-(1,3-dioxolan-2-yl)quinoxaline (**183**) ($HOCH_2CH_2OH$, PhMe, trace TsOH, reflux, 3 h: 78%).[64]



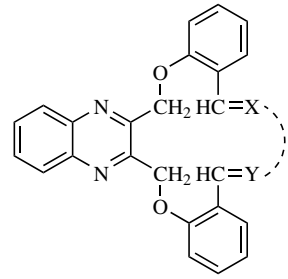
(**182**) (**183**)

2-Quinoxalinecarbaldehyde (**184**, X = O) gave the *Schiff base*, 2-[(*p*-nitrophenylimino)methyl]quinoxaline (**184**, $X = NC_6H_4NO_2$-*p*) ($H_2NC_6H_4NO_2$-*p*, EtOH, reflux, 5 min: 83%); analogs likewise.[940]



(**184**)

2,3-Bis(*o*-formylphenoxymethyl)quinoxaline (**185**, X = Y = O) gave the macrocyclic double *Schiff base* (**185**, $X + Y = NCH_2CH_2CH_2N$) (named in *Chemical Abstracts* as a tetrahydro-9*H*-benzo[*g*]quinoxalino[2,3-*a*][1,6,10,14] benzodioxadiazacycloheptadecine) ($H_2NCH_2CH_2CH_2NH_2$, large excess EtOH, reflux, 2 h: 27%; many analogous products likewise).[1008]

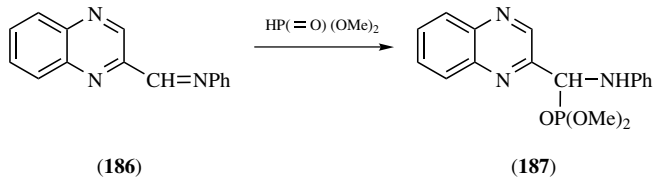


(**185**)

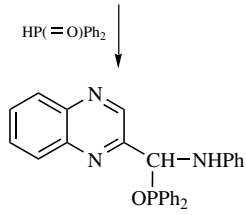
For other examples of *hydrazone* formation, see Section 6.4.1.

Phosphinylation of Schiff Bases from Quinoxalinecarbaldehydes

2-[(Phenylimino)methyl]quinoxaline (**186**) with dimethyl phosphonate gave 2-[α-anilino-α-(dimethoxyphosphinyl)methyl]quinoxaline (**187**) (MeONa, MeOH, reflux, several hours: >95%) or with diphenylphosphine oxide gave 2-[α-anilino-α-(diphenylphosphinyl)methyl)quinoxaline (**188**) (MeONa, EtOH, 0°C, 20 min: 95%); several analogs likewise.[133]



(**186**) (**187**)

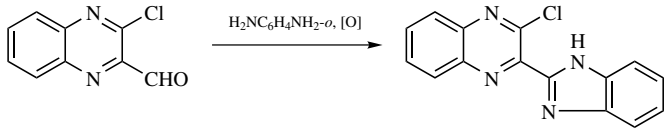


(**188**)

Cyclization Reactions

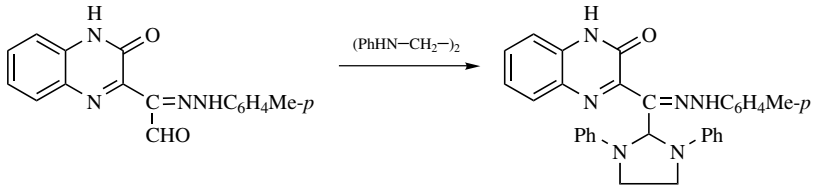
Note: Two types of cyclization have been exemplified earlier in this section; some other random typical examples are given here.

3-Chloro-2-quinoxalinecarbaldehyde (**189**) with *o*-phenylenediamine gave 2-(benzimidazol-2-yl)-3-chloroquinoxaline (**190**) (PhH, reflux, 3 h: 50%; aerial oxidation involved).[64]



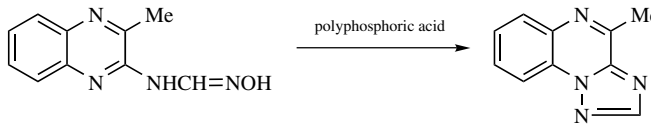
(**189**) (**190**)

3-[α-Formyl-α-(*p*-tolylhydrazono)methyl]-2(1*H*)-quinoxalinone (**191**) with 1,2-dianilinoethane gave 3-[α-(1,3-diphenylimidazolidin-2-yl)-α-(*p*-tolylhydrazono)methyl]-2(1*H*)-quinoxalinone (**192**) (BuOH, AcOH, reflux, 1 h: 75%); analogs likewise.[909]



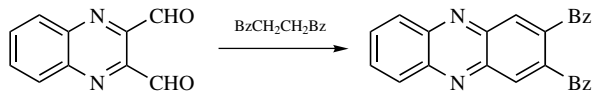
(**191**) (**192**)

2-[(Hydroxyimino)methyl]amino-3-methylquinoxaline (**193**) (considered here as the oxime of an aldehyde) gave 4-methyl[1,2,4]triazolo[1,5-*a*]quinoxaline (**194**) (polyphosphoric acid, 75°C, 7 h: 66%).[229]



(**193**) (**194**)

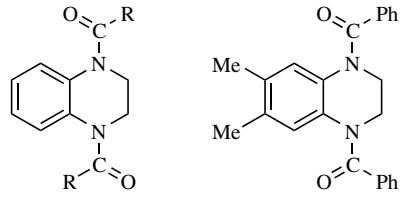
2,3-Quinoxalinedicarbaldehyde (**195**) (generated in situ) with 1,2-dibenzoylethane gave 2,3-dibenzoylphenazine (**196**) (5% KOH/MeOH, ?°C, ? h: 72%).[610]



(**195**) (**196**)

7.7. QUINOXALINE KETONES (*E* 130)

Although 1- and/or 4-acylated hydroquinoxalines might not be considered as regular quinoxalone ketones, they are included here for pragmatic reasons. Examination of the NMR chemical shifts for H5 and H8 in 1,4-diacyl-1,2,3,4-tetrahydroquinoxalines (**197**, R = Me, Et, Ph, etc.) indicated that the *endo–exo* conformation (shown) predominated in most such compounds at ambient temperatures.[924] However, this was disturbed in 1,4-dibenzoyl-6,7-dimethyl-1,2,3,4-tetrahydroquinoxaline (**198**), which showed a predominance of the *exo–exo* conformation (shown) below the coalescence temperature.[355]



(**197**) (**198**)

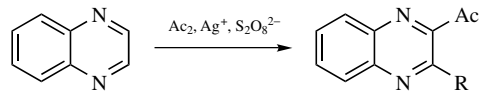
7.7.1. Preparation of Quinoxaline Ketones (*E* 130)

The main preparative routes to quinoxaline ketones have been discussed earlier: by *primary synthesis* (Chapter 1), by *extranuclear acylation of alkylquinoxalines* (Section 2.2.4), by *oxidation of appropriate alkylquinoxalines* (also Section 2.2.4), by *displacement of a halogeno substituent* (Section 3.2.7), by *oxidation of*

secondary hydroxyalkylquinoxalines (Section 4.3.2), by *1- or 4-acylation of reduced quinoxalines* (Section 6.3.2.1), and by *oxidative hydrolysis of* α*-cyanoalkyl quinoxalines* (Section 7.5.2). Two additional methods for making such ketones are illustrated in the following examples.

By Nuclear C-Acylation

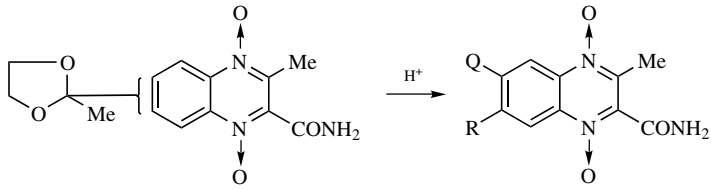
Quinoxaline (**199**) underwent homolytic acetylation by acetyl radicals from biacetyl to give a chromatographically separable mixture of 2-acetyl- (**200**, R = H) and 2,3-diacetylquinoxaline (**200**, R = Ac) (reactants, H_2SO_4, $AgNO_3$, H_2O, 50°C; then $Na_2S_2O_8/H_2O\downarrow$ during 15 min and stirred 15 h: 48% and 12%, respectively)[837] (see Section 2.1.3).



(**199**) (**200**)

From the Corresponding Acetals

The unseparated mixture (**201**) of the cyclic acetals, 3-methyl-6- and 3-methyl-7-(2-methyl-1,3-dioxan-2-yl)-2-quinoxalinecarboxamide 1,4-dioxide (a product of primary synthesis; see Section 1.6.7) gave a readily separable mixture of 6-acetyl- (**202**, Q = Ac, R = H) and 7-acetyl-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide (**202**, Q = H, R = Ac) (HCl, AcMe, H_2O, reflux, 5 h: 45% and 50%, respectively).[706]



(**201**) (**202**)

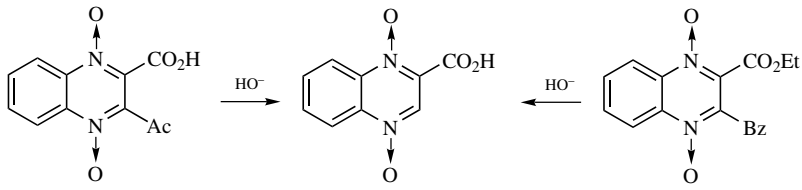
7.7.2. Reactions of Quinoxaline Ketones (*E* 132)

Reactions already covered comprise *reduction of acyl- to alkylquinoxalines* (Section 2.2.1.3), *conversion of acylmethyl- into* halogenoalkenylquinoxalines (Section 3.3), and *reduction of extranuclear acylquinoxalines to hydroxyalkylquinoxalines* (Section 4.3.1). Other reactions that have been used recently are illustrated by the following examples.

C-Deacylation

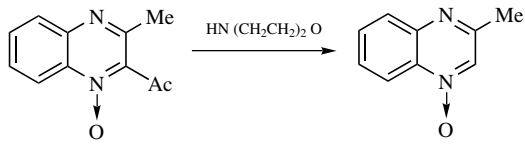
Note: *C*-Deacylation appears to be quite facile, at least when the acyl group is adjacent to an *N*-oxide entity.

3-Acetyl-2-quinoxalinecarboxylic acid 1,4-dioxide (**203**) gave 2-quinoxalinecarboxylic acid 1,4-dioxide (**204**) (2.5M NaOH, 20°C, briefly: ?%);[249] ethyl 3-benzoyl-2-quinoxalinecarboxylate 1,4-dioxide (**205**) gave the same product (**204**) (KOH, EtOH, 20°C, briefly: 58%; note concomitant saponification).[540]



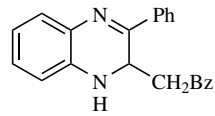
(**203**) (**204**) (**205**)

2-Acetyl-3-methylquinoxaline 1-oxide (**206**) gave 2-methylquinoxaline 4-oxide (**207**) [$HN(CH_2CH_2)_2O$, MeCN, reflux, 24 h: 30%].[158]



(**206**) (**207**)

2-Phenacyl-3-phenyl-1,2-dihydroquinoxaline (**208**) gave 2-phenylquinoxaline (HCl, MeOH, H_2O, reflux, 15 min: 53%; acetophenone also isolated as its dinitrophenylhydrazone. Note that this is a passenger deacylation in the course of a dealkylation).[782]

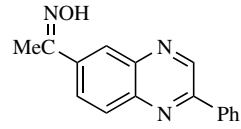


(**208**)

Formation of Functional Derivatives

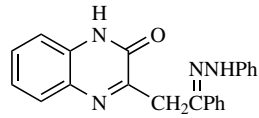
Note: Such derivatives of quinoxaline ketones are not encountered as often as those of quinoxalinecarbaldehydes, but a few examples can be given here.

6-Acetyl-2-phenylquinoxaline gave its *oxime*, 6-[1-(hydroxyimino)ethyl]-2-phenylquinoxaline (**209**) ($H_2NOH \cdot HCl$, NaOH, EtOH, H_2O, reflux, 2 h: 75%).[885]



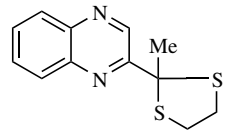
(**209**)

3-Phenacyl-2(1*H*)-quinoxalinone gave its *phenylhydrazone*, 3-(β-phenylhydrazonophenethyl)-2(1*H*)-quinoxalinone (**210**) ($PhNHNH_2$; for details, see original: >55%).[283] For other such examples, see Section 6.4.1.



(**210**)

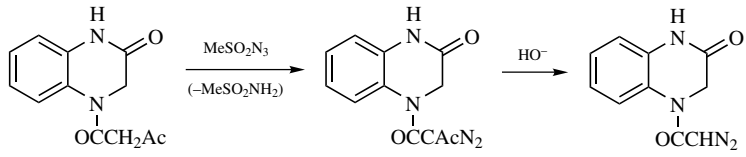
2-Acetylquinoxaline gave its *cyclic dithioacetal*, 2-(2-methyl-1,3-dithiolan-2-yl)quinoxaline (**210a**) ($HSCH_2CH_2SH \cdot BF_3$, Et_2O, $CHCl_3$, 20°C: 14%).[675]



(**210a**)

Conversion into Diazoacylquinoxalines

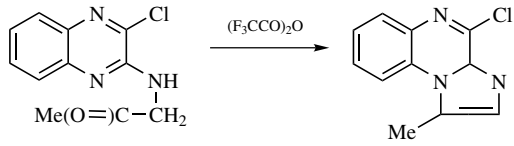
4-Acetoacetyl-3,4-dihydro-2(1*H*)-quinoxalinone (**211**) with mesyl azide gave 4-(2-diasoacetoacetyl)-3,4-dihydro-2(1*H*)-quinoxalinone (**212**) (Et_3N, MeCN, 20°C, 8 h: 91% of crude), which underwent deacetylation to afford 4-diazoacetyl-3,4-dihydro-2(1*H*)-quinoxalinone (**213**) (NaOH, THF, H_2O, 20°C, 1 h: 69%).[732]



(**211**) (**212**) (**213**)

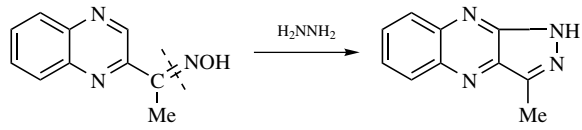
Cyclization Reactions

2-Acetonylamino-3-chloroquinoxaline (**214**) gave 4-chloro-1-methylimidazo[1,2-*a*]quinoxaline (**215**) [substrate/F_3CCO_2H, $(F_3COO)_2O\downarrow$ dropwise, 20°C, 5 h: 40%].[1038]



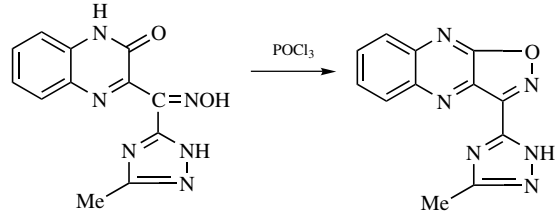
(**214**) (**215**)

The ketoxime, 2-[1-(hydroxyimino)ethyl]quinoxaline (**216**), gave 3-methyl-1*H*-pyrazolo[3,4-*b*]quinoxaline (**217**) ($H_2NNH_2 \cdot H_2O$, HCl, H_2O, EtOH, reflux, 4 h: 86%).[995]



(**216**) (**217**)

The ketoxime, 3-[α-hydroxyimino-α-(5-methyl-1,2,4-triazol-3-ylmethyl)]-2(1*H*)-quinoxalinone (**218**), gave 3-(5-methyl-1,2,4-triazol-3-yl)isoxazolo[4,5-*b*]-quinoxaline (**219**) ($POCl_3$, dioxane, reflux, ? h: 88%).[417]



(**218**) (**219**)

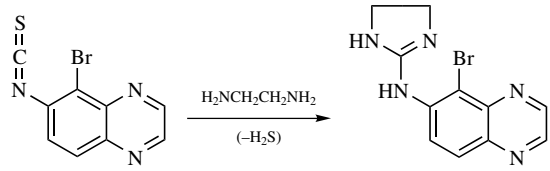
Also other examples.[675,994]

7.8. QUINOXALINE CYANATES, ISOCYANATES, THIOCYANATES, ISOTHIOCYANATES, AND NITRONES

There is virtually no recent literature on quinoxaline cyanates or isocyanates, and most of what little there is on quinoxaline thiocyanates, isothiocyanates, or nitrones has been covered already: the *preparation of thiocyanatoquinoxalines from halogenoquinoxalines* (Section 4.4.1); the *conversion of the thiocyanatoquinoxalines into alkoxyquinoxalines* (Section 4.4.1), *into quinoxalinethiones* (Section 5.1.1), *into alkylthioquinoxalines* (Section 5.2.1), *into quinoxalinamines* (Section 6.3.1), or *into (substituted alkyl)quinoxalines* (Section 7.2.1); the *preparation of*

isothiocyanato- from thiocyanatoquinoxalines (Section 3.2.7) or from *primary quinoxalinamines* (Section 6.3.2.4); and *a little information on quinoxaline nitrones* (Section 6.3.2.4).

There remains only the condensation of 5-bromo-6-isothiocyanatoquinoxaline (**220**) with 1,2-diaminoethane to give 5-bromo-6-(imidazolin-2-ylamino)quinoxaline (**221**) (PhMe, MeOH, 75°C, A, 18 h: ~40%).[949]



(**220**) (**221**)

APPENDIX

Table of Simple Quinoxalines

This table is a reasonably comprehensive alphabetical list of simple quinoxalines described up to the end of 2002. For each compound are recorded (1) melting and/or boiling points(s); (2) an indication of reported spectra or other physical properties; (3) any reported salts of simple derivatives, especially when the parent compound was poorly characterized; (4) an indication of any complexes reported; and (5) direct references to the original literature from 1977 onward, preceded by any pages in parentheses, for instance, (*H* 242) or (*E* 64), on which earlier published data have been recorded in Simpson's *Hauptwerk*[1013] or in Cheeseman and Cookson's *Ergänzungswerk*,[1014] respectively.

To avoid an unmanageably long table, the following categories of quinoxalines have been *excluded* on the grounds that they are not simple.

Fused or nucleus-reduced quinoxalines

Quinoxalines with a cyclic substituent other than an unsubstituted cycloalkyl, morpholino, phenyl, or piperidino group

Quinoxalines bearing a substituent with more than six carbon atoms, except for an unsubstituted benzyl or benzoyl group

Quinoxalines with two or more independent functional groups on a single substituent

The following conventions and abbreviations have been used in the table:

Melting Point. This term covers not only a regular melting point or melting range but also such variations as ''decomposing at'' or ''melting with decomposition at.'' The use of the symbol > before a melting point indicates that the substance melts or decomposes above that temperature or that it does not melt or decompose below that temperature. When two different melting points or ranges are given in the literature, they appear in the table as, for example, ''102–103 or 107–109''; when more than two melting points or ranges are given, they are recorded in a form such as ''207 to 241.''

Boiling Point. Such points/ranges are distinguished from melting points and ranges by the presence of a pressure in millimeters of mercury (mmHg) after the temperature: for example, 97–98/0.5.

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Abbreviations for Physical Data

anal	Analytical data (usually assumed)
biol	Biological activity data
crude	Compound not purified
dip	Dipole moment
fl sp	Fluorescence spectrum
IR	Infrared spectrum
liq	Liquid at room temperature
MS	Mass spectrometry
NMR	Nuclear magnetic resonance spectrum (any nucleus)
pol	Polarographic data
st	Fine structure, for example, tautomerism
th	Theoretical calculations reported
thermochem	Thermochemical data
UV	Ultraviolet spectrum
xl st	Crystal structure (X-ray data)

Abbreviations for Salts, Associated Anions, or Solvates

AcOH	Acetate salt
HBr, etc.	Appropriate hydrohalide salt
H_2O	Hydrate
H_2SO_4	Sulfate salt
I^-, etc.	Appropriate halide anion
MeI	Quaternary methiodide
NH_4	Ammonium salt
Na, etc.	Appropriate alkali metal salt
pic	Picrate salt or anion
TsOH	*p*-Toluenesulfonate salt

Abbreviations for Derivatives

dnp	2,4-Dinitrophenylhydrazone
Et_2 acetal	Diethyl acetal
$H_2NN=$	Hydrazone
MeCH=, etc.	Appropriate alkylidene derivative
PhHNN=	Phenylhydrazone
PhN=	Anil (Schiff base)
sc	Semicarbazone
tsc	Thiosemicarbazone

Other Notes. The use of "cf." before a reference usually indicates some inconsistent or mildly relevant information therein. A query mark (?) indicates some reasonable doubt associated with a datum or reference. A dash (—) in the data column indicates that no new physical data were obtained from original references covered for this supplement.

ALPHABETICAL LIST OF SIMPLE QUINOXALINES REPORTED TO THE END OF 2002

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6-Acetamido-3-amino-2-quinoxalinecarbonitrile 1,4-dioxide	269	726
6-Acetamido-7-amino-5,8-quinoxalinequinone	236–237, IR, NMR	738
6-Acetamido-2,3-bis(acetoxymethyl)quinoxaline 1,4-dioxide	—	(*E* 71)
2-Acetamidi-3-*tert*-butylquinoxaline	—	(*E* 188)
6-Acetamido-7-chloro-5,8-quinoxalinequinone	230–231, IR, NMR	738
5-Acetamido-6,7-dichloro-2,3(1*H*, 4*H*)-quinoxalinedione	330–332, NMR	1045
6-Acetamido-5,8-dimethoxyquinoxaline	—	(*E* 25)
5-Acetamido-2,3-dimethylquinoxaline	—	(*E* 224, 256)
6-Acetamido-2,3-dimethylquinoxaline	—	(*E* 224, 256)
6-Acetamido-2,3-dimethylquinoxaline 1,4-dioxide	—	(*E* 69)
2-Acetamido-3-ethoxyquinoxaline	—	(*E* 188)
5-Acetamido-7-ethoxyquinoxaline	—	(*E* 24)
2-Acetamido-3-ethylquinoxaline	—	(*E* 188)
8-Acetamido-1-hydroxy-5(1*H*)-quinoxalinone 4-oxide	—	(*E* 65)
2-Acetamido-3-isopropylquinoxaline	—	(*E* 188)
5-Acetamido-8-methoxy-2,3-diphenylquinoxaline	236–237	845
2-Acetamido-3-methoxyquinoxaline	163	861
5-Acetamido-7-methoxyquinoxaline	—	(*H* 230)
5-Acetamido-8-methoxyquinoxaline	—	(*E* 24)
6-Acetamido-7-methoxyquinoxaline	200, IR	282
5-Acetamido-8-methoxyquinoxaline 1,4-dioxide	—	(*E* 68)
8-Acetamido-5-methoxy-2,3,7-trimethylquinoxaline	—	(*E* 226)
2-Acetamido-3-methylquinoxaline	—	(*E* 187)
5-Acetamido-2-methylquinoxaline	—	(*E* 222)
5-Acetamido-3-methylquinoxaline	—	(*E* 222)
5-Acetamido-7-methylquinoxaline	—	(*E* 24)
6-Acetamido-2-methylquinoxaline	—	(*E* 222, 256)
6-Acetamido-3-methylquinoxaline	—	(*E* 222)
2-Acetamido-3-methylquinoxaline 4-oxide	—	(*E* 60)
2-Acetamido-3-phenylquinoxaline	—	(*E* 188)
6-Acetamido-2-phenylquinoxaline	236–240, NMR, UV	885
6-Acetamido-2-phenylquinoxaline 4-oxide	255–259, NMR	885
6-Acetamido-5-quinoxalinamine	97	437
2-Acetamidoquinoxaline	—	(*E* 187, 255)
5-Acetamidoquinoxaline	—	(*H* 229; *E* 24)
6-Acetamidoquinoxaline	—	(*E* 24)
3-Acetamido-2-quinoxalinecarbonitrile 1,4-dioxide	208, IR, NMR	1012
2-Acetamidoquinoxaline 1,4-dioxide	—	(*E* 65)
6-Acetamidoquinoxaline 1,4-dioxide	—	(*E* 65)
2-Acetamidoquinoxaline 1-oxide	—	(*E* 59)
2-Acetamidoquinoxaline 4-oxide	—	(*E* 59)
5-Acetamidoquinoxaline 1/4-oxide	—	(*E* 59)
3-Acetamido-2(1*H*)-quinoxalinone	—	(*E* 98)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
7-Acetamido-2(1*H*)-quinoxalinone	—	(*E* 100)
8-Acetamido-5(1*H*)-quinoxalinone	240–245	(*E* 24) 620
3-Acetonyl-7-bromo-1-methyl-2(1*H*)-quinoxalinone	179–180, IR	572
3-Acetonyl-*N*,*N*-dimethyl-2-quinoxalinecarboxamide	—	(*E* 156)
3-Acetonyl-6,7-dimethyl-2(1*H*)-quinoxalinone	250, NMR	222
2-Acetonyl-3-methoxyquinoxaline	122, IR	860
2-Acetonyl-3-methylquinoxaline	crude solid, NMR	492
3-Acetonyl-1-methyl-2(1*H*)-quinoxalinone	186 or 192–194, IR, MS, NMR, UV	(*E* 100) 431, 572, 763
8-Acetonyl-1-methyl-7-nitro-2(1*H*)-quinoxalinone	254, IR, NMR	572
2-Acetonyl-3-phenylquinoxaline 1-oxide	137, IR	861
3-Acetonyl-2-quinoxalinecarboxylic acid	—	(*E* 156)
3-Acetonyl-2(1*H*)-quinoxalinone	255–256 or 257–259, IR, MS, NMR, st, UV	(*H* 238; *E* 100) 82, 222, 431, 572, 989
1-Acetoxy-7-chloro-2(1*H*)-quinoxalinone	125–126	98
5-Acetoxy-6,7-dibutoxy-2,3(1*H*,4*H*)-quinoxalinedione	302–303	681
5-Acetoxy-2,3-dichloroquinoxaline	—	(*E* 174)
5-Acetoxy-6,7-diethoxy-2,3(1*H*,4*H*)-quinoxalinedione	318–320, MS, NMR	681
5-Acetoxy-6,7-dimethoxy-2,3(1*H*,4*H*)-quinoxalinedione	321–322, NMR	681
5-Acetoxy-6,7-dipropoxy-2,3(1*H*,4*H*)-quinoxalinedione	316–318	681
4-Acetoxy-3-ethoxycarbonylmethylene-1-methyl-3,4-dihydro-2(1*H*)-quinoxalinone	91–94, NMR	76
1-Acetoxy-6-ethoxy-2(1*H*)-quinoxalinone	98	132–133
2-(1-Acetoxyethyl)-3-methylquinoxaline	—	(*E* 225)
2-(1-Acetoxyethyl)-3-methylquinoxaline 1,4-dioxide	—	(*E* 69)
2-(2-Acetoxyethyl)-3-methylquinoxaline 1,4-dioxide	158–160, IR, NMR	(*E* 68)
2-(1-Acetoxyethyl)-3-methylquinoxaline 1/4-oxide	—	(*E* 60)
2-(2-Acetoxyethyl)quinoxaline 1,4-dioxide	—	(*E* 69)
1-Acetoxy-6-methoxy-2(1*H*)-quinoxalinone	115–117	98
2-Acetoxymethyl-3-acetylquinoxaline	80–82, IR, UV	153
3-Acetoxymethyl-6-acetyl-2-quinoxalinecarboxamide 1-oxide	crude	706
3-Acetoxymethyl-7-acetyl-2-quinoxalinecarboxamide 1-oxide	crude	706
2-Acetoxymethyl-3-benzoylquinoxaline 4-oxide	—	(*E* 62)
2-Acetoxymethyl-5-methoxy-3-methylquinoxaline	—	328
2-Acetoxymethyl-5-methoxy-3-methylquinoxaline 1,4-dioxide	—	328
2-Acetoxymethyl-3-methylquinoxaline	crude	70

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Acetoxymethyl-3-methylquinoxaline 1,4-dioxide	—	(*E* 66) 70
7-Acetoxy-1-methyl-3-phenyl-2(1*H*)-quinoxalinone	—	(*E* 103)
2-Acetoxymethylquinoxaline	—	(*E* 222)
3-Acetoxymethyl-2-quinoxalinecarbaldehyde 1,4-dioxide	—	(*E* 68)
2-Acetoxymethylquinazoline 1,4-dioxide	—	(*E* 64, 67)
2-Acetoxymethylquinazoline 4-oxide	—	(*E* 59)
1-Acetoxy-6-methyl-2(1*H*)-quinoxalinone	104	98
3-Acetoxymethyl-2(1*H*)-quinoxalinone	180	103
1-Acetoxy-3-methyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 58)
7-Acetoxy-3-phenyl-2(1*H*)-quinoxalinone	—	(*E* 103)
5-Acetoxyquinoxaline	—	(*E* 22)
6-Acetoxyquinoxaline	—	(*E* 22)
1-Acetoxy-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 56)
2-Acetoxyquinoxaline 1-oxide	—	(*E* 58)
2-Acetyl-3-bromomethylquinoxaline 1-oxide	163–165	712
2-Acetyl-6,7-difluoro-3-methylquinoxaline	121, NMR	801
2-Acetyl-6,7-difluoro-3-methylquinoxaline 1,4-dioxide	184–185, NMR	801
6-Acetyl-1-(2-dimethylaminoethyl)-3-methyl-2(1*H*)-quinoxalinone	—	323
6-Acetyl-1-(3-dimethylaminopropyl)-3-methyl-2(1*H*)-quinoxalinone	—	323
2-Acetyl-3,6-dimethylquinoxaline	—	(*E* 134)
6-Acetyl-2,3-dimethylquinoxaline	—	(*H* 220; *E* 226)
2-Acetyl-3,5/8-dimethylquinoxaline 1,4-dioxide	—	(*E* 69)
6-Acetyl-2,3-dimethylquinoxaline 1,4-dioxide	—	(*E* 69)
6-Acetyl-2,3-diphenylquinoxaline	—	(*H* 221)
2-Acetyl-3-ethoxyquinoxaline	—	(*E* 134)
2-(1-Acetylethyl)quinoxaline	60–64, IR, NMR	136
2-Acetyl-3-ethylsulfonylmethylquinoxaline 1-oxide	153–155	712
2-Acetyl-3-ethylthiomethylquinoxaline 1-oxide	77–79	712
2-(Acetylethynyl)quinoxaline	205, IR, NMR, UV	1050
2-Acetyl-7-fluoro-3-methyl-6-morpholinoquinoxaline	164, NMR	801
2-Acetyl-7-fluoro-3-methyl-6-morpholinoquinoxaline 1,4-dioxide	212–213, NMR	801
2-(2-Acetylhydrazino)-3-ethoxyquinoxaline	—	(*E* 197)
2-(2-Acetylhydrazino)-3-isobutoxyquinoxaline	—	(*E* 197)
3-(1-Acetylhydrazino)-2-quinoxalinecarboxamide	253, IR, MS	448
6-Acetylimino-2,3,4-trimethyl-4,6-dihydroquinoxaline	HCl: anal, UV	174
3-(2-Acetyl-1-methylhydrazino)-7-chloro-1-methyl-2(1*H*)-quinoxalinone	238–239, IR, NMR	496
2-(2-Acetyl-1-methylhydrazino)-6-chloroquinoxaline 4-oxide	278–279, IR, NMR	496
2-Acetyl-3-methyl-7-nitroquinoxaline	—	(*E* 134)
2-Acetyl-3-methyl-6-quinoxalinamine	—	(*E* 134)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Acetyl-3-methylquinoxaline	83–86, IR, NMR, UV	(*H* 208; *E* 134) 119, 153, 227, 345, 412, 945
6-Acetyl-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide	216–217, IR, NMR, UV	706
7-Acetyl-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide	229–230, IR, NMR, UV	706
6-Acetyl-3-methyl-2-quinoxalinecarboxamide 4-oxide	crude	706
7-Acetyl-3-methyl-2-quinoxalinecarboxamide 4-oxide	crude	706
2-Acetyl-3-methylquinoxaline 1,4-dioxide	154–155 or 156–158, IR, NMR, thermochem., UV	(*E* 67) 153, 158, 183, 271, 869, 991
2-Acetyl-3-methylquinoxaline 1-oxide	78–81, IR, NMR	153, 158
2-Acetyl-3-methylquinoxaline 4-oxide	93–94 or 94–95, IR, NMR, UV; TsHNN=: 190–193, NMR	(*E* 59) 149, 153, 158
3-Acetylmethyl-2(1*H*)-quinoxalinone	—	335
3-Acetyl-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 99)
2-Acetyl-3-methylsulfinylmethylquinoxaline 1-oxide	173–175	712
2-Acetyl-3-methylsulfonylmethylquinoxaline	191–194	712
2-Acetyl-3-methylsulfonylmethylquinoxaline 1-oxide	200–201	712
2-Acetyl-3-methylsulfonylmethylquinoxaline 4-oxide	177–180	712
2-Acetyl-3-methylthiomethylquinoxaline 1-oxide	139–141	712
2-Acetyl-3-nitromethylquinoxaline 1,4-dioxide	136–138, IR, NMR	144
4-Acetyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid 1-oxide	—	(*E* 59)
2-Acetyl-3-phenylquinoxaline	—	(*E* 135)
6-Acetyl-2-phenylquinoxaline	201–203, NMR; oxime: 263–265, NMR	885
6-Acetyl-3-phenylquinoxaline	133–134, NMR	885
2-Acetyl-3-phenylquinoxaline 4-oxide	126–127, IR, NMR	158
6-Acetyl-2-phenylquinoxaline 4-oxide	209–211, NMR; oxime: 271–274, NMR	885
6-Acetyl-3-phenylquinoxaline 1-oxide	215–217, NMR	885
7-Acetyl-3-phenyl-2(1*H*)-quinoxalinone	292–295, IR, NMR	885
2-Acetyl-3-propylsulfonylmethylquinoxaline	93–95	712
2-Acetyl-3-propylsulfonylmethylquinoxaline 1-oxide	140–142	712
2-Acetyl-3-propylsulfonylmethylquinoxaline 4-oxide	108–110	712
2-Acetyl-3-propylthiomethylquinoxaline 1-oxide	62–64	712
2-Acetylquinoxaline	75–76 or 77–78; BzHNN=: 230–231, IR, NMR	(*E* 134) 555, 584, 867, 995

