THIAZOLE
AND ITS DERIVATIVES

IN THREE PARTS

PART TWO

This is the thirty-fourth volume in the series

THE CHEMISTRY OF HETEROCYCLIC COMPOUNDS

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A SERIES OF MONOGRAPHS

ARNOLD WEISSBERGER AND EDWARD C. TAYLOR

Editors

THIAZOLE AND ITS DERIVATIVES

PART TWO

Edited by

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The Chemistry of Heterocyclic Compounds

The chemistry of heterocyclic compounds is one of the most complex branches of organic chemistry. It is equally interesting for its theoretical implications, for the diversity of its synthetic procedures, and for the physiological and industrial significance of heterocyclic compounds.

A field of such importance and intrinsic difficulty should be made as readily accessible as possible, and the lack of a modern detailed and comprehensive presentation of heterocyclic chemistry is therefore keenly felt. It is the intention of the present series to fill this gap by expert presentations of the various branches of heterocyclic chemistry. The subdivisions have been designed to cover the field in its entirety by monographs which reflect the importance and the interrelations of the various compounds, and accommodate the specific interests of the authors.

In order to continue to make heterocyclic chemistry as readily accessible as possible, new editions are planned for those areas where the respective volumes in the first edition have become obsolete by overwhelming progress. If, however, the changes are not too great so that the first editions can be brought up-to-date by supplementary volumes, supplements to the respective volumes will be published in the first editions.

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Preface

Given their theoretical as well as practical interest, five-membered aromatic rings occupy a position of particular significance in the enormous field of heterocyclic chemistry. Thiazole is one of the important members of this family and thus merits a comprehensive study. The purpose of this book is to condense into a volume of reasonable size the chemistry of thiazole, covering the literature of approximately one century, up to December 1976. For technical reasons this work has been limited to the study of monocyclic thiazoles, excluding thiamine and partially reduced thiazoles, but including selenazoles. Though most of the important material has been published in the last twenty years, all the literature concerning thiazoles has been surveyed, and it is of special interest to see with what energy Arthur Hantzsch was obliged to defend his historical discovery of thiazole.

In the first chapter, devoted to thiazole itself, specific emphasis has been given to the structure and mechanistic aspects of the reactivity of the molecule: most of the theoretical methods and physical techniques available to date have been applied in the study of thiazole and its derivatives, and the results are discussed in detail. The chapter devoted to methods of synthesis is especially detailed and traces the way for the preparation of any monocyclic thiazole derivative. Three chapters concern the nontautomeric functional derivatives, and two are devoted to amino-, hydroxy- and mercaptothiazoles: these chapters constitute the core of the book. All discussion of chemical properties is complemented by tables in which all the known derivatives are inventoried and characterized by their usual physical properties. This information should be of particular value to organic chemists in identifying natural or synthetic thiazoles. Two brief chapters concern mesoionic thiazoles and selenazoles. Finally, an important chapter is devoted to cyanine dyes derived from thiazolium salts, completing some classical reviews on the subject and discussing recent developments in the studies of the reaction mechanisms involved in their synthesis.

The importance of this work, which was begun by Dr. J. M. Swan of Monash University, Melbourne, Australia, was very quickly recognized,

and in 1964 I joined him in his endeavor. Three years later, Dr. Swan was obliged to abandon it, and, for the last decade, 17 distinguished scientists have labored to realize this book. I acknowledge with sincere thanks the cooperation and perseverance of all of them, but I am especially indebted to Michel Chanon and his collaborator René Barone, for the untiring efficiency in management that they have exhibited throughout the preparation of this book. I acknowledge also the help of the numerous heterocyclic chemists of the world who sent so many of their valuable reprints to Marseilles. My thanks are also due to Mrs. J. de Caseneuve and Mrs. G. Formanek who carried out the tedious task of typing the manuscript, and to Thomas Murphy for his help in adjusting the poor original English of most of the manuscript to a hopefully acceptable one. Finally, grateful thanks are due to the University of Aix-Marseilles for financial support and library facilities.

JACQUES V. METZGER

Marseilles, France
December 1978

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PART TWO

PART ONE

General Introduction to Protomeric Thiazoles

M. CHANON

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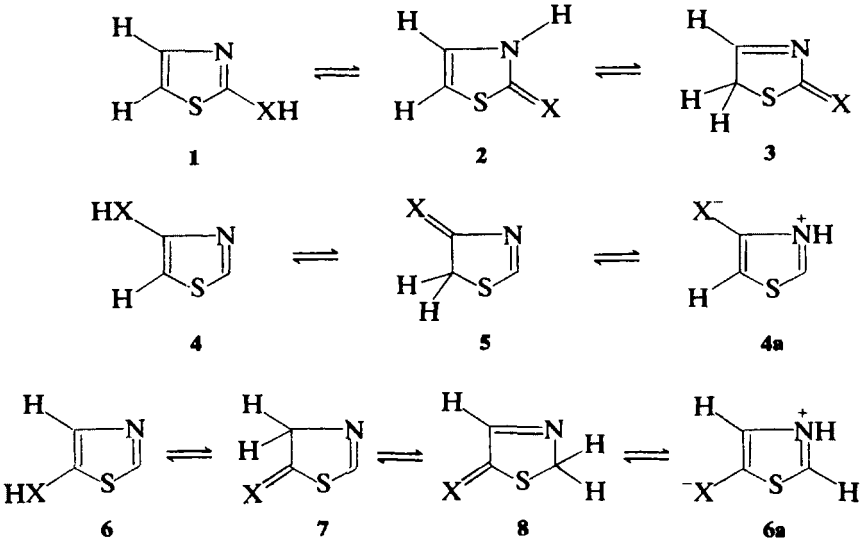
Various kinds of protomeric thiazoles exist (Scheme 1). In this scheme, X may be C(Y)R, NR, O, S, or Se. For X = C(Y)R the protomeric equilibrium has been established only when R = COMe, Y = H (1,1563) and R = CO_2H, Y = Me (2). These alkythiazoles are treated in Chapter III. Biprotomeric thiazoles are included in the volumes on thiazolines and



Scheme 1

TABLE 1. HMO CALCULATED ENERGIES FOR 2-XH-SUBSTITUTED THIAZOLES (**1**) AND 2-X-SUBSTITUTED 4-THIAZOLINES (**2**)[a]

X	$E_1 - E_2$[b]	$FE_1 - FE_2$[c]
O	+0.784	−0.616[d]
S	−0.240	−0.140
N	+0.075	+0.075

[a] Unpublished work by G. Pfister.
[b] E_1 and E_2 calculated from the relation: $E = \sum n_i E_i$ for structures **1** and **2**.
[c] FE_1 and FE_2 are formation energies: E—(sum of the energies of each individual isolated atom).
[d] The more negative values are those for which structure **2** is the more stable.

thiazolidines. Thus Chapters VI and VII cover all protomeric thiazoles, except for these two cases.

For this class of thiazoles most of the chemical and physicochemical studies are centered around the protomeric equilibrium and its consequences. The position of this equilibrium may be determined by spectroscopic and titrimetric methods, as seen in each section. A simple HMO (Hückel Molecular Orbitals) treatment of 2-substituted compounds however, may, exemplify general trends. This treatment considers only protomeric forms **1** and **2**: evidence for the presence of form **3** has never been found. The formation energy reported in Table 1 is the energy difference in β units.

In agreement with experimental evidence the equilibrium is displaced toward form **1** only when X is NR. This HMO treatment also allows an estimation of the general effects to be expected when substituents are introduced. This may be done by varying the coulomb integral α of the substituent occupying various positions in the heterocyclic system. The results reported in Figs. 1 to 4 show interesting trends. When X = O or S (Figs. 1 and 2) electronic substituent effects are not expected to invert the protomeric equilibrium position.

Different behavior is expected for X = N–R (Figs. 3 and 4); the equilibrium should be shifted toward **1** when an electron donor substituent ($\alpha = -1$) is present (in any position) and toward form **2** when electron acceptor substituents are present. It has been shown that a simultaneous

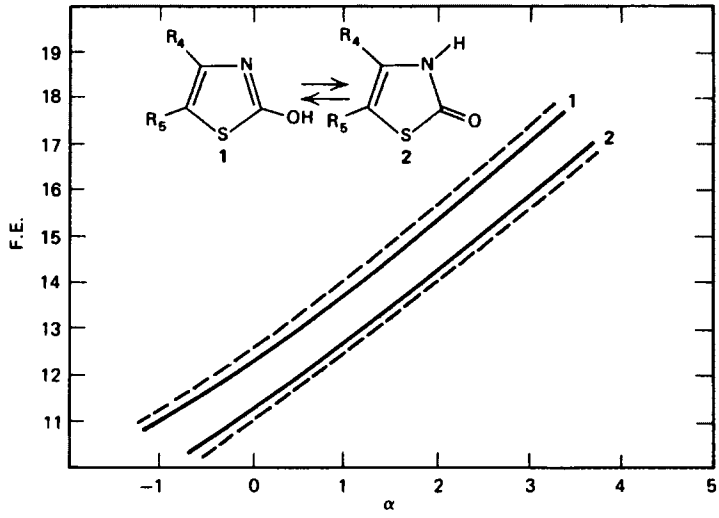


Fig. 1. Trends in effects of 4- and 5-substituents (expressed as an α variation of R) on the protomeric equilibrium calculated using the HMO method. When curves do not cross no inversion of protomeric equilibrium is expected to be induced by electronic substituent effects. 4-R-(– – –); 5-R-(——). F.E., formation energy (see Table 1).

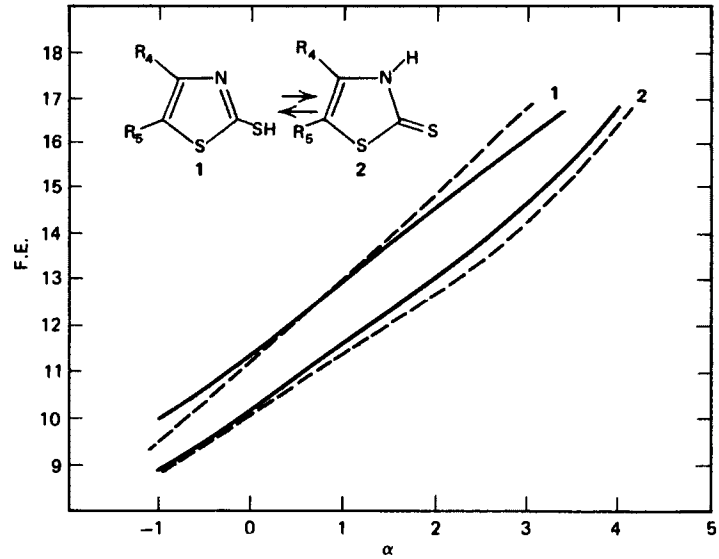


Fig. 2. Trend in effects of 4- and 5-substituents on the protomeric equilibrium calculated using the HMO method (see Fig. 1 for explanation). 4-R-(– – –); 5-R-(——).

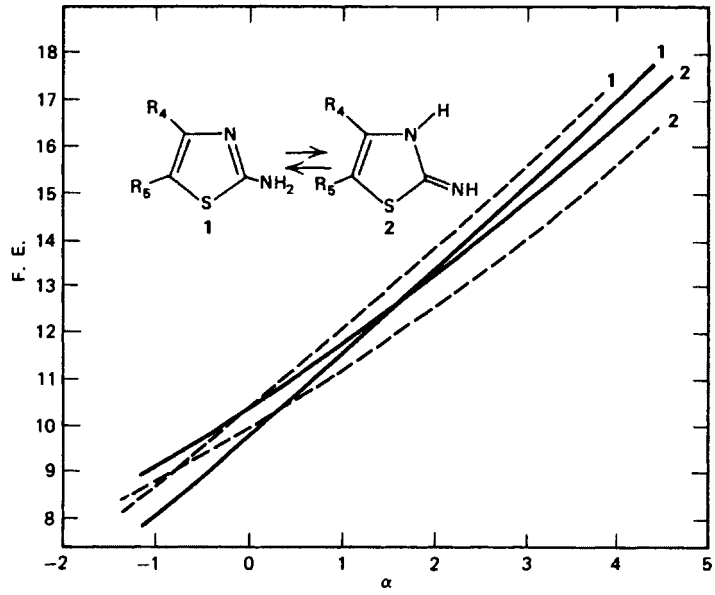


Fig. 3. Trends in effects of 4- and 5-substituents (expressed as an α variation of R) on the protomeric equilibrium calculated using the HMO method. 4-R-(– – –); 5-R-(——).

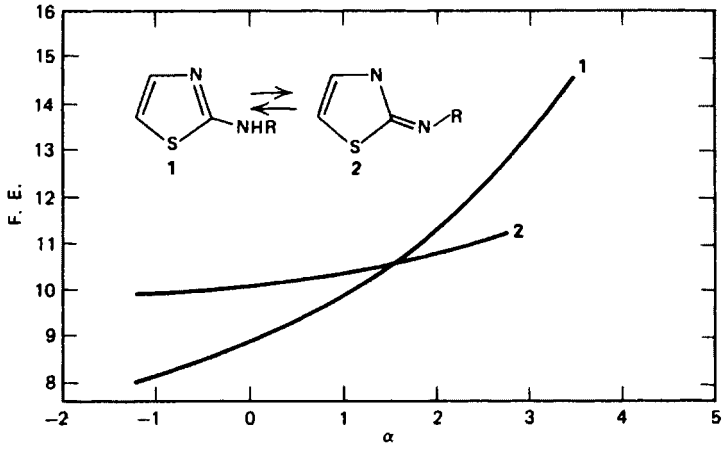
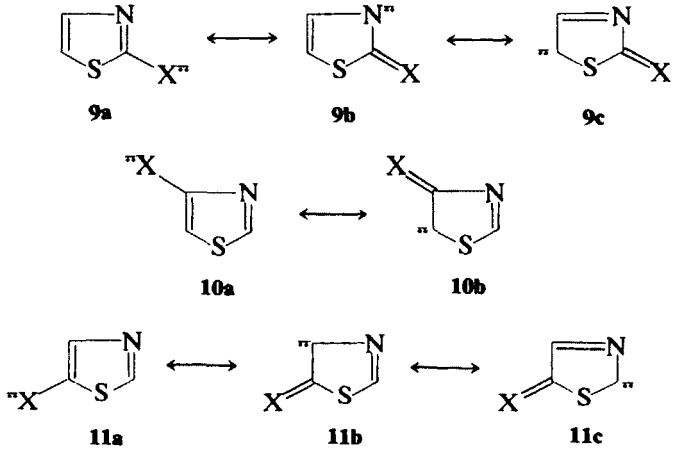


Fig. 4. Trends in effects of NR substituents (expressed as an α variation of R) on the protomeric equilibrium. See Fig. 1 for explanation.

change of α and β parameters associated with substituents does not modify these conclusions.

Because of the uncertainties related to the parametrization of an sp^3C, this approach is unsuitable for the study of protomeric equilibria for structures **4** through **8**. We must lay stress on the fact that this simple treatment does not include (*a*) medium effects which are known to be important and (*b*) the existence of associated species (see Chapter VII, Section I.1.B) whose consequences have been thoroughly studied in pyridone series (1688).

Ambident reactivity occurs for any substance displaying protomeric behavior. In basic medium anions in which negative charge is delocalized are formed: this may be represented by the resonance formulas in Scheme 2. Each charged atom may react with an electrophilic center. The



Scheme 2

general trends of this reactivity can be rationalized by considering the equations that give a quantitative measure of nucleophilicity (3). The Swain and Scott equation (4) is the starting point of these linear free-energy relationships, but it is limited because it describes nucleophilicity using only one parameter. Later relations by Edwards (5) and Davies (6) correlate nucleophilicity both with basicity (*H*) and polarizability (*P*). These equations have been discussed and generalized by Pearson (HSAB theory) and by Hudson and Klopman (3, 7–10). The Edwards equation takes in account the ability of the nucleophile X^{π} to be oxidized (E_n) and its basicity (*H*) (11, 5):

$$\log \frac{k}{k_0} = \alpha E_n + \beta H$$

where k is the rate constant of the reaction between X^n and the studied electrophilic center; k_0 is the rate constant of the reaction between this same electrophilic center and H_2O; α and β are constants measuring the sensitivity of the electrophilic center to changes in polarizability and basicity of the nucleophilic species; $H = pK_a + 1.74$. K_a is the ionization constant of the conjugate acid of the nucleophile in water, where 1.74 is a correction term for the pK_a of H_3O^+ (for $X^n = H_2O$, H = O); and $E_n = E_0 + 2.60$, where E_0 is the electrode potential associated with the dimerization

$$2X^n = X_2 + 2e^-$$

the electrode potential of water dimerization

$$2H_2O = H_4O_2^{2+} + 2e^-$$

being taken as reference.

In a second step, Edwards improved his equation:

$$\log \frac{k}{k_0} = AP + BH$$

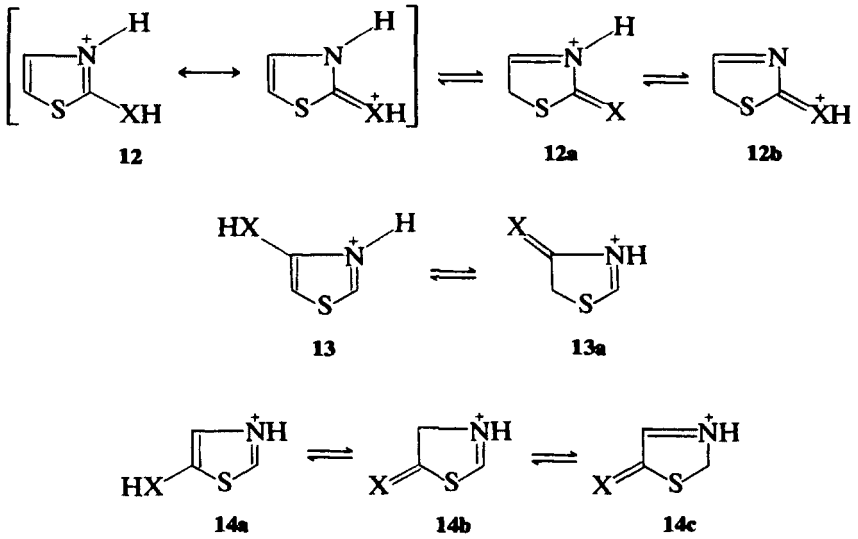
A and B are correlated to α and β; $A = 3.60\alpha$; $B = 0.00624\alpha + 3.60\beta$; and P is a measure of the polarizability of the nucleophile, $P = R_{Nu}/R_{H_2O}$, where R_{Nu} is the molar refractivity at infinite wavelength for the studied nucleophile and R_{H_2O} is the molar refractivity of H_2O.

This equation, when applied to an ambident nucleophile with nucleophilic centers 1 and 2, becomes

$$\log \frac{k_1}{k_2} = A \frac{R_1 - R_2}{R_{H_2O}} + B(pK_{a1} - pK_{a2})$$

The equation does not take into account such pertubation factors as steric effects, solvent effects, and ion-pair formation. These factors, however, may be neglected when experiments are carried out in the same solvent at the same temperature and concentration for an homogeneous set of substrates. So, for a given ambident nucleophile the rate ratio k_1/k_2 will depend on A and B, which vary with (a) the attacked electrophilic center, (b) the solvent, and (c) the counterpart cationic species of the anion. The important point in this kind of study is to change only one parameter at a time. This simple rule has not always been followed, and little systematic work has been done in this field (12) still widely open after the discovery of the role played by single electron transfer mechanism in ambident reactivity (1689).

The possible protonated forms in acidic medium are shown in Scheme



Scheme 3

3. Reactivity in such a medium is complicated by the fact that the reactive species is not always well known (13) and may well be either the uncharged form of the heterocycle or a mixture of neutral and charged heterocyclic species, depending on its basicity.

These general trends direct the organization of Chapters VI and VII: syntheses from the already formed thiazole ring, physicochemical studies, ambident reactivity; ring carbon reactivity, main derivatives, and aminothiazole applications.

VI

Aminothiazoles and their Derivatives

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This chapter includes only 2, 4-, or 5-aminothiazoles and their protomeric counterparts and derivatives. Compounds in which the amino group is not directly linked to the thiazole ring are dealt with in either Chapter III or IV. The tables describing most aminothiazole derivatives (6000 examples) are presented at the end of this chapter (Section VII).

As this chapter covers the most important class of thiazoles by the number of products and references, it is virtually impossible to treat in detail every class of derivatives. The mechanism-oriented approach to reactivity and the detailed tables at the end of the chapter should, however, permit easy extrapolation to most other compounds.

The derivatives of 4- and 5-aminothiazole have received less attention than their 2-isomeric counterparts: they are treated at the end of each section on the reactivity of 2-aminothiazole derivatives.

I. SYNTHESIS FROM PREFORMED THIAZOLE RINGS OR FROM OTHER HETEROCYCLES

Most of the products reported in the tables at the end of the chapter were prepared by the heterocyclization methods treated in Chapter II. Only methods starting from a preformed thiazole ring or from other heterocycles are discussed here.

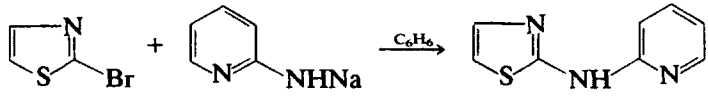
1. 2-Aminothiazoles

A. *Chichibabin Reaction*

This reaction, thoroughly studied for 2-aminopyridine (14, 15), has received less attention in the case of the thiazole nucleus. 2-Amino-4-methylthiazole is formed when 4-methylthiazole is heated with sodium amide for 15 hr at 150°C (16). This reaction was used to identify 2-amino-4-butylthiazole (17).

B. *Ammonolysis of 2-Halothiazoles*

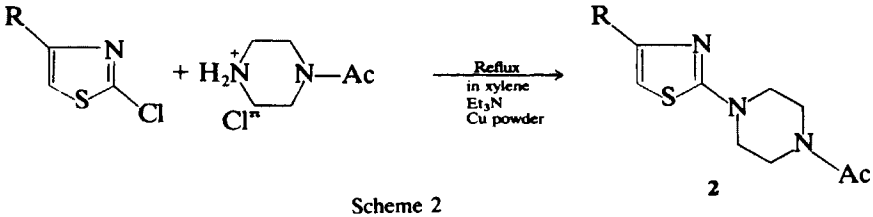
2-Halothiazoles are usually obtained from 2-aminothiazoles through the Sandmeyer reaction. Nevertheless, ammonolysis has sometimes proved useful for the preparation of 2-aminothiazole derivatives. Detweiler et al. (18) obtained 2-(α-pyridinylamino)thiazole (**1**) from 2-bromothiazole (Scheme 1). The reaction is easier if a nitro group occupies the 5-position of the thiazole ring (19–21). Ethylene diamine derivatives undergo this reaction with 2-halothiazoles (22–24).