Quinoxaline	Melting Point (°C) etc.	Reference(s)
5-Acetylquinoxaline	75–76, IR, NMR, UV	86, 528
6-Acetylquinoxaline	NMR	(*E* 24) 528
8-Acety1-5-quinoxalinecarbaldehyde	177, IR, NMR, UV	86
3-Acetyl-2-quinoxalinecarboxylic acid 1,4-dioxide	anal, IR	244
2-Acetylquinoxaline 1,4-dioxide	185–188, IR, NMR	244
3-Acetyl-2(1*H*)-quinoxalinone	—	(*E* 99)
3-Acetyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 58)
2-Acetylthiomethyl-3-methylquinoxaline 1,4-dioxide	—	(*E* 69)
2-Acetyl-3,6,7-trimethylquinoxaline 1,4-dioxide	200–202	(*E* 69) 1030e
2-(2-Acetylvinyl)-3-methylquinoxaline 1,4-dioxide	—	294
2-Allenyl-3-methylquinoxaline	98–100, IR, NMR	637
N-Allyl-3-allylamino-6,7-dimethyl-2-quinoxalinecarboxamide	89–90, IR, NMR	466
3-Allylamino-6,7-dimethyl-2-quinoxalinecarboxamide	199–200, IR, NMR	466
3-Allylamino-6,7-dimethyl-2-quinoxalinecarboxylic acid	220–222, IR, NMR	466
N-Allyl-7-bromo-3-hydroxymethyl-2-quinoxalinecarboxamide 1,4-dioxide	—	268
3-(Allylcarbamoyl)methyl-1-methyl-2(1*H*)-quinoxalinethione	201–204, IR	65
1-Allyl-3-methoxycarbonylmethyl-2(1*H*)-quinoxalinone	—	(*E* 99)
2-Allyl-3-methylquinoxaline	unstable, IR, NMR	637
1-Allyl-3-methyl-2(1*H*)-quinoxalinone	—	(*E* 99)
2-Allylthioquinoxaline	—	(*E* 119)
6-Amino-2-azido-7-methoxy-3-phenyl-5,8-quinoxalinequinone	190–192, IR, NMR	486
6-Amino-7-bromo-3-chloro-2-phenyl-5,8-quinoxalinequinone	272–276, IR, NMR	486
6-Amino-7-bromo-3-cyano-2-phenyl-5,8-quinoxalinequinone	276–279, IR, NMR	486
2-(4-Aminobutyl)quinoxaline	anal, MS, NMR	293
6-(4-Aminobutyl)quinoxaline	anal, MS, NMR	293
3-Aminocarbamoyl-5,8-dimethoxy-2-quinoxalinecarboxylic acid	—	(*E* 158)
3-Amino-6-chloro-7-fluoro-2-quinoxalinecarbonitrile 1,4-dioxide	>300	726
6-Amino-2-chloro-7-methoxy-3-phenyl-5,8-quinoxalinequinone	270–275, IR, NMR	486
3-Amino-7-chloro-6-methoxy-2-quinoxalinecarbonitrile 1,4-dioxide	248	726
3-Amino-6+7-chloro-2-quinoxalinecarbonitrile 1,4-dioxide	mixture: 263–264, IR, NMR	403, 726
3-Amino-7-chloro-2-quinoxalinecarboxylic acid	—	(*E* 153, 187)
6-Amino-8-chloro-2,4(1*H*, 4*H*)-quinoxalinedione	xl st	2
6-Amino-7-chloro-5,8-quinoxalinequinone	>280, NMR	738
6-Amino-2-cyano-7-methoxy-3-phenyl-5,8-quinoxalinequinone	264–266, IR, NMR	486

Quinoxaline	Melting Point (°C) etc.	Reference(s)
5-Amino-6,7-dibromo-2,3(1*H*, 4*H*)-quinoxalinedione	324–326, NMR	1045
2-Amino-6,7-dichloro-2-quinoxalinecarbonitrile 1,4-dioxide	265	726
5-Amino-6,7-dichloro-2,3(1*H*, 4*H*)-quinoxalinedione	>360, NMR	1045
3-Amino-6,7-dichloro-2(1*H*)-quinoxalinone	>316 or >320, IR, NMR	562, 580
3-Amino-6,8-dichloro-2(1*H*)-quinoxalinone	>316, NMR	562
3-Amino-6,7-difluoro-2-quinoxalinecarbonitrile 1,4-dioxide	>300	726
6-Amino-2,7-dimethoxy-3-phenyl-5,8-quinoxalinequinone	177–179, IR, NMR	486
8-Amino-5,7-dimethoxy-3-phenyl-2(1*H*)-quinoxalinone	245–250, IR, NMR	486
3-Amino-6,7-dimethyl-2-quinoxalinecarbonitrile 1,4-dioxide	>300	726
3-Amino-6,7-dimethyl-2-quinoxalinecarboxylic acid	—	(*H* 252; *E* 155)
3-Amino-6,7-dimethyl-2(1*H*)-quinoxalinethione	—	(*E* 120)
3-Amino-6,7-dimethyl-2(1*H*)-quinoxalinone	>320, IR, NMR	580
8-Amino-2,3-diphenyl-5,7-quinoxalinedicarbaldehyde	—	(*H* 222)
2-(1-Aminoethyl)quinoxaline	—	(*E* 222)
3-Amino-6-fluoro-2-quinoxalinecarbonitrile 1,4-dioxide	>300	726
6-Amino-8-hydroxy-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 105)
3-Amino-1-hydroxy-2(1*H*)-quinoxalinone	—	(*E* 57)
7-Amino-5-hydroxy-2(1*H*)-quinoxalinone	—	(*E* 98)
3-Amino-1-hydroxy-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 63)
6-Amino-7-methoxy-2-methoxycarbonyl-3-phenyl-5,8-quinoxalinequinone	197–203, IR, NMR	486
6-Amino-7-methoxy-1-methyl-2-oxo-3-phenyl-1,2-dihydro-5,8-quinoxalinequinone	217–218, IR, NMR	486
3-Amino-6-methoxy-8-nitro-2-quinoxalinecarbonitrile 1,4-dioxide	270	726
6-Amino-7-methoxy-2-oxo-3-phenyl-1,2-dihydro-5,8-quinoxalinequinone	270, IR, NMR	486
6-Amino-7-methoxy-3-phenyl-5,8-quinoxalinequinone	268–270, IR, NMR	486
3-Amino-6-methoxy-2-quinoxalinecarbonitrile 1,4-dioxide	248–249	726
6-Amino-8-methoxy-2(1*H*)-quinoxalinone	—	(*E* 99)
7-Amino-5-methoxy-2(1*H*)-quinoxalinone	—	(*E* 99)
2-(4-Amino-1-methylbutyl)quinoxaline	150/0.5, MS, NMR	293, 517
6-(4-Amino-1-methylbutyl)quinoxaline	160/0.5, MS, NMR	293, 517
3-Amino-6/7-methyl-2-quinoxalinecarbonitrile 1,4-dioxide	IR NMR	403
2-Aminomethyl-3-methylquinoxaline 1,4-dioxide	—	(*E* 66)
2-Aminomethylquinoxaline	—	(*E* 222)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
3-Amino-6 + 7-methyl-2-quinoxalinecarbonitrile 1,4-dioxide	mixture: 245–247	726
5-Amino-*N*-methyl-6,7-quinoxalinedicarboximide	—	(*E* 24)
1-Amino-4-methyl-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 105)
6-Amino-8-methyl-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 105)
2-Aminomethylquinoxaline 1,4-dioxide	—	(*E* 64)
3-Amino-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 98, 188)
3-Amino-6 + 7-methyl-2(1*H*)-quinoxalinone	mixture: IR, NMR	580
5-Aminomethyl-6(4*H*)-quinoxalinone	>300, NMR	714
6-Amino-3-methyl-2(1*H*)-quinoxalinone	237–239	(*E* 99) 135
3-Amino-1-methyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 58)
3-Amino-7-nitro-2-quinoxalinecarbonitrile	>300, IR, NMR	477
5-Amino-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 105)
3-Amino-6-nitro-2(1*H*)-quinoxalinone	>320 or >350, IR, MS, NMR	580, 670, 701
3-Amino-7-nitro-2(1*H*)-quinoxalinone	340, IR, NMR	701
6-Amino-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 153)
3-Amino-2-quinoxalinecarbaldehyde	189–190, IR, UV; dnp: 235–240	139
3-Amino-2-quinoxalinecarbohydrazide	201, IR, NMR	(*E* 153) 477
3-Amino-2-quinoxalinecarbonitrile	201 to 225; then 400–402, IR, MS, NMR, UV; Me_2NCH=: 158–160, IR, NMR	(*E* 187) 354, 375, 462, 477, 560, 722
3-Amino-2-quinoxalinecarbonitrile 1,4-dioxide	190 to 253, IR, MS, NMR	(*E* 63) 403, 462, 477, 722, 726
3-Amino-2-quinoxalinecarbonitrile 1-oxide	Me_2NCH=: 139–141, IR, NMR	462
3-Amino-2-quinoxalinecarbonitrile 4-oxide	266, IR, NMR	477
3-Amino-2-quinoxalinecarboxamide	265, IR, NMR	(*H* 251) 375, 477
3-Amino-2-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 64)
3-Amino-2-quinoxalinecarboxamide oxime	263–265, IR, NMR	462
3-Amino-2-quinoxalinecarboxamide oxime 1,4-dioxide	222–224, IR, NMR	462
3-Amino-2-quinoxalinecarboxamide oxime 1-oxide	237–239, IR, NMR	462
3-Amino-2-quinoxalinecarboxamide oxime 4-oxide	252–254, IR, NMR	462
3-Amino-2-quinoxalinecarboxamidrazone	196–198, IR, NMR	462
3-Amino-2-quinoxalinecarboxamidrazone 4-oxide	214–216, IR, NMR	462
3-Amino-2-quinoxalinecarboxylic acid	208–210	(*H* 251, 264; *E* 153) 436, 815
3-Amino-2,6-quinoxalinedicarbonitrile 1,4-dioxide	>300	726
1-Amino-2,3(1*H*, 4*H*)-quinoxalinedione	—	269
5-Amino-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*H* 242; *E* 105)
6-Amino-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*H* 242; *E* 105)
3-Amino-2-quinoxalinesulfonamide	—	(*E* 187)
3-Amino2(1*H*)-quinoxalinethione	—	(*E* 119) 416

Quinoxaline	Melting Point (°C) etc.	Reference(s)
1-Amino-2(1*H*)-quinoxalinone	—	(*E* 97)
3-Amino-2(1*H*)-quinoxalinone	>316 to 331, IR, NMR, st, UV	(*H* 264, 361; *E* 98) 206, 562, 580, 646, 670
7-Amino-2(1*H*)-quinoxalinone	—	(*E* 98)
3-Amino-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 57)
2-Anilino-3-*tert*-butylquinoxaline	110–111, IR, MS, NMR	946
2-Anilino-3-chloroquinoxaline	—	(*E* 173)
6-Anilino-7-chloro-5,8-quinoxalinequinone	262–264, IR, NMR	620
7-Anilino-2,3-diphenyl-6-quinoxalinamine	—	(*H* 222, 289)
6-Anilino-2,3-diphenylquinoxaline	—	(*H* 289)
2-Anilino-3-methylquinoxaline	126–127, IR, NMR	103, 364
2-Anilino-3-phenylquinoxaline	112–113, IR, MS, NMR	(*E* 190) 347, 946
2-Anilino-6-piperidino-5,8-quinoxalinequinone	—	305
3-Anilino-2-quinoxalinamine	210, IR, NMR	603
2-Anilinoquinoxaline	135–137, IR, NMR, UV	(*E* 188) 430, 630, 867
3-Anilino-2-quinoxalinecarbaldehyde	133–135, IR, UV; dnp: 298–300; oxime: 217–218	139
3-Anilino-2-quinoxalinecarboxamide	220, IR, MS	430
3-Anilino-2-quinoxalinecarboxylic acid	165 or 172, IR, UV	430, 929
3-Azido-7-benzoyl-2(1*H*)-quinoxalinone	248, IR, MS	978
2-Azido-6-bromo-3-methylquinoxaline	169–170, IR, MS, NMR	418
2-Azido-6-bromoquinoxaline	246–248, IR, MS	418
3-Azido-6-chloro-1-methyl-2(1*H*)-quinoxalinone	240–250, IR, MS, NMR	418
2-Azido-3-chloroquinoxaline	200–202	141
2-Azido-6-chloroquinoxaline	236–237, IR, MS, NMR	418
6-Azido-3-chloroquinoxaline	300, IR, NMR	1104
6-Azido-7-chloro-5,8-quinoxalinequinone	>280, IR	738
3-Azido-7-chloro-2(1*H*)-quinoxalinone	283–284, IR, NMR	480
2-Azido-3,6-dichloroquinoxaline	179–180, IR, NMR	480
3-Azido-6,7-dichloro-2(1*H*)-quinoxalinone	NMR	720
3-Azido-6,7-dinitro-2(1*H*)-quinoxalinone	250–252, NMR	720
3-Azido-1-(1-ethoxycarbonylethyl)-2(1*H*)-quinoxalinone	96–98, IR	51
3-Azido-1-ethoxycarbonylmethyl-2(1*H*)-quinoxalinone	122–127, NMR	51
2-Azido-6-fluoro-2-methylquinoxaline	161–162, IR, MS, NMR	418
2-Azido-3-hydrazinoquinoxaline	209–210	141
3-Azido-1-isopropoxycarbonylmethyl-2(1*H*)-quinoxalinone	169–172, IR	51
2-Azido-3-methyl-6-nitroquinoxaline	164–165	135
2-Azido-3-methyl-6-quinoxalinamine	176–178	135
2-Azido-3-methylquinoxaline	152–153, IR, MS, NMR	418
2-Azido-3-methylquinoxaline 1,4-dioxide	106–107, NMR, UV	155

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Azido-3-methylquinoxaline 4-oxide	205–206, NMR, UV	149
3-Azido-1-methyl-2(1*H*)-quinoxalinone	240–241 or 242–243, IR, MS, NMR, UV	51, 418, 983
2-Azido-6-nitroquinoxaline	—	720
6-Azido-7-nitroquinoxaline	—	(*E* 22)
3-Azido-6-nitro-2(1*H*)-quinoxalinone	273–275, NMR	720
3-Azido-7-nitro-2(1*H*)-quinoxalinone	266–268, NMR	720
3-Azido-2-quinoxalinamine	291–293, IR, NMR	418, 802
6-Azidoquinoxaline	103–104, IR, NMR	642
3-Azido-2-quinoxalinecarbaldehyde	H_2O: 187–190, IR, MS, NMR	229
3-Azido-2-quinoxalinecarbonitrile	268, IR, NMR	477
2-Azidoquinoxaline 1,4-dioxide	117–118, NMR, UV	155
2-Azidoquinoxaline 1-oxide	101–104, IR	(*E* 56) 140
2-Azidoquinoxaline 4-oxide	189–190, NMR	149
3-Azido-2(1*H*)-quinoxalinone	297–298, IR, UV	51, 802
5-Benzamido-6-methoxy-3-morpholinoquinoxaline	234–235, IR	781
5-Benzamido-6-methoxyquinoxaline	155–156, IR	750
2-Benzamidoquinoxaline	—	(*E* 187)
2-Benzamidoquinoxaline 1-oxide	—	(*E* 56)
3-Benzamido-2(1*H*)-quinoxalinone	—	(*E* 98)
6-Benzenesulfonamido-2,3-dimethylquinoxaline	239–240, MS, NMR	8
6-Benzenesulfonamido-3-methyl-2(1*H*)-quinoxalinone	—	(*E* 99)
2-Benzenesulfonamidoquinoxaline	—	(*E* 187)
1-Benzenesulfonyl-6,7-dimethyl-3-phenyl-2(1*H*)-quinoxalinone	182–183	964
1-Benzenesulfonyl-3-methyl-2(1*H*)-quinoxalinone	126–127	105
2-Benzenesulfonyloxy-6,7-dimethyl-3-phenylquinoxaline	163–164	964
3-Benzenesulfonyloxy-2-quinoxalinamine	—	(*E* 98, 189)
2-Benzoyl-3-benzylquinoxaline	—	(*E* 136, 228)
2-Benzoyl-3-benzylquinoxaline 1,4-dioxide	165, IR, NMR	627
2-Benzoyl-3-benzylquinoxaline 4-oxide	—	(*E* 62)
6-Benzoyl-2-butylamino-3-chloroquinoxaline	120, IR, NMR	978
6-Benzoyl-3-chloro-2-dimethylaminoquinoxaline	136, MS	978
6-Benzoyl-3-chloro-2-isobutylaminoquinoxaline	125	978
6-Benzoyl-3-chloro-2-quinoxalinamine	260–263, IR, NMR	93, 468
2-Benzoyl-3-chloroquinoxaline	—	(*E* 135, 173)
6-Benzoyl-2,3-dichloroquinoxaline	160–161	468
3-Benzoyl-7-diethylamino-1-phenyl-2(1*H*)-quinoxalinone	—	(*E* 104)
3-Benzoyl-7-diethylamino-2(1*H*)-quinoxalinone	—	(*E* 104)
2-Benzoyl-6,7-difluoro-3-methylquinoxaline	108–109, NMR	801
2-Benzoyl-6,7-difluoro-3-methylquinoxaline 1,4-dioxide	191–192, NMR	801
2-Benzoyl-3-ethylquinoxaline 1,4-dioxide	—	(*E* 71)
2-Benzoyl-7-fluoro-3-methyl-6-morpholinoquinoxaline	176–178, NMR	801

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Benzoyl-7-fluoro-3-methyl-6-morpholinoquinoxaline 1,4-dioxide	255–256, NMR	801
3-(2-Benzoylhydrazino)-2(1*H*)-quinoxalinone	236–238	453
2-Benzoyl-3-hydroxymethylquinoxaline 1-oxide	—	(*E* 62)
2-Benzoyl-3-methylquinoxaline	85–86	(*E* 135) 345, 945
2-Benzoyl-3-methylquinoxaline 1,4-dioxide	229–231	(*E* 70) 271, 454
3-Benzoyl-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 102)
3-Benzoyl-1-methyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 61)
2-Benzoyl-3-nitromethylquinoxaline 1,4-dioxide	177–180, IR, NMR	144
5-Benzoyloxy-2,3-diphenylquinoxaline	172–175, MS, NMR	641
2-Benzoyloxy-3-methylquinoxaline	110–111	103, 317
2-Benzoyloxyquinoxaline 1-oxide	—	(*E* 61)
2-Benzoyl-3-phenoxyquinoxaline	247, IR, NMR, UV	240
2-Benzoyl-3-phenylquinoxaline	150 to 157, IR, NMR; PhHNN=: 215, IR, MS	(*E* 136) 171, 227, 412, 433
6-Benzoyl-3-phenylquinoxaline	163	728
2-Benzoyl-3-phenylquinoxaline 1,4-dioxide	228–230	(*E* 71) 144
2-Benzoyl-3-phenylquinoxaline 1-oxide	—	(*E* 62)
2-Benzoylquinoxaline	76 to 81, IR, NMR; PhHNN=: 160	(*E* 135) 433, 540, 584, 594, 608, 866
3-Benzoyl-2-quinoxalinecarboxamide	—	(*E* 135, 156)
3-Benzoyl-2-quinoxalinecarboxylic acid	—	(*E* 135, 156)
2-Benzoylquinoxaline 1,4-dioxide	220–222, IR, UV	540
3-Benzoyl-2(1*H*)-quinoxalinone	—	(*E* 102)
3-Benzoyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 61)
2-Benzylamino-3-chloroquinoxaline	78, IR, NMR	795
2-Benzylaminoquinoxaline	—	(*H* 265; *E* 189)
3-Benzylamino-2-quinoxalinecarbaldehyde	131–132, IR, UV; dnp: 265–267; oxime: 184–185	139
2-Benzyl-6-bromo-3-phenylquinoxaline	82, UV	789
1-Benzyl-7-chloro-4-methyl-2,3(1*H*,4*H*)-quinoxalinedione	241–242, IR, MS, NMR	130
2-Benzyl-3-chloroquinoxaline	86	743
3-Benzyl-1-(2,3-dihydroxypropyl)-2(1*H*)-quinoxalinone	liq, anal, NMR	881
3-Benzyl-6,7-dimethoxy-2(1*H*)-quinoxalinone	255, fl sp, IR, MS, NMR, UV	592
1-Benzyl-3-ethyl-2(1*H*)-quinoxalinone	—	(*E* 100)
2-Benzylidenehydrazino-3-chloroquinoxaline	193–195, IR, NMR, UV	450, 776
2-Benzylidenehydrazino-3-ethoxyquinoxaline	227–228	450
2-Benzylidenehydrazino-3-isopropoxyquinoxaline	161	450
2-Benzylidenehydrazino-3-methoxyquinoxaline	225	450
2-Benzylidenehydrazino-3-phenoxyquinoxaline	184	450
3-Benzylidenehydrazino-2(1*H*)-quinoxalinone	245	452, 990
1-Benzyl-3-methylamino-2(1*H*)-quinoxalinone	175–177, IR, NMR	535
1-Benzyl-6-methyl-3-morpholino-2(1*H*)-quinoxalinone	—	(*E* 101)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Benzyl-3-methylquinoxaline	—	(*E* 227)
2-Benzyl-3-methylquinoxaline 1,4-dioxide	159–160	(*E* 70) 627
1-Benzyl-3-methyl-2(1*H*)quinoxalinone	87–88 or 90–91	(*H* 315) 105
3-Benzyl-1-methyl-2(1*H*)-quinoxalinone	72–74, IR, NMR	1005
4-Benzyl-3-oxo-2-phenylhydrazono-1,2,3,4-tetrahydro-1-quinoxalinecarbaldehyde	133–135	516
2-Benzyloxy-3-methylquinoxaline	—	1005
2-Benzyloxyquinoxaline	—	(*E* 202)
2-Benzyl-3-phenylquinoxaline	96–97 or 98, UV	(*H* 209; *E* 228) 227, 412, 789
2-Benzyl-3-phenyl-6-quinoxalinecarbonitrile	131, IR, NMR, UV	789
1-Benzyl-4-phenyl-2,3(1*H*,4*H*)-quinoxalinedione	262–263, IR, NMR	627
2-Benzyl-3-phenylquinoxaline 1,4-dioxide	176–177, MS, NMR	627, 659
3-Benzyl-1-phenyl-2(1*H*)-quinoxalinone	—	(*H* 315)
1-Benzyl-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	196–198, IR, NMR	627
3-Benzyl-2-quinoxalinamine	—	(*E* 189)
2-Benzylquinoxaline	—	(*H* 207; *E* 223) 125, 584
3-Benzyl-2-quinoxalinecarboxamide	—	(*E* 156)
3-Benzyl-2-quinoxalinecarboxylic acid	—	(*E* 156)
1-Benzyl-2,3(1*H*,4*H*)-quinoxalinedione	270–272, IR, NMR	(*H* 315) 627
2-Benzylquinoxaline 1,4-dioxide	178–180, IR, NMR	627
1-Benzyl-2(1*H*)-quinoxalinone	122–123, IR	584
3-Benzyl-2(1*H*)-quinoxalinone	194 or 199–202, IR, NMR; H_2O: 178–179, IR, NMR	(*H* 238; *E* 102) 146, 695, 1005
2-Benzyl-3-styrylquinoxaline	—	(*E* 227)
2-Benzylsulfinylquinoxaline	77–79, IR, MS, NMR	597
2-Benzylsulfonylquinoxaline	140–142, IR, MS, NMR	597
2-Benzylthio-3-methylquinoxaline	84–85	105, 372
2-Benzylthio-3-phenylquinoxaline	—	372
2-Benzylthioquinoxaline	43–44, IR, MS, NMR	597
3-Benzylthio-2-quinoxalinecarbonitrile	179–180, IR, UV	930
2,3-Bis(acetoxymethyl)-6-bromoquinoxaline 1,4-dioxide	—	268
2,3-Bis(acetoxymethyl)-6,7-dimethylquinoxaline 1,4-dioxide	—	328
2,3-Bis(acetoxymethyl)-6-fluoroquinoxaline 1,4-dioxide	—	291
2,3-Bis(acetoxymethyl)-6-methoxy-5-nitroquinoxaline	142, NMR	882
2,3-Bis(acetoxymethyl)-6-methoxy-5-quinoxalinamine	130, IR, NMR	882
2,3-Bis(acetoxymethyl)-6-methoxyquinoxaline	66, 190–193/0.05, IR, NMR	882
2,3-Bis(acetoxymethyl)-6-methoxy-5,8(1*H*,4*H*)-quinoxalinedione	>260, IR, NMR	882
2,3-Bis(acetoxymethyl)-6-methoxy-5,8-quinoxalinequinone	168, IR, NMR	882
2,3-Bis(acetoxymethyl)-5-nitroquinoxaline	83, IR, NMR	882
2,3-Bis(acetoxymethyl)-5-quinoxalinamine	86, IR, NMR	882

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2,3-Bis(acetoxymethyl)quinoxaline	—	(*E* 226)
2,3-Bis(acetoxymethyl)quinoxaline 1,4-dioxide	174–176, pol	(*E* 66, 70) 894
2,3-Bis(acetylthiomethyl)quinoxaline 1,4-dioxide	—	(*E* 70)
2,3-Bis(1-bromomethyl)quinoxaline	—	(*E* 226)
2,3-Bis(bromomethyl)-6-chloroquinoxaline	149–150, NMR	(*E* 223) 1043
2,3-Bis(bromomethyl)-6-chloroquinoxaline 1,4-dioxide	—	(*E* 64)
2,3-Bis(bromomethyl)-5,8-dichloroquinoxaline	155–158, NMR	1043
2,3-Bis(bromomethyl)-6,7-dichloroquinoxaline	154–156, NMR	1043
2,3-Bis(bromomethyl)-6,7-difluoroquinoxaline	144–145, NMR	1043
2,3-Bis(bromomethyl)-5,8-dimethoxyquinoxaline	225–227, MS, NMR	553
2,3-Bis(bromomethyl)-6,7-dimethoxyquinoxaline	182–183, MS, NMR	553, 1043
6,7-Bis(bromomethyl)-2,3-dimethoxyquinoxaline	154–155, IR, NMR	46
2,3-Bis(bromomethyl)-6,7-dimethylquinoxaline	159–160, complexes, MS, NMR	(*E* 226) 185, 951, 1043
2,3-Bis(bromomethyl)-6-fluoroquinoxaline 1,4-dioxide	—	291
2,3-Bis(bromomethyl)-6-methoxy-5-nitroquinoxaline	196, IR, NMR	882
2,3-Bis(bromomethyl)-6-methylquinoxaline	—	(*E* 225)
2,3-Bis(bromomethyl)-5-nitroquinoxaline	136, IR, NMR	882
2,3-Bis(bromomethyl)quinoxaline	151–152, IR, NMR	(*E* 224) 297, 1043
6,7-Bis(bromomethyl)quinoxaline	liq, NMR	685, 1043
2,3-Bis(bromomethyl)quinoxaline 1,4-dioxide	—	(*E* 65)
2,3-Bis(bromomethyl)quinoxaline 1-oxide	—	(*E* 58)
2,3-Bisbutylthioquinoxaline	180/0.2, IR, NMR	237
2,3-Bis-*tert*-butylthioquinoxaline	103–104, IR, MS, NMR, UV	887
2,3-Bis(chloromethyl)quinoxaline	—	(*E* 224)
2,3-Bis(chloromethyl)quinoxaline 1,4-dioxide	—	(*E* 65)
2,3-Biscyclohexylaminoquinoxaline	106–107, MS, NMR	121
2,3-Bis(diethylamino)quinoxaline	76–77	521
2,3-Bis(dimethylaminoethyl)quinoxaline 1,4-dioxide	—	(*E* 70)
2,3-Bis(dimethylamino)quinoxaline	62–63 or 66–77	31, 521
2,3-Bis(ethylamino)quinoxaline	157–158	(*H* 268; *E* 190) 521
2,3-Bisethylthioquinoxaline	—	(*E* 120)
2,3-Bisethylthio-5,8-quinoxalinequinone	197–198, IR, MS, NMR	849
2,3-Bis(4-hydroxybut-1-ynyl)quinoxaline	151–152	521
2,3-Bis(2-hydroxyethyl)quinoxaline	—	(*E* 226)
2,3-Bis(3-hydroxy-3-methylbut-1-ynyl)quinoxaline	154–155	842
2,3-Bis(hydroxymethyl)quinoxaline	—	(*E* 224)
6,7-Bis(hydroxymethyl)-2,3(1*H*, 4*H*)-quinoxalinedione	H_2O: >250, IR, NMR	46
2,3-Bis(hydroxymethyl)quinoxaline 1,4-dioxide	165 or 171–172, pol	(*E* 66) 230, 894
2,3-Bis(5-hydroxypent-1-ynyl)quinoxaline	104–105	521
2,3-Bis(iodomethyl)-6,7-dimethylquinoxaline	complexes	(*E* 226) 951
2,3-Bis(iodomethyl)-6-methylquinoxaline	—	(*E* 225)
2,3-Bis(iodomethyl)quinoxaline	—	(*E* 224)
2,3-Bis(isovalerylmethyl)quinoxaline 1,4-dioxide	—	175

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2,3-Bis(1-methylallyl)quinoxaline	IR, NMR	637
2,3-Bis(2-methylallyl)quinoxaline	NMR	637
2,3-Bismethylthioquinoxaline	128–129, NMR, dip	(*E* 120) 28, 923
2,3-Bis(phentlethynyl)quinoxaline	118–119, IR, MS, NMR	521, 656
2,3-Bis(piperidinomethyl)quinoxaline	—	(*E* 228)
2,3-Bis(piperidinomethyl)quinoxaline 1,4-dioxide	—	(*E* 71)
6-Bromo-2,3-bis(bromomethyl)quinoxaline 1,4-dioxide	—	268
6-Bromo-2,3-bis(hydroxymethyl)quinoxaline 1,4-dioxide	—	268
2-Bromo-3-butylquinoxaline	—	(*E* 173)
6-Bromo-2-chloro-7-methoxy-3-phenyl-5,8-quinoxalinequinone	240–250, NMR	486
6-Bromo-2-chloro-3-methylquinoxaline	125–126, IR, MS	413
6-Bromo-7-chloro-5-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	338–343, NMR	191, 1045
6-Bromo-7-chloro-8-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	>350, NMR	1045
6-Bromo-2-chloro-3-phenyl-7-piperidino-5,8-quinoxalinequinone	171–172, IR, NMR	486
6-Bromo-7-chloro-2,3(1*H*, 4*H*)-quinoxalinedione	>360, NMR	1045
6-Bromo-2-cyano-7-methoxy-3-phenyl-5,8-quinoxalinequinone	195–198, NMR	486
2-Bromo-3-diallylaminoquinoxaline	—	331
6-Bromo-2,3-dichloro-7-methylquinoxaline	—	(*E* 174)
2-Bromo-6,7-dichloro-3-methylquinoxaline 1,4-dioxide	157–159, IR, NMR	483
6-Bromo-2,3-dichloroquinoxaline	—	(*H* 260; *E* 174)
5-Bromo-6,7-dichloro-2,3(1*H*, 4*H*)-quinoxalinedione	332–335, NMR	1045
2-Bromo-5,7-dimethoxy-3-phenylquinoxaline	191–194, IR, NMR	486
6-Bromo-2,3-dimethoxyquinoxaline	—	(*H* 271)
6-Bromo-5,8-dimethoxyquinoxaline	—	(*E* 24)
6-Bromo-7,8-dimethyl-5-nitro-2,3-diphenylquinoxaline	205–207, MS, NMR	470
6-bromo-7,8-dimethyl-5-nitroquinoxaline	151–152, MS, NMR	470
5-Bromo-2,3-dimethylquinoxaline	MS	(*E* 224) 939
6-Bromo-2,3-dimethylquinoxaline	MS; 1-PhClO$_4$: 199–201, NMR	(*E* 224) 63, 939
7-Bromo-2,3-dimethyl-6-quinoxalinecarboxylic acid	—	(*H* 220)
6-Bromo-1,4-dimethyl-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 104)
6-Bromo-2,3-dimethylquinoxaline 1,4-dioxide	—	(*E* 65)
7-Bromo-2,3-diphenyl-6-quinoxalinecarboxylic acid	—	(*H* 222)
7-Bromo-4-ethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 155)
2-Bromo-3-ethylquinoxaline	—	(*E* 172)
6-Bromo-7-fluoro-5-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	323–327, NMR	191, 1045

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6-Bromo-7-fluoro-8-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	316–321, NMR	191, 1045
6-Bromo-2-fluoroquinoxaline	133–134, IR, MS, NMR	377
5-Bromo-6-guanidinoquinoxaline	—	803
7-Bromo-3-hydroxymethyl-*N*-methyl-2-quinoxalinecarboxamide 1,4-dioxide	—	268
7-Bromo-3-hydroxymethyl-2-quinoxalinecarbohydrazide 1,4-dioxide	—	268
7-Bromo-3-hydroxymethyl-2-quinoxalinecarboxamide 1,4-dioxide	—	268
7-Bromo-1-hydroxy-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 60)
5-Bromo-6-isothiocyanatoquinoxaline	—	949
6-Bromo-7-methoxy-1-methyl-2-oxo-3-phenyl-1,2-dihydro-5,8-quinoxalinequinone	202–204, IR, NMR	486
6-Bromo-7-methoxy-8-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	270–272, NMR	681
5-Bromo-6-methoxy-3-oxo-2-phenyl-3,4-dihydro-5,8-quinoxalinequinone	245–250, IR, NMR	486
6-Bromo-7-methoxy-2,3(1*H*, 4*H*)-quinoxalinedione	300–302, MS, NMR	681
6-Bromo-7-methoxy-5,8-quinoxalinequinone	200, IR, NMR, UV	148, 882
2-Bromomethyl-6-chloro-3-[(dimethoxyphosphinyl)methyl]quinoxaline	129–130, NMR	1043
2-Bromomethyl-7-chloro-3-[(dimethoxyphosphinyl)methyl]quinoxaline	159–160, NMR	1043
2-Bromomethyl-6-chloro-3-phenylthioquinoxaline 1,4-dioxide	198–199, IR, NMR, UV	1086
2-Bromomethyl-7-chloro-3-phenylthioquinoxaline 1,4-dioxide	188–190, IR, NMR, UV	1086
2-Bromomethyl-5,8-dichloro-3-[(dimethoxyphosphinyl)methyl]quinoxaline	140–144, NMR	1043
2-Bromomethyl-6,7-dichloro-3-[(dimethoxyphosphinyl)methyl]quinoxaline	128–130, NMR	1043
5-Bromomethyl-6,7-dichloro-2,3-dimethoxyquinoxaline	anal, NMR	1039
2-Bromomethyl-6,7-dichloro-3-phenylthioquinoxaline 1,4-dioxide	187, IR, NMR	483
2-Bromomethyl-6,7-difluoro-3-phenylthioquinoxaline 1,4-dioxide	181–182, IR, NMR, UV	1086
6-Bromomethyl-2,3-dimethoxy-7-methylquinoxaline	148–149, IR, NMR	46
3-Bromomethyl-6,7-dimethoxy-1-methyl-2(1*H*)-quinoxalinone	161–163, IR, NMR	942
2-Bromomethyl-3-[(dimethoxyphosphinyl)-methyl]-6,7-difluoroquinoxaline	liq, NMR	1043
2-Bromomethyl-3-[(dimethoxyphosphinyl)-methyl]-6,7-dimethoxyquinoxaline	119–122, NMR	1043
2-Bromomethyl-3-[(dimethoxyphosphinyl)-methyl]-6,7-dimethylquinoxaline	111–112, NMR	1043

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Bromomethyl-3-[(dimethoxyphosphinyl)methyl]quinoxaline	99–102, NMR	1043
6-Bromomethyl-7-[(dimethoxyphosphinyl)methyl]quinoxaline	liq, NMR	1043
2-Bromomethyl-3-[2-(dimethoxyphosphinyl)vinyl]quinoxaline	solid, NMR	1043
2-Bromomethyl-6,7-dimethyl-3-phenylquinoxaline	144	728
2-Bromomethyl-6-ethoxy-7-fluoro-3-phenylthioquinoxaline 1,4-dioxide	180–181, IR, NMR, UV	1086
2-Bromomethyl-7-ethoxy-6-fluoro-3-phenylthioquinoxaline 1,4-dioxide	165–166, IR, NMR, UV	1086
2-Bromomethyl-5-methoxy-3-methylquinoxaline 1,4-dioxide	—	328
6-Bromo-3-methyl-2-methylene-1-phenyl-1,2-dihydroquinoxaline	anal, UV	63
2-Bromomethyl-6-methyl-3-phenylthioquinoxaline 1,4-dioxide	186–187, IR, NMR, UV	1086
2-Bromomethyl-7-methyl-3-phenylthioquinoxaline 1,4-dioxide	165–166, IR, NMR, UV	1086
2-Bromomethyl-3-methylquinoxaline	NMR	(*E* 224) 719
2-Bromomethyl-3-methylquinoxaline 1,4-dioxide	—	(*E* 65)
3-Bromomethyl-1-methyl-2(1*H*)-quinoxalinone	180–182, IR, NMR	(*E* 98) 1005
2-Bromomethyl-3-methylthioquinoxaline	136, IR	103
6-Bromo-7-methyl-8-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	>340, NMR	191
2-Bromomethyl-3-phenylquinoxaline	—	(*E* 227)
6-Bromo-2-methyl-3-phenylquinoxaline	102–104, UV	586
2-Bromomethyl-3-phenylthioquinoxaline 1,4-dioxide	167–169, IR, NMR, UV	1086
2-Bromomethylquinoxaline	crude, NMR	(*E* 221) 719
2-Bromo-3-methylquinoxaline	—	(*E* 172)
5-Bromo-2-methylquinoxaline	121–123, MS, NMR	844, 939
5-Bromo-3-methylquinoxaline	93–95, MS, NMR	844
6-Bromomethylquinoxaline	—	(*E* 23)
6-Bromo-2-methylquinoxaline	MS	939
6-Bromo-3-methylquinoxaline	MS	939
6-Bromo-7-methylquinoxaline	—	(*E* 23)
3-Bromomethyl-2-quinoxalinecarbaldehyde	164–165, NMR	(*E* 128) 1043
3-Bromomethyl-2-quinoxalinecarbonitrile 1-oxide	146–147	712
7-Bromo-3-methyl-2-quinoxalinecarboxylic acid 4-oxide	—	268
6-Bromo-1-methyl-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 104)
6-Bromo-7-methyl-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 105)
2-Bromomethylquinoxaline 1,4-dioxide	160–162, MS	(*E* 63) 144, 940
2-Bromo-3-methylquinoxaline 1,4-dioxide	—	(*E* 63)
6-Bromo-7-methylquinoxaline 1,4-dioxide	—	(*E* 63)
6-Bromo-7-methylquinoxaline 1/4-oxide	—	(*E* 57)
3-Bromomethyl-2(1*H*)-quinoxalinone	225 to 232, IR, MS, NMR	(*E* 98) 103, 145, 915
6-Bromo-3-methyl-2(1*H*)-quinoxalinone	264–265, IR, MS	(*E* 98) 413