1

Scheme 1

2-Piperazinothiazoles (**2**) were obtained by such a replacement reaction, Cu powder being used as catalyst (25, 26). 2-Piperidinothiazoles are obtained in a similar way (Scheme 2) (27). This catalytic reaction has been postulated in the case of benzene derivatives as a nucleophilic substitution on the copper–complexed halide in which the halogen possesses a positive character by coordination (29). For heterocyclic compounds the coordination probably occurs on the ring nitrogen.



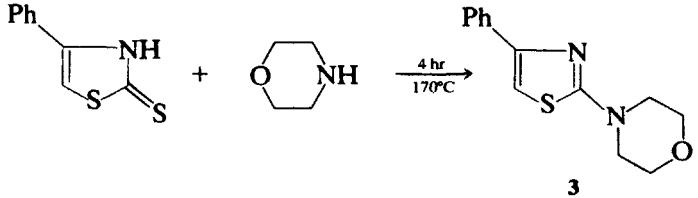
2

Scheme 2

As in the pyridine series, acid catalysis facilitates this reaction because the 2-position of the ring is far more sensitive to the nucleophilic reagents when the nitrogen is quaternized (30).

C. *Replacement of a Mercapto Group*

The reaction of 2-mercapto-4-phenylthiazole with morpholine yields 2-morpholino-4-phenylthiazole (**3**) (Scheme 3) (31).

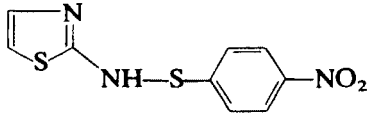


3

Scheme 3

D. *Miscellaneous Reactions*

2-Aminothiazole and derivatives have been reported in reactivity studies starting from 2-*p*-nitrophenylsulfenylaminothiazole (**4**) (32), 2-tritylaminothiazole (**5**) (33), 2-nitrothiazole (34, 35), and 5-methyl-2-phenylimino-4-thiazolidinone (36) (Scheme 4).



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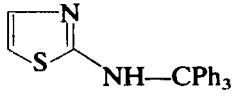


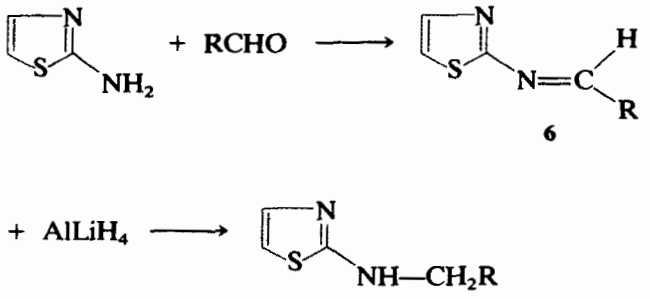
5

Scheme 4

E. *Preparation of Secondary and Tertiary Amines*

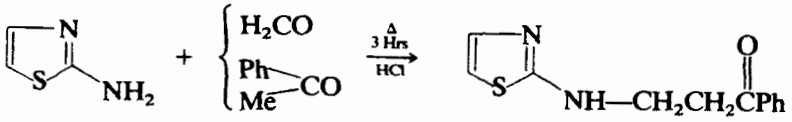
Besides the classical heterocyclization and ammonolysis methods some other syntheses have been developed to prepare secondary and tertiary

amines from 2-aminothiazole. Schiff bases are reduced with $AlLiH_4$ (37) or sodium borohydride (38).



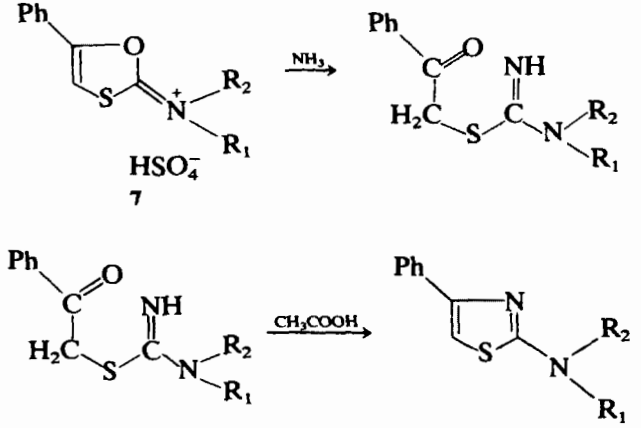
Scheme 5

These amines are also synthesized by refluxing 2-aminothiazole with paraformaldehyde and acetophenone in ethanol (Scheme 6) (39) or by alkaline alkylation of 2-acetamidothiazole followed by hydrolysis (40–44).



Scheme 6

The action of ammonia on *N*-(5-aryl-1,3-oxathiol-2-ylidene) tertiary iminium salts (**7**) affords linear intermediates that cyclize to 2-amino-4-phenylthiazoles (Scheme 7) (45).



Scheme 7

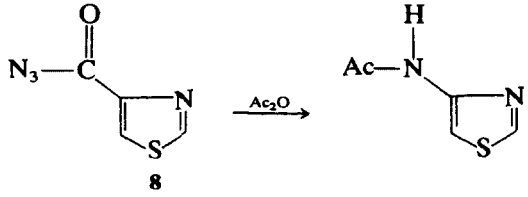
Tertiary amines may be obtained from alkaline alkylation of secondary amines (46), but mixtures are obtained (see Section III.1.B); hence heterocyclization and ammonolysis of 2-halothiazoles are to be preferred.

4-Alkyl-5-imino-3-methyl-Δ2-1,2,4-thiadiazolines react exothermally at 0°C with dibenzoyl or dimethoxy carbonylacetylenes in tetrahydrofuran to give the 2-alkylaminothiazoles in high yields (1564). The cyclo addition reaction of 2-pyridyl isothiocyanates with 1-azirines results in the formation of 2-pyridylaminothiazoles (1565).

Recently, a new synthesis of 2-aminothiazoles has been proposed: it involves the reaction between quaternary salts of 2-alkylthiothiazoles and the appropriate amine (1566).

2. 4-Aminothiazoles

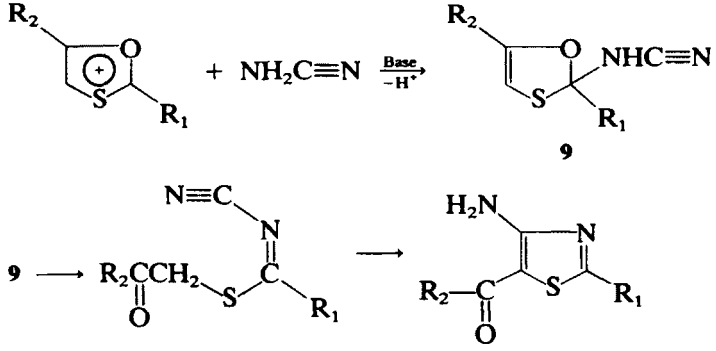
The Curtius rearrangement in acetic anhydride of the azide (**8**) prepared from 4-carboxythiazole yields 4-acetamidothiazole (Scheme 8) (47). The same reaction starting with ethyl-2-methyl-4-thiazolyl carboxylate, failed to give the 4-aminothiazole (48). Heterocyclizations are more convenient synthetic methods (Chapter II, Table 40).



8

Scheme 8

An original method starting from 1,3-oxatholium salts has been proposed recently (Scheme 9) (49–51).



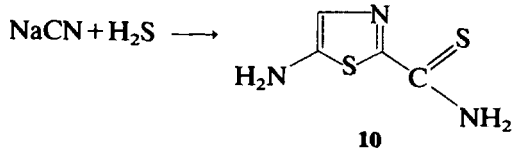
9

Scheme 9

2,3-Diphenyl-4-aminothiazolium chloride reacts with aniline at room temperature to give 2-phenyl-4-anilinothiazole (52).

3. 5-Aminothiazoles

Chrysean (**10**), prepared by bubbling hydrogen sulfide through a sodium cyanide solution, was among the first described thiazoles (53–57). Other 5-aminothiazoles are also most easily prepared by heterocyclization (see Chapter II, Section II.5.A).

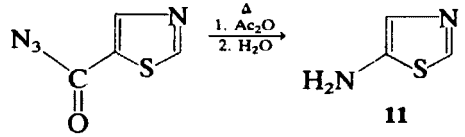


10

Scheme 10

Reduction of 2,4-dimethyl-5-nitrothiazole with activated iron gives a product that after acetylation yields 25% 2,4-dimethyl-5-acetamidothiazole (58). The reduction of 2-methyl 5-nitrothiazole is also reported (35) to give a mixture of products. The nitro group of 2-acetylhydrazino-5-nitrothiazole is reduced by $TiCl_3$ in hydrochloric acid or by Zn in acetic acid (59).

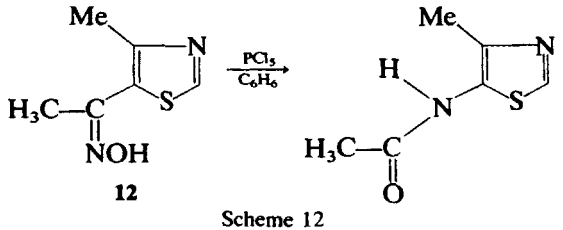
The Curtius rearrangement has been used to prepare 5-aminothiazole (**11**) (60, 61), 4-methyl-5-aminothiazole, 2-chloro-5-aminothiazole (58), and 2,4-dimethyl-5-aminothiazole (62) (Scheme 11). Heating the corresponding azides yield carbamates that resist hydrolysis but react with acetic anhydride to give the 5-acetylaminothiazoles.



11

Scheme 11

The Beckman rearrangement of the oxime of 4-methyl-5-acetylthiazole (**12**) gives low yields (30%) of 4-methyl-5-acetamidothiazole (Scheme 12) (58).



12

Scheme 12

II. SPECTROSCOPIC AND PHYSICOCHEMICAL PROPERTIES

Physicochemical studies on aminothiazoles are mainly centered on two problems: the position of imino-amino protomeric equilibrium and NRR' substitution effects on the thiazole nucleus.

1. Molecular Orbital Calculations

Charge diagrams for 2-aminothiazole and 2-imino-4-thiazoline, calculated using HMO, PPP, and CNDO approximations, are illustrated in Fig. VI-1. When compared to Table I-2 for thiazole itself it appears that the

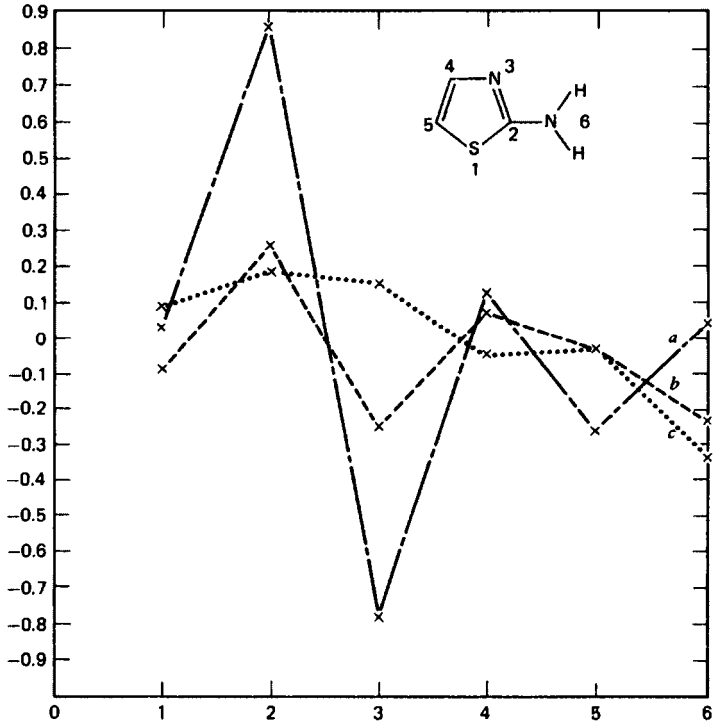


Fig. VI-1. Charge densities on 2-aminothiazole and 2-imino-4-thiazoline. (*a*) 2-aminothiazole, PPP (63); (*b*) 2-aminothiazole, CNDO (64); (*c*) 2-imino-4-thiazoline, PPP (63).

main effects of the NH_2 substituent are:

1. Decrease of the positive charge borne by C-2.
2. Increase of the negative charge borne by N ring.
3. Increase of the negative charge borne by C-5.

The principal features to be noted are:

1. 2-Aminothiazole has an important negative charge on the ring nitrogen, in agreement with protonation site studies (65). In sharp contrast, for 2-imino-4-thiazolines the more important negative charge is on the exocyclic nitrogen, suggesting a preferential protonation on this site.

2. The 5-position is negatively charged in both the thiazole and thiazoline rings, which would imply good reactivity toward electrophilic substitution. Hückel molecular orbital calculations suggest that this negative charge is more important on C-5 than on the ortho or para positions of the anilino substituent of 2-anilino-4-chloromethylthiazole (66). This agrees with experimental results obtained in electrophilic substitution studies (see Section IV.1.D).

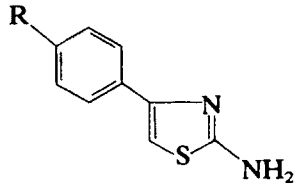
3. The highest frontier electron densities calculated using CNDO approximations (64) are located on the exocyclic nitrogen, the ring nitrogen, and C-5. That is in accord with the behavior of 2-aminothiazole toward electrophilic centers. However, the reported order of frontier electron densities—ring N (0.326), amino N (0.407)—suggests a preferential attack of the former on the "harder" sp^2C electrophilic center rather than on the "softer" sp^3C, which is in contradiction with experimental facts (see Sections III.1 and 2). The reasons for this discrepancy are discussed in Section III.3.D.

Charge diagrams suggest that the 2-amino-5-halothiazoles are less sensitive to nucleophilic attack on 5-position than their thiazole counterpart. Recent kinetic data on this reactivity however, show, that this expectation is not fulfilled (67): the ratio $k_{\text{5-bromo-2-aminothiazole}}/k_{\text{5-bromothiazole}} = 10^4$ (reaction with sodium methoxide) emphasizes the very unusual amino activation to nucleophilic substitution. The reason of this activation could lie in the protomeric equilibrium, the reactive species being either under protomeric form **2** or **3** (General Introduction to Protomeric Thiazoles). The reactivity of halothiazoles should, however, be reinvestigated under the point of view of the S_{RN1} mechanism (1690).

2. pK_a and Protomeric Equilibrium

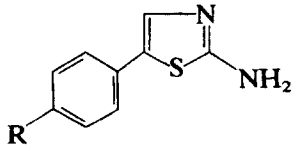
As expected, 2-aminothiazole is more basic ($pK_a = 5.28$) than thiazole ($pK_a = 2.52$) (68). Ultraviolet absorption properties as a function of pH

show that the first protonation occurs on the ring nitrogen (65). In strongly acidic media the exocyclic nitrogen also may be protonated (69, 70). Acylation lowers the pK_a of 2-amino-5-nitrothiazole by two units (71). Alkyl substituents in the 4- and 5-positions of the ring increase pK_a values: the pK_a of 2-aminohexahydrobenzothiazole is 6.11 (72). 2-Amino-4-*p*-R-phenylthiazoles (**13**) and 2-amino-5-*p*-R-phenylthiazoles (**14**) have their pK_a determined in alcohol–water (1:1) mixtures; their values are correlated with the σ para values of the substituents in the phenyl ring (Scheme 13) (73). The equations of the correlations are: for **13**, $pK_a = 4.0 - 1.24\sigma_{R\,para}$; for **14**, $pK_a = 4.38 - 1.12\sigma_{R\,para}$. The correlation obtained with para-substitued anilines, $pK_a = 4.18 - 3.18\sigma_{R\,para}$, lays stress on their greater sensitivity to substituents effects.



13

R = NO_2, SO_2CH_3, $SOCH_3$, CF_3, Br, Cl, Ph, H, SCH_3, CH_3, OCH_3



14

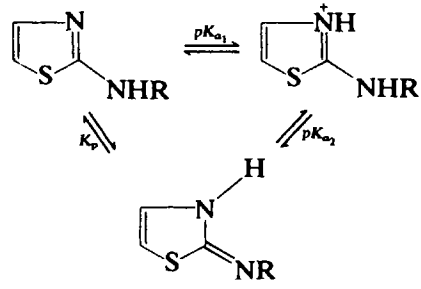
R = SO_2CH_3, $SOCH_3$, Cl, H, SCH_3, CH_3

Scheme 13

Angyal and Angyal measured the pK_a of 2-aminothiazoles and 2-imino-4-thiazolines to obtain the protomeric equilibrium constants (Scheme 14) (74). The higher pK_a values of the imino derivative (9.65) compared with that of 2-aminothiazole (5.68) prove that the amino form is highly predominant, $K_p = 2 \times 10^4$ (72). The limitations of such a method of K_p determination are discussed by Elguero, Marzin and Katritzky in a review of protomeric equilibria in heterocycles (75).

Some representative pK_a values are reported in Table VI-1.

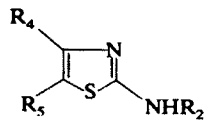
General trends in substituents' effects on a protomeric equilibrium may be obtained by HMO approximations, as illustrated in Figs. 3 and 4 of the



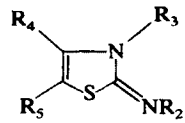
Scheme 14

general introduction to Part Two (see page 4). When the electronegativity of the substituent borne by the amino group increases, the protomeric equilibrium is expected to be shifted toward the imino structure. This calculated tendency is substantiated by the ultraviolet absorption spectra of sulfathiazoles, which establish the presence of a significant amount of the iminothiazoline form in solution (70, 85, 86). The same trend was reported for nitramino-2-thiazoles (87). Conjugation also seems able to invert the usual amino preference: for 2-phenylamino-4-phenyl-5-benzoylthiazole the iminothiazoline form is preferred in solution (88); however, this form is absent in the solid state (89).

TABLE VI-1. REPRESENTATIVE pK_a VALUES OF 2-AMINOTHIAZOLES AND 2-IMINO-4-THIAZOLINES



A



B

Type	Substituents				pK_a	Method[a]	Ref.
	R_2	R_3	R_4	R_5			
A	H	—	H	H	5.39	a	65, 76, 77
A	H	—	Me	Ac	3.75	a	77
A	H	—	Ph	H	4.1b	c	73
A	H	—	H	NO_2	0.93	a	78
A	H	—	$(CH_2)_4$		6.11	a	72
B	H	Me	$(CH_2)_4$		10	a	72

[a] a, spectrophotometric determination; b, alcohol–water (1:1) mixture; c, potentiometric determination. For other representative data see Refs. 78 (13 compounds **A**), 77 (7 compounds, **A**), 80 (2 compounds, **A**), 110 (6 compounds, **B**), 82 (5 compounds, **A**), 83 (3 compounds, **A**), 84 (13 compounds, **A**).

3. Ultraviolet Spectroscopy and Photoelectron Spectroscopy

2-Aminothiazole absorbs near 270 nm ($\varepsilon = 14{,}000$) corresponding to the $\pi_4 \rightarrow \pi_5^*$ electronic transition calculated by the Pariser-Parr-Pople method at 199 nm (63). The amino group in the 2-position introduces a bathochromic shift (90, 91). Localization of the transition is shown in Fig. VI-2. This figure suggests that, in the $\pi_4 \rightarrow \pi_5^*$ excited state, protonation occurs on the exocyclic nitrogen, which is expected to be more negatively charged than in the ground state (63).

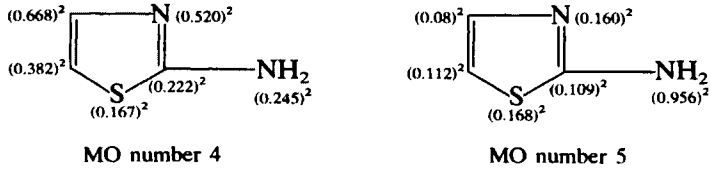


Fig. VI-2. Ultraviolet transition $\pi_4 \rightarrow \pi_5^*$ for 2-aminothiazole atomic orbital coefficients in the involved MO.

An intramolecular charge transfer toward C-5 has been proposed (77) to rationalize the ultraviolet spectra observed for 2-amino-5-R-thiazoles where R is a strong electron attractor. Ultraviolet spectra of a series of 2-amino-4-*p*-R-phenylthiazoles (**12**) and 2-amino-5-*p*-R-phenylthiazoles (**13**) were recorded in alcoholic solution (73), but, reported in an article on pK_a studies, remained undiscussed. Solvent effects on absorption spectra of 2-acetamido and 2-aminothiazoles have been studied (92).

For 2-imino-4-thiazoline the calculated $\pi_4 \rightarrow \pi_5^*$ transition at 210 nm corresponds to the observed band in thanol at 302 nm ($\varepsilon = 15{,}000$). The localization of this transition (Fig. VI-3) suggests a higher pK_a in the $\pi_4 \rightarrow \pi_5^*$ excited state for these compounds (63). The Forster cycle, which permits the prediction of protomeric equilibrium for excited states, cannot be applied to the present amino-imino equilibrium because the protonation of 2-aminothiazole may occur on different heteroatoms for ground and excited states. Ultraviolet studies of 2-aminothiazole agree, however, with potentiometric measurements (see Section II.2) that in the ground state the amino form greatly predominates (93). This technique gives the same conclusion in the case of 2-acetamidothiazole (92, 94).

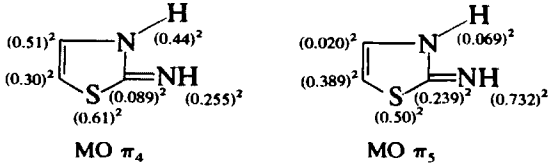


Fig. VI-3. Localization of the $\pi_4 \rightarrow \pi_5^*$ ultraviolet transition.