Quinoxaline	Melting Point (°C) etc.	Reference(s)
7-Bromo-3-methyl-2(1*H*)-quinoxalinone	273–280	(*E* 98) 1030b
2-Bromo-6-nitro-5-quinoxalinamine	158–160, IR, MS, NMR	701
7-Bromo-6-nitro-5-quinoxalinamine	241–243, MS, NMR	853
2-Bromo-6-nitroquinoxaline	235–236, IR, MS, NMR	701
6-Bromo-7-nitroquinoxaline	217–218, NMR	368
6-Bromo-5-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	>350, NMR	1045
2-Bromo-3,5,6,7,8-pentamethylquinoxaline	MS, NMR	617
6-Bromo-3-phenyl-2,7-dipiperidino-5,8-quinoxalinequinone	168–174, IR, NMR	486
7-Bromo-3-phenyl-2-quinoxalinecarbonitrile 1,4-dioxide	—	(*E* 70)
6-Bromo-3-phenyl-2(1*H*)-quinoxalinone	—	(*E* 101)
5-(1-Bromopropyl)-6,7-dichloro-2,3-dimethoxyquinoxaline	134–136, NMR	1039
2-Bromo-3-propylquinoxaline	—	(*E* 173)
5-Bromo-6-quinoxalinamine	HBr: —	(*E* 22) 949
7-Bromo-2-quinoxalinamine	—	809
2-Bromoquinoxaline	MS	(*E* 172) 939
5-Bromoquinoxaline	66–67, MS, NMR	918, 939, 1064
6-Bromoquinoxaline	MS	(*H* 229; *E* 22) 939
3-Bromo-2-quinoxalinecarbaldehyde	162–163, IR, NMR; dnp: 258–260	139
2-Bromo-6-quinoxalinecarbonyl bromide	—	(*E* 172)
3-Bromo-6-quinoxalinecarbonyl bromide	—	(*E* 172)
6-Bromo-2,3-quinoxalinedicarboxylic acid	—	(*H* 255)
6-Bromo-2,3-quinoxalinedicarboxylic anhydride	—	(*H* 255)
6-Bromo-2,3(1*H*, 4*H*)-quinoxalinedione	>350, NMR	(*H* 242) 1045
6-Bromoquinoxaline 1,4-dioxide	—	(*E* 63)
6-Bromo-2(1*H*)-quinoxalinone	298–300, MS, NMR	391, 708, 1030k
7-Bromo-2(1*H*)-quinoxalinone	—	(*E* 97)
6-Bromo-2(1*H*)-quinoxalinone 4-oxide	>300, MS	413
6-Bromo-2,3,7,8-tetramethyl-5-nitroquinoxaline	178–179, MS, NMR	470
5-Bromo-6,7,8-trichloroquinoxaline	—	(*E* 22)
6-Bromo-5,7,8-trichloroquinoxaline	—	(*E* 22)
6-Bromo-2,7,8-trimethyl-5-nitroquinoxaline	214–215, MS, NMR	844
6-Bromo-3,7,8-trimethyl-5-nitroquinoxaline	162–164, MS, NMR	844
6-Bromo-2,3,7-trimethylquinoxaline	—	(*E* 225)
6-Bromo-2,37-trimethylquinoxaline 1,4-dioxide	—	(*E* 68)
2-(But-1-enyl)quinoxaline	—	(*E* 223)
2-Butoxy-3-chloroquinoxaline	—	(*E* 202)
2-*sec*-Butoxy-3-chloroquinoxaline	—	(*E* 202)
2-Butoxy-3-hydrazinoquinoxaline	—	(*E* 197)
2-*sec*-Butoxy-3-hydrazinoquinoxaline	—	(*E* 197)
3-Butylamino-6,7-dimethyl-2-quinoxalinecarboxamide	169–170, IR, NMR	466
3-Butylamino-6,7-dimethyl-2-quinoxalinecarboxylic acid	208–209, IR, NMR	466
8-Butylamino-2-piperidino-5,6-quinoxalinequinone	203–204, IR	827

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Butylaminoquinoxaline	51–52, IR, MS, NMR; pic: 183–184	597
N-Butyl-3-butylamino-6,7-dimethyl-2-quinoxalinecarboxamide	liq, IT, NMR	466
3-(Butylcarbamoyl)methyl)-1-methyl-2(1*H*)-quinoxalinethione	175–178, IR	65
2-Butyl-3-chloro-6-ethoxy-5-quinoxalinecarboxylic acid	147–148, NMR	721
N-*tert*-Butyl-7-chloro-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide	209–210, IR, NMR	1116
2-Butyl-3-chloroquinoxaline	liq, NMR	721
2-*tert*-Butyl-3-chloroquinoxaline	107, MS, NMR	1093
2-Butyl-3-chloroquinoxaline 4-oxide	liq, NMR	721
N-*tert*-Butyl-6,7-dichloro-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide	220–221, IR, NMR	1116
3-Butyl-6,7-dimethoxy-2(1*H*)-quinoxalinone	212, fl sp, IR, MS, NMR, UV	592
3-*sec*-Butyl-6,7-dimethoxy-2(1*H*)-quinoxalinone	235, fl sp, IR, MS, NMR, UV	592
6-*tert*-Butyl-2,3-dimethylquinoxaline	—	(*E* 227)
6-*tert*-Butyl-2,3-diphenylquinoxaline	—	(*E* 221)
2-Butyl-6-ethoxy-3-oxo-3,4-dihydro-5-quinoxalinecarboxylic acid	168–169, NMR	721
2-Butyl-3-hydrazinoquinoxaline	—	(*E* 197)
2-*sec*-Butyl-3-hydrazinoquinoxaline	—	(*E* 197)
2-(Butyliminomethyl)quinoxaline 1,4-dioxide	110–112, MS	940
2-Butyl-3-methylquinoxaline	42–43, IR, NMR	238, 242, 575
2-Butyl-6-methylquinoxaline	liq, NMR	549
N-Butyl-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide	136–138, NMR	228
N-*tert*-Butyl-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide	213–214, IR, NMR	1116
tert-Butyl 3-methyl-2-quinoxalinecarboxylate	—	(*E* 154)
2-Butyl-3-methylquinoxaline 1,4-dioxide	67–68, IR, MS	242
2-*tert*-Butyl-3-methylquinoxaline 4-oxide (?)	—	(*E* 60)
3-Butyl-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 101)
3-Butyl-1-methyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 60)
2-*tert*-Butyl-6-nitroquinoxaline	—	(*H* 220)
2-*tert*-Butyl-7-nitroquinoxaline	—	(*H* 220)
2-*tert*-Butyl-3-phenylquinoxaline	—	(*H* 209; *E* 228)
2-*tert*-Butyl-3-phenylquinoxaline 1-oxide	—	(*E* 62)
2-Butyl-3-propylquinoxaline	—	1089
3-*tert*-Butyl-2-quinoxalinamine	—	(*E* 188)
2-Butylquinoxaline	NMR	667
2-*sec*-Butylquinoxaline	MS, NMR; 1/4-MeI: NMR	(*E* 223) 33
2-*tert*-Butylquinoxaline	—	(*E* 223)
3-*tert*-Butyl-2-quinoxalinecarbonitrile	118–119, IR, MS, NMR	598
2-*tert*-Butylquinoxaline 4-oxide	—	(*E* 60)
3-Butyl-2(1*H*)-quinoxalinone	154–155, IR, NMR	(*E* 101) 544, 721

Quinoxaline	Melting Point (°C) etc.	Reference(s)
3-*sec*-Butyl-2(1*H*)-quinoxalinone	—	(*E* 101)
3-*tert*-Butyl-2(1*H*)-quinoxalinone	—	(*E* 101)
3-Butyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 60)
2-*tert*-Butyl-5,6,7,8-tetramethylquinoxaline	IR, NMR, UV	102
2-Butylthio-3-chloroquinoxaline	165/0.2, MS, NMR	237
2-Butylthio-3-methylquinoxaline	—	811
3-Butylthiomethyl-2(1*H*)-quinoxalinone	145–146, IR, NMR	237
2-Butylthioquinoxaline	—	(*E* 119)
3-Butylthio-2-quinoxalinecarbonitrile	190–191, IR, NMR, UV	930
3-Butylthio-2(1*H*)-quinoxalinone	173–174, IR, NMR	237
N-*tert*-Butyl-3,6,7-trimethyl-2-quinoxalinecarboxamide 1,4-dioxide	261–262, IR, NMR	1116
3-Butyrylamido-2-quinoxalinamine	95	437
3-(2-Carbamoylethyl)-2(1*H*)-quinoxalinone	—	(*E* 100)
2-Carbamoylmethyl-3-methylquinoxaline 1,4-dioxide	—	(*E* 67)
3-Carbamoylmethyl-1-methyl-2(1*H*)-quinoxalinethione	218–221, IR	65
3-Carbamoylmethyl-1-methyl-2(1*H*)-quinoxalinone	MS	763
3-Carbamoyl-2-quinoxalinecarboxylic acid	—	(*H* 255)
2-(2-Carboxybutyl)quinoxaline 1,4-dioxide	—	(*E* 69)
3-(4-Carboxybutyl)-2(1*H*)-quinoxalinone	—	(*E* 101)
2-(3-Carboxy-2,2-dimethylpropyl)-6-methoxyquinoxaline 4-oxide	147–149, IR, NMR	568
2-(3-Carboxy-2,2-dimethylpropyl)-6-methylquinoxaline 4-oxide	146–165 (?), IR, NMR	568
2-(3-Carboxy-2,2-dimethylpropyl)quinoxaline 4-oxide	124–126, IR, NMR	568
3-(2-Carboxy-1,1-dimethylpropyl)-2(1*H*)-quinoxalinone	—	(*H* 238)
3-(2-Carboxyethyl)-6,7-dimethoxy-2(1*H*)-quinoxalinone	240, fl sp, IR, MS, NMR, UV	592
2-(2-Carboxyethyl)-7-methylamino-8-nitroquinoxaline	202–203, MS, NMR	374
2-(2-Carboxyethyl)-3-methylquinoxaline 1,4-dioxide	—	(*E* 69)
3-(2-Carboxyethyl)-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 100)
2-(2-Carboxyethyl)quinoxaline 1-oxide	—	(*E* 59)
2-(2-Carboxyethyl)quinoxaline 4-oxide	—	(*E* 59)
3-(2-Carboxyethyl)-2(1*H*)-quinoxalinone	252–254, NMR	(*E* 100) 1065
2-(4-Carboxy-1-methylbutyl)quinoxaline	120/0.1, NMR	517
6-(4-Carboxy-1-methylbutyl)quinoxaline	120/0.1, NMR	517
2-Carboxymethyl-3-methylquinoxaline 1,4-dioxide	—	(*E* 67)
2-(3-Carboxy-2-methylpropyl)-6-methoxyquinoxaline 4-oxide	161–162, IR, NMR	568
2-(3-Carboxy-2-methylpropyl)-6-methylquinoxaline 4-oxide	145–146, IR, NMR	568

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-(3-Carboxy-2-methylpropyl)quinoxaline 4-oxide	131–134, IR, NMR	568
1-Carboxymethyl-2,3(1*H*, 4*H*)-quinoxalinedione	>300, IR, NMR	425
1-Carboxymethyl-2(1*H*)-quinoxalinone	250–252, NMR	(*E* 98) 459
3-Carboxymethyl-2(1*H*)-quinoxalinone	—	(*E* 99)
3-(5-Carboxypentyl)-2(1*H*)-quinoxalinone	—	(*E* 102)
3-(3-Carboxypropyl)-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 101)
2-(3-Carboxypropyl)-3-phenylquinoxaline 1,4-dioxide	—	(*E* 71)
3-(3-Carboxypropyl)-2(1*H*)-quinoxalinone	—	(*E* 101)
2-(2-Carboxyvinyl)quinoxaline 1,4-dioxide	—	(*E* 65)
6-Chloro-2,3-bis(iodomethyl)quinoxaline	—	(*E* 223)
2-Chloro-3-(2-chloro-3-methylbut-1-enyl)quinoxaline	101, NMR, UV	860
2-Chloro-3-(2-chloro-3-methylbut-2-enyl)quinoxaline	95, NMR, UV	860
2-Chloro-3-(2-chloroprop-1-enyl)quinoxaline	75–76	78
2-Chloro-3-cyanomethylquinoxaline	139–141	79
2-Chloro-3-cyclohexylaminoquinoxaline	99–100, MS, NMR	121
2-Chloro-3-diethylaminoquinoxaline	—	(*E* 173, 188)
6-Chloro-2/3-(2-diethylaminovinyl)quinoxaline	159–161, IR, MS, NMR	634
2-Chloro-6,7-difluoro-3-hydrazinoquinoxaline	212–215	716
2-Chloro-6,7-difluoro-3-methylquinoxaline 1,4-dioxide	202–203, IR, NMR, UV	1086
6-Chloro-5,7-difluoro-8-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	310, NMR	1045
6-Chloro-2,3-difluoroquinoxaline	89–90, NMR	561
5-Chloro-6,7-difluoro-2,3(1*H*, 4*H*)-quinoxalinedione	322–326, NMR	1045
6-Chloro-5,7-difluoro-2,3(1*H*, 4*H*)-quinoxalinedione	>250, NMR	1045
2-Chloro-5,7-dimethoxy-3-methylquinoxaline	204–205, IR, MS, NMR	524
2-Chloro-5,8-dimethoxy-3-methylquinoxaline	174–175	690
6-Chloro-2,3-dimethoxy-5-methylquinoxaline	crude, NMR	661
2-Chloro-5,7-dimethoxy-8-nitro-3-phenylquinoxaline	212–214, IR, NMR	486
2-Chloro-5,7-dimethoxy-3-phenylquinoxaline	188–190, IR, NMR, UV	486
2-Chloro-3,6-dimethoxyquinoxaline	79–80	716
2-Chloro-5,8-dimethoxyquinoxaline	—	(*E* 173)
6-Chloro-2,4-dimethoxyquinoxaline	—	(*H* 271)
3-Chloro-6,8-dimethoxy-2-quinoxalinecarbonitrile	240–243, IR, MS, NMR	524
3-Chloro-5,8-dimethoxy-2-quinoxalinecarboxylic acid	—	(*E* 155)
6-Chloro-1-(3-dimethylaminopropyl)-3-methyl-2(1*H*)-quinoxalinone	—	303
6-Chloro-2,3-dimethyl-5-nitroquinoxaline	162–163, MS, NMR	470

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6-Chloro-2,7-dimethyl-5-nitroquinoxaline	163–164, MS, NMR	843, 844
6-Chloro-2,7-dimethyl-8-nitroquinoxaline	177–178, MS, NMR	844
6-Chloro-2,8-dimethyl-5-nitroquinoxaline	135–136, MS, NMR	844
6-Chloro-3,7-dimethyl-5-nitroquinoxaline	144–145, MS, NMR	843, 844
6-Chloro-3,7-dimethyl-8-nitroquinoxaline	201–202, MS, NMR	844
6-Chloro-3,8-dimethyl-5-nitroquinoxaline	143–144, MS, NMR	844
2-Chloro-6,7-dimethyl-3-phenylquinoxaline	128, MS, NMR	728
3-Chloro-6,7-dimethyl-2-quinoxalinamine	243–244, IR, NMR	93
2-Chloro-3,5-dimethylquinoxaline	74–75, IR, NMR	524
2-Chloro-3,6-dimethylquinoxaline	—	(*E* 172)
2-Chloro-3,7-dimethylquinoxaline	90–91, IR, NMR	(*E* 172) 524
2-Chloro-6,7-dimethylquinoxaline	—	(*E* 172)
5-Chloro-2,3-dimethylquinoxaline	MS	(*E* 224) 939
6-Chloro-2,3-dimethylquinoxaline	MS; 1-$PhClO_4$: 198–200, NMR	(*E* 224) 63, 939
3-Chloro-*N*,*N*-dimethyl-2-quinoxalinecarboxamide	—	(*E* 152)
3-Chloro-*N*,*N*-dimethyl-2-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 64)
6-Chloro-2,3-dimethyl-5-quinoxalinecarboxylic acid	—	(*H* 220)
7-Chloro-2,3-dimethyl-6-quinoxalinecarboxylic acid	—	(*H* 220)
6-Chloro-1,4-dimethyl-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 104)
2-Chloro-3,6-dimethylquinoxaline 1,4-dioxide	153–154, IR, NMR, UV	1086
2-Chloro-3,7-dimethylquinoxaline 1,4-dioxide	152–153, IR, NMR, UV	1086
6-Chloro-2,3-dimethylquinoxaline 1,4-dioxide	—	(*E* 65)
5-Chloro-2,3-dimethylquinoxaline 1-oxide	—	(*E* 59)
6-Chloro-1,3-dimethyl-2(1*H*)-quinoxalinone	—	(*H* 314, 362)
7-Chloro-1,3-dimethyl-2(1*H*)-quinoxalinone	—	(*H* 314, 362)
6-Chloro-7,8-dinitro-2,3(1*H*,4*H*)-quinoxalinedione	298–300, NMR	1045
3-Chloro-5,8-dioxo-1,4,5,8-tetrahydro-2-quinoxalinecarboxylic acid	—	(*E* 152)
5-Chloro-2,3-diphenylquinoxaline	158–160, MS, NMR	(*E* 238) 638
6-Chloro-2,3-diphenylquinoxaline	122–123, MS, NMR	(*H* 221, 289) 638, 1011
6-Chloro-2,3-diphenyl-5-quinoxalinecarboxylic acid	—	(*H* 222)
7-Chloro-2,3-diphenyl-6-quinoxalinecarboxylic acid	—	(*H* 222)
6-Chloro-2,3-diphenylquinoxaline 1-oxide	156–157, IR, MS, NMR	583
6-Chloro-2,3-diphenylquinoxaline 4-oxide	210–211, IR, MS, NMR	583
2-Chloro-3-(1-ethoxycarbonylethyl)quinoxaline	43–44 or 46–48, IR, NMR	78, 237
2-Chloro-3-ethoxycarbonylmethylquinoxaline	81–82, IR, MS, NMR	(*E* 173) 237, 763
6-Chloro-3-ethoxycarbonylmethyl-2(1*H*)-quinoxalinone	215–217, st	79, 82

Quinoxaline	Melting Point (°C) etc.	Reference(s)
7-Chloro-3-ethoxycarbonylmethyl-2(1*H*)-quinoxalinone	213–215, st	79, 82
2-Chloro-3-(1-ethoxycarbonylpropyl)quinoxaline	170/0.2, IR, NMR	234
2-Chloro-7-ethoxy-6-fluoro-3-methylquinoxaline 1,4-dioxide	180–181, IR, NMR, UV	1086
2-Chloro-3-ethoxyquinoxaline	—	(*H* 259; *E* 202)
3-Chloro-7-ethoxyquinoxaline 1-oxide	—	(*E* 59)
6-Chloro-7-ethoxyquinoxaline 1-oxide	—	(*E* 59)
6-Chloro-5-ethyl-2,3-dimethoxyquinoxaline	crude, NMR	661
6-Chloro-2-(1-ethylhydrazino)quinoxaline 4-oxide	177–178, IR, NMR	512
3-Chloro-2-ethylidenehydrazinoquinoxaline	141–142, IR, NMR, YV	776
6-Chloro-2-ethyl-3-methylquinoxaline 1,4-dioxide	—	(*E* 68)
2-Chloro-3-ethylquinoxaline	—	(*E* 172)
2-Chloro-3-ethynylquinoxaline	128–130, IR, NMR	842
6-Chloro-2-ethynylquinoxaline	157–160, MS	564
2-Chloro-6-fluoro-3-hydrazino-7-nitroquinoxaline	crude, NMR	734
2-Chloro-6-fluoro-3-hydrazinoquinoxaline	190–192	716
2-Chloro-6-fluoro-3-methoxyquinoxaline	93–95	716
2-Chloro-6-fluoro-3-methylquinoxaline	142–144, IR, MS	413
6-Chloro-7-fluoro-8-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	308–310, NMR	191, 1045
6-Chloro-2-fluoroquinoxaline	120–121, IR, MS, NMR	377, 561, 899, 1030n
7-Chloro-2-fluoroquinoxaline	NMR	561
6-Chloro-7-fluoro-2,3(1*H*, 4*H*)-quinoxalinedione	344–348, NMR	1045
6-Chloro-2-(hex-1-ynyl)quinoxaline	42–43, MS, NMR	564
2-Chloro-3-hydrazino-6-methoxyquinoxaline	170–174	716
6-Chloro-2-hydrazino-3-methoxyquinoxaline	175–179	716
6-Chloro-3-hydrazino-1-methyl-2(1*H*)-quinoxalinone	210–211, IR	418
2-Chloro-3-hydrazinoquinoxaline	181 or 185	141, 450, 716, 1071
6-Chloro-2-hydrazinoquinoxaline	235–237, IR, NMR	387
6-Chloro-2-hydrazinoquinoxaline 4-oxide	211–212, IR, NMR	463
2-Chloro-3-(4-hydroxybut-1-ynyl)quinoxaline	118–119	521
6-Chloro-5-(1-hydroxyethyl)-2,3-dimethoxyquinoxaline	100–101, IR, NMR	661
6-Chloro-7-(1-hydroxyethyl)-2,3-dimethoxyquinoxaline	liq, IR, NMR	661
2-Chloro-3-(1-hydroxyethyl)quinoxaline	68, IR, NMR	474
2-Chloro-3-(3-hydroxy-3-methylbut-1-ynyl)quinoxaline	77–79	842
6-Chloro-4-hydroxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 57)
2-Chloro-3-(5-hydroxypent-1-ynyl)quinoxaline	80–81	521
7-Chloro-1-hydroxy-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 61)
2-Chloro-3-(3-hydroxyprop-1-ynyl)quinoxaline	153–154	521
6-Chloro-*N*-hydroxy-2-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 63)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6-Chloro-4-hydroxy-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 56)
7-Chloro-1-hydroxy-2(1*H*)-quinoxalinone	260	(*E* 56) 98
6-Chloro-1-hydroxy-5(1*H*)-quinoxalinone 4-oxide	—	(*E* 63)
8-Chloro-1-hydroxy-5(1*H*)-quinoxalinone 4-oxide	—	(*E* 63)
2-Chloro-6-iodoquinoxaline	151–152, MS, NMR	21
2-Chloro-7-iodoquinoxaline	148–150, NMR, NMR	1104
2-Chloro-3-isobutoxyquinoxaline	—	(*E* 202)
2-Chloro-3-isopropoxyquinoxaline	—	(*E* 202)
6-Chloro-2-isopropyl-3-methylquinoxaline 1,4-dioxide	—	(*E* 69)
2-Chloro-3-isopropylquinoxaline	—	(*E* 173)
5-Chloro-4-isopropyl-2,3(1*H*, 4*H*)-quinoxalinedione	—	729
6-Chloro-4-isopropyl-2,3(1*H*, 4*H*)-quinoxalinedione	—	729
2-Chloro-7-methoxy-6,8-dinitro-3-phenyl-5(1*H*)-quinoxalinone	186–187, IR, NMR; *O*/*N*-Ac: 173, IR, NMR	486
2-Chloro-5-methoxy-3-methylquinoxaline	—	(*E* 172)
2-Chloro-8-methoxy-3-methylquinoxaline	—	(*E* 172)
6-Chloro-5-methoxy-3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile 1-oxide	280, NMR	1104
2-Chloro-7-methoxy-3-phenyl-5,8-quinoxalinequinone	262–264, IR, NMR	486
3-Chloro-6/7-methoxy-2-quinoxalinamine	—	(*E* 172)
2-Chloro-3-methoxyquinoxaline	74–75, MS, NMR	(*H* 259; *E* 172, 202) 121
2-Chloro-6-methoxyquinoxaline	NMR	1062
2-Chloro-7-methoxyquinoxaline	NMR	(*H* 259; *E* 172) 1062, 1104
5-Chloro-6-methoxyquinoxaline	138–140, MS, NMR	147
6-Chloro-5-methoxyquinoxaline	—	(*E* 23)
6-Chloro-7-methoxy-2-quinoxalinecarbonitrile 1,4-dioxide	—	1012
6-Chloro-5-methoxyquinoxaline 1,4-dioxide	—	(*E* 64)
2-Chloro-6-methoxyquinoxaline 4-oxide	—	(*E* 57)
6-Chloro-7-methoxyquinoxaline 1-oxide	135, IR, NMR	(*E* 57) 882
7-Chloro-8-methoxy-2(1*H*)-quinoxalinone	225, NMR	1104
2-Chloro-3-methylaminoquinoxaline	—	(*E* 172)
5-Chloro-6-methyl-2,3-diphenylquinoxaline	—	(*H* 222)
6-Chloro-7-methyl-2,3-diphenylquinoxaline	—	(*H* 222)
6-Chloro-2-(1-methylhydrazino)quinoxaline	128–129, IR, NMR	471
6-Chloro-2-(1-methylhydrazino)quinoxaline 1-oxide	174–175, IR, NMR	489
6-Chloro-2-(1-methylhydrazino)quinoxaline 4-oxide	223–224, IR, NMR	460, 463
6-Chloro-3-methyl-2-methylene-1-phenyl-1,2-dihydroquinoxaline	138–140, NMR	63

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6-Chloro-2-methyl-3-methyliminomethylquinoxaline 1,4,*N*-trioxide	189–190	703
2-Chloromethyl-3-methylquinoxaline	—	(*E* 224)
2-Chloromethyl-3-methylquinoxaline 4-oxide	—	(*E* 59)
3-Chloromethyl-1-methyl-2(1*H*)-quinoxalinone	—	(*H* 314)
2-Chloromethyl-3-methylthioquinoxaline 4-oxide	131–133	712
6-Chloro-7-methyl-5-nitro-2,3-diphenylquinoxaline	181–183, MS, NMR	470
6-Chloro-7-methyl-8-nitro-2,3-diphenylquinoxaline	201–202, MS, NMR	470
6-Chloro-8-methyl-5-nitro-2,3-diphenylquinoxaline	171–172, MS, NMR	470
6-Chloro-8-methyl-5-nitro-2-phenylquinoxaline	248–250, MS, NMR	37
6-Chloro-8-methyl-5-nitro-3-phenylquinoxaline	249–251, MS, NMR	37
2-Chloro-3-methyl-6-nitroquinoxaline	140–141, NMR	(*E* 172) 117, 280
2-Chloro-3-methyl-7-nitroquinoxaline	—	(*E* 172)
6-Chloro-2-methyl-5-nitroquinoxaline	160–163, MS, NMR	844
6-Chloro-3-methyl-5-nitroquinoxaline	135–136, MS, NMR	844
6-Chloro-7-methyl-5-nitroquinoxaline	132–133, MS, NMR	470
6-Chloro-7-methyl-8-nitroquinoxaline	155–156, MS, NMR	470
6-Chloro-8-methyl-5-nitroquinoxaline	119–120, MS, NMR	470
5-Chloro-1-methyl-6-nitro-2,3(1*H*, 4*H*-quinoxalinedione	278–283, IR, NMR, xl st	542
6-Chloro-7-methyl-5-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	>340, NMR	191
6-Chloro-7-methyl-8-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	340, NMR	191
7-Chloro-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide	—	(*E* 154)
6-Chloro-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 154)
7-Chloro-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 154)
2-(5-Chloro-1-methylpentyl)quinoxaline	anal, liq, NMR	517
6-(5-Chloro-1-methylpentyl)quinoxaline	anal, liq, NMR	517
2-Chloromethyl-3-phenylquinoxaline	—	(*E* 227)
2-Chloro-7-methyl-3-phenylquinoxaline	158–159, IR, MS, NMR	524
6-Chloro-3-methyl-2-phenylquinoxaline	104–106, UV	586
6-Chloro-3-methyl-2-phenylquinoxaline 1,4-dioxide	—	(*E* 70)
6-Chloro-1-methyl-3-phenyl-2(1*H*)-quinoxalinone	—	(*E* 259)
7-Chloro-1-methyl-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 61)
6-Chloro-2-methyl-3-phenylsulfonylquinoxaline 1,4-dioxide	158–160, IR, NMR, UV	1086
6-Chloro-3-methyl-2-phenylsulfonylquinoxaline 1,4-dioxide	148–150, IR, NMR, UV	1086
6-Chloro-2-methyl-3-phenylthioquinoxaline 1,4-dioxide	152–154, IR, NMR, UV	1086

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6-Chloro-3-methyl-2-phenylthioquinoxaline 1,4-dioxide	134–136, IR, NMR, UV	1086
2-Chloro-3-methyl-6-quinoxalinamine	181–182	135
3-Chloro-6/7-methyl-2-quinoxalinamine	—	(*E* 172)
2-Chloromethylquinoxaline	45–46 or 50–52, MS, NMR, UV	215, 650
2-Chloro-3-methylquinoxaline	80–82 or 85	(*H* 259; *E* 172) 484, 996
2-Chloro-5-methylquinoxaline	—	(*H* 259; *E* 172)
2-Chloro-6-methylquinoxaline	—	(*H* 259)
2-Chloro–7-methylquinoxaline	NMR	(*H* 259; *E* 172) 1104
5-Chloro-2-methylquinoxaline	92–94, MS, NMR	844, 939
5-Chloro-3-methylquinoxaline	96–98, MS, NMR	844
6-Chloro-2-methylquinoxaline	131, MS, NMR	(*E* 221) 549, 939
6-Chloro-3-methylquinoxaline	—	(*E* 221)
6-Chloro-7-methylquinoxaline	—	(*E* 23)
3-Chloro-6-methyl-2-quinoxalinecarbonitrile	198–199, IR, NMR	524
3-Chloromethyl-2-quinoxalinecarbonitrile 1,4-dioxide	—	(*E* 64)
6-Chloro-3-methyl-2-quinoxalinecarbonitrile 1,4-dioxide	—	(*E* 64)
3-Chloromethyl-2-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 64)
3-Chloro-*N*-methyl-2-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 64)
6-Chloro-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 64)
6-Chloro-7-methyl-2,3-quinoxalinedicarboxylic acid	—	(*H* 255)
6-Chloro-1-methyl-2,3(1*H*, 4*H*)-quinoxalinedione	>300, IR, MS, NMR	418
6-Chloro-4-methyl-2,3(1*H*, 4*H*)-quinoxalinedione	215–220	713
6-Chloro-7-methyl-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 105)
2-Chloro-3-methylquinoxaline 1,4-dioxide	132–133 or 168	(*E* 64) 1030q, 1086
6-Chloro-3-methylquinoxaline 1,4-dioxide	—	(*E* 64)
6-Chloro-7-methylquinoxaline 1,4-dioxide	—	(*E* 64)
2-Chloro-3-methylquinoxaline 1-oxide	105–106	150
2-Chloro-3-methylquinoxaline 4-oxide	89–91 or 95–96, NMR	(*E* 57) 150, 590
2-Chloro-6-methylquinoxaline 4-oxide	—	(*E* 57)
6-Chloro-7-methylquinoxaline 1-oxide	—	(*E* 57)
3-Chloromethyl-2(1*H*)-quinoxalinone	230, IR, MS, NMR	(*H* 238, 361) 915
3-Chloro-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 97, 172)
6-Chloro-1-methyl-2(1*H*)-quinoxalinone	118–119 or 126–128, IR, NMR	418, 585
6-Chloro-3-methyl-2(1*H*)-quinoxalinone	257–258, IR, MS	413
7-Chloro-3-methyl-2(1*H*)-quinoxalinone	—	(*H* 240, 361; *E* 98)
2-Chloro-3-methylthioquinoxaline	—	(*E* 119, 172)
6-Chloro-2-morpholino-3-phenylquinoxaline	126, NMR	579
6-Chloro-2-morpholino-3-phenylquinoxaline 4-oxide	164, NMR	579
2-Chloro-3-morpholinoquinoxaline	—	(*E* 173)
6-Chloro-2-morpholinoquinoxaline 4-oxide	152–153, IR, NMR	461, 463
7-Chloro-3-morpholino-2(1*H*)-quinoxalinone	241–242, IR, NMR	480

Quinoxaline	Melting Point (°C) etc.	Reference(s)
7-Chloro-6-morpholino-2(1*H*)-quinoxalinone	NMR	1010
6-Chloro-2/3-(2-morpholinovinyl)quinoxaline 1,4-dioxide	200, IR, MS, NMR	634
6-Chloro-5-nitro-2,3-diphenylquinoxaline	192–193, MS, NMR	470
2-Chloro-3-nitromethylquinoxaline	—	(*E* 172)
2-Chloro-6-nitro-5-quinoxalinamine	211–212, IR, MS, NMR	701
3-Chloro-6-nitro-2-quinoxalinamine	279 to 293, IR, NMR	93, 468, 701
7-Chloro-6-nitro-5-quinoxalinamine	229–231, MS, NMR	853
2-Chloro-3-nitroquinoxaline	143–144 or 147–148, MS, NMR	121, 234
2-Chloro-6-nitroquinoxaline	208–210, NMR	(*E* 171) 161, 1104
2-Chloro-7-nitroquinoxaline	184–185 or 187–188, NMR	(*E* 171) 161, 679, 1104
5-Chloro-6-nitroquinoxaline	165–166 or 169–170, MS, NMR	147, 161
6-Chloro-5-nitroquinoxaline	134–135, MS, NMR	470
6-Chloro-7-nitroquinoxaline	212–214, NMR	161, 368
6-Chloro-8-nitroquinoxaline	—	(*E* 22)
3-Chloro-7-nitro-2-quinoxalinecarbonitrile	>300, IR, NMR	477
5-Chloro-7-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	xl st	1
6-Chloro-5-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	321, NMR	1045
6-Chloro-7-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	>370, IR, NMR	438, 1045
6-Chloro-8-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	315–317, NMR	1045
7-Chloro–3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile 1-oxide	—	(*E* 57)
7-Chloro-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide	—	(*E* 152)
7-Chloro-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide 1-oxide	—	(*E* 57)
6-Chloro-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 152)
7-Chloro-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 152)
5-Chloro-2,3,6,7,8-pentafluoroquinoxaline	—	(*E* 174)
2-Chloro-3-phenoxyquinoxaline	—	(*E* 173, 203)
6-Chloro-2-phenylethynylquinoxaline	118–120, MS	564
2-Chloro-3-phenylquinoxaline	—	(*E* 173)
6-Chloro-2-phenylquinoxaline	147, NMR	(*E* 238) 411, 446, 885
6-Chloro-3-phenylquinoxaline	130–132, NMR	(*E* 238, 256) 157, 885
7-Chloro-3-phenyl-2-quinoxalinecarbonitrile 1,4-dioxide	—	(*E* 70)
6-Chloro-2/3-phenylquinoxaline 1,4-dioxide	—	(*E* 70)
2-Chloro-3-phenylquinoxaline 4-oxide	126	(*E* 60) 988
6-Chloro-2-phenylquinoxaline 4-oxide	190–192, NMR	(*E* 60) 885
6-Chloro-3-phenylquinoxaline 1-oxide	162–164, NMR	885
6-Chloro-3-phenyl-2(1*H*)-quinoxalinone	—	(*E* 101)
6-Chloro-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 61)
2-Chloro-3-piperidinoquinoxaline	63–64, MS, NMR	(*E* 173) 121
6-Chloro-2-piperidinoquinoxaline	HCl: UV	947
7-Chloro-2-piperidinoquinoxaline	—	(*E* 188)
6-Chloro-2-piperidinoquinoxaline 4-oxide	165–166, IR, NMR	461, 464