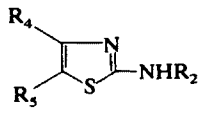
$n \rightarrow \pi^*$ transitions have never been reported; they may be hidden by the absorption band related to the $\pi \rightarrow \pi^*$ transition.

2-*p*-R-Aryl-4-amino-5-benzoylthiazoles absorb in the region of 389 nm ($\varepsilon = 12{,}000$), and the influence of R substituents on the band position was determined: R = MeO, $\lambda = 395$ nm; R = NMe_2, $\lambda = 429$ nm (49, 50).

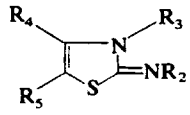
Table VI-2 contains representative ultraviolet data for 2-aminothiazoles and 2-iminothiazolines.

The PES of 2-aminothiazole and derivatives have been interpreted: the first peak (8, 45 eV) is associated with an MO of π symmetry mainly located on C-5 and N-3: the "weights" of the atomic orbital in this MO

TABLE VI-2. REPRESENTATIVE ULTRAVIOLET DATA OF 2-AMINOTHIAZOLES AND 2-IMINO-4-THIAZOLINE DERIVATIVES



A



B

Type	Substituent R_2	R_3	R_4	R_5	Absorption band[a,c]	Solvent[b]	Ref.
A	H	—	H	H	257 (6250)	a	95, 96, 77
A	Ac	—	Ph	H	232 (2100), 270 (13700)	a	97
A	H	—	Me	Ac	225 (3000), 325 (14000)	a	77
A	Ph	—	CH_2Cl	H	245 (shoulder), 290 (19600)	b	98
A	N=CHPh	—	CH_2Cl	H	237 (18000), 330 (20000)	b	98
A	$NHCO_{\beta pyr}$	—	Me	H	260 (8800)	b	99
A	CSNHMe	—	Bu	H	255 (9700), 291 (17500)	a	100
B	Ph	$NHCO_{\beta pyr}$	Me	H	281 (10400)	a	99
B	Me	$N{=}CH_{\beta pyr}$	Me	H	246 (15000), 384 (18000)	a	99
B	Ac	Me	Ph	H	302 (15000)	a	97
B	H	NH_2	H	H	248 (shoulder), 265 (8500)	c	101
B	CO_2Et	Et	H	H	233 (2400), 284 (14700)	a	102

[a] Wavelength in nanometers (ε).

[b] a, ethanol; b, methanol; c, dioxane.

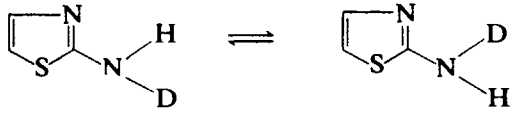
[c] Other representative spectra are given in Refs. 103 (16 compounds, **A**), 94 (5 compounds, **A**), 93 (5 compounds, **A**, **B**), 104 (3 compounds, **A**), 105 (8 compounds, **A**, **B**), 106 (10 compounds, **A**), 73 (17 compounds, **A**), 77 (20 compounds, **A**), 107 (6 compounds, **B**), 108 (5 compounds, **A**, **B**), 109 (10 compounds, **A**), 84 (13 compounds, **A**), 102 (33 compounds, **A**, **B**), 110 (6 compounds, **B**), 111 (3 compounds, **A**), 88 (8 compounds, **A**; 3, **B**), 95 (25 compounds, **A**), 1567 (5 compounds, **A**), 1568 (**A**, **B**).

calculated by the CNDO method are C-5, 39.6%; N-3, 17%; C-2, 14%; C-4, 12.8%; N-6 (exocylic N), 12%. The following peak at 10.09 eV is explained by a contribution of two MO: the first one of π symmetry is mainly located on sulfur (S-1, 67%) and the ring nitrogen (N-3, 20%), the other of n symmetry (S-1, 22%; N-3, 44%). This thiazole displays five other peaks at 11.38, 12.61, 13.61, 14.89, and 16.12 eV (112).

4. Infrared Spectroscopy

Infrared absorption properties of 2-aminothiazole were reported with those of 52 other thiazoles (113). N-Deuterated 2-aminothiazole and 2-amino-4-methylthiazole were submitted to intensive infrared investigations. All the assignments were performed using gas-phase studies of the shape of the vibration-rotation bands, dichroism, isotopic substitution, and separation of frequencies related to H-bonded and free species (115). With its ten atoms, this compound has 24 fundamental vibrations: 18 for the skeleton and 6 for NH_2. For the skeleton (C_s symmetry) 13 in-plane vibrations of A' symmetry ($2\nu_{C-H}$, $2\delta_{C-H}$, $1\nu_{C-N}$, $1\delta_{C-N}$, and $7\omega_{nucleus}$) and five out-of-plane vibrations of A'' symmetry ($2\gamma_{C-H}$, $2\Gamma_{nucleus}$, $1\gamma_{C-N}$) were assigned (Table VI-3).

The infrared spectrum of N-monodeuterated 2-aminothiazole (**14**) displays two doublets for the stretching vibrations related to NH and ND (ν_{NH}, 3456 and 3438 cm^{-1}; ν_{N-D}, 2548 cm^{-1} and 2540 cm^{-1}), These doublets were interpretated as proof of an equilibrium **15a** $\rightleftharpoons$ **15b** (Scheme 15).



15a **15b**

Scheme 15

NN'-Dialkylamino derivatives were studied by Chouteau et al. (115). The main assignments are summarized in Table VI-4.

Sheinker et al. thoroughly studied protomeric equilibria by a study of the infrared properties of 2-aminothiazole and 2-imino-4-thiazoline derivatives (94, 105, 119). His results confirm that the amino form is predominant, a conclusion also drawn from the infrared absorption study of 2-anilinothiazole (120) and 2-heteroarylaminothiazoles (1569).

N-Methyl-2-acetamidothiazole is representative of the 2-aminothiazole structure, absorbing at 1542 and 1648 cm^{-1}; its isomeric imino counterpart, 2-acetylimino-3-methyl-4-thiazoline (**16**), has only one band at 1588 cm^{-1}. As all acetylated 2-aminothiazoles absorb at 1535 and 1650 cm^{-1} their amino structure is clearly established (105, 121).

TABLE VI-3. INFRARED ABSORPTION FREQUENCIES OF 2-AMINOTHIAZOLE AND THEIR ATTRIBUTION[a]

Frequencies (cm^{-1})[b]	Attribution[c]	Frequencies	Attribution
3119 VS 3080 VS	$\nu_{C-H}(A')$	3490[d]	$\nu_{as}(NH_2)$ free(A')
1325 S 1035 VS	$\delta_{C-H}(A')$	3393[d]	$\nu_{s}(NH_2)$ free(A')
756 M 689 S	$\gamma_{C-H}(A'')$	3448[d]	$\nu_{as}(NH_2)$ associated(A')
1520 sh 1513 VS	$\nu_{15}(A')$	3278[d]	$\nu_{s}(NH_2)$ associated(A')
1505 S	$\nu_{6}(A')$	1595[d]	$\delta_{s}(NH_2)$ free(A')
1480 VS	$\nu_{5}(A')$	1620[d]	$\delta_{s}(NH_2)$ associated(A')
1073 M	$\nu_{14}(A')$	1020	γNH_2 or $\delta_{as}NH_2(A')$
1200 S	$\nu_{3}(A')$	627	wNH_2 free(A'')
872 M 862 M	ν_{10} and $\nu_{1}(A')$	635–665	wNH_2 associated(A'')
613 S	$\nu_{23}(A'')$	1275	$\nu_{C-N}(A'')$

[a] These data are taken from Refs. 115–117. For infrared spectra of 2-aminothiazole derivatives, see also Refs. 118 (5 compounds, **A**), 109 (10 compounds, **A**), 89 (2 compounds, **A**), 1568 (**A**, **B**). **A** and **B** see Table VI-2.

[b] Intensities indicated by VS, very strong; S, strong; M, medium; sh, shoulder.

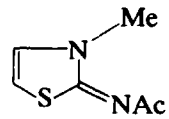
[c] ν, stretching; δ, in plane deformation; γ, out-of-plane deformation. The other symbols are explained and discussed in Chapter I, Section II.4.A.

[d] Bands whose intensities depend on dilution.

The typical infrared frequencies of a set of 2-imino-4-thiazolines were recently reported; however, their complete assignment is still to be made (101, 107, 122).

Intensities of the deformation vibration band near 1600 cm^{-1} plotted for 2-aminothiazole and other 2-substituted thiazoles versus the Hammett constant give a linear relationship (123).

Raman spectra of 2-aminothiazoles have been described (124).



16

Scheme 16

TABLE VI-4. INFRARED ABSORPTION FREQUENCIES OF 2-DIMETHYLAMINOTHIAZOLE[a]

Frequencies (cm^{-1})[b]	Attribution	Frequencies	Attribution
3075 S 3116 M	$\nu_{C\text{-}H\,ring}$[c] (A')	2979 S[d]	ν_{CH_3}
1308 S 1061 M or 1055	$\delta_{C\text{-}H\,ring}(A')$	2956 S	ν_{CH_3}
745 S 682 S	$\gamma_{C\text{-}H\,ring}(A'')$	2922 M	ν_{CH_3}
1560 S	$\nu_{15}(A')$	2888 S	$2\delta_{CH_3}$
1548 VS	$\nu_6(A')$	2886 S	$2\delta_{CH_3}$
1492 VS	$\nu_5(A')$	2834 S	ν_{sCH_3}
1127 VS	$\nu_{14}(A')$	2799 M 2759 W	ν_{sCH_3}
1262 VS	$\nu_3(A')$	1454 M 1422 *VS* 1408 S	δ_{CH_3}
875 M 860 M	$\nu_{10}(A')$ $\nu_1(A')$	1262 S 1166 W	γ_{CH_3}
612 S	$\nu_{23}(A'')$	1107 S	γ_{CH_3}

[a] From Ref. 115.

[b] Intensities of bands are symbolized: VS, very strong; S, strong; M, medium; W, weak.

[c] ν, stretching; δ, in plane deformation; γ, out-of-plane deformation. The other symbols are explained and discussed in Chapter 1, Section II.4.A.

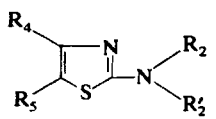
[d] All CH_3 vibrations were deduced from the study of a diluted solution of the substance in CCl_4 and CS_2.

5. Nuclear Magnetic Resonance Spectroscopy

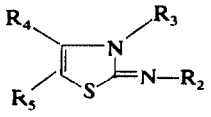
Nuclear magnetic resonance spectra of 2-aminothiazole and of 2-imino-4-thiazoline were reported during the studies related to protomeric equilibria (125–127); ring protons in the former are centered at 6.48 and 7.14 ppm (internal Me_4Si), while those in the latter are shifted upfield to 5.8 and 6.5 ppm (125).

The shape of the signal related to the phenyl group occupying the 4-position was tentatively suggested as being indicative of the protomeric form adopted by the studied compounds: however, this kind of assumption (126) must be regarded with caution (75). The NH_2 group, because of its electron-donating effect (+M), gives an upfield shift of about 1 ppm for the C-5 "para-like" proton (128, 129).

TABLE VI-5. REPRESENTATIVE H NMR SHIFTS FOR 2-AMINOTHIAZOLE AND 2-IMINO-4-THIAZOLINE DERIVATIVES[a]



A



B

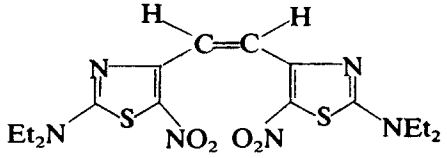
	Product and Shifts[b]						
Type	R_2	R_2'	R_3	R_4	R_5	Solvent[c]	Ref.
A	H	$COCH_2N(Me)_2$	—	H	H	a	130
		3.45 2.65		7.7	7.2		
A	H	H	—	H	H	b	128
	7.86	7.86		6.97	6.53		
A	H	H	—	C_6H_5	H	b	126
	7.08	7.08		7.4–7.8	7.0		
A	CH_3	CH_3	—	C_6H_5	H	b	126
	3.08	3.08		7.4–7.9	7.06		
A	H	$CH_2CH_2NHCOOCH_2CH_3$	—	H	H	a	131
	2.85	3.45 4.12 1.22		7.08[d]	6.45[d]		
A	H	$COOCH_2CH_3$	—	H	Me	a	78
	12.3	4.32 1.40		7.03	2.36		
B	H	—	$CH_2CH_2NHCO_2CH_2CH_3$	H	H	a	131
	5.45		3.86 3.51 4.13 1.42	6.45[e]	5.78[e]		
B	H	—	NH_2	H	H	a	101
				6.60[e]	5.6[e]		
B	$CO_2CH_2CH_3$	—	CH_2CH_3	H	H	a	102
	4.3 1.36		4.3 1.50	6.97	6.63		

[a] For other NMR data related to type **A** or **B** structure see Refs. 129 (2 compounds, **A**), 132 (5 compounds, **A**), 125 (4 compounds, **A, B**), 133 (3 compounds, **A**), 102 (33 compounds, **A, B**), 108 (5 compounds, **A, B**), 134 (6 compounds, **A**), 109 (10 compounds, **A**), 122 (9 compounds, **B**), 130 (3 compounds, **A**), 1568 (**A, B**), 1652 (8 compounds, **A**).

[b] Internal TMS shift in ppm. [c] a, $DCCl_3$; b, DMSO. [d] Doublet J = 4 cps. [e] Doublet J = 5 cps.

Characteristic NMR shifts for 2-amino and 2-imino derivatives are given in Table VI-5.

In the NMR spectrum of cis-1,2-bis[2-diethylamino-5-nitrothiazol-4-yl] ethylene (**17**) (1570), the nonequivalence of olefinic protons requires that the rotation of the NO_2 group be hindered.



17

Scheme 17

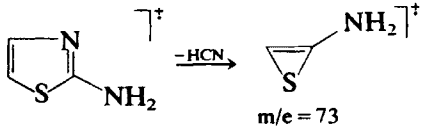
Nuclear magnetic resonance spectra of 2-alkylthio-4-amino-5-R-thiazoles have been recently described (135).

6. Mass Spectroscopy

The mass spectra of 2-aminothiazole and 2-amino-4-methylthiazole are characterized by the following peaks (136).

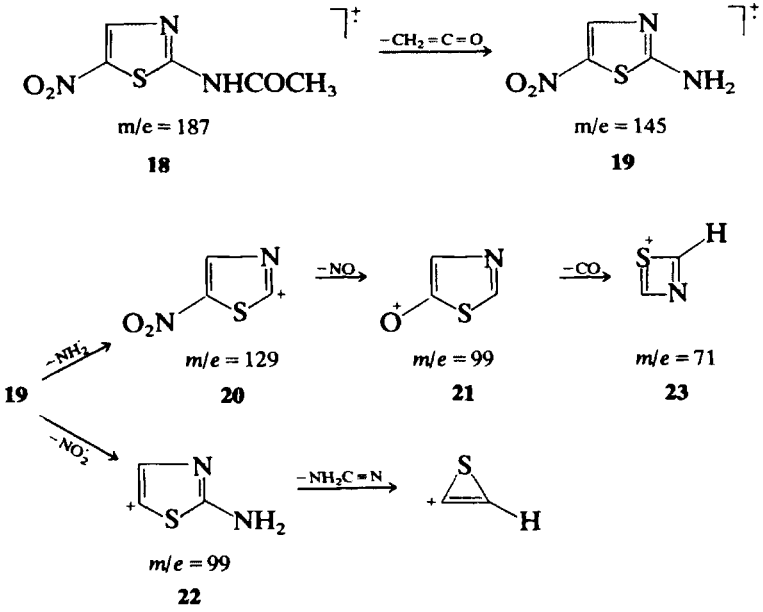
- 2-aminothiazole [given: *m/e* value (relative intensity)]: 102 (8), 101 (25), 100 (100), 74 (3), 73 (18), 60 (7), 59 (4), 58 (75), 57 (10), 55 (5), 46 (7), 45 (10), 44 (6), 43 (6), 42 (2), 41 (2), 40 (2), 29 (2), 27 (5).
- 2-amino-4-methylthiazole: 117 (2), 116 (10), 115 (36), 114 (100), 113 (3), 87 (4), 82 (2), 74 (4), 73 (10), 72 (58), 71 (60), 70 (3), 69 (5), 61 (3), 60 (4), 57 (3), 47 (2), 46 (9), 45 (14), 44 (5), 43 (13), 42 (15), 41 (4), 40 (4), 39 (11).

The main features are the molecular ions as the base peak and the M+1 ions arising from another species. For 2-aminothiazole the *m/e* 73 ion (M–HCN) is shifted to *m/e* 75 in the spectrum of the dideuteroamino derivative and, therefore, largely arises via rupture of 2–3 and 4–5 bonds (Scheme 18). This fragmentation process could involve the kind of intermediates postulated in photochemical rearrangements (see Chapter III, Section IX.3.B). The other fragments fit well the general pattern of fragmentation proposed by Clarke (136).



Scheme 18

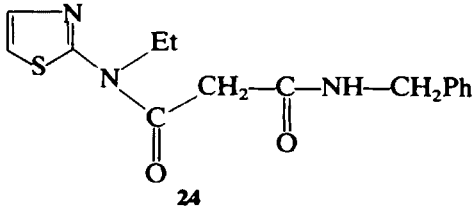
The fragmentation patterns of 2-acetamido-5-nitrothiazole (**17**) and 2-dimethylaminothiazole are reported to be characterized by the stabilization brought by the amino group to the thiazole ring (137). The proposed fragmentation scheme (Scheme 19) displays two major features.



Scheme 19

1. H transfer from the methyl to the exocyclic nitrogen of the acetamido group.
2. Competition between C–NO_2 and C–NH_2 rupture.

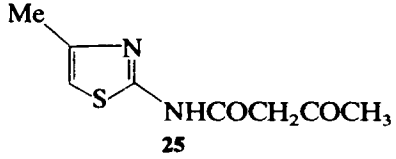
In the mass spectrum (70 eV) of *N*-benzyl-*N'*-(2-thiazolyl)-*N'*-ethylmalonamide (**24**) (M = 303) the parent molecular ion is not observed. The main peaks are 260 (0.7), 205 (0.7), 148 (12.5), 128 (55), 113 (100), 104 (20), 91 (51), (130).



24

Scheme 20

During the course of biochemical studies (138), the mass spectrum of 2-acetamidothiazole was recorded; its main peaks are the molecular ion ($m/e = 142$, relative intensity = 26%) and fragments 100 (100), 58 (26.5), and 43 (39). For 2-acetamido-5-bromothiazole the main peak results again from the loss of C_2H_2O by the molecular ion. 2-Acetylacetamido-4-methylthiazole (**25**) exhibits significant loss of $C_4H_4O_2$ from the molecular ion; the mass spectrum of this thiazole displays two other major peaks at m/e 85 and 43 and two minor ones at m/e 156 and 142. The common feature in the 5-bromo derivatives of these compounds is that the loss of Br occurs after that of either C_2H_2O or $C_4H_4O_2$.



25

Scheme 21

7. Other Physical Methods

Magnetic susceptibilities of 2-aminothiazole have been measured (139) in order to evaluate the "aromatic character" of the compound.

The dipole moment of thiazole is increased by 2-amino group substitution (140). 2-Imino-4-thiazolines are more polar than their 2-aminothiazoles isomers (141).

The crystal and molecular structures of 2-amino-4-phenylthiazole hydrobromide have been determined by radiocrystallography: the angle between the thiazole and phenyl rings was found to be 19°. The major features are reported in Fig. VI-4 (142).

Tirouflet et al. measured polarographic half-waves for a series of substituted thiazoles (143) in order to determine the ability of polarography for the selective determination of thiazoles mixtures. The $E_{1/2}$ values found for 2-amino-5-bromothiazole agree with the $+M$ effect of the NH_2

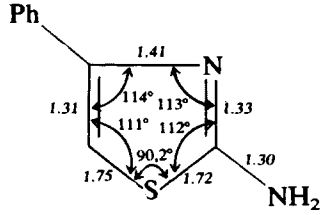


Fig. VI-4. Geometry of thiazole ring in 2-amino-4-phenylthiazole hydrobromide. Italicized values are interatomic distances (Å); other numbers are angles in degrees. From Ref. 142.

substituent. Catalytic waves measured polarographically for a 2×10^{-6} *M* solution of 2-anilino-4-chloromethylthiazole increase with decreasing pH; no reduction wave corresponding to the C–Cl bond was found (144).

8. Analytical Methods

Grote's reagent is useful for the determination of 2-aminothiazole in blood and wine (145), This thiazole may be extracted from its aqueous solution and then titrated in nonaqueous medium (MeOH) with $HClO_4$ in the presence of a mixed methyl red–methylene blue indicator (146).

The paper-electrophoretic behavior of 2-amino-4-methylthiazole in a buffer solution containing $AgNO_2$ shows that migration increases at low and high pH; an increase of pAg produces the opposite result (147).

Paper-chromatographic separation of several 2-aminothiazoles has been effected successfully in various solvent systems (148) but is less efficient than thin-layer chromatography (149). This technique allows the separation and identification of 2-aminothiazole from feeds (150) and biological samples (151). Thin-layer chromatography, by which large series of thiazoles have been investigated (152), provides a convenient way of identifying 2-aminothiazoles by their Rf. A gas-chromatographic method has also been proposed to determine 2-aminothiazole in feeds (153).

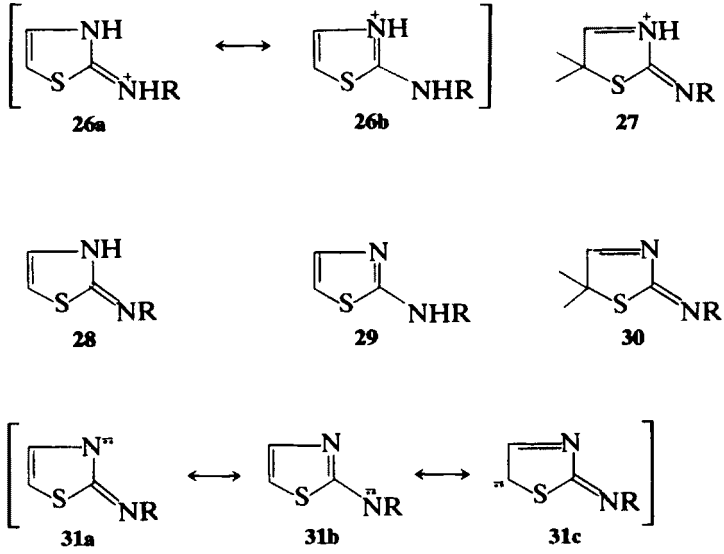
2-Aminothiazole present in urine or blood plasma forms a colored Schiff base when 5-nitrofurfural is added; the colorimetric analysis of the Schiff base allows the quantitative determination of this thiazole (1571). The Schiff base may also be dosed by polarographic of spectrophotometric methods (1572).