Quinoxaline	Melting Point (°C) etc.	Reference(s)
7-Chloro-3-piperidino-2(1*H*)-quinoxalinone	210–211, IR, NMR	480
2-Chloro-3-propylaminoquinoxaline	—	331
2-Chloro-3-propylquinoxaline	—	(*E* 173)
6-Chloro-4-propyl-2,3(1*H*, 4*H*)-quinoxalinedione	188–192, NMR	713
3-Chloro-2-quinoxalinamine	139 or 143–145, MS, NMR	(*H* 258; *E* 172, 187) 93, 121, 796, 917, 1038
3-Chloro-6-quinoxalinamine	—	(*E* 172)
6-Chloro-2-quinoxalinamine	—	(*E* 187)
7-Chloro-2-quinoxalinamine	—	(*E* 187) 286, 809
2-Chloroquinoxaline	46–48, MS, NMR	(*H* 258; *E* 172, 255) 150, 161, 341, 382, 526, 836, 938, 939
5-Chloroquinoxaline	60–61, MS, NMR	(*E* 22) 161, 526, 528, 532, 918, 939
6-Chloroquinoxaline	62–63 or 65, MS, NMR	(*H* 229; *E* 22, 255) 161, 263, 528, 561, 939
3-Chloro-2-quinoxalinecarbaldehyde	*E*-H_2NN=: 164; *Z*-H_2NN=: 259; oxime: 207–208; sc: 260–262; tsc: 230–231; also other derivatives	(*E* 127) 64, 163, 220
6-Chloro-2-quinoxalinecarbaldehyde	—	(*E* 127)
7-Chloro-2-quinoxalinecarbaldehyde	—	(*E* 127)
3-Chloro-2-quinoxalinecarbaldehyde 1-oxide	165–166, IR, NMR; PhHNN=: 220–221, NMR	590
3-Chloro-2-quinoxalinecarbohydrazide	167, MS, NMR, UV	448
3-Chloro-2-quinoxalinecarbonitrile	157 to 161 (or 200?), IR, MS, NMR	(*E* 172) 163, 477, 590, 598, 727, 748
7-Chloro-2-quinoxalinecarbonitrile 1,4-dioxide	—	1012
3-Chloro-2-quinoxalinecarbonitrile 1-oxide	192 or 269, IR, NMR	(*E* 57) 477, 590
3-Chloro-2-quinoxalinecarbonitrile 4-oxide	259, IR, NMR	477
2-Chloro-6-quinoxalinecarbonyl chloride	—	(*E* 172)
3-Chloro-2-quinoxalinecarbonyl chloride	—	(*E* 152)
3-Chloro-6-quinoxalinecarbonyl chloride	—	(*E* 172)
6-Chloro-2-quinoxalinecarbonyl chloride	—	(*E* 152)
7-Chloro-2-quinoxalinecarbonyl chloride	—	(*E* 152)
3-Chloro-2-quinoxalinecarboxamide	—	(*H* 251, 259; *E* 152, 172)
6-Chloro-2-quinoxalinecarboxamide	—	(*E* 152)
7-Chloro-2-quinoxalinecarboxamide	—	(*E* 152)
3-Chloro-2-quinoxalinecarboxylic acid	—	(*H* 251, 259; *E* 152)
6-Chloro-2-quinoxalinecarboxylic acid	—	(*E* 152)
7-Chloro-2-quinoxalinecarboxylic acid	—	(*E* 152)
6-Chloro-2-quinoxalinecarboxylic acid 1,4-dioxide	—	(*E* 63)
6-Chloro-2,3-quinoxalinediamine	—	(*E* 190) 286
6-Chloro-2,3-quinoxalinedicarbaldehyde	—	(*E* 127)
6-Chloro-2,3-quinoxalinedicarboxamide 1,4-dioxide	—	(*E* 64)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6-Chloro-2,3-quinoxalinedicarboxylic acid	—	(*H* 255)
6-Chloro-2,3-quinoxalinedicarboxylic anhydride	—	(*H* 255)
2-Chloro-5,8(1*H*, 4*H*)-quinoxalinedione	—	(*E* 172)
5-Chloro-2,3(1*H*, 4*H*)-quinoxalinedione	>280 or 315–318, NMR	(*E* 104) 716, 718
6-Chloro-2,3(1*H*, 4*H*)-quinoxalinedione	>360, IR, MS, NMR, UV	(*H* 242; *E* 104) 48, 480, 1045, 716
6-Chloroquinoxaline 1,4-dioxide	—	(*E* 63)
2-Chloroquinoxaline 1-oxide	110–112 or 114–116, NMR	(*E* 56) 140, 150
2-Chloroquinoxaline 4-oxide	150–153, NMR, UV	(*E* 56) 149, 150, 988
5-Chloroquinoxaline 1-oxide	—	(*E* 56)
6-Chloroquinoxaline 1-oxide	—	(*E* 56)
6-Chloroquinoxaline 3-oxide	—	(*E* 56)
7-Chloro-6-quinoxalinesulfonamide	—	(*E* 22)
3-Chloro-2(1*H*)-quinoxalinethione	—	(*E* 119)
5-Chloro-2(1*H*)-quinoxalinone	295, NMR	1104
6-Chloro-2(1*H*)-quinoxalinone	306–309, IR, MS	(*H* 236; *E* 97) 585, 947, 1042
7-Chloro-2(1*H*)-quinoxalinone	251–252	(*H* 236; *E* 97) 98
8-Chloro-2(1*H*)-quinoxalinone	190–195, NMR	1104
6-Chloro-2(1*H*)-quinoxalinone 4-oxide	>300, IR, MS	(*E* 56) 391, 413
2-Chloro-2-styrylquinoxaline	128–130 or 236–138, IR, MS, NMR, UV	211, 996
6-Chloro-2,3,7-trimethyl-5-nitroquinoxaline	141–142, MS, NMR	470
6-Chloro-2,3,7-trimethyl-8-nitroquinoxaline	158–159, MS, NMR	470
6-Chloro-2,3,8-trimethyl-5-nitroquinoxaline	156–157, MS, NMR	470
2-Chloro-3,6,7-trimethylquinoxaline	—	(*E* 173)
6-Chloro-2,3,7-trimethylquinoxaline	—	(*E* 225)
6-Chloro-2,3,7-trimethylquinoxaline 1,4-dioxide	—	(*E* 68)
3-Chloro-1,6,7-trimethyl-2(1*H*)-quinoxalinone	NMR	713
6-Chloro-2-trimethylsilylethynylquinoxaline	118–120, MS	564
2-Crotonylquinoxaline	—	(*E* 134)
3-(1-Cyanoethyl)-1-methyl-2(1*H*)-quinoxalinone	147–149, NMR	76
3-(1-Cyanoethyl)-2(1*H*)-quinoxalinone	263, MS, st	79, 82, 763
2-Cyano-7-methoxy-3-phenyl-5,8-quinoxalinequinone	250–253, IR, NMR	486
3-Cyanomethylene-1,4-dimethyl-3,4-dihydro-2(1*H*)-quinoxalinone	216–218, MS	76, 763
3-(1-Cyano-1-methylethyl)-1-methyl-2(1*H*)-quinoxalinone	142–144, NMR	76
2-Cyanomethyl-3-methylquinoxaline	—	(*H* 279; *E* 224)
3-Cyanomethyl-1-methyl-2(1*H*)-quinoxalinone	MS	763
3-Cyanomethyl-6/7-nitro-2(1*H*)-quinoxalinone	219	79
2-Cyanomethylquinoxaline	116–117, IR, NMR	(*H* 274; *E* 222) 866
3-Cyanomethyl-2(1*H*)-quinoxalinone	296–300, MS, st	(*E* 99) 76, 82, 763, 989
3-(3-Cyanopropyl)-2(1*H*)-quinoxalinone	—	(*E* 101)
3-(2-Cyanovinyl)-2-quinoxalinecarbaldehyde	128–129, IR, NMR, UV	113, 987
2-(Cyclohex-2-enyl)quinoxaline	liq, MS, NMR	525
2-Cyclohexylamino-6-methyl-3-phenylquinoxaline	crude, IR, MS	207

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Cyclohexylamino-3-phenylquinoxaline	liq, fl sp, IR, MS, NMR, UV; pic: 191–193	207
2-Cyclohexylaminoquinoxaline	125–126, IR, NMR	867
2-Cyclohexylquinoxaline (?)	49, MS, NMR (but anal incorrect)	32, 517, 890
6-Cyclohexylquinoxaline (?)	37, MS, NMR (but anal incorrect)	517
3-Cyclohexyl-2(1*H*)-quinoxalinone	—	(*E* 102)
2-Cyclohexylthioquinoxaline	—	(*E* 119)
2-Cyclopentylmethylquinoxaline	liq (?), UR, MS	109
2-Cyclopentyl-3-methyquinoxaline 1,4-dioxide	—	(*E* 70)
3-Cyclopentyl-2(1*H*)-quinoxalinone	—	(*E* 101)
5,8-Diacetamido-2,3-diphenylquinoxaline	242–243	955
2,7-Diacetamido-6-methylquinoxaline	—	(*E* 188)
2,7-Diacetamidoquinoxaline	—	(*E* 187, 256)
5,6-Diacetamidoquinoxaline	—	(*E* 24)
6,7-Diacetamidoquinoxaline	—	(*E* 24)
5,8-Diacetoxy-2,3-dichloroquinoxaline	—	(*E* 174)
6,7-Diacetoxy-2,3-dichloroquinoxaline	—	(*E* 174)
5,8-Diacetoxy-6-methoxyquinoxaline	197, NMR	882
5,6-Diacetoxyquinoxaline	—	(*E* 22)
5,7-Diacetoxyquinoxaline	—	(*E* 22)
5,8-Diacetoxyquinoxaline	—	(*E* 22)
6,7-Diacetoxyquinoxaline	—	(*E* 22)
5,8-Diallyloxyquinoxaline	—	(*E* 25)
2,3-Diallylquinoxaline	unstable, NMR	637
6,7-Diallyl-5,8-(1*H*, 4*H*)-quinoxalinedione	—	(*E* 25)
5,7-Diamino-3-ethoxycarbonylmethyl-2(1*H*)-quinoxalinone	—	(*E* 100)
3,6-Diamino-7-methyl-2-quinoxalinecarboxamide	—	(*E* 155)
3,6-Diamino-7-methyl-2-quinoxalinecarboxylic acid	—	(*E* 155)
5,7-Diamino-3-methyl-2(1*H*)-quinoxalinone	—	(*E* 99)
3,6-Diamino-2-quinoxalinecarbonitrile 1,4-dioxide	>300	726
3,6-Diamino-2-quinoxalinecarboxamide	—	(*E* 153)
3,6-Diamino-2-quinoxalinecarboxylic acid	—	(*E* 153)
1,4-Diamino-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 269
6,7-Diamino-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 105)
6,7-Diamino-5,8-quinoxalinequinone	>280, IR	738
2,3-Dianilino-6-nitroquinoxaline	—	369
2,3-Dianilino-6-quinoxalinamine	84–86, fl sp, IR, NMR, UV	19
2,3-Dianilinoquinoxaline	143–144, IR, NMR, UV	(*H* 268; *E* 191) 630
2,3-Diazido-6-chloroquinoxaline	225	442
2,6-Diazido-7-methoxy-3-phenyl-5,8-quinoxalinequinone	crude, IR, NMR	486
2,3-Diazido-6-methylquinoxaline	218	442
2,3-Diazido-6-nitroquinoxaline	204	442
2,3-Diazidoquinoxaline	262	442

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6,7-Diazido-5,8-quinoxalinequinone	>280, IR	738
3-Diazomethyl-2(1*H*)-quinoxalinone	134–135	833
2,3-Dibenzoylquinoxaline	—	(*E* 136)
2,3-Dibenzylquinoxaline	—	(*E* 228)
6,7-Dibromo-2,3-dichloroquinoxaline	—	(*E* 174)
6,7-Dibromo-5,8-dimethoxyquinoxaline	—	(*E* 24)
6,7-Dibromo-5,8-dimethoxyquinoxaline 1,4-dioxide	—	(*E* 65)
6,7-Dibromo-1,4-dimethyl-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 104)
6,7-Dibromo-2-hydroxyaminoquinoxaline	247, IR, NMR	850
6,8-Dibromo-1-hydroxy-5(1*H*)-quinoxalinone 4-oxide	—	(*E* 63)
6,7-Dibromo-5-nitro-2,3(1*H*, 4*H*)-quinoxalinedione	352–354, NMR	1045
2,3-Dibromoquinoxaline	MS	(*H* 261; *E* 174) 939
2,6-Dibromoquinoxaline	solid, anal, IR, NMR	108
5,8-Dibromoquinoxaline	226–228, IR, NMR	108
5,7-Dibromo-2,3(1*H*, 4*H*)-quinoxalinedione	356–358, NMR	1045
6,7-Dibromo-2,3(1*H*, 4*H*)-quinoxalinedione	335, NMR	(*E* 104) 1045
2,3-Dibromo-5,6,7,8-tetrafluoroquinoxaline	96, IR, MS, NMR	559
5,8-Dibutoxy-2,3-dichloroquinoxaline	—	(*E* 175)
6,7-Dibutoxy-2,3-dichloroquinoxaline	—	(*E* 175)
2,3-Dibutoxyquinoxaline	—	(*E* 204)
6,7-Dibutoxyquinoxaline	—	(*E* 25)
6,7-Dibutoxy-2,3-quinoxalinedicarboxylic acid	—	(*E* 158)
5,8-Dibutoxy-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 105)
6,7-Dibutoxy-2,3(1*H*, 4*H*)-quinoxalinedione	302–304	(*E* 105) 681
Dibutyl 5,8-diethoxy-2,3-quinoxalinedicarboxylate	—	(*E* 158)
2,3-Di-*tert*-butylquinoxaline	—	(*E* 228)
6,7-Dichloro-2,3-bis(iodomethyl)quinoxaline	—	(*E* 223)
6,7-Dichloro-2-(diethoxyphosphinylmethyl)-3-methylquinoxaline	193–194, NMR	576
2,3-Dichloro-5,8-diethoxyquinoxaline	—	(*E* 175)
2,3-Dichloro-6,7-difluoroquinoxaline	163–164	716
6,7-Dichloro-2,3-dimethoxy-5-methylquinoxaline	anal, NMR	1039
6,7-Dichloro-2,3-dimethoxy-5-quinoxalinamine	crude, NMR	1039
2,3-Dichloro-5,8-dimethoxyquinoxaline	—	(*E* 175)
2,3-Dichloro-6,7-dimethoxyquinoxaline	—	(*E* 175)
6,7-Dichloro-2,3-dimethoxy-5-quinoxalinecarbaldehyde	solid, NMR	1039
6,7-Dichloro-2,3-dimethoxy-5-vinylquinoxaline	solid, NMR	1039
2,6-Dichloro-3-dimethylaminoquinoxaline	78–79, IR, NMR, UV	243
5,8-Dichloro-2,3-dimethylquinoxaline	—	(*E* 224)
6,7-Dichloro-2,3-dimethylquinoxaline	177–179, IR, NMR	(*E* 224, 255) 46
6,7-Dichloro-1,4-dimethyl-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*E* 104)
6,7-Dichloro-2,3-dimethylquinoxaline 1,4-dioxide	231–232, IR, NMR	703
6,7-Dichloro-2,3-dimethylquinoxaline 1-oxide	—	(*E* 58)
2,3-Dichloro-5,7-dinitroquinoxaline	—	(*E* 174)
2,3-Dichloro-6,7-dinitroquinoxaline	216–218	(*E* 174) 438, 1030p

Quinoxaline	Melting Point (°C) etc.	Reference(s)
5,6-Dichloro-2,3-diphenylquinoxaline	—	(*E* 238)
5,7-Dichloro-2,3-diphenylquinoxaline	—	(*E* 238)
5,8-Dichloro-2,3-diphenylquinoxaline	—	(*H* 222)
6,7-Dichloro-2,3-distyrylquinoxaline	—	(*E* 224)
5,8-Dichloro-3-ethoxycarbonylmethyl-2(1*H*)-quinoxalinone	217	79
5,8-Dichloro-6-ethoxy-7-methylquinoxaline	—	(*E* 24)
2,3-Dichloro-6-fluoro-7-nitroquinoxaline	crude, solid, NMR	734
2,3-Dichloro-6-fluoroquinoxaline	148–152	716
2,6-Dichloro-3-hydrazinoquinoxaline	>250	716
2,8-Dichloro-3-hydrazinoquinoxaline	153	716
6,7-Dichloro-5-(1-hydroxypropyl)-2,3-dimethoxyquinoxaline	solid, NMR	1039
5,7-Dichloro-2-hydroxyaminoquinoxaline	213–215, NMR	562
5,7-Dichloro-3-hydroxyaminoquinoxaline	190, NMR	562
6,8-Dichloro-1-hydroxy-5(1*H*)-quinoxalinone 4-oxide	—	(*E* 63)
6,7-Dichloro-2-(2-methoxycarbonyloxyethyl)-3-methylquinoxaline 1,4-dioxide	175–177, IR, NMR	244
5,8-Dichloro-6-methoxy-7-methylquinoxaline	—	(*E* 24)
6,7-Dichloro-3-methoxy-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 105)
2,3-Dichloro-6-methoxy-5-nitroquinoxaline	175	438
2,3-Dichloro-5-methoxyquinoxaline	—	(*E* 174)
2,3-Dichloro-6-methoxyquinoxaline	158–161	(*H* 260; *E* 174) 716
2,6-Dichloro-3-methoxyquinoxaline	92–95	716
2,7-Dichloro-8-methoxyquinoxaline	136–138, NMR	1104
2,8-Dichloro-7-methoxyquinoxaline	164–167, NMR	1104
6,8-Dichloro-3-methylamino-2(1*H*)-quinoxalinone	HCl: 262–265, NMR	562
6,7-Dichloro-2-methyl-3-methyliminomethylquinoxaline 1,4,*N*-trioxide	174–175	703
6,7-Dichloro-2-methyl-3-methylsulfinylquinoxaline 1,4-dioxide	202–203, IR, NMR	483
6,7-Dichloro-2-methyl-3-methylsulfonylquinoxaline 1,4-dioxide	195–196, IR, NMR	483
6,7-Dichloro-2-methyl-3-methylthioquinoxaline 1,4-dioxide	184–185, IR, NMR	483
2,3-Dichloro-6-methyl-7-nitroquinoxaline	140	438
5,8-Dichloro-6-methyl-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 105)
6,7-Dichloro-2-methyl-3-phenylquinoxaline	157–158, NMR	420
6,7-Dichloro-2-methyl-3-phenylquinoxaline 1,4-dioxide	215–218, NMR	420
6,7-Dichloro-2-methyl-3-phenylsulfinylquinoxaline 1,4-dioxide	161–162, IR, NMR	483
6,7-Dichloro-2-methyl-3-phenylsulfonylquinoxaline 1,4-dioxide	172–173, IR, NMR	483
6,7-Dichloro-2-methyl-3-phenylthioquinoxaline 1,4-dioxide	181–182, IR, NMR	483
6,7-Dichloro-3-methyl-2-quinoxalinamine 1,4-dioxide	235–236, IR, NMR	483

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2,3-Dichloro-6-methylquinoxaline	109–110 or 112, NMR	(*H* 260; *E* 174) 48, 438
2,6-Dichloro-3-methylquinoxaline	128–129, IR, MS	413
5,7-Dichloro-6-methylquinoxaline	—	(*E* 23)
5,8-Dichloro-6-methylquinoxaline	—	(*E* 23)
2,3-Dichloro-7-methyl-6-quinoxalinecarbonyl chloride	—	(*E* 175)
6,7-Dichloro-5-methyl-2,3(1*H*,4*H*)-quinoxalinedione	crude, NMR	1039
2,6-Dichloro-3-methylquinoxaline 1,4-dioxide	151–153, IR, NMR, UV	1086
2,7-Dichloro-3-methylquinoxaline 1,4-dioxide	178–179, IR, NMR, UV	1086
2,3-Dichloro-7-methyl-6-quinoxalinesulfonyl chloride	—	(*E* 174)
3,6-Dichloro-1-methyl-2(1*H*)-quinoxalinone	159–160, IR, MS, NMR	418
3,7-Dichloro-1-methyl-2(1*H*)-quinoxalinone	248–249, IR, NMR	542
6,7-Dichloro-3-methyl-2(1*H*)-quinoxalinone	>280	23, 686, 1010
6,7-Dichloro-2-methyl-3-styrylquinoxaline	—	(*E* 224)
2,3-Dichloro-5-nitroquinoxaline	—	(*E* 174)
2,3-Dichloro-6-nitroquinoxaline	147–149 or 154	(*H* 260; *E* 174) 279, 438, 468
6,7-Dichloro-5-nitro-2,3(1*H*,4*H*)-quinoxalinedione	338–341 or 342–344, biol, NMR	191, 733, 1045
6,7-Dichloro-5-nitro-2(1*H*)-quinoxalinone	331–333, MS, NMR	191
6,7-Dichloro-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 152)
6,7-Dichloro-3-phenyl-2-quinoxalinamine	214–215	687
2,7-Dichloro-3-phenylquinoxaline	—	(*E* 173)
6,7-Dichloro-2-phenylquinoxaline	152–154 or 155, NMR	551, 728
6,7-Dichloro-2-phenylquinoxaline 1,4-dioxide	—	(*E* 70)
6,7-Dichloro-3-phenyl-2(1*H*)-quinoxalinone	—	(*E* 101)
6,7-Dichloro-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 60)
2,3-Dichloro-6-quinoxalinamine	—	251
3,6-Dichloro-2-quinoxalinamine	138–140, IR, NMR	468
3,6/3,7-Dichloro-2-quinoxalinamine	—	(*E* 172)
3,7-Dichloro-2-quinoxalinamine	—	(*H* 258)
6,7-Dichloro-2-quinoxalinamine	220–222	1044
2,3-Dichloroquinoxaline	145 to 152, IR, MS, NMR, UV	(*H* 260; *E* 174) 21, 48, 243, 263, 341, 438, 468, 631, 917, 939
2,5-Dichloroquinoxaline	131–134, NMR	1104
2,6-Dichloroquinoxaline	154–156, MS, NMR	(*H* 258; *E* 171) 21, 387, 418, 820, 947
2,7-Dichloroquinoxaline	NMR	(*H* 258; *E* 171) 1104
2,8-Dichloroquinoxaline	120–122, NMR	1104
5,6-Dichloroquinoxaline	—	(*E* 22)
5,7-Dichloroquinoxaline	—	(*E* 22)
5,8-Dichloroquinoxaline	—	(*E* 22)
6,7-Dichloroquinoxaline	209–211, MS, NMR	(*E* 22) 368, 561

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6,7-Dichloro-2-quinoxalinecarbonitrile 1,4-dioxide	—	1012
2,3-Dichloro-5-quinoxalinecarbonyl chloride	—	(*E* 174)
2,3-Dichloro-6-quinoxalinecarbonyl chloride	—	(*E* 174)
6,7-Dichloro-2,3-quinoxalinedicarbaldehyde	—	(*E* 127)
2,3-Dichloro-5,8(1*H*,4*H*)-quinoxalinedione	—	(*E* 174)
2,3-Dichloro-6,7(1*H*,4*H*)-quinoxalinedione	—	(*E* 174)
5,7-Dichloro-2,3(1*H*,4*H*)-quinoxalinedione	326–328 or 337–340, NMR; Me_2NCHO: xl st	(*E* 104) 45, 718, 1045
5,8-Dichloro-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 104)
6,7-Dichloro-2,3(1*H*,4*H*)-quinoxalinedione	>270 to >400, NMR, xl st	(*E* 104) 45, 697, 716, 1045
6,7-Dichloroquinoxaline 1,4-dioxide	—	(*E* 63)
6,7-Dichloro-2,3(1*H*,4*H*)-quinoxalinedithione	—	(*E* 120)
2,3-Dichloroquinoxaline 1-oxide	138–139	150, 1030g
2,6-Dichloroquinoxaline 1-oxide	185–186, IR, NMR	489
2,6-Dichloroquinoxaline 4-oxide	176–178, IR, NMR	349, 461, 464, 1030h
2,3-Dichloro-5,8-quinoxalinequinone	202–204 or 204–206, IR	365, 441
6,7-Dichloro-5,8-quinoxalinequinone	171–175 or 251–254, IR, MS, NMR	620, 715
2,3-Dichloro-6-quinoxalinesulfonyl chloride	—	(*E* 174)
2,3-Dichloro-5(1*H*)-quinoxalinone	—	(*E* 174)
6,7-Dichloro-2(1*H*)-quinoxalinone	297 or 300, NMR	(*E* 97) 191, 697
6,7-Dichloro-3-styryl-2(1*H*)-quinoxalinone	>285	23, 686
2,3-Dichloro-5,6,7,8-tetrafluoroquinoxaline	—	(*E* 174)
2,3-Dicyclohexylquinoxaline	—	32, 890
5,8-Diethoxy-2,3-dimethylquinoxaline	—	(*E* 227)
5,8-Diethoxy-2,3-diphenylquinoxaline	—	(*E* 238)
5,8-Diethoxy-3-ethoxycarbonyl-2-quinoxalinecarboxylic acid	—	(*E* 158)
5,8-Diethoxy-3-isopropoxycarbonyl-2-quinoxalinecarboxylic acid	—	(*E* 158)
5,8-Diethoxy-3-methoxycarbonyl-2-quinoxalinecarboxylic acid	—	(*E* 158)
5,8-Diethoxy-6-methoxy-2,3,7-trimethylquinoxaline	64–65, MS, NMR	611
2-(Diethoxyphosphinylmethyl)-3-methylquinoxaline	86–87, NMR	576
2-(Diethoxyphosphinylmethyl)-3,6,7-trimethylquinoxaline	75, NMR	576
5,8-Diethoxy-3-propoxycarbonyl-2-quinoxalinecarboxylic acid	—	(*E* 158)
2,3-Diethoxyquinoxaline	—	(*H* 271; *E* 203)
5,8-Diethoxyquinoxaline	—	(*E* 25)
5,8-Diethoxy-2,3-quinoxalinedicarboxylic acid	—	(*E* 158)
5,8-Diethoxy-2,3-quinoxalinedicarboxylic anhydride	—	(*E* 158)
5,8-Diethoxy-2,3(1*H*,4*H*)-quinoxalinedione	340–342, MS, NMR	(*E* 105) 681
1-(2-Diethylaminoethyl)-3-phenyl-2(1*H*)-quinoxalinone	—	(*E* 102)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-(2-Diethylaminoethyl)quinoxaline	168–172/9	(*E* 223) 1030r
2-Diethylamino-3-ethylquinoxaline 1,4-dioxide	—	(*E* 70)
2-Diethylamino-3-methylquinoxaline 1,4-dioxide	129–130	1030d
2-Diethylaminoquinoxaline	—	(*E* 188)
2-(2-Diethylaminovinyl)-6/7-methylquinoxaline 1,4-dioxide	174–178, IR, MS, NMR	634
2-(2-Diethylaminovinyl)quinoxaline 1,4-dioxide	173–175, IR, MS, NMR	92, 634
3-[(*N*,*N*-Diethylcarbamoyl)methylene]-1-methyl-3,4-dihydro-2(1*H*)-quinoxalinethione	178–183, IR, MS (stable tautomer)	65
3-[*N*,*N*-Diethylcarbamoyl)methyl]-1-methyl-2(1*H*)-quinoxalinethione	122–125, IR (stable tautomer)	65
Diethyl 6-chloro-2,3-quinoxalinedicarboxylate	—	(*H* 255)
Diethyl 5,8-diacetoxy-6,7-quinoxalinedicarboxylate	—	(*E* 24)
Diethyl 6,7-dibutoxy-2,3-quinoxalinedicarboxylate	—	(*E* 158)
Diethyl 5,8-diethoxy-2,3-quinoxalinedicarboxylate	—	(*E* 158)
Diethyl 5,8-dimethoxy-2,3-quinoxalinedicarboxylate	—	(*E* 158)
Diethyl 6,7-dimethoxy-2,3-quinoxalinedicarboxylate	—	(*E* 158)
Diethyl 5,8-dioxo-1,4,5,8-tetrahydro-6,7-quinoxalinedicarboxylate	—	(*E* 24)
Diethyl 5,8-dipropoxy-2,3-quinoxalinedicarboxylate	—	(*E* 158)
N,*N*-Diethyl-3-hydroxymethyl-2-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 65)
N,*N*-Diethyl-3-isopropyl-2-quinoxalinecarboxamide	liq, IR, NMR	114
N,*N*-Diethyl-3-methyl-2-quinoxalinecarboxamide	49–51, IR, NMR	114
N,*N*-Diethyl-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide	162–164, NMR	(*E* 65) 228
Diethyl 6-nitro-2,3-quinoxalinedicarboxylate	—	(*E* 157)
N,*N*-Diethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide	IR	692
N,*N*-Diethyl-3-phenyl-2-quinoxalinecarboxamide	131–133, IR, NMR	114
N,*N*-Diethyl-3-propyl-2-quinoxalinecarboxamide	liq, IR, NMR	114
2,3-Diethylquinoxaline	49–50 or 60–61, MS, NMR	(*H* 209; *E* 226) 644, 856
Diethyl 2,3-quinoxalinedicarboxylate	—	(*H* 255; *E* 157)
Diethyl 2,3-quinoxalinedicarboxylate 1,4-dioxide	—	(*E* 64)
Diethyl 2,3-quinoxalinedicarboxylate 1-oxide	—	(*E* 58)
2,3-Diethylquinoxaline 1,4-dioxide	—	(*E* 69)
Diethyl 5,6,7,8-tetrachloro-2,3-quinoxalinedicarboxylate	—	(*E* 157)
2,3-Diethynylquinoxaline	140 or 152, IR, MS, NMR, UV	179, 656
2,3-Difluoro-6,7-dimethylquinoxaline	150–151, NMR	561
6,7-Difluoro-2-methyl-3-phenylsulfonylquinoxaline 1,4-dioxide	179–180, IR, NMR, UV	1086

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6,7-Difluoro-2-methyl-3-phenylthioquinoxaline 1,4-dioxide	186–187, IR, NMR, UV	1086
2,3-Difluoro-6-methylquinoxaline	81–82, NMR	561
6,7-Difluoro-5-nitro-2,3(1*H*,4*H*)-quinoxalinedione	288–290, NMR	1045
2,3-Difluoroquinoxaline	89–90 or 94–95, IR, MS, NMR	(*E* 174) 377, 561
2,6-Difluoroquinoxaline	72–74, IR, MS, NMR	377
6,7-Difluoro-2-quinoxalinecarbonitrile 1,4-dioxide	—	1012
6,7-Difluoro-2,3(1*H*,4*H*)-quinoxalinedione	>310 or >360, NMR	716, 1045
2,3-Dihydrazinoquinoxaline	240, IR, NMR, UV	362, 962
5,8-Dihydroxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 153)
2-Dihydroxyphosphinylmethyl-6,7-dimethyl-3-phenylquinoxaline	168	728
1,4-Dihydroxy-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 63)
1,4-Dihydroxy-5,8(1*H*,4*H*)-quinoxalinedione	—	(*E* 63)
5,8-Diiodo-2,3-dimethylquinoxaline	123–125, IR, MS, NMR	172
6,7-Diiodo-2,3-dimethyl-5,8(1*H*,4*H*)-quinoxalinedione	95	693
5,8-Diiodo-2,3-dipropylquinoxaline	220–221, IR, MS, NMR	172
5,8-Diiodoquinoxaline	234–235, IR, NMR	172
2,3-Diisobutoxyquinoxaline	—	(*E* 204)
2,3-Diisopropoxyquinoxaline	—	(*E* 203) 32
2,3-Diisopropylquinoxaline	—	(*E* 227)
2,3-Dimercapto-5,8(1*H*,4*H*)-quinoxalinedione	—	(*E* 120)
2,3-Dimercapto-6,7(1*H*,4*H*)-quinoxalinedione	—	(*E* 120)
2,3-Dimethoxy-6,*N*-dimethyl-7-nitro-5-quinoxalinecarboxamide	205–206, NMR	506
2,3-Dimethoxy-6,7-dimethylquinoxaline	139–140 or 140–144, IR, NMR	46, 718
5,6-Dimethoxy-2,3-dimethylquinoxaline	—	(*E* 226)
5,8-Dimethoxy-2,3-dimethylquinoxaline	—	(*E* 226)
6,7-Dimethoxy-2,3-dimethylquinoxaline	—	(*E* 226, 256)
6,7-Dimethoxy-2,3-dimethylquinoxaline 1,4-dioxide	—	(*E* 69)
6,7-Dimethoxy-1,3-dimethyl-2(1*H*)-quinoxalinone	169–170 or 170–171, IR, NMR	653, 942
5,6-Dimethoxy-2,3-diphenylquinoxaline	—	(*H* 222)
6,7-Dimethoxy-2,3-diphenylquinoxaline	—	(*H* 222)
6,7-Dimethoxy-3-(2-methoxycarbonylethyl)-1-methyl-2(1*H*)-quinoxalinone	178–179, NMR	351
2,3-Dimethoxy-6-methyl-7-nitro-5-quinoxalinecarbonyl chloride	162–164, NMR	506
2,3-Dimethoxy-6-methyl-7-nitro-5-quinoxalinecarboxylic acid	258–260, NMR	506
6,7-Dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarbohydrazide	276–278, NMR	351

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6,7-Dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarbonyl azide	272, IR, MS	934
6,7-Dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarbonyl chloride	258–261	396, 653, 943
6,7-Dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide	—	(*E* 156)
6,7-Dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	222 or 233–235	(*E* 156) 396, 653, 940
2,3-Dimethoxy-6-methylquinoxaline	—	(*E* 271)
6,7-Dimethoxy-2-methylquinoxaline	—	333
5,8-Dimethoxy-1-methyl-2,3(1*H*,4*H*)-quinoxalinedione	202–203, IR, MS, NMR	553
5,8-Dimethoxy-3-methyl-2(1*H*)-quinoxalinone	236–237, IR, NMR	690
6,7-Dimethoxy-3-methyl-2(1*H*)-quinoxalinone	255, fl sp, IR, MS, NMR, UV	592
2,3-Dimethoxy-6-nitroquinoxaline	—	(*E* 203)
5,7-Dimethoxy-8-nitro-3-phenylquinoxaline	267–270, IR, NMR	486
5,7-Dimethoxy-8-nitro-3-phenyl-2-quinoxalinecarbonitrile	262–264, IR, NMR	486
5,7-Dimethoxy-8-nitro-3-phenyl-2(1*H*)-quinoxalinone	300, IR, NMR	486
6,7-Dimethoxy-3-oxo-3,4-dihydro-2-quinoxalinecarbohydrazide	—	(*E* 155)
6,7-Dimethoxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide	—	(*E* 155)
5,8-Dimethoxy-3-oxo-3,4-dihydro-2-quinozalinecarboxylic acid	—	(*E* 155)
6,7-Dimethoxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	268	(*E* 155) 943
5,7-Dimethoxy-3-phenyl-2-piperidinoquinoxaline	145–146, IR, NMR	486
5,7-Dimethoxy-3-phenylquinoxaline	138–140, IR, NMR	486
6,7-Dimethoxy-2-phenylquinoxaline	134, MS, NMR	728
5,7-Dimethoxy-3-phenyl-2-quinoxalinecarbonitrile	227–228, IR, NMR	486
5,7-Dimethoxy-3-phenyl-2-quinoxalinecarboxamide	273–275, IR, NMR	486
2,7-Dimethoxy-3-phenyl-5,8-quinoxalinequinone	223–226, IR, NMR	486
5,7-Dimethoxy-3-phenyl-2(1*H*)-quinoxalinone	256–258, IR, NMR, UV	486
2-Dimethoxyphosphinylmethyl-6,7-dimethyl-3-phenylquinoxaline	liq, NMR	728
6,7-Dimethoxy-3-propyl-2(1*H*)-quinoxalinone	234, fl sp, IR, MS, NMR, UV	592
2,3-Dimethoxy-5-quinoxalinamine	—	(*E* 203)
2,3-Dimethoxy-6-quinoxalinamine	121–123, fl sp, IR, NMR, UV	(*E* 203) 19
5,8-Dimethoxy-6-quinoxalinamine	—	(*E* 24)
6,7-Dimethoxy-2-quinoxalinamine	—	(*E* 188)
6,7-Dimethoxy-5-quinoxalinamine	—	(*H* 231)
2,3-Dimethoxyquinoxaline	93, dip, NMR	(*H* 271; *E* 203) 923, 929
5,6-Dimethoxyquinoxaline	—	(*E* 24)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
5,7-Dimethoxyquinoxaline	—	(*E* 24)
5,8-Dimethoxyquinoxaline	147–149, IR, MS, NMR	(*E* 24) 267, 715
6,7-Dimethoxyquinoxaline	—	(*H* 230; *E* 24)
6,7-Dimethoxy-2-quinoxalinecarboxamide	—	(*E* 155)
6,7-Dimethoxy-2-quinoxalinecarboxylic acid	—	(*E* 155)
5,8-Dimethoxy-2,3-quinoxalinedicarbonitrile	281–282, IR, MS, NMR	553
5,8-Dimethoxy-2,3-quinoxalinedicarboxylic acid	—	(*E* 158)
6,7-Dimethoxy-2,3-quinoxalinedicarboxylic acid	—	(*E* 158)
5,8-Dimethoxy-2,3-quinoxalinedicarboxylic anhydride	—	(*E* 158)
5,8-Dimethoxy-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 105)
6,7-Dimethoxy-2,3(1*H*,4*H*)-quinoxalinedione	345–346	(*E* 106) 681
5,6-Dimethoxyquinoxaline 1,4-dioxide	—	(*E* 66)
6,7-Dimethoxyquinoxaline 1,4-dioxide	—	(*E* 66)
5,8-Dimethoxy-2,3(1*H*,4*H*)-quinoxalinedithione	—	(*E* 121)
6,7-Dimethoxy-2,3(1*H*,4*H*)-quinoxalinedithione	—	(*E* 121)
2,3-Dimethoxyquinoxaline 1-oxide	—	(*E* 59)
5,6-Dimethoxyquinoxaline 1-oxide	—	(*E* 59)
2,3-Dimethoxy-5,8-quinoxalinequinone	224–225, IR, MS, NMR	849
5,8-Dimethoxy-2(1*H*)-quinoxalinone	—	(*E* 100)
2,3-Dimethoxy-6,*N*,*N*-trimethyl-7-nitro-5-quinoxalinecarboxamide	138–141, NMR	506
6-Dimethylamino-2,3-dimethylquinoxaline	142–144, MS, NMR	8
6-Dimethylamino-1,3-dimethyl-2(1*H*)-quinoxalinone	141, IR, NMR, UV	72
7-Dimethylamino-1,3-dimethyl-2(1*H*)-quinoxalinone	170, IR, NMR, UV	72
6-Dimethylamino-2,3-diphenylquinoxaline	—	(*H* 289)
1-(2-Dimethylaminoethyl)-6-methoxy-3-methyl-2(1*H*)-quinoxalinone	—	323
1-(2-Dimethylaminoethyl)-3-methyl-2(1*H*)-quinoxalinone	—	323
5-Dimethylamino-8-methoxy-2,3-diphenylquinoxaline	—	(*H* 222)
2-Dimethylaminomethyl-6,7-dimethyl-3-phenylquinoxaline	67, NMR	728
2-Dimethylamino-3-methylquinoxaline	177–179, NMR	484
2-Dimethylamino-3-methylquinoxaline 1,4-dioxide	—	(*E* 68)
6-Dimethylamino-3-methyl-2(1*H*)-quinoxalinone	258, IR, MS, NMR, UV	72
7-Dimethylamino-3-methyl-2(1*H*)-quinoxalinone	260, IR, MS, NMR, UV	72
2-Dimethylamino-3-phenylquinoxaline 4-oxide	178, NMR	579
1-(3-Dimethylaminopropyl)-6-methoxy-3-methyl-2(1*H*)-quinoxalinone	—	323
1-(3-Dimethylaminopropyl)-3-methyl-2(1*H*)-quinoxalinone	—	323

Quinoxaline	Melting Point (°C) etc.	Reference(s)
3-(3-Dimethylaminopropyl)-2(1*H*)-quinoxalinone	—	(*E* 101)
2-Dimethylaminoquinoxaline	—	(*E* 188, 255)
3-Dimethylamino-2-quinoxalinecarbonitrile	117–118, IR	752
3-Dimethylamino-2-quinoxalinecarboxamide	crude, IR	752
2-Dimethylamino-3-thiocyanatoquinoxaline	219–220, NMR	865
2-(2-Dimethylaminovinyl)-6/7-methylquinoxaline	178–180, IR, MS, NMR	634
2-(2-Dimethylaminovinyl)quinoxaline	crude, solid, NMR	487
2-(2-Dimethylaminovinyl)quinoxaline 1,4-dioxide	255–257, IR, MS, NMR	92, 634
2-(2-Dimethylbut-2-enyl)quinoxaline	liq, MS, NMR	525
2-(3,3-Dimethylbut-1-ynyl)quinoxaline	liq, MS	564
3-(*N,N*-Dimethylcarbamoyl)methyl-1-methyl-2(1*H*)-quinoxalinone	MS	763
Dimethyl 5,8-diethoxy-2,3-quinoxalinedicarboxylate	—	(*E* 158)
Dimethyl 2,3-dimethyl-5,6-quinoxalinedicarboxylate	—	(*E* 226)
Dimethyl 2,3-dimethyl-6,7-quinoxalinedicarboxylate	—	(*E* 226)
Dimethyl 6,7-dimethyl-2,3-quinoxalinedicarboxylate	—	(*E* 158)
2,3-Dimethyl-6,7-dinitroquinoxaline	—	(*E* 224)
1,4-Dimethyl-6,7-dinitro-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 104)
2,3-Dimethyl-6,7-dinitrosoquinoxaline	—	(*E* 224)
Dimethyl 2,3-dioxo-1,2,3,4-tetrahydro-5,6-quinoxalinedicarboxylate		(*E* 105)
Dimethyl 2,3-dioxo-1,2,3,4-tetrahydro-6,7-quinoxalinedicarboxylate	—	(*E* 105)
Dimethyl 5,8-dioxo-1,4,5,8-tetrahydro-6,7-quinoxalinedicarboxylate	—	(*E* 24)
6,7-Dimethyl-2,3-diphenylquinoxaline	151–154, IR, MS, NMR, UV	578
6,7-Dimethyl-2,3-diphenylquinoxaline	xl st	43
6,7-Dimethyl-2,3-diphenylquinoxaline 1-oxide	244–245, IR, MS, NMR	583
2,3-Dimethyl-6-methylamino-5-nitroquinoxaline	190–191, MS, NMR	8, 37, 256
2,5-Dimethyl-7-methylamino-8-nitroquinoxaline	179–181, MS, NMR	9, 37
2,6-Dimethyl-7-methylamino-8-nitroquinoxaline	157–158, MS, NMR	6
2,7-Dimethyl-6-methylamino-5-nitroquinoxaline	206–207, MS, NMR	6, 37
2,8-Dimethyl-6-methylamino-5-nitroquinoxaline	213–216, MS, NMR	9, 37
5,6-Dimethyl-7-methylamino-8-nitroquinoxaline	161–162, MS, NMR	37
2,3-Dimethyl-6-methylaminoquinoxaline	122–123, MS, NMR	8, 256
2,5-Dimethyl-7-methylaminoquinoxaline	135–141, MS, NMR	9
2,6-Dimethyl-7-methylaminoquinoxaline	liq (?), IR, NMR	640
2,8-Dimethyl-7-methylaminoquinoxaline	117–118	599
1,3-Dimethyl-2-methylene-6-nitro-1,2-dihydroquinoxaline	192–195, UV	63
3,6-Dimethyl-2-methylene-1-phenyl-1,2-dihydroquinoxaline	83–85, NMR	63

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2,6-Dimethyl-3-methyliminomethylquinoxaline 1,4,*N*-trioxide	180	703
2,3-Dimethyl-6-methylsulfonylquinoxaline	1-$PhClO_4$: 204–206, NMR	63
2,3-Dimethyl-6-methylthioquinoxaline	—	(*E* 225)
2,3-Dimethyl-8-morpholino-5,6-quinoxalinequinone	187–188, IR	956
2,3-Dimethyl-6-nitro-5-quinoxalinamine	193–194, IR, MS, NMR	701
2,3-Dimethyl-5-nitroquinoxaline	MS	(*E* 224) 290
2,3-Dimethyl-6-nitroquinoxaline	134–136, MS, NMR; 1-$MeClO_4$: 210–215, NMR; 1-$PhClO_4$: >350, NMR	(*E* 224) 63, 161, 162, 256, 290, 575
2,6-Dimethyl-7-nitroquinoxaline	134–136, IR, NMR	640
1,4-Dimethyl-6-nitro-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 105)
2,3-Dimethyl-6-nitroquinoxaline 1,4-dioxide	—	(*E* 65)
2,3-Dimethyl-5-nitroquinoxaline 1-oxide	—	(*E* 59)
2,3-Dimethyl-6-nitroquinoxaline 1-oxide	149–151, NMR	161
2,3-Dimethyl-6-nitroquinoxaline 4-oxide	171–172, NMR	161
1,3-Dimethyl-6-nitro-2(1*H*)-quinoxalinone	219–222	(*E* 98) 1030r
6,7-Dimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarbaldehyde	—	(*E* 100)
4,*N*-Dimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide	—	(*E* 154)
N,*N*-Dimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide	IR	692
2,3-Dimethyl-7-oxo-1,7-dihydro-6-quinoxalinecarboxylic acid	314; 2 HCl: 194–197	77
6,7-Dimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 155)
2,6-Dimethyl-3-phenylquinoxaline	70–71, UV	586
2,7-Dimethyl-3-phenylquinoxaline	68–72, UV; pic: 155–156	586
6,7-Dimethyl-2-phenylquinoxaline	124 or 127–128, MS, NMR	(*E* 238) 157, 728
6,7-Dimethyl-3-phenyl-2-quinoxalinecarbaldehyde	150, NMR	728
6,7-Dimethyl-3-phenyl-2-quinoxalinecarboxylic acid	153, NMR	728
5,8-Dimethyl-3-phenyl-2(1*H*)-quinoxalinone	259, NMR	539
6,7-Dimethyl-3-phenyl-2(1*H*)-quinoxalinone	263–264 or >300, MS, NMR	728, 964
6,7-Dimethyl-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	103	728
2,6-Dimethyl-3-phenylsulfonylquinoxaline 1,4-dioxide	180–181, IR, NMR, UV	1086
2,7-Dimethyl-3-phenylsulfonylquinoxaline 1,4-dioxide	179–180, IR, NMR, UV	1086
2,6-Dimethyl-3-phenylthioquinoxaline 1,4-dioxide	137–139, IR, NMR, UV	1086

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2,7-Dimethyl-3-phenylthioquinoxaline 1,4-dioxide	159–161, IR, NMR, UV	1086
5-Dimethylphosphinyloxy-2,3-diphenylquinoxaline	131–132, MS, NMR	638
6-Dimethylphosphinyloxy-2,3-diphenylquinoxaline	171–172, MS, NMR	638
2,3-Dimethyl-8-piperidino-5,6-quinoxalinequinone	161–162, IR, NMR	956
6,7-Dimethyl-3-propylamino-2-quinoxalinecarboxamide	197–199, IR, NMR	466
6,7-Dimethyl-3-propylamino-2-quinoxalinecarboxylic acid	>300, IR, NMR	466
6,7-Dimethyl-*N*-propyl-3-propylamino-2-quinoxalinecarboxamide	74–76, IR, NMR	466
2-(1,1-Dimethylprop-2-ynyl)quinoxaline	NMR	637
2,3-Dimethyl-5-quinoxalinamine	176, IR, MS, NMR	(*E* 224) 819, 882
2,3-Dimethyl-6-quinoxalinamine	MS	(*H* 220; *E* 224, 255) 819
2,8-Dimethyl-6-quinoxalinamine	159–162, MS, NMR	9
3,7-Dimethyl-6-quinoxalinamine	190–191, NMR	640
3,8-Dimethyl-6-quinoxalinamine	146–150, MS, NMR	9
6,7-Dimethyl-2-quinoxalinamine	—	(*E* 264)
2,3-Dimethyl-6-quinoxalinamine 1,4-dioxide	—	(*E* 66)
2,3-Dimethylquinoxaline	102 to 106, IR, MS, NMR, pK_a, UV, xl st; HBF_4: xl st; 2 $MeBF_4$: anal, pol	(*H* 277, 289; *E* 224, 255, 256, 257, 258) 42, 59, 91, 115, 131, 164, 198, 242, 302, 318, 360, 366, 412, 415, 420, 455, 526, 657, 660, 728, 908, 1011, 1033
2,5-Dimethylquinoxaline	22–23, MS, NMR	530, 532
2,6-Dimethylquinoxaline	74–75, MS, NMR	94, 530, 531, 549
2,7-Dimethylquinoxaline	NMR	(*E* 222, 255) 94, 531
2,8-Dimethylquinoxaline	NMR	532
5.6-Dimethylquinoxaline	—	(*E* 24)
5,8-Dimethylquinoxaline	68–70, NMR	160
6,7-Dimethylquinoxaline	96 to 102, NMR; 2 $MeBF_4$: anal; 2 $EtBF_4$: anal; MeI: UV	(*E* 24) 160, 205, 526, 561, 685, 784, 1043
2,3-Dimethyl-6-quinoxalinecarbaldehyde 1,4-dioxide	—	(*E* 67)
3,6/3,7-Dimethyl-2-quinoxalinecarbaldehyde 1,4-dioxide	163–166, IR, NMR	703
2,3-Dimethyl-6-quinoxalinecarbonitrile	—	(*E* 224)
2,3-Dimethyl-6-quinoxalinecarbonitrile 1,4-dioxide	1-$PhClO_4$: 193–196, NMR	(*E* 67) 63
6,7-Dimethyl-2-quinoxalinecarbonitrile 1,4-dioxide	—	1012
2,3-Dimethyl-6-quinoxalinecarbonitrile 1-oxide	—	(*E* 59)
2,3-Dimethyl-5-quinoxalinecarboxamide	259–262, IR, NMR	455

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2,3-Dimethyl-6-quinoxalinecarboxamide	247–250, IR, NMR	455
N,*N*-Dimethyl-2-quinoxalinecarboxamide	anal, MS	614
2,3-Dimethyl-6-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 67)
3,*N*,*N*-Dimethyl-2-quinoxalinecarboxamide 1,4-dioxide	214, MS, NMR	(*E* 65) 228, 940
3,6-Dimethyl-2-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 67)
2,3-Dimethyl-5-quinoxalinecarboxylic acid	127–128	77
2,3-Dimethyl-6-quinoxalinecarboxylic acid	257–260 or 262	77, 984
2,3-Dimethyl-6-quinoxalinecarboxylic acid 1,4-dioxide	—	(*E* 67)
2,3-Dimethyl-5,7-quinoxalinediamine	—	(*E* 224)
6,7-Dimethyl-2,3-quinoxalinedicarbonitrile	223–224, MS, NMR	(*E* 158) 848
6,7-Dimethyl-2,3-quinoxalinedicarboxamide	—	(*E* 158)
Dimethyl 2,3-quinoxalinedicarboxylate	131–133, NMR, UV	(*H* 255; *E* 157) 91, 264, 1054, 1101
6,7-Dimethyl-2,3-quinoxalinedicarboxylic acid	—	(*E* 158)
6,7-Dimethyl-2,3-quinoxalinedicarboxylic anhydride	—	(*E* 158)
1,4-Dimethyl-2,3(1*H*,4*H*)-quinoxalinedione	NMR, pK_a, UV	(*E* 105) 314
1,6-Dimethyl-2,3(1*H*,4*H*)-quinoxalinedione	287–292	713
2,3-Dimethyl-5,8(1*H*,4*H*)-quinoxalinedione	—	(*E* 224)
6,7-Dimethyl-2,3(1*H*,4*H*)-quinoxalinedione	>325 or >340, IR, NMR, st	(*E* 105) 46, 697, 718
2,3-Dimethylquinoxaline 1,4-dioxide	186 to 193, MS, NMR, pol, xl st	(*E* 65) 59, 230, 242, 271, 380, 420, 894, 940, 1030e
2,7-Dimethylquinoxaline 1,4-dioxide	—	(*E* 65)
6,7-Dimethylquinoxaline 1,4-dioxide	—	(*E* 65)
6,7-Dimethyl-2,3(1*H*,4*H*)-quinoxalinedithione	IR, NMR, complexes	(*E* 121) 889
2,3-Dimethylquinoxaline 1-oxide	75 to 91, NMR, pol	(*E* 59, 255) 59, 70, 161, 455
2,7-Dimethylquinoxaline 1-oxide (?)	—	252
5,6-Dimethylquinoxaline 1/4-oxide	—	(*E* 59)
6,7-Dimethylquinoxaline 1-oxide	109–110, MS	249
2,3-Dimethyl-5,8-quinoxalinequinone	176–178 or 203–205, IR, MS, NMR	441, 611
1,3-Dimethyl-2(1*H*)-quinoxalinethione	145–147, IR, NMR, UV	47, 105, 317, 443 (?), 686
1,3-Dimethyl-2(1*H*)-quinoxalinone	75 to 85, IR, MS, NMR, UV	(*H* 314, 362; *E* 94, 256) 51, 84, 105, 134, 145, 518, 763, 1005
2,3-Dimethyl-5(1*H*)-quinoxalinone	—	(*E* 224)
2,3-Dimethyl-6(4*H*)-quinoxalinone	—	(*E* 224)
3,5-Dimethyl-2(1*H*)-quinoxalinone	—	(*E* 100)
3,6-Dimethyl-2(1*H*)-quinoxalinone	—	(*H* 240; *E* 100)
3,7-Dimethyl-2(1*H*)-quinoxalinone	—	(*H* 240; *E* 100)
3,8-Dimethyl-2(1*H*)-quinoxalinone	—	(*E* 100)
6,7-Dimethyl-2(1*H*)-quinoxalinone	304–306	(*E* 100) 697, 718
7,8-Dimethyl-6(4*H*)-quinoxalinone	167–170, IR, MS, NMR	875

Quinoxaline	Melting Point (°C) etc.	Reference(s)
1,3-Dimethyl-2(1*H*)-quinoxalinone 4-oxide	201 or 206–207, IR, NMR	(*E* 59) 76, 84
2,3-Dimethyl-6-vinylquinoxaline	—	(*E* 226)
2,3-Dimorpholino-6-nitroquinoxaline	—	369
2,3-Dimorpholino-6-quinoxalinamine	222–224, fl sp, IR, NMR, UV	19
2,3-Dimorpholinoquinoxaline	209–210 or 223, NMR	(*E* 190) 71, 521
2,6-Dimorpholino-5,8-quinoxalinequinone	238–239, IR	750, 956
2,8-Dimorpholino-5,6-quinoxalinequinone	223–224, IR	956
5,6-Dinitroquinoxaline	—	(*E* 22)
6,7-Dinitroquinoxaline	—	(*E* 22)
5,7-Dinitro-2,3(1*H*,4*H*)-quinoxalinedione	xl st	(*E* 104) 45
6,7-Dinitro-2,3(1*H*,4*H*)-quinoxalinedione	x1 st	(*E* 104) 45, 279, 1108
6,7-Dinitro-2,3(1*H*,4*H*)-quinoxalinedithione	—	279
6,7-Dinitrosoquinoxaline	—	(*E* 22)
2,3-Dioxo-1,2,3,4-tetrahydro-6-quinoxalinecarbonitrile	—	(*E* 105)
2,3-Dioxo-1,2,3,4-tetrahydro-5-quinoxalinecarboxylic acid	—	(*E* 105)
2,3-Dioxo-1,2,3,4-tetrahydro-6-quinoxalinecarboxylic acid	—	(*E* 105)
2,3-Dioxo-1,2,3,4-tetrahydro-6,7-quinoxalinedicarboxylic acid	—	(*E* 105)
2,3-Dioxo-1,2,3,4-tetrahydro-6-quinoxalinesulfonic acid	—	(*E* 105)
2,3-Dioxo-1,2,3,4-tetrahydro-6-quinoxalinesulfonyl chloride	—	(*E* 105)
2,3-Diphenoxyquinoxaline	—	(*H* 271; *E* 204)
2,3-Diphenylazoquinoxaline	110–113, IR, MS, NMR, UV	578
2,3-Diphenyl-6-phenylsulfonylquinoxaline	—	(*H* 221)
2,3-Diphenyl-6-piperidinoquinoxaline	—	(*E* 238)
2,3-Diphenyl-8-piperidino-5,6-quinoxalinequinone	191–192, IR	956
2,3-Diphenyl-5-pivaloyloxyquinoxaline	125–130, MS, NMR	641
2,3-Diphenyl-5-quinoxalinamine	191	955
2,3-Diphenyl-6-quinoxalinamine	172–173	(*H* 221, 289) 955
2,3-Diphenylquinoxaline	118 to 125, IR, NMR, UV	*H* 212, 289; *E* 238) 170, 287, 345, 494, 586, 641, 664, 728, 856, 1011, 1033, 1065
2,3-Diphenyl-6-quinoxalinecarbonitrile	—	(*H* 221)
2,3-Diphenyl-5-quinoxalinecarboxylic acid	214–215	77
2,3-Diphenyl-6-quinoxalinecarboxylic acid	280–288 or 290	77, 984
2,3-Diphenyl-5,6-quinoxalinediamine	196–198 or 199–200	637, 955
6,7-Diphenyl-2,3-quinoxalinedicarbonitrile	202–203, MS, NMR	848
2,3-Diphenylquinoxaline 1,4-dioxide	210–212	(*E* 72) 287
2,3-Diphenylquinoxaline 1-oxide	193 to 207, IR, MS, NMR	(*E* 62, 258) 345, 352, 583, 638, 641
2,3-Diphenyl-5,8-quinoxalinequinone	230–232, IR, MS, NMR	611
2,3-Diphenyl-5,6,7,8-quinoxalinetetramine	unstable	678

Quinoxaline	Melting Point (°C) etc.	Reference(s)
1,3-Diphenyl-2(1*H*)-quinoxalinone	187 or 192, NMR	(*E* 102) 241, 539
2,3-Diphenyl-5(1*H*)-quinoxalinone	134–137, MS, NMR	638
2,3-Diphenyl-6(4*H*)-quinoxalinone	262–264, NMR	638
2,3-Dipiperidino-6-quinoxalinamine	130–132, fl sp, IR, NMR, UV	19
2,3-Dipiperidinoquinoxaline	138–146, MS, NMR	(*E* 191) 121, 521
2,6-Dipiperidino-5,8-quinoxalinequinone	215–216, IR	750
2,8-Dipiperidino-5,6-quinoxalinequinone	210–211, IR	956
2,3-Dipropoxyquinoxaline	—	(*E* 203)
5,8-Dipropoxy-2,3-quinoxalinedicarboxylic acid	—	(*E* 158)
6,7-Dipropoxy-2,3(1*H*,4*H*)-quinoxalinedione	320–322	681
Dipropyl 5,8-diethoxy 2,3-quinoxalinedicarboxylate	—	(*E* 158)
2,3-Dipropylquinoxaline	40–41	(*E* 227) 856
2,3-Dipropylquinoxaline 1,4-dioxide	—	(*E* 70)
2,3-Distyrylquinoxaline	196–197, IR, UV	(*H* 279; *E* 224) 631, 904
3-Ethoxycarbonyl-6,7-dimethyl-2-quinoxalinecarboxylic acid	—	401
2-(1-Ethoxycarbonylethyl)-3-methoxyquinoxaline	156–160/20, IR, NMR	79
2-(2-Ethoxycarbonylethyl)-7-methylamino-8-nitroquinoxaline	137–138, MS, NMR	374
2-(2-Ethoxycarbonylethyl)-3-methylquinoxaline	—	(*E* 226)
3-(1-Ethoxycarbonylethyl)-1-methyl-2(1*H*)-quinoxalinone	65–67, IR, NMR	145
2-(2-Ethoxycarbonylethyl)quinoxaline 1,4-dioxide	—	(*E* 67)
2-(2-Ethoxycarbonylethyl)quinoxaline 1-oxide	—	(*E* 59)
3-(1-Ethoxycarbonylethyl)-2(1*H*)-quinoxalinethione	125–127, IR, NMR	237
3-(1-Ethoxycarbonylethyl)-2(1*H*)-quinoxalinone	161–163	(*E* 100) 237
3-(2-Ethoxycarbonylethyl)-2(1*H*)-quinoxalinone	—	(*E* 100)
3-(1-Ethoxycarbonylethyl)-2(1*H*)-quinoxalinone 4-oxide	147–148, NMR	76
2-(Ethoxycarbonylethynyl)quinoxaline	solid, IR, MS, NMR	1062
3-Ethoxycarbonylmethyl-6,7-dimethoxy-2(1*H*)-quinoxalinone	220–222, MS	79, 763
2-Ethoxycarbonylmethyl-3,6-dimethylquinoxaline	—	(*E* 226)
3-Ethoxycarbonylmethyl-5,8-dimethyl-2(1*H*)-quinoxalinone	219–222	79
3-Ethoxycarbonylmethyl-5,7-dinitro-2(1*H*)-quinoxalinone	NMR	(*E* 99) 79
3-Ethoxycarbonylmethyl-6,8-dinitro-2(1*H*)-quinoxalinone	NMR	(*E* 99) 79
3-Ethoxycarbonylmethylene-1,4-dimethyl-3,4-dihydro-2(1*H*)-quinoxalinone	120–122 or 122–124, IR, MS, NMR, st	76, 79, 82, 145, 763
2-Ethoxycarbonylmethyl-3-methyl-6-nitroquinoxaline	—	(*E* 225)
2-Ethoxycarbonylmethyl-3-methylquinoxaline	66–68	(*E* 225) 79
3-Ethoxycarbonylmethyl-2-methyl-6-quinoxalinecarboxylic acid	—	(*E* 225)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Ethoxycarbonylmethyl-3-methylquinoxaline 1,4-dioxide	—	(*E* 67)
3-Ethoxycarbonylmethyl-1-methyl-2(1*H*)-quinoxalinethione	103–105, MS, NMR, st	65, 82, 763
3-Ethoxycarbonylmethyl-1-methyl-2(1*H*)-quinoxalinone	112–113, MS, st	(*E* 99) 79, 83, 763
3-Ethoxycarbonylmethyl-6-methyl-2(1*H*)-quinoxalinone	175–177, st	79, 82
3-Ethoxycarbonylmethyl-7-methyl-2(1*H*)-quinoxalinone	st	82
3-Ethoxycarbonylmethyl-1-methyl-2(1*H*)-quinoxalinone 4-oxide	82–84, MS	76, 763
3-Ethoxycarbonylmethyl-6/7-nitro-2(1*H*)-quinoxalinone	248–250, st	79, 82
2-Ethoxycarbonylmethylquinoxaline	liq (?), IR, MS, NMR	504
3-Ethoxycarbonylmethyl-2(1*H*)-quinoxalinone	205 to 218, IR, MS, NMR, st	(*E* 99) 82, 237, 342, 505, 588, 763, 797, 989
2-Ethoxycarbonylmethyl-3,6,7-trimethylquinoxaline	—	(*E* 226)
3-(1-Ethoxycarbonylpropyl)-1-ethyl-2(1*H*)-quinoxalinone	liq, IR, NMR	145
3-(1-Ethoxycarbonylpropyl)-1-methyl-2(1*H*)-quinoxalinone	75–77, IR, NMR	145
3-(1-Ethoxycarbonylpropyl)-2(1*H*)-quinoxalinone	112–113, NMR	237
6-Ethoxy-2,3-dimethyl-8-nitroquinoxaline	151–153	845
5-Ethoxy-2,3-dimethylquinoxaline	—	(*E* 226)
6-Ethoxy-2,3-dimethylquinoxaline	—	(*E* 226)
6-Ethoxy-2,3-dimethylquinoxaline 1,4-dioxide	—	(*E* 69)
2-(2-Ethoxyethyl)-3-methylquinoxaline 1,4-dioxide	—	(*E* 70)
6-Ethoxy-2-ethyl-3-methylquinoxaline 1,4-dioxide	—	(*E* 69)
6-Ethoxy-3-ethyl-2-methylquinoxaline 1,4-dioxide	—	(*E* 70)
2-(1-Ethoxyethyl)quinoxaline	—	(*E* 223)
6-Ethoxy-7-fluoro-2-methyl-3-phenylsulfonylquinoxaline 1,4-dioxide	150–152, IR, NMR, UV	1086
6-Ethoxy-7-fluoro-2-methyl-3-phenylthioquinoxaline 1,4-dioxide	157–158, IR, NMR, UV	1086
6-Ethoxy-7-fluoro-3-methyl-2-phenylthioquinoxaline 1,4-dioxide	168–169, IR, NMR, UV	1086
2-Ethoxy-3-hydrazinoquinoxaline	—	(*E* 196)
2-Ethoxy-3-(3-hydroxy-3-methylbut-1-ynyl)quinoxaline	96–98	842
6-Ethoxy-4-hydroxy-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 59)
6-Ethoxy-1-hydroxy-2(1*H*)-quinoxalinone	173–175	98
6-Ethoxy-2-isopropyl-3-methylquinoxaline 1,4-dioxide	—	(*E* 70)
2-Ethoxymethyl-3-methylquinoxaline	—	(*E* 226)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6-Ethoxy-2-methyl-3-phenylsulfonylquinoxaline 1,4-dioxide	146–148, IR, NMR, UV	1086
6-Ethoxy-2-methyl-3-phenylthioquinoxaline 1,4-dioxide	250–251, IR, NMR, UV	1086
2-Ethoxy-3-methylquinoxaline	56–58, NMR	(*H* 271; *E* 202) 484
2-Ethoxy-7-methylquinoxaline	—	(*H* 271)
6-Ethoxy-3-methyl-2-quinoxalinecarboxylic acid 1,4-dioxide	—	(*E* 69)
2-Ethoxymethylquinoxaline 1,4-dioxide	—	(*E* 68)
7-Ethoxy-2-methylquinoxaline 1,4-dioxide	—	(*E* 68)
2-Ethoxy-3-methylquinoxaline 4-oxide	86–87	(*H* 233; *E* 60) 590
6-Ethoxy-8-nitro-2,3-diphenylquinoxaline	142–144	845
5 Ethoxy-7-nitroquinoxaline	185–187, NMR	668
6-Ethoxy-8-nitroquinoxaline	—	(*E* 24)
7-Ethoxy-3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile 1-oxide	—	(*E* 59)
6-Ethoxy-3-phenyl-2(1*H*)-quinoxalinone	—	(*E* 103)
6-Ethoxy-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 62)
3-Ethoxy-2-quinoxalinamine	129–130	(*E* 188) 121, 861
2-Ethoxyquinoxaline	60–61	(*H* 270) 597, 867
5-Ethoxyquinoxaline	—	(*E* 24, 255, 256)
6-Ethoxyquinoxaline	—	(*E* 24)
3-Ethoxy-2-quinoxalinecarbaldehyde	92–93, IR, UV; dnp: 245–246; oxime: 164–165	139
3-Ethoxy-2-quinoxalinecarbonitrile	109–110, IR, MS, NMR	598, 696
3-Ethoxy-2-quinoxalinecarboxylic acid	—	(*H* 252)
6-Ethoxy-2,3-quinoxalinedicarboxamide 1,4-dioxide	—	(*E* 68)
6-Ethoxy-2,3(1*H*,4*H*)-quinoxalinedione	>360	98, cf. 985
6-Ethoxyquinoxaline 1,4-dioxide	—	(*E* 65)
2-Ethoxyquinoxaline 4-oxide	92–93	(*H* 233; *E* 59) 988
5-Ethoxyquinoxaline 1-oxide	—	(*E* 59)
3-Ethoxy-2(1*H*)-quinoxalinone	—	(*H* 270)
6-Ethoxy-2(1*H*)-quinoxalinone	241–242	98, 985
Ethyl 3-acetonyl-2-quinoxalinecarboxylate	—	(*E* 156)
Ethyl 3-acetoxymethyl-6-bromo-2-quinoxalinecarboxylate 1,4-dioxide	—	268
Ethyl 3-acetoxymethyl-6,7-difluoro-2-quinoxalinecarbaldehyde 1,4-dioxide	119–120, NMR	801, 907
Ethyl 3-amino-2-cyano-6-quinoxalinecarboxylate 1,4-dioxide	178	726
Ethyl 7-amino-2,3-dimethyl-6-quinoxalinecarboxylate	198, IR, NMR	247
2-Ethylamino-3-(4-hydroxybut-1-ynyl)quinoxaline	101–102	521
3-Ethylamino-2-quinoxalinamine	anal, NMR	1038
Ethyl 3-amino-2-quinoxalinecarboxylate	—	(*H* 251)
Ethyl 7-amino-6-quinoxalinecarboxylate	141–142, IR, NMR	247
Ethyl 3-benzoyl-2-quinoxalinecarboxylate	119, IR, MS, NMR	540