The addition of $(BuO)_3B$ and Ph_3P to an aqueous solution of 2-aminothiazole mixed to 15% impure substances, followed by evaporation of the solvent and sublimation of the residue, provides 97.8% pure 2-aminothiazole (1573).

2-Aminothiazole is efficiently purified by treating an aqueous solution of 2-aminothiazole hydrochloride with H_2SO_4 at 25 to 105°C, filtering the precipitated reaction product and transforming it back to 2-aminothiazole (154).

III. NITROGEN REACTIVITY

Most of the reactivity studies on 2-aminothiazole and its derivatives are related either to exocyclic nitrogen reactivity or to ring nitrogen reactivity. Active species involved in such reactions may depend on the pH, the



Scheme 22

medium, and the electrophilic center (Scheme 22). In acidic medium the species may be either **26** or **27**, though the participation of the latter has never been demonstrated. In neutral medium, each of the three protomeric forms, **28**, **29**, or **30**, can be the active species. Here again, no evidence has been found for the intervention of **30**. In basic medium anion **31** is the reactive species; the delocalization of its charge may be represented by resonance forms. Experimental data suggest, however, a very weak participation of resonance form **31c**. The same line of reasoning can be applied to the reactivity of 4- and 5-aminothiazoles. (See Schemes 1 and 2, General Introduction.)

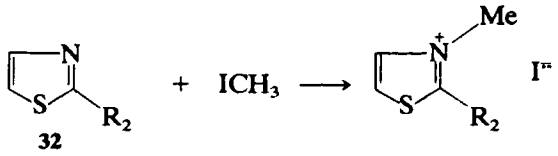
The reactivity of the amino radical has not yet been investigated. Alkaline hypochlorite oxidation, known in the pyridine series to yield azo derivatives (155, 156), and photolysis of *N,N*-dichloro derivatives, which may be obtained by action of sodium hypochlorite on amino derivatives in acidic medium (157), should provide interesting insight on this reactivity.

This section is organized according to the electrophilic center presented to the nucleophilic nitrogen of the active species. This organization allows a consistent treatment of the reactivity. However, a small drawback arises when ambident electrophilic centers are considered, and these cases are treated as if the more reactive center were known, which is not always the case.

1. Substitution Reactions toward sp^3C Electrophilic Centers

A. *Weakly Acidic and Neutral Medium*

The amino group activates the thiazole ring toward electrophilic centers. This point is illustrated by the rate constants of the reaction between 2-dialkylaminothiazoles (**32**) and methyl iodide in nitromethane at 25°C (Scheme 23) (158). The steric effects of substituents on nitrogen are

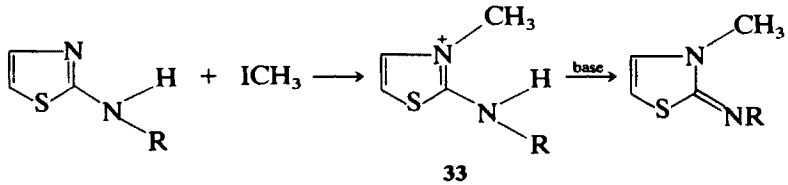


32

R_2	k(liter mole^{-1} sec^{-1})
H	14.2×10^{-6}
NPr_2	1.4×10^{-6}
$NAllyl_2$	0.9×10^{-6}
NBu_2	1.3×10^{-6}

Scheme 23

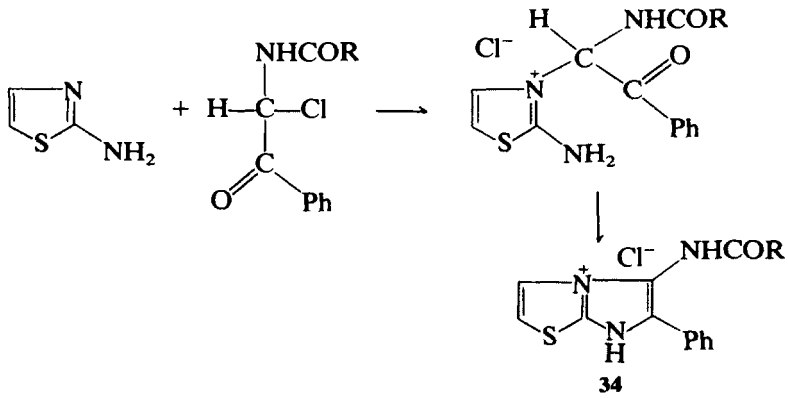
expected to decrease the reaction rate by a factor greater than 30 (see Chapter III, Section XII.1.A). The ratio $k_{NR_2}/k_{Thiazole}$ corresponds clearly to an electrophilic activation. Behera (82) found a smaller rate constant for 2-aminothiazole than for thiazole itself; this result should be checked using conductimetric method of rate constant determination (159).



33

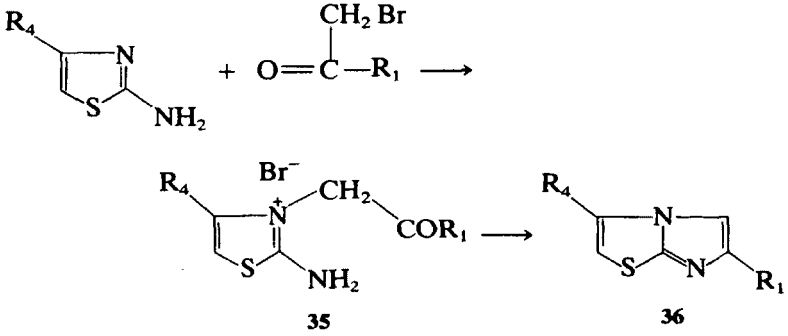
Scheme 24

Quaternary salts (**33**) obtained from aminothiazole derivatives liberate 2-imino-4-thiazolines in basic medium (Scheme 24). This reaction is general and independent of the nature of R in **33** (160–167). The same result was found when 2-propynylbromide (168) or 3-chloropropionic acid (169) were the quaternizing reagents. This method is particularly



Scheme 25

useful in the preparation of 2-imino-3-R_3-4-thiazolines with functionalized R; with reactants such as α-chloroacetylchloride reaction occurs, however, first on the exocyclic nitrogen (see Section III.2.D). With appropriate ambident electrophilic centers new heterocyclic structures such as **34**

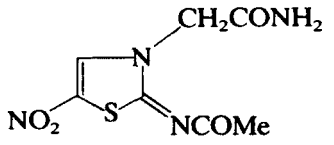


Scheme 26

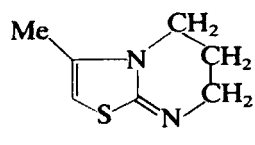
may be obtained (170) (Scheme 25). Another thoroughly studied reaction of bis heterocyclization (171–177) is that of 2-aminothiazole derivatives with α-halocarbonyl derivatives to yield biheterocyclic structures such as **36** (Scheme 26). Similarly, 2-acetamido-5-nitrothiazole is reported to react with α-chloroacetamide (178) yielding **37**. Structure **38** is obtained from the action of 2-amino-4-methylthiazole on trimethylene dibromide (179) (Scheme 27).

Alkylation with other alkylating agents such as ethyl iodide (43, 180, 181), chloracetic acid and its esters (182), and dialkylaminoalkylhalides (40, 43) occurs also on the ring nitrogen.

Benzyl chloride at 175°C appears to alkylate the 5-position of the ring.



37

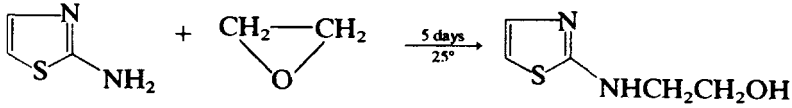


38

Scheme 27

The final product may, however, result from primary nitrogen attack followed by rearrangement (163, 165).

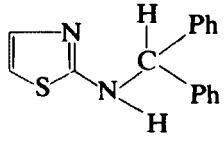
Thus in neutral medium the reactivity of 2-aminothiazoles derivatives toward sp^3C electrophilic centers usually occurs through the ring nitrogen. A notable exception is provided by the reaction between 2-aminothiazole and a solution (acetone–water, 1:1) of ethylene oxide (183) that yields 2-(2-hydroxyethylamino)thiazole (**39**) (Scheme 28). Structure **39**



39

Scheme 28

was assigned by comparison of the product with that prepared by reaction of 2-chlorothiazole on β-hydroxyethylamine (184). Structure **39** further reacts on distillation giving an unidentified product (183). This example could be considered as a borderline case because the bonds in ethylene oxide are intermediate in character between σ and π. 2-Triphenylmethylaminothiazole from the reaction of triphenylmethyl chloride with excess 2-aminothiazole in benzene (33) and structure **40** from the reaction between 2-aminothiazole and benzyhydryl chloride (185) may be similarly explained.



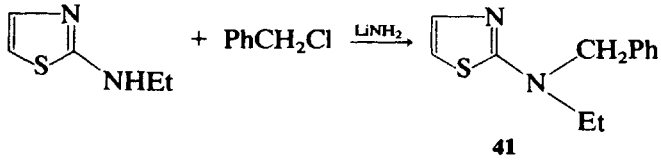
40

Scheme 29

B. *Basic Medium*

Alkylation of 2-sec-aminothiazoles can be directed to the amino group if condensing agents such as alkali amides are used (46). This reaction is

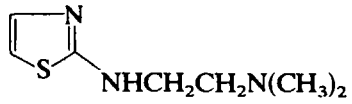
used to obtain tertiary thiazolyl amines (Scheme 30). The direct heterocyclization method described in Chapter 2 (Section II.3) however is, more commonly used.



41

Scheme 30

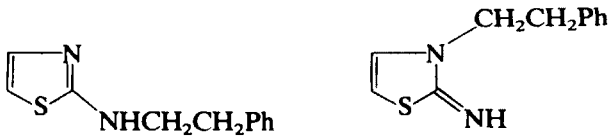
Similarly, coupling 2-aminothiazole with 2-dimethylaminoethylchloride in the presence of sodium amide yields 2-(2-dimethylaminoethylamino)-thiazole (**42**) (186, 187).



42

Scheme 31

Reaction of 2-aminothiazole with β-phenethylchloride in anhydrous pyridine is reported to yield 76% 2-(β-phenethylamino)thiazole (**43**), the remaining 24% could be 2-imino-3(β-phenethylamino)-4-thiazoline (**44**) (Scheme 32) (188).