Quinoxaline	Melting Point (°C) etc.	Reference(s)
Ethyl 3-benzoyl-2-quinoxalinecarboxylate 1,4-dioxide	172, IR, MS, NMR	540
Ethyl 3-benzoyl-2-quinoxalinecarboxylate 1/4-oxide	191, IR, MS, NMR	540
Ethyl 3-bromomethyl-6,7-difluoro-2-quinoxalinecarboxylate 1,4-dioxide	136–137, NMR	801, 907
Ethyl 6-bromo-3-methyl-2-quinoxalinecarboxylate 1,4-dioxide	—	268
Ethyl 7-bromo-3-methyl-2-quinoxalinecarboxylate 1,4-dioxide	—	268
Ethyl 2-butyl-6-ethoxy-3-oxo-3,4-dihydro-5-quinoxalinecarboxylate	123–125, NMR	721
Ethyl 3-chloro-5,8-dimethoxy-2-quinoxalinecarboxylate	—	(*E* 155)
Ethyl 3-chloro-6,7-dimethoxy-2-quinoxalinecarboxylate	—	(*E* 155)
Ethyl 3-chloro-6,7-dimethyl-2-quinoxalinecarboxylate	111, IR, NMR	876
Ethyl 6-chloro-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	—	(*E* 154)
Ethyl 7-chloro-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	—	(*E* 154)
Ethyl 3-chloromethyl-2-quinoxalinecarboxylate 1,4-dioxide	—	182
Ethyl 7-chloro-3-methyl-2-quinoxalinecarboxylate 1,4-dioxide	xl st	(*E* 64) 40
Ethyl 7-chloro-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	—	(*E* 152)
Ethyl 3-chloro-2-quinoxalinecarboxylate	IR	(*H* 251, 259; *E* 152) 722
Ethyl 3-cyano-2-quinoxalinecarboxylate	122–126 or 126–127, IR, MS, NMR	598, 696
Ethyl 3-(2-cyanovinyl)-2-quinoxalinecarboxylate	*E*: 89–92, NMR; *Z*: 161–163, NMR	113
Ethyl 6,7-dichloro-3-methyl-2-quinoxalinecarboxylate	anal, IR, MS, NMR	1015
Ethyl 6,7-dichloro-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	—	(*E* 152)
Ethyl 6,7-diethoxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	—	(*E* 156)
Ethyl 6,7-difluoro-3-methyl-2-quinoxalinecarboxylate	90–92 NMR	801
Ethyl 6,7-difluoro-3-methyl-2-quinoxalinecarboxylate 1,4-dioxide	111–112, NMR	801, 907
Ethyl 6,7-dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	—	(*E* 156)
Ethyl 6,7-dimethoxy-1-methyl-2(1*H*)-quinoxalinone	258–260, IR, MS, NMR	653
Ethyl 5,8-dimethoxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	168–169, IR, MS, NMR	(*E* 155) 396, 653
Ethyl 6,7-dimethoxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	—	(*E* 155)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
Ethyl 6,7-dimethoxy-3-piperidino-2-quinoxalinecarboxylate	—	(*E* 157)
Ethyl 6,7-dimethoxy-2-quinoxalinecarboxylate	—	(*E* 155)
3-Ethyl-6,7-dimethoxy-2(1*H*)-quinoxalinone	243, fl sp, IR, MS, NMR, UV	592
Ethyl 6,7-dimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	—	(*H* 240; *E* 155)
Ethyl 6,7-dimethyl-3-phenyl-2-quinoxalinecarboxylate	100, NMR	728
Ethyl 2,3-dimethyl-6-quinoxalinecarboxylate	1-$PhClO_4$: 175–176, NMR	(*E* 225) 63
Ethyl 2,3-dimethyl-6-quinoxalinecarboxylate 1,4-dioxide	—	(*E* 67)
2-Ethyl 3,6-dimethylquinoxaline 1,4-dioxide	—	(*E* 69)
Ethyl 3-ethoxycarbonylmethyl-2-quinoxalinecarboxylate	—	804
Ethyl 3-ethoxy-2-quinoxalinecarboxylate	IR, NMR	(*H* 252) 535
N-Ethyl-3-ethylamino-2-quinoxalinecarboxamide	—	385
2-Ethyl-3-ethylmethylaminoquinoxaline 1,4-dioxide	—	(*E* 70)
Ethyl 4-ethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	IR, NMR	535
Ethyl 7-fluoro-3-methyl-6-morpholino-2-quinoxalinecarboxylate	134–135, NMR	801
Ethyl 7-fluoro-3-methyl-6-morpholino-2-quinoxalinecarboxylate 1,4-dioxide	129–130, NMR	801
Ethyl 3-formyl-2-quinoxalinecarboxylate 1,4-dioxide	176, IR, NMR	226, 311
2-Ethyl-3-hydrazinoquinoxaline	190–192	(*E* 196) 235
Ethyl 3-hydrazino-2-quinoxalinecarboxylate	141–142, IR, NMR	590
2-(1-Ethylhydrazino)quinoxaline 4-oxide	188–189, IR, NMR	512
N-Ethyl-3-hydroxymethyl-2-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 65)
1-Ethyl-5-hydroxy-3-methyl-2(1*H*)-quinoxalinone	MS	926
2-Ethyliminomethyl-3-methyl-3-methylquinoxaline 1,4,*N*-trioxide	177–178	703
Ethyl 3-isopropyl-2-quinoxalinecarboxylate	178–182, IR, NMR	119
Ethyl 3-methyl-2-methylene-1-phenyl-1,2-dihydro-6-quinoxalinecarboxylate	132–133, NMR, UV	63
4-Ethyl-*N*-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide	156–158, IR, NMR	535, 672
Ethyl 2-methyl-3-oxo-3,4-dihydro-6-quinoxalinecarboxylate	—	(*E* 100)
Ethyl 3-methyl-2-oxo-1,2-dihydro-6-quinoxalinecarboxylate	—	(*E* 100)
Ethyl 4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	121, NMR	(*H* 314; *E* 98, 154) 539
Ethyl 8-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	—	(*H* 252)
2-Ethyl-3-methylquinoxaline	46–48 or 50–52, MS, NMR	(*E* 225) 227, 242, 644, 659

Quinoxaline	Melting Point (°C) etc.	Reference(s)
Ethyl 3-methyl-2-quinoxalinecarboxylate	65 to 75, IR, MS, NMR, UV	(*E* 154) 119, 227, 345, 412, 586, 662, 764, 1015
Ethyl 3-methyl-2-quinoxalinecarboxylate 1,4-dioxide	132–133 or 138, IR, MS, NMR, xl st	(*E* 65) 182, 226, 271, 883, 925, 940, 948
Ethyl 3-methyl-2-quinoxalinecarboxylate 1-oxide	86–88, MS, NMR	152, 940
Ethyl 3-methyl-2-quinoxalinecarboxylate 4-oxide	92–93, MS, NMR	(*E* 58) 152, 940
2-Ethyl-3-methylquinoxaline 1,4-dioxide	145–146, MS	(*E* 68) 242
2-Ethyl-3-methylquinoxaline 4-oxide	—	(*E* 60)
1-Ethyl-3-methyl-2(1*H*)-quinoxalinone	93–94, IR, NMR, UV	(*H* 315) 134
3-Ethyl-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 100)
3-Ethyl-1-methyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 60)
Ethyl 3-morpholino-2-quinoxalinecarboxylate	66	688, 689
Ethyl 6-nitro-2-quinoxalinecarboxylate	—	(*E* 153)
Ethyl 3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	176, IR, NMR	(*H* 240, 251; *E* 98) 448, 692, 722
Ethyl 6-oxo-4,6-dihydro-5-quinoxalonecarboxylate	133–134, NMR	246
Ethyl 3-oxo-3,4-dihydro-2-quinoxalinecarboxylate 1-oxide	—	(*E* 57)
4-Ethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 155)
Ethyl 3-oxo-4-phenyl-3,4-dihydro-2-quinoxalinecarboxylate	IR, NMR	535
Ethyl 3-phenoxy-2-quinoxalinecarboxylate	98, IR, NMR, UV	240
2-Ethyl-3-phenylquinoxaline	46–48, UV	(*E* 228) 586
Ethyl 3-phenyl-2-quinoxalinecarboxylate	51–53, IR, MS, NMR	(*E* 156) 119, 859, 1101
Ethyl 3-phenyl-2-quinoxalinecarboxylate 1,4-dioxide	122–125, IR, NMR	(*E* 70) 158, 991
Ethyl 3-phenyl-2-quinoxalinecarboxylate 4-oxide	115–116, IR, NMR	158
2-Ethyl-3-phenylquinoxaline 1,4-dioxide	129–130	(*E* 70) 988
2-Ethyl-3-phenylquinoxaline 1-oxide	130	(*E* 62) 988
2-Ethyl-3-phenylquinoxaline 4-oxide	—	(*E* 62)
1-Ethyl2-phenyl-2(1*H*)-quinoxalinone	97–98, IR, NMR, UV	34
2-Ethyl-3-propylquinoxaline	163/15, IR	(*E* 226) 242
2-Ethyl-3-propylquinoxaline 1,4-dioxide	97, IR, MS	(*E* 69) 242
3-Ethyl-2-quinoxalinamine	—	(*E* 188)
2-Ethylquinoxaline	liq, MS, NMR, UV	(*E* 222) 350, 549, 584, 644, 658
5-Ethylquinoxaline	crude	528
6-Ethylquinoxaline	crude	528
3-Ethyl-2-quinoxalinecarbonitrile	93–94, IR, MS, NMR	(*E* 155) 584, 598
Ethyl 2-quinoxalinecarboximidate 1-oxide	—	(*E* 60)
Ethyl 2-quinoxalinecarboxylate	—	(*H* 251; *E* 153)
Ethyl 5-quinoxalinecarboxylate	—	(*E* 23)
Ethyl 6-quinoxalinecarboxylate	—	(*E* 23)
Ethyl 2-quinoxalinecarboxylate 4-oxide	—	(*E* 57)
3-Ethyl-2-quinoxalinecarboxylic acid	—	(*H* 252)
2-Ethylquinoxaline 1,4-dioxide	MS	(*E* 65) 940
2-Ethylquinoxaline 1-oxide	—	(*E* 59)
2-Ethylquinoxaline 4-oxide	—	(*E* 59)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
1-Ethyl-2(1*H*)-quinoxalinone	61–62 or 64–65, IR, NMR	535, 584
3-Ethyl-2(1*H*)-quinoxalinone	—	(*E* 100)
3-Ethyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 59)
2-Ethylsulfinylquinoxaline	54–55, IR, MS, NMR	597
3-Ethylsulfonylmethyl-*N*-methyl-2-quinoxalinecarboxamide 1-oxide	164–170	712
2-Ethylsulfonylquinoxaline	122–123, IR, NMR	597
Ethyl 5,6,7,8-tetrachloro-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	—	(*E* 100)
3-Ethylthiomethyl-*N*-methyl-2-quinoxalinecarboxamide 1-oxide	134–137	712
2-Ethylthio-3-methylquinoxaline	—	372, 811
3-Methylthiomethyl-2-quinoxalinecarboxylic acid 4-oxide	144–145	712
2-Ethylthio-3-phenylquinoxaline	—	372
2-Ethylthioquinoxaline	46–47, IR, MS, NMR	(*E* 119) 597
3-Ethylthio-2-quinoxalinecarbaldehyde	119–120, IR, UV; dnp: 231–232; oxime: 187–188	139
3-Ethylthio-2-quinoxalinecarbonitrile	104–105, IR, UV	930
Ethyl 3,6,7-trimethoxy-2-quinoxalinecarboxylate	136–137, IR, MS, NMR	653
Ethyl 4,6,7-trimethyl-3-oxo-3,4-dihdyro-2-quinoxalinecarboxylate	—	(*H* 314; *E* 156)
Ethyl 3,6,7-trimethyl-2-quinoxalinecarboxylate	82–85, IR, MS, NMR	(*E* 155) 1015
2-Ethynyl-3-phenoxyquinoxaline	137–139	569
3-Ethynyl-2-quinoxalinamine	165–167, IR, NMR	842
2-Ethynylquinoxaline	95 to 105, IR, NMR	555, 564, 842
6-Fluoro-2,3-bis(hydroxymethyl)quinoxaline 1,4-dioxide	—	291
2-Fluoro-6,7-dimethylquinoxaline	94–95, NMR	561
5-Fluoro-2,3-dimethylquinoxaline	—	(*E* 224)
6-Fluoro-2,3-dimethylquinoxaline	—	(*E* 224)
6-Fluoro-2,3-dimethylquinoxaline 1,4-dioxide	—	291
6-Fluoro-2-hydrazino-3-methoxyquinoxaline	170–174	716
6-Fluoro-4-hydroxy-1-methyl-2,3(1*H*,4*H*)-quinoxalinedione	MS, NMR	645
6-Fluoro-1-hydroxy-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione	208, NMR	730
6-Fluoro-4-hydroxy-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione	202, NMR	730
6-Fluoro-1-hydroxy-2,3(1*H*,4*H*)-quinoxalinedione	solid, NMR	730
6-Fluoro-4-hydroxy-2,3(1*H*,4*H*)-quinoxalinedione	138–140, NMR	730
6-Fluoro-4-isopropyl-2,3(1*H*,4*H*)-quinoxalinedione	—	729
3-(1-Fluoro-1-methylethyl)-2(1*H*)-quinoxalinone	MS, NMR	167
6-Fluoro-7-methyl-8-nitro-2,3(1*H*,4*H*)-quinoxalinedione	308–311, NMR	191

Quinoxaline	Melting Point (°C) etc.	Reference(s)
3-(1-Fluoro-1-methylpropyl)-2(1*H*)-quinoxalinone	MS, NMR	167
2-Fluoro-6-methylquinoxaline	NMR	561
2-Fluoro-7-methylquinoxaline	NMR	561
6-Fluoro-2-methylquinoxaline	NMR	5
6-Fluoro-3-methylquinoxaline	49–50, MS, NMR	5
6-Fluoro-3-methyl-2(1*H*)-quinoxalinone	203–204, IR, MS	413
2-Fluoro-3-morpholinoquinoxaline	96–97, IR, MS, NMR	377
7-Fluoro-6-nitro-5-quinoxalinamine	218, MS, NMR	853
6-Fluoro-7-nitroquinoxaline	166–167, NMR	368
6-Fluoro-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione	>300, NMR	723
6-Fluoro-2-phenylquinoxaline	95–97, NMR	839, 885
6-Fluoro-3-phenylquinoxaline	102–104, NMR	839, 885
6-Fluoro-3-phenylquinoxaline 1,4-dioxide	202–204, NMR	885
6-Fluoro-2-phenylquinoxaline 4-oxide	176–178, NMR	839, 885
6-Fluoro-3-phenylquinoxaline 1-oxide	121–123, NMR	885
6-Fluoro-1-propyl-2,3(1*H*,4*H*)-quinoxalinedione	NMR	730
2-Fluoroquinoxaline	120/8, IR, MS, NMR	(*E* 172) 377, 561
5-Fluoroquinoxaline	77–79, NMR	918
6-Fluoroquinoxaline	—	(*E* 22)
7-Fluoro-2-quinoxalinecarbonitrle 1,4-dioxide	—	1012
6-Fluoro-2,3(1*H*,4*H*)-quinoxalinedione	>300	716
5-Fluoro-2(1*H*)-quinoxalinone	289–290	708
6-Fluoro-2(1*H*)-quinoxalinone	300–302, IR, MS, NMR	391, 708
8-Fluoro-2(1*H*)-quinoxalinone	208–210, NMR	708
6-Fluoro-2(1*H*)-quinoxalinone 4-oxide	>300, IR, MS	413
6-Fluoro-2,3,8-trimethyl-5-nitroquinoxaline	122–123, MS, NMR	548
6-Formamido-2,3-dimethylquinoxaline	211–213, MS, NMR	8
6-Formamido-3,7-dimethylquinoxaline	215–216, IR, NMR	640
6-Formamido-3,8-dimethylquinoxaline	202–204, MS, NMR	9
2-Formylmethylquinoxaline	oxime: 110–113, NMR	487
2-Guanidino-3-methylquinoxaline	HCl: 290–292, IR, MS, NMR	709
2,3,5,6,7,8-Hexachloroquinoxaline	xl st	(*E* 174) 3
2,3,5,6,7,8-Hexafluoroquinoxaline	—	(*E* 174)
2,3,5,6,7,8-Hexamethylquinoxaline	170, NMR	827
3-Hexanoylamino-2-quinoxalinamine	anal, ‘‘low melting’’	437
2-(Hex-5-enyl)quinoxaline	liq (?), IR, MS, NMR	109
3-Hexylamino-6,7-dimethyl-2-quinoxalinecarboxamide	151–153, IR, NMR	466
3-Hexylamino-6,7-dimethyl-2-quinoxalinecarboxylic acid	222–224, IR, NMR	466
2-Hexylaminoquinoxaline	55–56, IR, MS, NMR	867
3-Hexyl-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 102)
3-Hexyl-1-methyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 61)
3-Hexyl-2(1*H*)-quinoxalinone	—	(*E* 102)
3-Hexyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 61)
2-(Hex-1-ynyl)quinoxaline	liq, MS	564
3-(2-Hydrazinocarbonylethyl)-6,7-dimethoxy-1-methyl-2(1*H*)-quinoxalinone	205–206, NMR	351

Quinoxaline	Melting Point (°C) etc.	Reference(s)
3-(1-Hydrazinocarbonylethyl)-2(1*H*)-quinoxalinone	240, IR	51
2-Hydrazino-3,6-dimethoxyquinoxaline	128–138	716
2-Hydrazino-6,7-dimethylquinoxaline	—	(*E* 196)
2-Hydrazino-3-isobutoxyquinoxaline	—	(*E* 197)
2-Hydrazino-3-isopropoxyquinoxaline	—	(*E* 197)
2-Hydrazino-5-methoxy-3-methylquinoxaline	—	(*E* 197)
2-Hydrazino-8-methoxy-3-methylquinoxaline	—	(*E* 197)
2-Hydrazino-3-methoxyquinoxaline	—	(*E* 196, 202)
2-Hydrazino-3-methyl-6-nitroquinoxaline	230–236 or 244–245, IR, MS, NMR	117, 135, 280
2-Hydrazino-3-methylquinoxaline	NMR	(*E* 196) 204, 280
3-Hydrazino-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 98)
2-Hydrazino-3-methylthioquinoxaline	—	(*E* 119)
2-Hydrazino-3-pentylquinoxaline	132–134	(*E* 197) 235
2-Hydrazino-3-phenylquinoxaline	NMR	(*E* 197) 204, 280
2-Hydrazino-3-piperidinoquinoxaline	—	(*E* 197)
2-Hydrazino-3-propylquinoxaline	144–145	(*E* 197) 235
2-Hydrazinoquinoxaline	—	(*E* 196)
3-Hydrazino-2-quinoxalinecarbohydrazide	281–282, IR, NMR	590
3-Hydrazino-2-quinoxalinecarboxamide	180, IR, MS, NMR, UV	448
6-Hydrazino-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 105)
2-Hydrazinoquinoxaline 4-oxide	199–200	149
3-Hydrazino-2(1*H*)-quinoxalinethione	—	(*E* 119)
3-Hydrazino-2(1*H*)-quinoxalinone	—	(*E* 98) 51, 367
2-Hydrazino-3,6,7-trimethylquinoxaline	—	(*E* 197)
5-Hydroxyamino-7-methoxyquinoxaline	—	(*H* 230)
2-Hydroxyaminoquinoxaline	186–188 or 198–200, IR, NMR, UV	941, 992, 1077
2-(4-Hydroxybut-1-ynyl)-3-methylquinoxaline	112–113	521
2-(3-Hydroxybut-1-ynyl)quinoxaline	112–113, IR, NMR, UV	1050
5-Hydroxy-6,7-dimethoxy-2,3(1*H*,4*H*)-quinoxalinedione	283–286 NMR	681
4-Hydroxy-6,7-dimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 60)
1-Hydroxy-6,7-dimethyl-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 62)
2-(3-Hydroxy-3,3-dimethylprop-1-ynyl)-3-phenoxyquinoxaline	142–144	569
N-Hydroxy-2,3-dimethyl-6-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 67)
1-Hydroxy-2,3-dimethyl-5(1*H*)-quinoxalinone	—	(*E* 59)
1-Hydroxy-2,3-dimethyl-5(1*H*)-quinoxalinone 4-oxide	—	(*E* 65)
4-Hydroxy-2,3-dimethyl-6(4*H*)-quinoxalinone 1-oxide	—	(*E* 65)
5-Hydroxy-1,3-dimethyl-2(1*H*)-quinoxalinone	IR, MS, NMR	926
5-(1-Hydroxyethyl)-2-methoxy-3-phenylquinoxaline	90–91, IR, NMR	661

Quinoxaline	Melting Point (°C) etc.	Reference(s)
5-(1-Hydroxyethyl)-3-methoxy-2-phenylquinoxaline	liq, IR, NMR	661
2-(1-Hydroxyethyl)-3-methoxyquinoxaline	52, IR, NMR	474
2-(1-Hydroxyethyl)-3-methylquinoxaline	—	(*E* 225)
2-(2-Hydroxyethyl)-3-methylquinoxaline	188–189, IR, NMR	(*E* 68) 224
2-(1-Hydroxyethyl)-3-pivalamidoquinoxaline	204, IR, NMR	474
2-(2-Hydroxyethyl)quinoxaline	—	(*E* 222)
2-(2-Hydroxyethyl)quinoxaline 1,4-dioxide	—	(*E* 66)
2-(2-Hydroxyethyl)-3,6,7-trimethylquinoxaline 1,4-dioxide	208–209, IR, NMR	244
1-Hydroxy-7-methoxy-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 61)
1-Hydroxy-7-methoxy-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 58)
1-Hydroxy-6-methoxy-2(1*H*)-quinoxalinone	190–191	98
1-Hydroxy-8-methoxy-5(1*H*)-quinoxalinone 4-oxide	—	(*E* 64)
2-(3-Hydroxy-3-methylbut-1-ynyl)-7-methoxyquinoxaline	95–96	842
3-(3-Hydroxy-3-methylbut-1-ynyl)-2-quinozalinamine	153–155	842
2-(3-Hydroxy-3-methylbut-1-ynyl)quinoxaline	94–96	842
6-Hydroxymethyl-2,3-dimethylquinoxaline	—	(*E* 225)
2-Hydroxymethyl-5-methoxy-3-methylquinoxaline 1,4-dioxide	—	328
2-Hydroxymethyl-3-methylquinoxaline	—	(*E* 224)
3-Hydroxymethyl-*N*-methyl-2-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 65)
6-Hydroxymethyl-7-methyl-2,3(1*H*,4*H*)-quinoxalinedione	H_2O: anal; 2 H_2O: >250, IR, NMR	46
2-Hydroxymethyl-3-methylquinoxaline 1,4-dioxide	—	(*E* 66) 70
1-Hydroxy-4-methyl-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione	243, NMR; H_2O: xl st; 0.5 HCl: 160, IR, NMR	542, 648, 677
4-Hydroxy-7-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 58)
7-Hydroxy-1-methyl-3-phenyl-2(1*H*)-quinoxalinone	—	(*E* 102)
1-Hydroxy-7-methyl-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 61)
2-Hydroxymethylquinoxaline	79–81, MS, NMR	(*H* 207; *E* 222) 650
3-Hydroxymethyl-2-quinoxalinecarbaldehyde	—	(*E* 128)
3-Hydroxymethyl-2-quinoxalinecarbaldehyde 1,4-dioxide	—	(*E* 65)
3-Hydroxymethyl-2-quinoxalinecarbaldehyde 4-oxide	—	(*E* 58)
N-Hydroxy-3-methyl-2-quinoxalinecarboxamide	—	(*E* 154)
N-Hydroxy-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 65)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
1-Hydroxy-4-methyl-2,3(1*H*,4*H*)-quinoxalinedione	246 to 259, NMR	(*E* 58) 542, 648, 677, 713
2-Hydroxymethylquinoxaline 1,4-dioxide	176–178, IR, MS, NMR, UV	(*E* 64) 153, 940
2-Hydroxymethylquinoxaline 4-oxide	—	(*E* 58)
1-Hydroxy-3-methyl-2(1*H*)-quinoxalinone	—	345
1-Hydroxy-6-methyl-2(1*H*)-quinoxalinone	180–182	98
5-Hydroxy-1-methyl-2(1*H*)-quinoxalinone	MS	926
5-Hydroxy-3-methyl-2(1*H*)-quinoxalinone	—	(*E* 99)
8-Hydroxy-3-methyl-2(1*H*)-quinoxalinone	—	(*E* 99)
1-Hydroxy-3-methyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 58, 64)
1-Hydroxy-5-nitro-2,3(1*H*,4*H*)-quinoxalinedione	231–232, IR, NMR	556, 677
4-Hydroxy-3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile	283, IR, NMR	477
4-Hydroxy-3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile 1-oxide	242–245	1030f
4-Hydroxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 57)
1-Hydroxy-3-phenyl-2(1*H*)-quinoxalinone	—	(*E* 61) 345
6-Hydroxy-3-phenyl-2(1*H*)-quinoxalinone	286–287, IR	956
7-Hydroxy-3-phenyl-2(1*H*)-quinoxalinone	—	(*E* 102)
1-Hydroxy-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	193–195	340
1-Hydroxy-4-propyl-2,3(1*H*,4*H*)-quinoxalinedione	188–190, NMR	713
2-(3-Hydroxyprop-1-ynyl)quinoxaline	140–141, NMR	1062
N-Hydroxy-2-quinoxalinecarboxamide	—	(*E* 153)
N-Hydroxy-2,3-quinoxalinedicarboximide	283–285	623
1-Hydroxy-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 56)
6-Hydroxy-2,3(1*H*,4*H*)-quinoxalinedione	>360, IR	956
1-Hydroxy-2(1*H*)-quinoxalinethione	—	(*E* 56)
1-Hydroxy-2(1*H*)-quinoxalinone	208–209	(*E* 56) 98, 345
7-Hydroxy-5(1*H*)-quinoxalinone	—	(*E* 22)
1-Hydroxy-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 56, 63)
1-Hydroxy-5(1*H*)-quinoxalinone 4-oxide	—	(*E* 63)
4-Hydroxy-6(4*H*)-quinoxalinone 1-oxide	—	(*E* 63)
5-Hydroxy-1,3,7-trimethyl-2(1*H*)-quinoxalinone	MS	926
6-Iodo-2,3-dimethylquinoxaline	—	(*E* 224)
2-Iodo-3-methoxyquinoxaline	68, IR, NMR	474
2-Iodo-3-methylquinoxaline	101–102, NMR	64
3-Iodomethyl-2-quinoxalinecarbaldehyde	—	(*E* 128)
6-Iodo-7-nitroquinoxaline	235–236, NMR	368
2-Iodo-3-pivalamidoquinoxaline	99, IR, NMR	474
2-Iodoquinoxaline	102–103	(*E* 172) 865
5-Iodoquinoxaline	137–141, NMR	918
6-Iodoquinoxaline	—	(*E* 22)
3-Iodo-2-quinoxalinecarbaldehyde	171–172, IR, NMR	64
7-Iodo-2(1*H*)-quinoxalinone	crude, NMR	1104
3-Isobutyl-6,7-dimethoxy-2(1*H*)-quinoxalinone	225, fl sp, IR, NMR, UV	592

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Isobutyl-3-methylquinoxaline	75/0.1 or 164–165/15, IR, NMR	242, 575
2-Isobutyl-3-methylquinoxaline 1,4-dioxide	113–114, IR, MS	242
1-Isobutyl-2,3(1*H*,4*H*)-quinoxalinedione	—	729
3-Isobutyl-2(1*H*)-quinoxalinone	—	(*E* 10)
2-Isobutyrylmethyl-3-methoxyquinoxaline	65, IR	860
2-Isobutyrylquinoxaline	—	(*E* 134)
3-Isopentyl-2(1*H*)-quinoxalinethione	177–178, IR, NMR, UV	47
3-Isopentyl-2(1*H*)-quinoxalinone	170–171, IR, NMR	544
3-Isopropoxycarbonyl-6,7-dimethyl-2-quinoxalinecarboxylic acid	—	401
2-Isopropylamino-6-methyl-3-phenylquinoxaline	96–97, fl sp, IR, MS, NMR, UV	207
2-Isopropylamino-3-phenylquinoxaline	71–72, fl sp, IR, MS, NMR, UV	207
3-Isopropyl-6,7-dimethoxy-2(1*H*)-quinoxalinone	260, fl sp, IR, MS, NMR, UV	592
2-Isopropyl-3,6-dimethylquinoxaline 1,4-dioxide	—	(*E* 69)
3-Isopropyl-6-isopropylamino-7-nitro-2(1*H*)-quinoxalinone	NMR	1010
2-Isopropyl-3-(1-methoxyethyl)quinoxaline	—	(*E* 227)
2-Isopropyl-6-methoxy-3-methylquinoxaline 1,4-dioxide	—	(*E* 70)
5-Isopropyl-8-methyl-2,3-diphenylquinoxaline	—	(*H* 222)
2-Isopropyl-3-methylquinoxaline	37–38, 136/15	(*E* 226) 242
2-Isopropyl-6-methylquinoxaline	liq, NMR	549
N-Isopropyl-3-methyl-2-quinoxalinecarboxamide 1,4-dioxide	208–210, NMR	228
Isopropyl 3-methyl-2-quinoxalinecarboxylate	69–71, IR, MS, NMR	1015
1-Isopropyl-8-methyl-2,3(1*H*,4*H*)-quinoxalinedione	248–249, IR, NMR	729
2-Isopropyl-3-methylquinoxaline 1,4-dioxide	185, MS	(*E* 69) 242
2-Isopropyl-3-methylquinoxaline 4-oxide	—	(*E* 60)
3-Isopropyl-2-methylthioquinoxaline	—	(*E* 120)
3-Isopropyl-7-nitro-2(1*H*)-quinoxalinone	NMR	1010
2-Isopropyl-3-phenylquinoxaline	98–99	(*E* 228) 566
2-Isopropyl-3-phenylquinoxaline 1,4-dioxide	—	(*E* 71)
2-Isopropyl-3-phenylquinoxaline 1-oxide	119–120	(*E* 62) 988
2-Isopropyl-3-phenylquinoxaline 4-oxide	137	(*E* 62) 988
3-Isopropyl-2-quinoxalinamine	—	(*E* 188)
2-Isopropylquinoxaline	liq(?), IR, NMR	(*E* 222) 32, 136
3-Isopropyl-2-quinoxalinecarbonitrile	107–108 or 109–110, IR, MS, NMR	584, 598
1-Isopropyl-2,3(1*H*,4*H*)-quinoxalinedione	229–231, IR, MS, NMR	729, 950
2-Isopropylquinoxaline 1,4-dioxide	—	(*E* 68)
2-Isopropylquinoxaline 4-oxide	—	(*E* 60)
3-Isopropyl-2(1*H*)-quinoxalinethione	—	(*E* 120)
1-Isopropyl-2(1*H*)-quinoxalinone	64–65, IR	584
3-Isopropyl-2(1*H*)-quinoxalinone	227	(*E* 100) 695

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Isopropylsulfinylquinoxaline	liq, IR, MS, NMR	592
2-Isopropylsulfonylquinoxaline	105–106, IR, NMR	597
2-Isopropylthioquinoxaline	liq, IR, MS, NMR	597
Isopropyl 3,6,7-trimethyl-2-quinoxalinecarboxylate	87–90, IR, MS, NMR	1015
2-Isothiocyanatoquinoxaline	48–49, IR	865
3-Isovalerylmethyl-1-methyl-2(1*H*)-quinoxalinone	151–152, IR, NMR	572
3-Isovalerylmethyl-2(1*H*)-quinoxalinone	245–247, IR, NMR	572
2-(2-Mercaptocyclohexyl)-3-methylquinoxaline	101–102, IR, NMR	47, 397
2-(2-Mercaptocyclohexyl)-3-phenylquinoxaline	113–114, IR, NMR	47, 397
2-(2-Mercaptocyclohexyl)quinoxaline	145/2, IR, NMR	47, 397
2-(2-Mercapto-1,1-dimethylethyl)-3-methylquinoxaline (?)	145/2, IR, NMR	47
3-Methanesulfonamido-2-quinoxalinecarbonitrile 1,4-dioxide	256, IR, NMR	1012
3-Methoxycarbonyl-6,7-dimethyl-2-quinoxalinecarboxylic acid	—	401
3-Methoxycarbonylmethyl-1-methyl-2(1*H*)-quinoxalinethione	137–140	65
3-Methoxycarbonylmethyl-1-methyl-2(1*H*)-quinoxalinone	160–162 or 162–164	(*E* 99) 76, 79
3-Methoxycarbonylmethyl-1-propyl-2(1*H*)-quinoxalinone	—	(*E* 99)
1-Methoxycarbonylmethyl-2,3(1*H*,4*H*)-quinoxalinedione	278, IR, NMR	425
3-Methoxycarbonylmethyl-2(1*H*)-quinoxalinone	st	(*E* 99) 154, 588, 989
2-(3-Methoxycarbonylpropyl)-3-phenylazoquinoxaline	56–58, IR, MS, NMR	878
2-(3-Methoxycarbonylpropyl)-3-(2-phenylhydrazino)quinoxaline	HCl: 178–182, MS, NMR, UV	878
3-Methoxycarbonyl-2-quinoxalinecarboxylic acid	—	(*E* 157)
5-Methoxy-6,7-dimethyl-3-methylamino-2-quinoxalinecarboxylic acid	155–157, IR, UV	742
5-Methoxy-2,3-dimethyl-7-nitroquinoxaline	—	(*E* 225)
6-Methoxy-2,3-dimethyl-5-nitroquinoxaline	149, IR, NMR	(*E* 225) 882
6-Methoxy-2,3-dimethyl-8-nitroquinoxaline	—	(*E* 225)
6-Methoxy-2,3-dimethyl-5-nitroquinoxaline 1,4-dioxide	306, IR, NMR	882
5-Methoxy-6,7-dimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	217–218	742
6-Methoxy-2,3-dimethyl-5-quinoxalinamine	—	(*E* 225)
8-Methoxy-2,3-dimethyl-6-quinoxalinamine	251–253	(*E* 225) 638
5-Methoxy-2,3-dimethylquinoxaline	—	(*E* 225)
6-Methoxy-2,3-dimethylquinoxaline	1-$PhClO_4$: 210–211, NMR	63
6-Methoxy-1,4-dimethyl-2,3(1*H*,4*H*)-quinoxalinedione	182–183, IR	956, 993
5-Methoxy-2,3-dimethylquinoxaline 1,4-dioxide	—	(*E* 68)
6-Methoxy-2,3-dimethylquinoxaline 1,4-dioxide	—	(*E* 68)
5-Methoxy-2,3-dimethylquinoxaline 1-oxide	—	(*E* 60) 328
3-Methoxy-6,7-dimethyl-2(1*H*)-quinoxalinone	263–273, NMR	718

Quinoxaline	Melting Point (°C) etc.	Reference(s)
8-Methoxy-6,7-dimethyl-2(1*H*)-quinoxalinone	226–228, UV	742
8-Methoxy-6,7-dimethyl-2(1*H*)-quinoxalinone 4-oxide	242–248, UV	742
7-Methoxy-6,8-dinitro-5-oxo-3-phenyl-1,5-dihydro-2-quinoxalinecarbonitrile	141–143, IR, NMR	486
7-Methoxy-6,8-dinitro-3-phenyl-5(1*H*)-quinoxalinone	218–220, IR, NMR	486
5-Methoxy-6,8-dinitroquinoxaline	—	(*E* 23)
5-Methoxy-2,3-diphenyl-6-quinoxalinamine	—	(*H* 222)
6-Methoxy-2,3-diphenyl-5-quinoxalinamine	156–157	845
7-Methoxy-2,3-diphenyl-5-quinoxalinamine	—	(*H* 222)
8-Methoxy-2,3-diphenylquinoxalinamine	214–215	845
5-Methoxy-2,3-diphenylquinoxaline	194–196	638
6-Methoxy-2,3-diphenylquinoxaline	—	(*H* 221) 1011
6-Methoxy-2,3-diphenylquinoxaline 1-oxide	250–252, IR, MS, NMR	583
6-Methoxy-2,3-diphenylquinoxaline 4-oxide	227–228, IR, MS, NMR	583
2-Methoxy-3-methoxycarbonylmethylquinoxaline	MS	763
6-Methoxy-3-methoxycarbonyl-2-phenyl-5,8-quinoxalinequinone	209–211, IR, NMR	486
5-Methoxy-8-methyl-2,3-diphenylquinoxaline	—	(*H* 222)
6-Methoxy-7-methyl-2,3-diphenyl-5,8-quinoxalinequinone	221–224, MS, NMR	611
6-Methoxy-3-methyl-2-methylene-1-phenyl-1,2-dihydroquinoxaline	76–77, NMR	63
6-Methoxy-2-methyl-3-methyliminomethylquinoxaline 1,4,*N*-trioxide	180–182	703
2-Methoxy-3-methyl-7-nitroquinoxaline	—	(*E* 202)
6-Methoxy-2-methyl-5-nitroquinoxaline	170–171, MS, NMR	35, 843
6-Methoxy-3-methyl-5-nitroquinoxaline	191–192, MS, NMR	35, 843
6/7-Methoxy-3-methyl-5/8-nitro-2-quinoxalinecarbaldehyde	Isomer A: 209, IR, NMR; Isomer B: 182, IR, NMR; Each pure but unidentified	882
6-Methoxy-4-methyl-3-oxo-2-phenyl-3,4-dihydro-5,8-quinoxalinequinone	250–255, IR, NMR	486
6-Methoxy-1-methyl-3-phenyl-2(1*H*)-quinoxalinone	153–154, IR	(*E* 258) 956
6-Methoxy-3-methyl-5-quinoxalinamine	103–104, MS, NMR	35
2-Methoxy-3-methylquinoxaline	—	(*E* 202)
2-Methoxy-7-methylquinoxaline	—	(*H* 270)
6-Methoxy-3-methylquinoxaline	69–70, MS, NMR	35
6/7-Methoxy-3-methyl-2-quinoxalinecarbaldehyde 1,4-dioxide	184–186, IR, NMR	(*E* 67) 703
6-Methoxy-1-methyl-2,3(1*H*,4*H*)-quinoxalinedione	>300	713
6-Methoxy-2-methylquinoxaline 1,4-dioxide	—	(*E* 66)
6/7-Methoxy-2-methylquinoxaline 1,4-dioxide	207–208	137
7-Methoxy-2-methylquinoxaline 1,4-dioxide	—	(*E* 66)
2-Methoxy-3-methylquinoxaline 4-oxide	—	(*E* 59)
6-Methoxy-3-methylquinoxaline 4-oxide (?)	—	252

Quinoxaline	Melting Point (°C) etc.	Reference(s)
3-Methoxy-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 105)
5-Methoxy-3-methyl-2(1*H*)-quinoxalinone	—	(*E* 100)
6-Methoxy-3-methyl-2(1*H*)-quinoxalinone	—	(*E* 240)
7-Methoxy-3-methyl-2(1*H*)-quinoxalinone	217–218, IR, NMR, UV	(*H* 240) 458
8-Methoxy-3-methyl-2(1*H*)-quinoxalinone	—	(*E* 100)
6-Methoxy-3-morpholino-5-nitroquinoxaline	161–162	781
6-Methoxy-3-morpholino-5-quinoxalinamine	crude	781
6-Methoxy-3-morpholinoquinoxaline	143–144	781
6-Methoxy-2-morpholino-5,8-quinoxalinequinone	258–259, IR	827, 956
6-Methoxy-3-morpholino-5,8-quinoxalinequinone	242–243	781
5-Methoxy-7-nitro-2,3-diphenylquinoxaline	—	(*H* 222)
6-Methoxy-5-nitro-2,3-diphenylquinoxaline	215–217, MS, NMR	35, 845
6-Methoxy-5-nitro-2-phenylquinoxaline	207–208, MS, NMR	35, 843
6-Methoxy-5-nitro-3-phenylquinoxaline	191–192, MS, NMR	35
6-Methoxy-5-nitro-3-phenylquinoxaline 1-oxide	264–265, MS, NMR	35, 843
3-Methoxy-6-nitro-2-quinoxalinamine	292–293, IR, NMR	701
3-Methoxy-7-nitro-2-quinoxalinamine	228–230, IR, MS, NMR	701
7-Methoxy-5-nitro-6-quinoxalinamine	213, IR, NMR	882
7-Methoxy-6-nitro-5-quinoxalinamine	225–227	195
2-Methoxy-6-nitroquinoxaline	169–170	(*E* 202) 1030r
2-Methoxy-7-nitroquinoxaline	136–137, IR, MS, NMR	701
5-Methoxy-6-nitroquinoxaline	124–125, MS, NMR	147
5-Methoxy-7-nitroquinoxaline	—	(*E* 23)
6-Methoxy-5-nitroquinoxaline	207–208, IR	(*E* 23) 750
6-Methoxy-7-nitroquinoxaline	195–197, NMR	195, 668
6-Methoxy-8-nitroquinoxaline	—	(*H* 230)
5-Methoxy-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 105)
6-Methoxy-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione	>300	438
5-Methoxy-7-nitro-2(1*H*)-quinoxalinone	—	(*E* 99)
7-Methoxy-5-nitro-6(4*H*)-quinoxalinone	—	(*H* 231)
7-Methoxy-3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile 1-oxide	—	(*E* 58)
7-Methoxy-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide 1-oxide	—	(*E* 58)
6-Methoxy-3-oxo-2-phenyl-3,4-dihydro-5,8-quinoxalinequinone	268–270, IR, NMR	486
2-Methoxy-3-phenoxyquinoxaline	—	(*E* 203)
2-Methoxy-3-phenyl-5,8-bis(trimethylsilyl)quinoxaline	135–136, NME	661
6-Methoxy-2-phenyl-3-piperidino-5,8-quinoxalinequinone	235–239, IR, NMR	486
2-Methoxy-3-phenylquinoxaline	53–54, IR, NMR	661
6-Methoxy-2-phenylquinoxaline	113–115, NMR	839, 885
6-Methoxy-3-phenylquinoxaline	86–88, NMR	249, 411, 885
7-Methoxy-3-phenyl-2-quinoxalinecarbonitrile 1,4-dioxide	—	(*E* 70)
2-Methoxy-3-phenylquinoxaline 4-oxide	107	(*E* 61) 988
6-Methoxy-2-phenylquinoxaline 4-oxide	184–186, NMR	839, 885

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6-Methoxy-3-phenylquinoxaline 1-oxide	142–144 or 160–161, NMR	249, 839, 885
6-Methoxy-2-phenyl-5,8-quinoxalinequinone	180–183, IR, NMR	486
7-Methoxy-2-phenyl-6(4*H*)-quinoxalinone	liq	728
6-Methoxy-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 61)
2-Methoxy-3-phenyl-5-trimethylsilylquinoxaline	115–116, IR, NMR	661
6-Methoxy-3-piperidinoquinoxaline	—	(*E* 189)
2-Methoxy-3-pivaloylmethylquinoxaline	77, IR	860
2-Methoxy-3-propionylmethylquinoxaline	86–87, IR	860
3-Methoxy-2-quinoxalinamine	149–150, MS, NMR	(*H* 264; *E* 187) 121, 861
6-Methoxy-5-quinoxalinamine	HCl: >360, IR	(*E* 23) 750
7-Methoxy-5-quinoxalinamine	—	(*H* 230)
7-Methoxy-6-quinoxalinamine	162–164, IR	382
8-Methoxy-5-quinoxalinamine	—	(*E* 23)
8-Methoxy-6-quinoxalinamine	—	(*E* 24)
2-Methoxyquinoxaline	<50, 145–150/16, NMR; pic: 141–142	(*E* 202) 474, 526, 867
5-Methoxyquinoxaline	72 or 73–75, NMR	(*E* 23) 526, 528, 918
6-Methoxyquinoxaline	59–60, 158–160/20, IR, NMR	(*H* 230; *E* 23) 528, 750
3-Methoxy-2-quinoxalinecarbaldehyde	98–99, IR, UV; PhHNN=: 144–146; oxime: 207 or 222–223	(*H* 247; *E* 128) 139, 988
3-Methoxy-2-quinoxalinecarbaldehyde 1-oxide	—	(*E* 58)
3-Methoxy-2-quinoxalinecarbonitrile	150–151, IR, MS, NMR	(*E* 154) 598, 988
7-Methoxy-2-quinoxalinecarbonitrile 1,4-dioxide	—	1012
3-Methoxy-2-quinoxalinecarbonitrile 1-oxide	137	(*E* 58) 988
3-Methoxy-2-quinoxalinecarboxamide	164	(*E* 154) 988
3-Methoxy-2-quinoxalinecarboxamide 1-oxide	226	(*E* 58) 988
3-Methoxy-2-quinoxalinecarboxylic acid	—	(*E* 154)
6-Methoxy-2,3-quinoxalinediamine	—	(*E* 190)
7-Methoxy-5,6-quinoxalinediamine	183, IR, NMR	882
6-Methoxy-2,3-quinoxalinedicarboxamide 1,4-dioxide	—	(*E* 67)
5-Methoxy-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 105)
6-Methoxy-2,3(1*H*,4*H*)-quinoxalinedione	>300 or >350	(*H* 242) 98, 716, 985
2-Methoxyquinoxaline 1,4-dioxide	—	(*E* 64)
5-Methoxyquinoxaline 1,4-dioxide	—	(*E* 64)
6-Methoxyquinoxaline 1,4-dioxide	—	(*E* 64)
6-Methoxy-2,3(1*H*,4*H*)-quinoxalinedithione	—	(*E* 121)
2-Methoxyquinoxaline 1-oxide	—	(*E* 58)
2-Methoxyquinoxaline 4-oxide	105–107	(*E* 58) 988
6-Methoxy-5,8-quinoxalinequinone	235–236, IR	(*E* 23) 750
7-Methoxy-5,6-quinoxalinequinone	195, IR, NMR	882
3-Methoxy-2(1*H*)-quinoxalinethione	—	(*E* 119)
3-Methoxy-2(1*H*)-quinoxalinone	—	(*E* 99) 518
6-Methoxy-2(1*H*)-quinoxalinone	267–269 or 271–272, MS	98, 391, 985

Quinoxaline	Melting Point (°C) etc.	Reference(s)
7-Methoxy-2(1*H*)-quinoxalinone	—	(*H* 236; *E* 99)
7-Methoxy-6(4*H*)-quinoxalinone	—	(*H* 230)
6-Methoxy-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 58)
5-Methoxy-6,7,*N*-trimethyl-3-methylamino-2-quinoxalinecarboxamide	150–152, IR, UV	742
6-Methoxy-2,3,7-trimethyl-5,8-quinoxalinequinone	181–183, MS, NMR	611
2-Methoxy-3-trimethylsilylquinoxaline	155, IR, NMR	474
Methyl 3-acetamido-2-quinoxalinecarboxylate	—	(*H* 251)
3-(*N*-Methylacetamido)-2(1*H*)-quinoxalinone	—	(*E* 99)
Methyl 3-acetoxymethyl-7-bromo-2-quinoxalinecarboxylate	—	268
Methyl 3-acetoxymethyl-2-quinoxalinecarboxylate	100–101, IR, NMR, UV	153
Methyl 3-amino-7-chloro-2-quinoxalinecarboxylate	—	(*E* 153, 187)
2-Methylaminomethylquinoxaline	crude, liq, NMR	719
2-Methylamino-3-methylthioquinoxaline	—	(*E* 120)
6-Methylamino-5-nitroquinoxaline	195–196, MS, NMR	37
2-Methylamino-3-phenylquinoxaline	136–137, IR, MS, NMR, UV	(*E* 189) 207
3-Methylamino-2-quinoxalinamine	anal, NMR	1038
Methylaminoquinoxaline	—	(*E* 188)
2-Methylamino-2-quinoxalinecarbonitrile 1,4-dioxide	208, IR, NMR	1012
Methyl 3-amino-2-quinoxalinecarboxylate	—	(*H* 351)
3-Methylamino-2-quinoxalinecarboxylic acid	—	(*E* 154)
3-Methylamino-2(1*H*)-quinoxalinethione	—	(*E* 120)
3-Methylamino-2(1*H*)-quinoxalinone	238	669
Methyl 5-anilino-8-oxo-4,8-dihydro-6-quinoxalinecarboxylate	179–180, NMR	194
Methyl 8-anilino-5-oxo-1,5-dihydro-6-quinoxalinecarboxylate	142–143, NMR	194
Methyl 3-benzoyl-2-quinoxalinecarboxylate	98–100, MS, NMR	1101
Methyl 3-bromomethyl-2-quinoxalinecarboxylate 1-oxide	134–136	712
Methyl 3-chloro-5-methoxy-6,7-dimethyl-2-quinoxalinecarboxylate	98–99, IR, UV	742
Methyl 6-chloro-2-quinoxalinecarboxylate	—	(*E* 152)
Methyl 7-chloro-2-quinoxalinecarboxylate	—	(*E* 152)
Methyl 3-(2-cyanovinyl)-2-quinoxalinecarboxylate	*E*: 188–191, IR, NMR; *Z*: 110–113, IR, NMR	113, 986
Methyl 2,3-dichloro-6-methyl-7-nitro-5-quinoxalinecarboxylate	155–157, MS, NMR	506
Methyl 6,7-dichloro-3-methyl-2-quinoxalinecarboxylate	92–94, IR, MS, NMR	1015
Methyl 3-(2-diethylaminoethyl)-2-quinoxalinecarboxylate 1,4-dioxide	100–103	710
Methyl 2,3-dimethoxy-6-methyl-7-nitro-5-quinoxalinecarboxylate	174–176, NMR	506

Quinoxaline	Melting Point (°C) etc.	Reference(s)
Methyl 6,7-dimethoxy-4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	163–164, NMR	351, 943
Methyl 5,7-dimethoxy-8-nitro-3-phenyl-2-quinoxalinecarboxylate	256–257, IR, NMR	486
Methyl 5,7-dimethoxy-3-phenyl-2-quinoxalinecarboxylate	212–214, IR, NMR	486
Methyl 2,3-dimethyl-6-quinoxalinecarboxylate 1,4-dioxide	—	(*E* 67)
2-Methyl-6,8-dinitroquinoxaline	—	(*E* 221)
7-Methyl-2,3-dioxo-1,2,3,4-tetrahydro-5-quinoxalinecarbaldehyde	>250, IR, NMR	46
7-Methyl-2,3-dioxo-1,2,3,4-tetrahydro-6-quinoxalinecarboxylic acid	EtOH: >250, NMR	(*E* 105) 46
6-Methyl-2,3-diphenylazoquinoxaline	103–106, IR, MS, NMR, UV	578
6-Methyl-2,3-diphenylquinoxaline	109–110 or 127–129	(*H* 289) 498, 841, 1011, 1065
5-Methyl-2,3-diphenylquinoxaline 4-oxide	201–203, IR, MS, NMR	583
6-Methyl-2,3-diphenylquinoxaline 1-oxide	180–182, IR, MS, NMR	583
6-Methyl-2,3-diphenylquinoxaline 4-oxide	203–204, IR, MN, NMR	(*E* 62, 258) 583
6-Methyl-2,3-distyrylquinoxaline (?)	—	(*H* 284)
2-(1-Methylhydrazino)-3-phenylquinoxaline	—	(*E* 197)
2-(1-Methylhydrazino)quinoxaline	—	(*E* 196)
2-(1-Methylhydrazino)quinoxaline 4-oxide	185–186, IR, NMR	512
3-(1-Methylhydrazino)-2(1*H*)-quinoxalinone	—	(*E* 99)
2-Methyliminomethylquinoxaline 1,4,*N*-trioxide	219–220	703
Methyl 3-methoxycarbonylmethyl-2-quinoxalinecarboxylate 1,4-dioxide	155–157, IR, NMR	454, 804, 991
Methyl 5-methoxy-6,7-dimethyl-3-methylamino-2-quinoxalinecarboxylate	178–180, IR, UV	742
Methyl 5-methoxy-6,7-dimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	219–223, IR, UV	742
Methyl 5-methoxy-6,7-dimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate 1-oxide	248–254, UV	742
Methyl 3-methoxy-2-quinoxalinecarboxylate	—	(*E* 154)
2-Methyl-3-(1-methylallyl)quinoxaline	liq, IR, NMR	637
2-Methyl-3-(2-methylallyl)quinoxaline	NMR	637
2-Methyl-3-methylaminomethylquinoxaline	crude	719
5-Methyl-7-methylamino-8-nitro-2-phenylquinoxaline	237–240, MS, NMR	37
5-Methyl-7-methylamino-8-nitro-3-phenylquinoxaline	188–190, MS, NMR	37
2-Methyl-6-methylamino-5-nitroquinoxaline	215–216 or 227–228, MS, NMR	5, 37, 959
2-Methyl-7-methylamino-8-nitroquinoxaline	181–182 or 187–188, MS, NMR	5, 35, 37, 959
5-Methyl-7-methylamino-8-nitroquinoxaline	115–118, MS, NMR	37
6-Methyl-7-methylamino-8-nitroquinoxaline	141–142, MS, NMR	37

Quinoxaline	Melting Point (°C) etc.	Reference(s)
3-Methyl-6-methylamino-5-quinoxalinamine	—	262
N-Methyl-3-methylamino-2-quinoxalinecarboxamide	—	(*E* 154)
Methyl 3-methylamino-2-quinoxalinecarboxylate	—	(*E* 154)
1-Methyl-3-methylamino-2(1*H*)-quinoxalinone	—	(*E* 99)
1-Methyl-3-(*N*-methylcarbamoyl)methyl-2(1*H*)-quinoxalinone	MS	763
Methyl 1-methyl-2,3-dioxo-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate	279–284	713
Methyl 6-methyl-2,3-dioxo-1,2,3,4-tetrahydro-5-quinoxalinecarboxylate	304–306, NMR	506
2-Methyl-3-methylene-7-methylsulfonyl-4-phenyl-3,4-dihydroquinoxaline	169–170, NMR	63
2-Methyl-3-methylene-7-nitro-4-phenyl-3,4-dihydroquinoxaline	164–165, NMR	63
2-Methyl-3-methylene-4-phenyl-3,4-dihydroquinoxaline	108–110, UV	63
3-Methyl-2-methylene-1-phenyl-1,2-dihydro-6-quinoxalinecarbonitrile	157–159, NMR	63
2-Methyl-3-(1-methylhydrazino)quinoxaline	—	(*E* 196)
1-Methyl-3-(1-methylhydrazino)-2(1*H*)-quinoxalinone	—	(*E* 99)
2-Methyl-3-methyliminomethyl-6-nitroquinoxaline 1,4,*N*-trioxide	198	703
2-Methyl-3-methyliminomethylquinoxaline 1,4,*N*-trioxide	171–173	703
Methyl 6-methyl-7-nitro-2,3-dioxo-1,2,3,4-tetrahydro-5-quinoxalinecarboxylate	313–315, NMR	506
Methyl 4-methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	—	(*E* 154)
2-Methyl-3-(1-methylprop-1-enyl)quinoxaline	liq (?), NMR	637
Methyl 3-methyl-2-quinoxalinecarboxylate	58–60 or 79–80 or 83–85, IR, MS, NMR, pol	119, 153, 230, 940, 945, 1015, 1101
Methyl 3-methyl-2-quinoxalinecarboxylate 1,4-dioxide	169 to 179, IR, MS, NMR, pol, thermochem., UV	153, 158, 228, 230, 587, 940, 988, 991
Methyl 3-methyl-2-quinoxalinecarboxylate 1-oxide	104–108 or 108–110, MS, NMR, pol, UV	152, 153, 158, 940
Methyl 3-methyl-2-quinoxalinecarboxylate 4-oxide	105–107 or 109–111, NMR, UV	152, 153, 158, 1030j
2-Methyl-3-methylsulfinylquinoxaline 1,4-dioxide	—	(*E* 66)
N-Methyl-3-methylsulfonylmethyl-2-quinoxalinecarboxamide 1-oxide	205–207	712
N-Methyl-3-methylsulfonylmethyl-2-quinoxalinecarboxamide 4-oxide	223–226	712
Methyl 3-methylsulfonylmethyl-2-quinoxalinecarboxylate 1-oxide	140–141	712
2-Methyl-3-methylsulfonylquinoxaline 1,4-dioxide	—	(*E* 66)
2-Methyl-3-methylsulfonylquinoxaline 1-oxide	185–187, NMR, UV	149

Quinoxaline	Melting Point (°C) etc.	Reference(s)
N-Methyl-3-methylthiomethyl-2-quinoxalinecarboxamide 1-oxide	144–146	712
N-Methyl-3-methylthiomethyl-2-quinoxalinecarboxamide 4-oxide	152–154	712
Methyl 3-methylthiomethyl-2-quinoxalinecarboxylate 1-oxide	96–98	712
Methyl 3-methylthiomethyl-2-quinoxalinecarboxylate 4-oxide	86–89	712
2-Methyl-3-methylthioquinoxaline	53 to 56, IR, NMR, UV	(*E* 120) 37, 103, 105
2-Methyl-3-methylthioquinoxaline 1,4-dioxide	—	(*E* 65) 317
2-Methyl-3-morpholinomethylquinoxaline 1,4-dioxide		(*E* 70)
6-Methyl-2-morpholino-3-phenylquinoxaline 4-oxide	161, NMR	579
2-Methyl-3-morpholinoquinoxaline	—	(*E* 188)
6-Methyl-3-morpholino-2(1*H*)-quinoxalinone	—	(*E* 101)
6-Methyl-2/3-(2-morpholinovinyl)quinoxaline	198, IR, MS, NMR	634
6-Methyl-7-nitro-2,3-dioxo,1,2,3,4-tetrahydro-5-quinoxalinecarboxylic acid	338–340, NMR	506
2-Methyl-6-nitro-3-phenylquinoxaline	105–106	420
2-Methyl-7-nitro-3-phenylquinoxaline	128–131 or 155–157, UV	420, 586
3-Methyl-6-nitro-5-quinoxalinamine	190–191, IR, MS, NMR	117
2-Methyl-5-nitroquinoxaline	168–170, MS, NMR	290, 844
2-Methyl-6-nitroquinoxaline	MS, NMR	(*E* 221) 161, 290
2-Methyl-7-nitroquinoxaline	148–152 or 154–155, IR, MS, NMR	117, 640
2-Methyl-8-nitroquinoxaline	101–103, MS, NMR	844
6-Methyl-5-nitroquinoxaline	144–148, IR, NMR, UV; I-$MeClO_4$: 221–224, NMR, UV	936
6-Methyl-7-nitroquinoxaline	173–174, IR, NMR, UV	936
6-Methyl-8-nitroquinoxaline	—	(*E* 23)
1-Methyl-6-nitro-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 104)
1-Methyl-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 105)
6-Methyl-7-nitro-2,3(1*H*,4*H*)-quinoxalinedione	>300	438
2-Methyl-6-nitroquinoxaline 1-oxide	199–200, NMR	161
2-Methyl-6-nitroquinoxaline 4-oxide	165–167, NMR	161
1-Methyl-6-nitro-2(1*H*)-quinoxalinone	—	(*E* 97)
3-Methyl-6-nitro-2(1*H*)-quinoxalinone	—	(*E* 98)
3-Methyl-7-nitro-2(1*H*)-quinoxalinone	—	(*E* 98)
4-Methyl-3-oxo-3,4-dihydro-2-quinoxalinecarbaldehyde	—	(*H* 314; *E* 98)
4-Methyl-3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile	201–202, IR, MS, NMR	(*E* 154) 598
4-Methyl-3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile 1-oxide	—	(*E* 58)
7-Methyl-3-oxo-3,4-dihydro-2-quinoxalinecarbonitrile 1-oxide	251–253	(*E* 58) 98

Quinoxaline	Melting Point (°C) etc.	Reference(s)
4-Methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide	—	(*E* 154)
N-Methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide	xl st	(*E* 153) 299
4-Methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide 1-oxide	—	(*E* 58)
Methyl 3-oxo-3,4-dihydro-2-quinoxalonecarboxylate	—	(*E* 153)
2-Methyl-3-oxo-3,4-dihydro-6-quinoxalinecarbosylic acid	—	(*E* 100)
3-Methyl-2-oxo-1,2-dihydro-6-quinoxalinecarboxylic acid	—	(*E* 100)
4-Methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*H* 314; *E* 154)
6-Methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*H* 252)
7-Methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*H* 252)
8-Methyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*H* 252)
2-Methyl-3-oxo-3,4-dihydro-6-quinoxalinesulfonamide	—	(*E* 99)
N-Methyl-3-oxo-4-phenyl-3,4-dihydro-2-quinoxalinecarboxamide	249–251 or 251–253, IR, NMR	535, 672
2-Methyl-7-oxo-3-phenyl-1,7-dihydro-6-quinoxalinecarboxylic acid	249	77
3-Methyl-7-oxo-2-phenyl-1,7-dihydro-6-quinoxalinecarboxylic acid	318	77
4-Methyl-3-oxo-2-phenylhydrazono-1,2,3,4-tetrahydro-1-quinoxalinecarbaldehyde	181–191, IR, NMR	516
2-Methyl-3-pentylquinoxaline 1,4-dioxide	—	(*H* 232, 365)
1-Methyl-3-pentyl-2(1*H*)-quinoxalinone	—	(*E* 101)
1-Methyl-3-pentyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 61)
2-Methyl-3-pentylthioquinoxaline	—	372
2-Methyl-3-phenoxyquinoxaline	101–102, NMR	(*E* 203) 662
1-Methyl-3-phenoxy-2(1*H*)-quinoxalinone	—	(*E* 102)
1-Methyl-3-phenylazo-2(1*H*)-quinoxalinone	124–126, UV	516
2-Methyl-3-(2-phenylhydrazino)quinoxaline	186, NMR	998
1-Methyl-3-(2-phenylhydrazino)-2(1*H*)-quinoxalinone	185–187, IR	516
2-Methyl-3-phenylquinoxaline	47 to 65, NMR, UV; HCl; anal	(*H* 208; *E* 227) 227, 238, 242, 302, 412, 420, 529, 567, 575, 586, 728, 973, 1011, 1033
5-Methyl-2-phenylquinoxaline	—	(*E* 238)
5-Methyl-3-phenylquinoxaline	—	(*E* 238)
6-Methyl-2-phenylquinoxaline	77–79 or 133–134, NMR	(*E* 238, 257) 157, 411, 446, 885
6-Methyl-3-phenylquinoxaline	75–76 or 114 or 133–136, NMR	(*E* 238, 257) 411, 530, 728, 885
6-Methyl-7-phenylquinoxaline	—	1112

Quinoxaline	Melting Point (°C) etc.	Reference(s)
Methyl 3-phenyl-2-quinoxalinecarboxylate	liq	859
Methyl 3-phenyl-2-quinoxalinecarboxylate 1,4-dioxide	—	408
2-Methyl-3-phenyl-5-quinoxalinecarboxylic acid	165–166	77
2-Methyl-3-phenyl-6-quinoxalinecarboxylic acid	219	77
3-Methyl-2-phenyl-5-quinoxalinecarboxylic acid	196–199	77
3-Methyl-2-phenyl-6-quinoxalinecarboxylic acid	232	77
6-Methyl-3-phenyl-2,7-quinoxalinediamine	—	(*E* 189)
6-Methyl-7-phenyl-2,3-quinoxalinedicarbonitrile	214–215, MS, NMR	848
2-Methyl-3-phenylquinoxaline 1,4-dioxide	193 or 196–197, MS, NMR	242, 420, 988
2-Methyl-3-phenylquinoxaline 1-oxide	106	(*E* 61) 988
5-Methyl-3-phenylquinoxaline 1-oxide	—	(*E* 61)
6-Methyl-2-phenylquinoxaline 4-oxide	162–163, NMR	(*E* 61) 885
6-Methyl-3-phenylquinoxaline 1-oxide	121–123, NMR	885
6-Methyl-3-phenylquinoxaline 4-oxide	—	(*E* 61)
1-Methyl-3-phenyl-2(1*H*)-quinoxalinone	135 to 140, fl sp, IR, NMR, UV	(*E* 102, 257) 134, 241, 330, 539
3-Methyl-1-phenyl-2(1*H*)-quinoxalinone	188–189, IR, NMR, UV	(*H* 315; *E* 99) 134
6-Methyl-3-phenyl-2(1*H*)-quinoxalinone	—	(*H* 240)
7-Methyl-3-phenyl-2(1*H*)-quinoxalinone	—	(*H* 240)
1-Methyl-3-phenyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 61)
2-Methyl-3-phenylsulfinylquinoxaline 1,4-dioxide	—	(*E* 70)
2-Methyl-3-phenylsulfonylquinoxaline	163–165	996
2-Methyl-3-phenylsulfonylquinoxaline 1,4-dioxide	159–160	(*E* 70) 1086
2-Methyl-3-phenylthioquinoxaline	140–143	996
2-Methyl-3-phenylthioquinoxaline 1,4-dioxide	154–156	(*E* 70) 1086
2-Methyl-3-piperidinoquinoxaline	—	(*E* 189)
1-Methyl-3-propionylmethyl-2(1*H*)-quinoxalinone	146–148, IR, NMR	572
2-Methyl-3-propylquinoxaline	60–61, MS, NMR	(*E* 226) 242, 644
3-Methyl-*N*-propyl-2-quinoxalinecarboxamide 1,4-dioxide	172–173, NMR	228
2-Methyl-3-propylquinoxaline 1,4-dioxide	109, IR, MS	(*E* 69) 242
1-Methyl-3-propyl-2(1*H*)-quinoxalinone	—	(*E* 100)
3-Methyl-1-propyl-2(1*H*)-quinoxalinone	—	(*E* 99)
1-Methyl-3-propyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 60)
2-Methyl-3-propylthioquinoxaline	—	372, 811
2-Methyl-3-(prop-2-ynyl)quinoxaline	63–65, IR, NMR	637
2-Methyl-5-quinoxalinamine	112–114, MS, NMR	(*E* 222) 819, 844
2-Methyl-6-quinoxalinamine	MS	(*H* 220; *E* 222) 819
3-Methyl-2-quinoxalinamine	Me_2NCH=: 104–106, MS, NMR	(*H* 264; *E* 187) 229
3-Methyl-5-quinoxalinamine	83–85, MS, NMR	(*E* 222) 844
3-Methyl-6-quinoxalinamine	163–165 or 170–172, fl sp, IR, MS, NMR, UV	(*H* 220; *E* 222) 640, 758, 819
5-Methyl-2-quinoxalinamine	—	(*H* 264; *E* 188)
6-Methyl-2-quinoxalinamine	—	(*H* 264; *E* 188)
7-Methyl-2-quinoxalinamine	—	(*H* 264; *E* 188)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
7-Methyl-5-quinoxalinamine	—	(*H* 230; *E* 23)
7-Methyl-6-quinoxalinamine	—	(*H* 230; *E* 23)
8-Methyl-2-quinoxalinamine	—	(*H* 264; *E* 188)
8-Methyl-6-quinoxalinamine	—	(*H* 230; *E* 23)
3-Methyl-2-quinoxalinamine 1,4-dioxide	—	(*E* 64)
3-Methyl-2-quinoxalinamine 4-oxide	—	(*E* 58)
2-Methylquinoxaline	180–181 (?), 120–121/15, 127–129/16, 128–130/20, MS, NMR, UV; pic: 134–135 or 137	(*E* 221, 255) 59, 164, 455, 492, 526, 531, 549, 575, 940, 988, 1033, 1100
5-Methylquinoxaline	18–20, 125–127/16 or 140–143/20, NMR	(*E* 23) 526, 528, 532, 533, 918
6-Methylquinoxaline	125/∼13 or 118–120/16, MS, NMR; 1-MeI: 174–176	(*H* 220, 230, 289; *E* 23, 255) 522, 526, 528, 533, 561, 1030i
3-Methyl-2-quinoxalinecarbaldehyde	135 to 142, IR, NMR	(*E* 128) 231, 746, 915
3-Methyl-2-quinoxalinecarbaldehyde 1,4-dioxide	MS	(*E* 65) 940
3-Methyl-2-quinoxalinecarbaldehyde 4-oxide	TsHNN+: 142–143, NMR	149
3-Methyl-2-quinoxalinecarbohydrazide	—	(*E* 154) 182, 813
3-Methyl-2-quinoxalinecarbohydrazide 1,4-dioxide	—	182
3-Methyl-2-quinoxalinecarbonitrile	149 to 154, IR, MS, NMR	(*E* 154) 455, 598, 696
3-Methyl-2-quinoxalinecarbonitrile 1,4-dioxide	176, IR, NMR	(*E* 64) 1012
7-Methyl-2-quinoxalinecarbonitrile 1,4-dioxide	—	1012
3-Methyl-2-quinoxalinecarboxamide	193–194, IR, NMR; oxime: 184–187, IR, MS, NMR	(*E* 154) 229, 455, 813
3-Methyl-2-quinoxalinecarboxamide 1,4-dioxide	243–245, MS, NMR	(*E* 65) 228, 940
3-Methyl-2-quinoxalinecarboxamidrazone 1,4-dioxide	—	(*E* 65)
Methyl 2-quinoxalinecarboxylate	110–112, NMR	(*H* 251; *E* 153) 636, 1109
Methyl 5-quinoxalinecarboxylate	—	(*E* 23)
Methyl 6-quinoxalinecarboxylate	NMR	(*E* 23) 970
Methyl 2-quinoxalinecarboxylate 1-oxide	106, NMR	(*E* 53) 663
Methyl 2-quinoxalinecarboxylate 4-oxide	156, NMR	663
3-Methyl-2-quinoxalinecarboxylic acid	154 or 155, IR, MS, NMR	(*H* 252; *E* 154) 662, 746, 940
6/7-Methyl-2-quinoxalinecarboxylic acid	—	(*E* 154)
7-Methyl-6-quinoxalinecarboxylic acid	—	(*E* 24)
3-Methyl-2-quinoxalinecarboxylic acid 1,4-dioxide	168 or 169, MS, NMR	(*E* 65) 228, 663, 940
3-Methyl-2-quinoxalinecarboxylic acid 1-oxide	130–133 or 138, MS, NMR	152, 663
3-Methyl-2-quinoxalinecarboxylic acid 4-oxide	138–141 or 150–151, MS, NMR, UV	(*E* 58) 70, 152, 153
5-Methyl-2,3-quinoxalinediamine	MS	819
6-Methyl-2,3-quinoxalinediamine	MS	(*E* 190) 819
6-Methyl-2,7-quinoxalinediamine	—	(*E* 187)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6-Methyl-2,3-quinoxalinedicarbonitrile	184–185, MS, NMR	848
N-Methyl-2,3-quinoxalinedicarboximide	>270	633
N-Methyl-6,7-quinoxalinedicarboximide	—	(*E* 24)
6-Methyl-2,3-quinoxalinedicarboxylic acid	—	(*H* 255)
1-Methyl-2,3(1*H*,4*H*)-quinoxalinedione	140 (?) or 286–289, IR, NMR, pK_a, UV	(*H* 314; *E* 105) 314, 425, 713, 814
6-Methyl-2,3(1*H*,4*H*)-quinoxalinedione	>300 or 345, IR, MS, NMR, UV	(*H* 242; *E* 105) 48, 98, 325, 438
2-Methylquinoxaline 1,4-dioxide	168–172 (?), 181 to 186, MS, NMR, pol, thermochem.	(*H* 232, 365; *E* 64) 59, 137, 158, 183, 219, 230, 271, 940, 988
5-Methylquinoxaline 1,4-dioxide	—	(*E* 64)
6-Methylquinoxaline 1,4-dioxide	—	(*E* 64)
6-Methyl-2,3(1*H*,4*H*)-quinoxalinedithione	—	(*E* 121)
2-Methylquinoxaline 1-oxide	85–87 or 93–94, MS, NMR, UV	(*E* 58) 59, 153, 158, 518, 940, 988
2-Methylquinoxaline 4-oxide	107–108 NMR	(*E* 58) 158, 518, 988
5-Methylquinoxaline 1-oxiden	—	(*E* 58)
6-Methyl-5,8-quinoxalinequinone	—	(*E* 23)
1-Methyl-2(1*H*)-quinoxalinethione	—	(*E* 119)
3-Methyl-2(1*H*)-quinoxalinethione	245 to 251, IR, NMR, UV	(*E* 120) 47, 103, 105, 317, 372, 443, (?), 811
1-Methyl-2(1*H*)-quinoxalinimine	—	(*E* 255)
1-Methyl-2(1*H*)-quinoxalinone	118–119 or 120–121, IR, NMR, UV	(*H* 314; *E* 98) 134, 584
1-Methyl-5(1*H*)-quinoxalinone	—	(*E* 255)
3-Methyl-2(1*H*)-quinoxalinone	241 to 255, IR, MS, NMR	(*H* 238; *E* 99, 255) 81, 84, 134, 145, 223, 342, 518, 586, 588, 694, 695, 811, 1005
5-Methyl-2(1*H*)-quinoxalinone	—	(*H* 236; *E* 99)
6-Methyl-2(1*H*)-quinoxalinone	248	(*H* 236; *E* 99) 98
7-Methyl-2(1*H*)-quinoxalinone	—	(*H* 236)
1-Methyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 58)
3-Methyl-2(1*H*)-quinoxalinone 4-oxide	246–248	(*E* 58) 76
6-Methyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 58)
2-Methyl-3-styrylquinoxaline	101–103 or 136–137, IR, MS, NMR, st	(*E* 224) 120, 575
2-Methyl-3-styrylquinoxaline 1,4-dioxide	—	(*E* 71)
2-Methyl-3-styrylqinoxaline 4-oxide	—	(*E* 62)
1-Methyl-3-styryl-2(1*H*)-quinoxalinethione	170	105
1-Methyl-3-styryl-2(1*H*)-quinoxalinone	164–165 or 166, IR	68, 105, 686
2-Methylsulfinylquinoxaline	104–106, IR, MS, NMR	(*E* 114) 597
2-Methylsulfonylmethyl-3-methylthioquinoxaline 4-oxide	194–197	712
2-Methylsulfonylmethyl-2-quinoxalinecarbonitrile 1-oxide	224–225	712

Quinoxaline	Melting Point (°C) etc.	Reference(s)
3-Methylsulfonylmethyl-2-quinoxalinecarboxamide 1-oxide	264–265	712
2-Methylsulfonylmethylquinoxaline 1-oxide	190–191	712
2-Methylsulfonylmethylquinoxaline 4-oxide	196–197	712
3-Methylsulfonylmethyl-2(1*H*)-quinoxalinone	262–264, NMR	785
2-Methylsulfonyl-3-methylsulfonylmethylquinoxaline 1-oxide	197–200	712
2-Methylsulfonylquinoxaline	124–126, IR, NMR	520, 597
2-Methylsulfonylquinoxaline 4-oxide	—	(*E* 58)
2-Methyl-3-thiocyanatoquinoxaline	117–118, IR, NMR	64
2-Methylthiomethylquinoxaline	anal, unstable, NMR	607
2-Methylthiomethyl-2-quinoxalinecarbonitrile	126–127	712
2-Methylthiomethylquinoxaline 1-oxide	73–75	712
2-Methylthiomethylquinoxaline 4-oxide	98–99	712
3-Methylthiomethyl-2(1*H*)-quinoxalinone	184–186, IR, MS, NMR	763, 785
2-Methylthio-3-methylthiomethylquinoxaline 1-oxide	75–80	712
2-Methylthio-3-phenylquinoxaline	122–123, NMR	159
3-Methylthio-2-quinoxalinamine	—	(*E* 119)
2-Methylthioquinoxaline	46–47	(*E* 119) 597, 867
3-Methylthio-2-quinoxalinecarbonitrile	144–145, IR, UV	930
3-Methylthio-2(1*H*)-quinoxalinethione	—	(*E* 120)
8-Methylthio-2(1*H*)-quinoxalinone	—	(*H* 236)
2-(1-Methylthiovinyl)quinoxaline	liq, MS, NMR	541
Methyl 4,6,7-trimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylate	—	(*E* 156)
Methyl 3,6,7-trimethyl-2-quinoxalinecarboxylate	anal, IR, MS, NMR	1015
2-Methyl-3-vinylquinoxaline 1-oxide	NMR	(*E* 59) 659
5-Morpholino-2,3-diphenyl-6-phenylsulfonylquinoxaline	209–211, NMR	577
6-Morpholinomethylquinoxaline	—	(*E* 25)
3-Morpholinomethyl-2-quinoxalinecarbonitrile 1,4-dioxide	—	(*E* 70)
2-Morpholino-3-phenylquinoxaline	129, NMR	579
2-Morpholino-3-phenylquinoxaline 1-oxide	140, NMR	579
2-Morpholino-3-piperidinoquinoxaline	—	(*E* 190)
2-Morpholino-3-piperidino-5,6-quinoxalinequinone	218–219, IR	827
8-Morpholino-2-piperidino-5,6-quinoxalinequinone	216–217, IR	827
6-Morpholino-3-piperidino-5,8-quinoxalinequinone	229–230, IR	750
7-Morpholino-6-quinoxalinamine	170, IR, NMR, UV	538
2-Morpholinoquinoxaline	88–89	(*E* 188) 71, 597, 867
3-Morpholino-2-quinoxalinecarbaldehyde	110–111, IR, UV; dnp: 296–297; oxime: 181–182	139
3-Morpholino-2-quinoxalinecarbohydrazide	180	688, 689
2-Morpholinoquinoxaline 1,4-dioxide	—	166, 200
6-Morpholino-5,8-quinoxalinequinone	220–221, IR	750
3-Morpholino-2(1*H*)-quinoxalinethione	—	(*E* 120)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
3-Morpholino-2(1*H*)-quinoxalinone	—	(*E* 101)
3-Morpholino-2-quinoxalinone 4-oxide	—	200
2-(2-Morpholinovinyl)quinoxaline 1,4-dioxide	245 or 255–256, IR, MS, NMR	92, 634
6-Nitro-2,3-diphenylquinoxaline	186–187, NMR	(*H* 221) 1065
6-Nitro-2,3-dipiperidinoquinoxaline	147–148, IR, MS, NMR	369, 679
6-Nitro-2,3-distyrylquinoxaline	—	(*E* 224)
2-(1-Nitroethyl)quinoxaline	76–77, IR, MS, NMR	867
2-Nitromethylquinoxaline	MS	(*E* 221) 763
2-Nitromethylquinoxaline 1,4-dioxide	137–139, IR, NMR	144
3-Nitromethyl-2(1*H*)-quinoxalinone	225–226, IR, MS	79, 763
6.7-Nitro-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 153)
6-Nitro-3-phenoxyquinoxaline	—	(*E* 203)
6-Nitro-3-phenyl-2-quinoxalinamine 4-oxide	279–282, MS, NMR	156
6-Nitro-2-phenylquinoxaline	205 to 212, NMR, UV	249, 411, 839, 885
6-Nitro-3-phenylquinoxaline	170–172 or 199–203, NMR, UV	446, 728, 839, 885
6-Nitro-2-phenylquinoxaline 4-oxide	208 to 216, NMR	249, 839, 885
6-Nitro-3-phenylquinoxaline 1-oxide	260–262, NMR	839, 885
5-Nitro-8-piperidino-6-quinoxalinamine	200–205, NMR; pic: 198–200	195
6-Nitro-3-piperidinoquinoxaline	134–136, IR, MS, NMR	679
6-Nitro-5-piperidinoquinoxaline	143–144, MS, NMR	147
6-Nitro-7-piperidinoquinoxaline	84–89, NMR	668
6-Nitro-8-piperidinoquinoxaline	147–148, NMR	195, 668
5/8-Nitro-2-quinoxalinamine	269, IR, MS, NMR	701
5-Nitro-6-quinoxalinamine	NMR	(*E* 23) 162
6-Nitro-2-quinoxalinamine	300–302 or 304–305, IR, MS, NMR	410, 701
6-Nitro-5-quinoxalinamine	230–231 or 240–241, IR, MS, NMR	(*E* 23) 162, 701, 853
7-Nitro-6-quinoxalinamine	—	(*E* 23) 272
2-Nitroquinoxaline	142–143, IR	865, 867
5-Nitroquinoxaline	97, MS, NMR	(*E* 22) 290, 918
6-Nitroquinoxaline	175–176 or 177–178, MS, NMR	(*H* 229; *E* 22) 161, 290, 501, 561
6-Nitro-2-quinoxalinecarbaldehyde	—	(*E* 127)
6-Nitro-2-quinoxalinecarboxamide	—	(*E* 153)
6-Nitro-2-quinoxalinecarboxylic acid	—	(*E* 153)
6-Nitro-2,3-quinoxalinediamine	—	(*E* 190)
6-Nitro-2,3-quinoxalinedicarboxylic acid	—	(*E* 157)
5-Nitro-2,3(1*H*,4*H*)-quinoxalinedione	279–283, NMR	(*H* 242; *E* 104) 1045
6-Nitro-2,3(1*H*,4*H*)-quinoxalinedione	>300, NMR; H_2O: xl st	(*H* 242; *E* 104) 4, 45, 279, 1030l, 1045
6-Nitro-2,3(1*H*,4*H*)-quinoxalinedithione	complexes	(*E* 120), 279, 933
6-Nitroquinoxaline 1-oxide	201–202, NMR	161
6-Nitroquinoxaline 4-oxide	200–201 (depressed on admixture with 1-oxide), NMR	161

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6-Nitro-2(1*H*)-quinoxalinone	—	(*E* 97)
7-Nitro-2(1*H*)-quinoxalinone	273 or 274–275	(*E* 97) 679, 1030c
8-Nitro-2(1*H*)-quinoxalinone	NMR	648
2-Nitrosoquinoxaline	154–156, xl st (dimer)	85, 1077
6-Nitro-2-styrylquinoxaline	—	(*E* 221)
3-Oxo-3,4-dihydro-2-quinoxalinecarbaldehyde	225–226, IR, NMR; H_2NN=: —; PhHN=: 303–304, IR, NMR, st; also many other hydrazones	(*H* 247; *E* 98, 127) 201, 202, 210, 409, 476, 479, 481, 485
3-Oxo-3,4-dihydro-2-quinoxalinecarbohydrazide	>300, IR	448, 692
3-Oxo-3,4-dihydro-2-quinoxalinecarbonitrile	281 to 298, IR, NMR	(*E* 98, 153) 64, 574, 866, 1030m
3-Oxo-3,4-dihydro-2-quinoxalinecarbonitrile 1-oxide	—	(*E* 57)
3-Oxo-3,4-dihydro-2-quinoxalinecarbonyl azide	IR	692
3-Oxo-3,4-dihydro-2-quinoxalinecarbonyl chloride	210	434
3-Oxo-3,4-dihydro-2-quinoxalinecarboxamide	265 or 308, IR	(*E* 98, 153) 590, 929
3-Oxo-3,4-dihydro-2-quinoxalinecarboxamide 1-oxide	—	(*E* 57)
3-Oxo-3,4-dihydro-2-quinoxalinecarboxamide oxime	264 or 270–271, IR, NMR	591, 748
3-Oxo-3,4-dihydro-2-quinoxalinecarboxamidine	310–312, IR, NMR, complexes	404
2-Oxo-1,2-dihydro-6-quinoxalinecarboxylic acid	—	(*E* 98)
3-Oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	263 or 270–271, IR, MS, NMR	(*H* 251; *E* 98, 153) 48, 217, 384
3-Oxo-3,4-dihydro-6-quinoxalinecarboxylic acid	—	(*E* 98)
3-Oxo-3,4-dihydro-2-quinoxalinecarboxylic acid 1-oxide	—	(*E* 57)
7-Oxo-2,3-diphenyl-1,7-dihydro-6-quinoxalinecarboxylic acid	257–258	77
3-Oxo-4-phenyl-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*E* 156)
2,5,6,7,8-Pentachloroquinoxaline	—	(*E* 171)
2,5,6,7,8-Pentafluoro-3-methoxyquinoxaline	—	(*E* 172)
N, *N*-Pentamethylene-3-piperidino-2-quinoxalinecarboxamide	—	(*E* 156)
3-Pentyl-2(1*H*)-quinoxalinone	—	(*E* 101)
3-Petnyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 60)
2-Pentylthio-3-phenylquinoxaline	—	372
2-Phenethyloxyquinoxaline	64, IR, NMR	621
2-Phenethyl-3-phenylquinoxaline	—	(*H* 212)
1-Phenethyl-2(1*H*)-quinoxalinethione	140, IR, NMR, xl st	621
1-Phenethyl-2(1*H*)-quinoxalinone	108–109, IR, NMR, xl st	621
3-Phenethyl-2(1*H*)-quinoxalinone	207–209, IR, NMR	89, 221
2-Phenoxy-3-phenylquinoxaline	—	(*E* 203)
3-Phenoxy-2-quinoxalinamine	—	(*E* 203)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Phenoxyquinoxaline	99 to 103	(*E* 203) 240, 867, 1030o
3-Phenoxy-2-quinoxalinecarbaldehyde	149–150, IR, UV; dnp: 251–253; oxime: 209–211	139
3-Phenoxy-2-quinoxalinecarbonyl chloride	188, IR, NMR	240
3-Phenoxy-2-quinoxalinecarboxylic acid	193, IR, NMR, UV	240
3-Phenoxy-2(1*H*)-quinoxalinone	—	(*E* 102)
3-Phenylazo-2(1*H*)-quinoxalinone	>360; NMR	998
2-Phenyl-3,6-dipiperidino-5,8-quinoxalinequinone	223–225, IR, NMR	486
2-Phenylethynylquinoxaline	64–65, IR,MS, NMR	564, 866
3-(2-Phenylhydrazino)-2(1*H*)-quinoxalinone	257–258 or 260, NMR	879, 998
2-Phenyl-3-(2-phenylhydrazino)quinoxaline	204, NMR	998
2-Phenyl-3-phenylsulfonylquinoxaline 1,4-dioxide	—	(*E* 71)
2-Phenyl-3-phenylthioquinoxaline 1,4-dioxide	—	(*E* 72)
2-Phenyl-3-piperidinoquinoxaline	—	(*E* 190)
2-Phenyl-3-propylquinoxaline	liq, UV; pic: 120–121	586
2-Phenyl-3-propylthioquinoxaline	—	372
3-Phenyl-2-quinoxalinamine	—	(*E* 188)
2-Phenylquinoxaline	65 to 78, NMR, UV, xl st	(*E* 237, 256, 257, 258) 36, 157, 227, 249, 343, 353, 411, 446, 567, 594, 601, 629, 631, 728, 782, 784, 854, 906, 1033, 1089
5-Phenylquinoxaline	—	(*E* 25)
6-Phenylquinoxaline	—	(*E* 25) 1112
3-Phenyl-2-quinoxalinecarbaldehyde	—	(*H* 247; *E* 128)
3-Phenyl-2-quinoxalinecarbaldehyde 1,4-dioxide	—	408
3-Phenyl-2-quinoxalonecarbaldehyde 1-oxide	—	(*E* 61)
3-Phenyl-2-quinoxalinecarbonitrile	160 to 165, NMR	(*E* 156) 157, 584, 598, 615
3-Phenyl-2-quinoxalinecarbonitrile 1,4-dioxide	—	(*E* 70)
3-Phenyl-2-quinoxalinecarbonitrile 1-oxide	—	(*E* 61)
3-Phenyl-2-quinoxalinecarbonitrile 4-oxide	—	(*E* 61)
(2 + 3)-Phenyl-6-carboxamide (mixture)	—	1017
3-Phenyl-2-quinoxalinecarboxamide	198–199, IR, NMR	(*E* 156) 157
3-Phenyl-2-quinoxalinecarboxamide 1-oxide	—	(*E* 61)
3-Phenyl-2-quinoxalinecarboxamide 4-oxide	—	(*E* 61)
3-Phenyl-2-quinoxalinecarboxylic acid	—	(*H* 252; *E* 156)
3-Phenyl-6-quinoxalinecarboxylic acid	278	728
3-Phenyl-2-quinoxalinecarboxylic acid 1,4-dioxide	—	408
6-Phenyl-2,3-quinoxalinedicarbonitrile	218–219, Ms, NMR	848
1-Phenyl-2,3(1*H*, 4*H*)-quinoxalinedione	—	(*H* 315)
2-Phenyl-6,7(1*H*, 4*H*)-quinoxalinedione	305, NMR; HBr: 280, NMR	728
2-Phenylquinoxaline 1,4-dioxide	205, NMR	(*E* 70) 158, 408, 1035
2-Phenylquinoxaline 1-oxide	155–156, NMR	(*E* 61) 158

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2-Phenylquinoxaline 4-oxide	135–138, NMR	(*E* 61) 158, 249
3-Phenyl-2(1*H*)-quinoxalinethione	104–105 (?) or 200, or 226–228, or 242 IR, NMR, UV	47, 159, 347, 372, 622, 946
3-Phenyl-2(1*H*)-quinoxalinone	245 to 251, fl sp, IR, NMR	(*H* 239, 257; *E* 101) 134, 159, 241, 330, 345, 539, 695, 755
3-Phenyl-2(1*H*)-quinoxalinone 4-oxide	278 or 307	(*E* 61) 988, 1030b
2-Phenyl-3-styrylquinoxaline	—	(*H* 276; *E* 227)
2-Phenylsulfinylquinoxaline	122–123, IR, MS, NMR	597
7-Phenylsulfonyl-6-quinoxalinamine	156, IR, NMR	538
2-Phenylsulfonylquinoxaline	136–137, IR, NMR	597, 865
2-Phenylsulfonyl-3-styrylquinoxaline	184–185, IR, NMR, UV	996
2-Phenyl-3-thiocyantoquinoxaline	132, NMR	622
2-Phenylthioquinoxaline	87 or 88–89	(*E* 119) 597, 865, 867
3-Phenylthio-2-quinoxalinecarbaldehyde	186–190, NMR	64, 231
2-Phenylthio-3-styrylquinoxaline	150, IR, NMR, UV	996
2-Piperidinoquinoxaline	62–63, MS, NMR	(*E* 188) 597, 867, 938
3-Piperidino-2-quinoxalinecarbaldehyde	85, Ir, NMR, UV; dnp: 259–260; oxime: 222–223	64, 139
6-Piperidino-5,8-quinoxalinequinone	170–171, IR, NMR; $CuCl_2$: 177–178, IR	750
2-Pivalamidoquinoxaline	92, IR, NMR	474
3-Pivalamido-2-quinoxalinecarboxylic acid	107, IR, NMR	474
2-Pivaloylmethylquinoxaline	89–90, IR, NMR	136
2-Pivaloylquinoxaline	—	(*E* 135)
6-Propionamido-5-quinoxalinamine	95	437
3-Propionylmethyl-2(1*H*)-quinoxalinone	203–205 or 227, IR, MS, NMR, UV	335, 431, 572
2-Propionylquinoxaline	—	(*E* 134)
Propyl 3-propoxycarbonylmethyl-2-quinoxalinecarboxylate	—	804
2-Propylquinoxaline	MS, NMR, UV	142, 350
Propyl 2-quinoxalinecarboxylate	—	1109
3-Propyl-2-quinoxalinecarboxylic acid	—	(*H* 252)
N-Propyl-2,3-quinoxalinedicarboximide	—	(*E* 158)
3-Propyl-2(1*H*)-quinoxalinone	—	(*E* 100)
3-Propyl-2(1*H*)-quinoxalinone 4-oxide	—	(*E* 60)
2-Quinoxalinamine	155–157, MS; pic etc: —	(*H* 264, 363; *E* 187) 168, 239, 819
5-Quinoxalinamine	90, MS, NMR	(*H* 229, 363; *E* 23) 819, 918
6-Quinoxalinamine	157, IR, MS, NMR	(*H* 220, 229, 363; *E* 23) 286, 642, 819, 949
2-Quinoxalinamine 1,4-dioxide	—	(*E* 63)
5-Quinoxalinamine 1,4-dioxide	—	(*E* 63)
6-Quinoxalinamine 1,4-dioxide	—	(*E* 63)
2-Quinoxalinamine 1-oxide	—	(*E* 56)
2-Quinoxalinamine 4-oxide	—	(*E* 57)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
Quinoxaline	30 or 32, dip, Ms, NMR, pK_a, th, UV, aromaticity; $HClO_4$: xl st; $H_2Cr_2O_7$:—; 2 $MeBF_4$: anal, pol; I_2-complex: anal, IR, xl st; MeI: UV; $BzCH_2Br$: 187–191, IR; TsOMe: 154–155	(*H* 229, 289, 363; *E* 7, 255, 256, 257) 59, 95, 115, 177, 205, 302, 318, 341, 415, 419, 420, 455, 502, 526, 528, 557, 631, 645, 784, 851, 918, 923, 1030i, 1083, 1100, 1114
2-Quinoxalinecarbaldehyde	105–107, NMR; PhNHN=: 210–212, NMR; Me_2NN=: 79; *p*-BrC_6H_5NHN=: 225; *p*-Me analog: 192; *p*-Cl analog: 236; oxime: 201 or 204–205	(*H* 247; *E* 127) 64, 116, 122, 430, 433, 945, 988, 1092
5-Quinoxalinecarbaldehyde	125–126, NMR	75
6-Quinoxalinecarbaldehyde	NMR	(*E* 128) 970
2-Quinoxalinecarbaldehyde 1,4-dioxide	Et_2 acetal: 119–120; hydrate: 209–210	(*E* 63) 762
2-Quinoxalinecarbaldehyde 1-oxide	129 or 131–132, NMR, UV; oxime: 183	(*E* 57) 153, 988
2-Quinoxalinecarbaldehyde 4-oxide	175–176, IR, NMR; TsNHN: 160, NMR; oxime: 236	(*E* 57) 149, 153, 988
2-Quinoxalinecarbohydrazide	—	(*H* 251; *E* 153) 1109
2-Quinoxalinecarbohydrazide 4-oxide	—	(*E* 57)
2-Quinoxalinecarbonitrile	118 or 120	(*E* 153) 524, 696, 867
5-Quinoxalinecarbonitrile	115–119, MS, NMR	641, 918
6-Quinoxalinecarbonitrile	—	(*E* 23)
2-Quinoxalinecarbonitrile 1,4-dioxide	—	197, 1012
2-Quinoxalinecarbonitrile 1-oxide	—	(*E* 57) 988
2-Quinoxalinecarbonitrile 4-oxide	—	(*E* 57)
2-Quinoxalinecarbonyl chloride	—	(*H* 251; *E* 153)
2-Quinoxalinecarboxamide	197–199 or 200, IR, NMR	(*E* 153) 455, 988, 1109
2-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 63)
2-Quinoxalinecarboxamide 1-oxide	224	(*E* 57) 988
2-Quinoxalinecarboxamide 4-oxide	234	(*E* 57) 988
2-Quinoxalinecarboxylic acid	210 or 215, IR, NMR	(*H* 251; *E* 153) 309, 746, 751, 1109
5-Quinoxalinecarboxylic acid	178, NMR	(*E* 23) 918
6-Quinoxalinecarboxylic acid	—	(*E* 23) 970
2-Quinoxalinecarboxylic acid 1,4-dioxide	227–228, IR	(*E* 63) 244, 311, 540
2-Quinoxalinecarboxylic acid 1-oxide	170, NMR	(*E* 57) 663
2-Quinoxalinecarboxylic acid 4-oxide	180	(*E* 57) 762, 988
2,3-Quinoxalinediamine	290 or >350, MS, NMR; chloranil complexes: IR, UV	(*H* 266, 363; *E* 190) 180, 239, 802, 819, 917
2,7-Quinoxalinediamine	—	(*E* 187, 255)

Quinoxaline	Melting Point (°C) etc.	Reference(s)
5,6-Quinoxalinediamine	NMR: 6-PhCH=: 113	(*E* 23) 162, 272, 429
5,7-Quinoxalinediamine	—	(*E* 23)
6,7-Quinoxalinediamine	—	(*E* 23)
2,3-Quinoxalinediamine 1,4-dioxide	—	(*E* 63)
2,3-Quinoxalinedicarbaldehyde	2 PhNHN=: 237, IR, NMR	(*E* 127) 58
2,3-Quinoxalinedicarbaldehyde 1,4-dioxide	2 sc: anal	311
2,3-Quinoxalinedicarbonitrile	217 to 221, IR, MS, NMR	(*E* 158) 477, 598, 696, 867
2,3-Quinoxalinedicarbonitrile 1,4-dioxide	224, IR, NMR	(*E* 64) 1012
2,3-Quinoxalinedicarboxamide	—	(*H* 255)
2,3-Quinoxalinedicarboxamide 1,4-dioxide	—	(*E* 64)
2,3-Quinoxalinedicarboximide	—	(*H* 255)
2,3-Quinoxalinedicarboxylic acid	188–189	(*H* 255; *E* 157) 751
2,3-Quinoxalinedicarboxylic acid 1,4-dioxide	—	(*E* 64)
2,3-Quinoxalinedicarboxylic acid 1-oxide	—	(*E* 58)
2,3-Quinoxalinedicarboxylic anhydride	—	(*H* 255; *E* 158)
2,3(1*H*,4*H*)-Quinoxalinedione	>340 to 410, IR, MS, NMR, p*K*a, UV, complexes	(*H* 242, 361, *E* 105) 48, 76, 146, 217, 263, 279, 314, 409, 694, 713, 751, 805, 812, 898, 917, 963, 1036, 1045, 1065
5,6(1*H*,4*H*)-Quinoxalinedione	—	(*E* 22)
5,8(1*H*,4*H*)-Quinoxalinedione	238–239, IR, NMR	(*E* 22) 267
6,7(1*H*,4*H*)-Quinoxalinedione	260	(*H* 230; *E* 22) 1030s
Quinoxaline 1,4-dioxide	241, IR, MS, NMR, xl st, aromaticity, bioactivity, complexes, thermochem.	(*H* 232; *E* 63) 158, 166, 183, 200, 244, 311, 312, 348, 380, 420, 649, 762, 886, 922, 940, 1012, 1018
2,3(1*H*,4*H*)-Quinoxalinedithione	345, IR, NMR, p*K*a, complexes, xl st	(*E* 120) 536, 812, 889, 920, 1114
Quinoxaline 1-oxide	121–123, NMR, complexes	(*E* 56, 255) 59, 158, 348, 581, 919, 921
5,8-Quinoxalinequinone	157–159 or 172–173, IR, MS, NMR	(*E* 22) 267, 611
2(1*H*)-Quinoxalonethione	198 to 205, IR, NMR, UV	(*E* 119) 47, 597, 928
2(1*H*)-Quinoxalinethione 4-oxide	185–186	(*E* 56) 65
2(1*H*)-Quinoxalinone	265 to 272, IR, NMR, st, xl st; pic: —; oxime: —	(*H* 236; *E* 97) 41, 85, 98, 168, 217, 459, 518, 647, 695, 865, 866
5(1*H*)-Quinoxalinone	101–104, MS, NMR, UV	(*E* 22) 741, 918
6(4*H*)-Quinoxalinone	—	(*E* 22)
2(1*H*)-Quinoxalinone 4-oxide	—	(*E* 56)
2-Styrylquinoxaline	109–110 or 112–119, IR, MS, NMR, st, UV	(*E* 222) 120, 211

Quinoxaline	Melting Point (°C) etc.	Reference(s)
6-Styrylquinoxaline	*trans*: 100, NMR *cis*: 56, NMR	970
2-Styrylquinoxaline 1,4-dioxide	228–230, IR	(*E* 70) 628
3-Styryl-2(1*H*)-quinoxalinone	242–244 or 252–254, IR, NMR, UV	(*E* 103) 84, 103, 996
5,6,7,8-Tetrachloro-2,3-dimethylquinoxaline	—	(*E* 223)
5,6,7,8-Tetrachloro-2,3-diphenylquinoxaline	—	(*E* 238)
5,6,7,8-Tetrachloro-2,3-dipropylquinoxaline	—	(*E* 226)
5,6,7,8-Tetrachloro-3-ethoxycarbonylmethyl-2(1*H*)-quinoxalinone	228–230	79
5,6,7,8-Tetrachloro-2-ethoxyquinoxaline	—	(*E* 202)
5,6,7,8-Tetrachloro-2-methoxyquinoxaline	—	(*E* 202)
2,3,6,7-Tetrachloro-5-methylquinoxaline	anal, NMR	1039
5,6,7,8-Tetrachloro-2-methylquinoxaline	—	(*E* 221)
5,6,7,8-Tetrachloro-3-methyl-2(1*H*)-quinoxalinone	—	(*E* 98)
2,3,6,7-Tetrachloro-5-nitroquinoxaline	anal, NMR	1039
5,6,7,8-Tetrachloro-2-phenylquinoxaline	—	(*E* 237)
5,6,7,8-Tetrachloro-2-propylquinoxaline	—	(*E* 222)
2,3,6,7-Tetrachloro-5-quinoxalinamine	crude, NMR	1039
2,3,5,7-Tetrachloroquinoxaline	—	(*E* 174)
2,3,5,8-Tetrachloroquinoxaline	—	(*E* 174)
2,3,6,7-Tetrachloroquinoxaline	165–168	(*E* 174) 716
5,6,7,8-Tetrachloroquinoxaline	—	(*E* 22)
5,6,7,8-Tetrachloro-2,3(1*H*,4*H*)-quinoxalinedione	—	(*E* 104)
2,3,6,7-Tetrachloro-5,8-quinoxalinequinone	302–305, MS	849
5,6,7,8-Tetrachloro-2(1*H*)-quinoxalinone	—	(*E* 97)
5,6,7,8-Tetrafluoro-2,3-dimethoxyquinoxaline	109–110, IR	(*E* 203) 902
5,6,7,8-Tetrafluoro-2,3-di(pent-1-ynyl)quinoxaline	71–73, IR, NMR	559
5,6,7,8-Tetrafluoro-2,3-diphenylquinoxaline	188–189, IR	902
5,6,7,8-Tetrafluoro-3-methoxy-1-methyl-2(1*H*)-quinoxalinone	—	(*E* 105)
5,6,7,8-Tetrafluoroquinoxaline	89, IR, MS, NMR	(*E* 22) 559
5,6,7,8-Tetrafluoro-2,3-(1*H*,4*H*)-quinoxalinedione	330–331, NMR	(*E* 104) 1045
2,3,5,8-Tetramethoxyquinoxaline	174–175, NMR	553
2,3,6,7-Tetramethoxy-5,8-quinoxalinequinone	239–240, MS, NMR	849
2,3,5,6-Tetramethyl-7-methylamino-8-nitroquinoxaline	173–174, MS, NMR	37
2,3,6,7-Tetramethylquinoxaline	188–190 or 192, NMR, p*K*a; 2 $MeBF_4$: anal	(*E* 226, 256) 205, 318, 526, 728
2,3,*N*,*N*-Tetramethyl-6-quinoxalinecarboxamide 1,4-dioxide	—	(*E* 67)
1,4,6,7-Tetramethyl-2,3(1*H*,4*H*)-quinoxalinedione	293–295, NMR	(*E* 105) 718
2,3,5,7-Tetramethylquinoxaline 1,4-dioxide	—	(*E* 69)
2,3,6,7-Tetramethylquinoxaline 1,4-dioxide	—	(*E* 69)
2,3,7-*N*-Tetramethyl-6-quinoxalinesulfonamide	—	(*E* 174)
1,3,6,7-Tetramethyl-2(1*H*)-quinoxalinone	163–164, IR, NMR	544
2-Thiocyanatoquinoxlaine	106–107, IR	865
3-Thiocyanato-2-quinoxalinecarbaldehyde	185–186, IR, NMR	64

Quinoxaline	Melting Point (°C) etc.	Reference(s)
3-Thioxo-3,4-dihydro-2-quinoxalinecarbonitrile	115 (?) or 255 or 260, IR, NMR, UV	477, 929, 930
5,6,8-Trichloro-7-fluoroquinoxaline	—	(*E* 22)
2,6,7-Trichloro-3-hydrazinoquinoxaline	>260	716
5,6,8-Trichloro-7-methoxyquinoxaline	—	(*E* 23)
2,6,7-Trichloro-3-methylquinoxaline 1,4-dioxide	175–176, IR, NMR	483
2,3,6-Trichloro-7-nitroquinoxaline	118–120, IR, NMR	438
5,6,7-Trichloro-8-nitro-2,3(1*H*,4*H*)-quinoxalinedione	324, NMR	1045
3,6,7-Trichloro-2-quinoxalinamine	241–243, IR, NMR	93
2,3,5-Trichloroquinoxaline	135–137	(*E* 174) 716
2,3,6-Trichloroquinoxaline	139 to 146, IR, MS, NMR	(*H* 260; *E* 174) 243, 438, 468, 523, 716
5,6,7-Trichloroquinoxaline	—	(*E* 22)
5,6,8-Trichloroquinoxaline	—	(*E* 22)
5,6,7-Trichloro-2,3(1*H*,4*H*)-quinoxalinedione	355, NMR	1045
2,6,7-Trichloro-3-styrylquinoxaline	181–182	23
5,6,7-Trifluoro-2,3(1*H*,4*H*)-quinoxalinedione	>360, NMR	1045
5,6,8-Trifluoro-2,3,7-trimethoxyquinoxaline	—	(*E* 203)
5,6,8-Trimethoxy-7-methyl-2,3-diphenylquinoxaline	145–147, MS, NMR	611
3,5,8-Trimethoxy-1-methyl-2(1*H*)-quinoxalinone	176–177, IR, MS, NMR	553
2,5,7-Trimethoxy-8-nitro-3-phenylquinoxaline	197–200, IR, NMR	486
2,5,7-Trimethoxy-3-phenylquinoxaline	148–150, IR, NMR	486
2,3,6-Trimethoxyquinoxaline	—	(*H* 271; *E* 203)
5,6,8-Trimethoxy-2,3,7-trimethylquinoxaline	95–97, MS, NMR	611
2-(1,1,2-Trimethylallyl)quinoxaline	liq, MS, NMR	525
2,3,5-Trimethyl-7-methylamino-8-nitroquinoxaline	183–185, MS, NMR	37
2,3,6-Trimethyl-7-methylamino-8-nitroquinoxaline	181–182, MS, NMR	37
2,5,6-Trimethyl-7-methylamino-8-nitroquinoxaline	178–179, MS, NMR	37
2,7,8-Trimethyl-6-methylamino-5-nitroquinoxaline	184–185, MS, NMR	37
2,3,6-Trimethyl-5-nitroquinoxaline	135–137, IR, NMR, UV	936, 957
4,6,7-Trimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarbohydrazide	—	(*E* 156)
4,*N*,*N*-Trimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxamide	—	(*E* 154)
4,6,7-Trimethyl-3-oxo-3,4-dihydro-2-quinoxalinecarboxylic acid	—	(*H* 314; *E* 155)
2,6,7-Trimethyl-3-phenylquinoxaline	98 or 103–104, NMR	420, 728
2,6,7-Trimethyl-3-phenylquinoxaline 1,4-dioxide	222–224, NMR	420
167-Trimethyl-3-phenyl-2(1*H*)-quinoxalinone	—	(*E* 62)
2,3,6-Trimethyl-5-quinoxalinamine	189–192, IR, NMR, UV	936
2,3,5-Trimethylquinoxaline	70–71, NMR	(*E* 225) 526
2,3,6-Trimethylquinoxaline	88–90, NMR; $PhClO_4$: 236–238, NMR	(*H* 283; *E* 225, 257) 63, 526

Quinoxaline	Melting Point (°C) etc.	Reference(s)
2,6,7-Trimethylquinoxaline	114–115, NMR	(*E* 222) 526
3,*N*,*N*-Trimethyl-2-quinoxalinecarboxamide 1,4-dioxide	189, MS, NMR	(*E* 65) 228, 940
1,6,7-Trimethyl-2,3(1*H*,4*H*)-quinoxalinedione	338–346, NMR	718
2,3,5-Trimethylquinoxaline 1,4-dioxide	—	(*E* 68)
2,3,6-Trimethylquinoxaline 1,4-dioxide	—	(*E* 68)
2,3,5-Trimethylquinoxaline 1-oxide	—	(*E* 60)
2,6,7-Trimethylquinoxaline 1/4-oxide	—	(*E* 60)
2,3,4-Trimethyl-6(4*H*)-quinoxalinimine	HCl: 260, IR, NMR, UV	(*E* 255) 174
1,6,7-Trimethyl-2(1*H*)-quinoxalinone	—	(*H* 314)
2,3,6-Trimethyl-5(1*H*)-quinoxalinone	170–171, IR, NMR, UV, complexes	936, 957
3,6,7-Trimethyl-2(1*H*)-quinoxalinone	—	(*E* 100)
5,7,8-Trimethyl-6(4*H*)-quinoxalinone	288, IR, MS	875
2-Trimethylsilylethynylquinoxaline	liq, MS, NMR	555, 564
2,3,6-Triphenylquinoxaline	—	(*H* 221)

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Some unusual terms have been employed extensively as succinct secondary entries. For example, the term *alkanelysis* has been used to indicate the direct replacement of an appropriate leaving group by an alkyl substituent, so mimicking conventional terms such as *aminolysis*, *hydrolysis*, and the like.

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