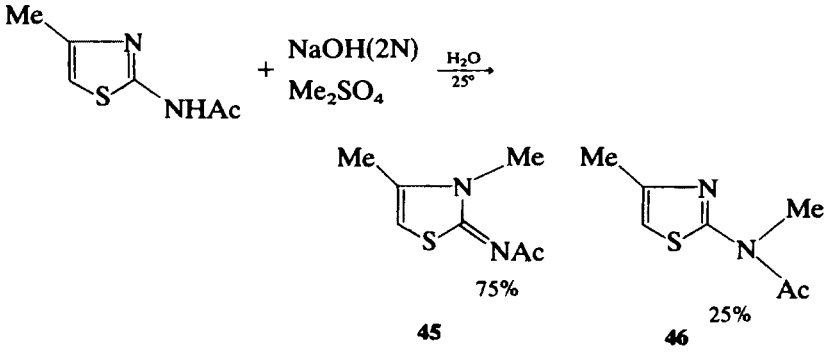


43 **44**

Scheme 32

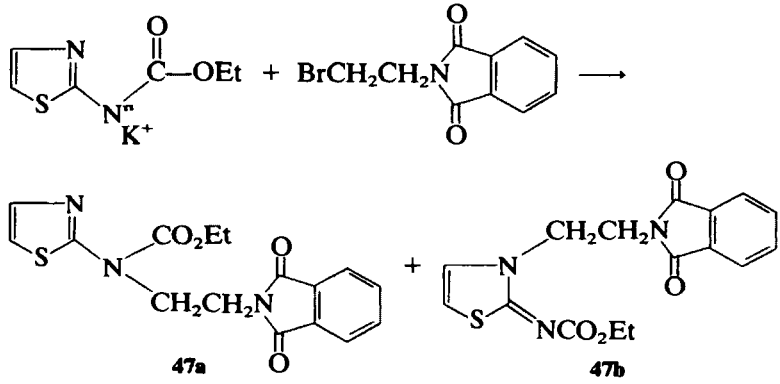
With the more acidic 2-acetamido-4-R-thiazoles, using the weaker base NaOH as condensation agent, a mixture of ring (**45**) and exocyclic N-alkylation (**46**) may be observed (Scheme 33) (121). Reaction of 2-acetamido-4-methylthiazole in alcoholic sodium ethoxide solution with a variety of alkylating agents has been reported (40–44).

Use of aprotic solvents increases the quantity of exocyclic N-alkylation; the potassium salt of *N*-(2-thiazolyl)carbamate heated in DMF with 2-phthalimidoethyl bromide gives predominantly exocyclic N-alkylation (70% **47a**, 30% **47b**) (Scheme 34) (131).



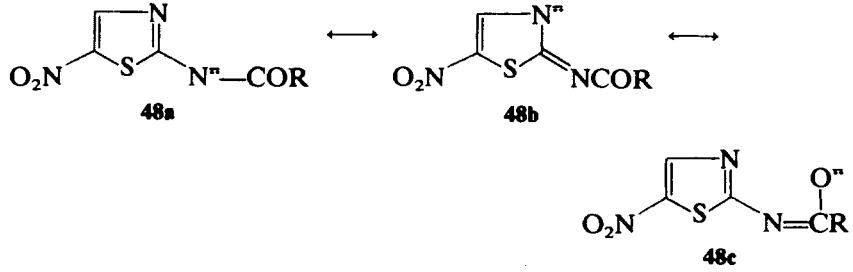
Scheme 33

The nature of the substituent on the exocyclic nitrogen also influences the ambident activity of anion **48** in DMF (Scheme 35): when R is an heterocyclic ring, nitrogen alkylation predominates (189); when R is a methylamino group, a mixture of the two isomers is reported (190); when



Scheme 34

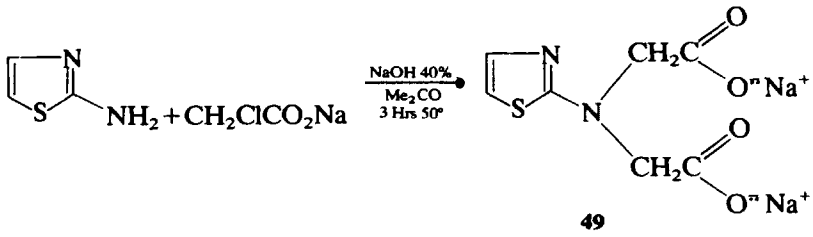
R is a *t*-Bu group, ring nitrogen alkylation is preferred (191). Alkylation of the sodium salt of 2-formamido-5-nitrothiazole (**48**) (R = H)



Scheme 35

affords only *N*-methyl-*N*-formamidothiazole; that of 2-alkylamido-5-nitrothiazoles (**48**) (R = Me, Et, etc.) yields a mixture of ring N and exocyclic N alkylation (192).

Dyatlova (193) reports the preparation of product **49**, resulting from the dialkylation of 2-aminothiazole with α-chloroacetic acid under mild conditions (Scheme 36).

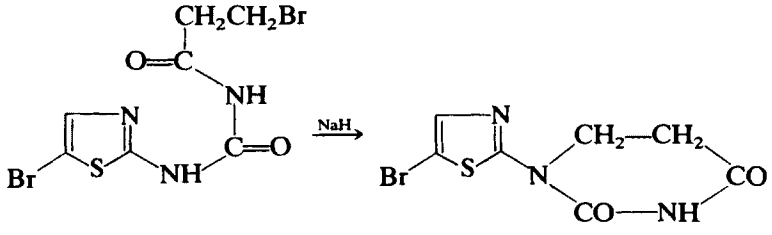


49

Scheme 36

2-Nitraminothiazoles are sufficiently acidic to be alkylated by diazomethane; the methyl substituent is introduced on the exocyclic nitrogen (194). When sulfathiazole is methylated with diazomethane in ether, a mixture of ring-methylated and amino-methylated products is obtained, the ratio being 30:70 (85). With anion **31** (R = *p*-$NO_2C_6H_4SO_2$–) the ratio becomes 15:85 (195).

1-(3-Bromopropionyl)-3-(5-bromo-2-thiazolyl)urea (**50**) is cyclized by adding NaH to give 1-(5-bromothiazolyl-2)dihydrouracil (**51**) (Scheme 37) (196).



50 **51**

Scheme 37

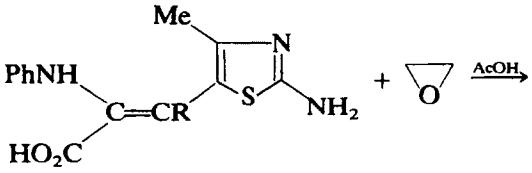
Structure determination of the products obtained by alkylation was established previously by:

1. Hydrolysis with HCl at 200°C followed by identification of the amines thus formed (162, 163, 197, 198).
2. Differences in reactivity between amino and imino isomers toward CS_2 and diazonium salts (199, 165).

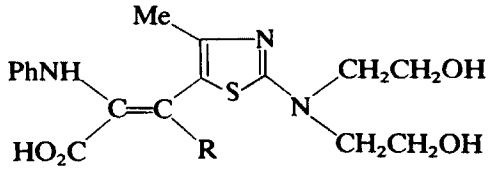
These methods are now obsolete in comparison with spectroscopic methods. Werbel has shown that the structures of these isomers are easily determined by NMR (125) (see also Table VI-5). Furthermore, 2-imino-4-thiazoline derivatives are characterized by their stretching C=N vibration at 1580 cm^{-1}, absent in their 2-aminothiazole isomers, and by the stretching NH vibration that appears in the range of 3250 to 3310 cm^{-1} for the former and between 3250 to 3340 cm^{-1} for the latter (131). Ultraviolet spectroscopy also differentiates these isomers (200). They can be separated by boiling in ethanol: the thiazoline isomer is usually far less soluble in this solvent (131).

C. *Acidic Medium*

Reactions of the 2-amino-4,5-substituted thiazole (**52**) in acetic acid with ethylene oxide has been reported to give the N-exocyclic disubstitution product (**53**) (201) in a 40% yield (Scheme 38). The reactive species in this reaction is probably the carbocation generated in acetic acid by ethylene oxide.



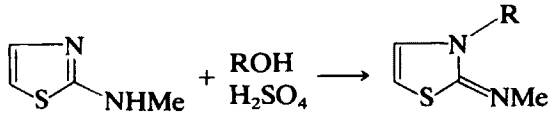
52



53

Scheme 38

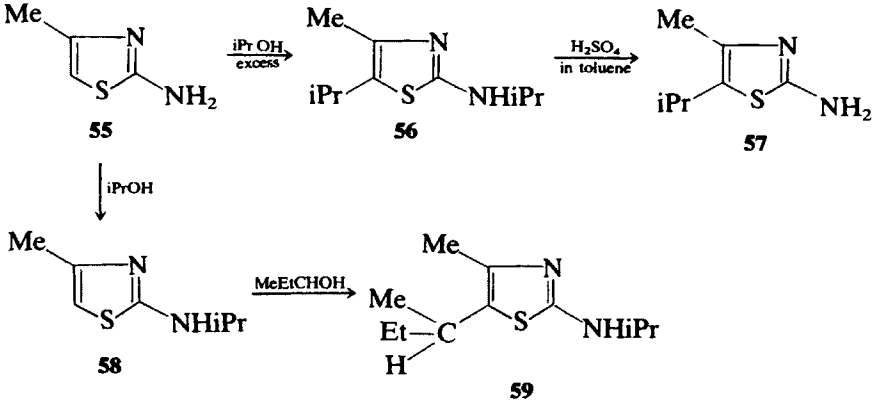
2-Amino-4,5-dimethylthiazole is alkylated by secondary and tertiary alcohols in concentrated H_2SO_4 (202). When the 5-position is open, alkylation may take place on the ring (see Section IV.1.E and Ref. 203). The Burmistrov group also reports the preparation of 2-methylimino-3-alkyl-4-thiazolines (**54**) by reaction of 2-methylaminothiazole with ROH in 85% H_2SO_4 (Scheme 39) (204). Alkylation by alcohols probably involves nucleophilic attack of the alcohol-generated carbocation. It is not easy to rationalize all the results; the obtained product results either from ring nitrogen reactivity (204), from exocyclic N reactivity, or from C-5



54 R = t-Bu, i-Pr, MeEtCH

Scheme 39

ring reactivity (205) as summarized in Scheme 40. The important point is that in concentrated sulfuric acid even dealkylation may take place: **56** → **57**.



55 **56** **57** **58** **59**

Scheme 40

All the examples of reactivity in acidic medium (Scheme 40) involve a reagent with a sp^3C hybridized electrophilic center, but the actual reactive species generated bears a sp^2C electrophilic center. In this case, exocyclic N-alkylation is not surprising (see Section III.2).

Thus the reactivity of 2-aminothiazole derivatives toward reactants bearing a sp^3C hybridized electrophilic center follows the general pattern:

1. If the thiazole under consideration reacts in its neutral form, the ring nitrogen is expected to be reactive center. Exceptions could be expected for 2-amino-4-R thiazoles with bulky R groups and for electrophilic reactants able to generate a carbocation.
2. If the medium is sufficiently basic to generate the ambident anion **31**, mixtures of products resulting from N-ring and N-exocyclic reactivity are observed. Here again steric effects can preferentially orient the whole reaction toward one of the two nitrogens. A general study clearly delineating the rules of behavior for **31** according to the nature of R, the

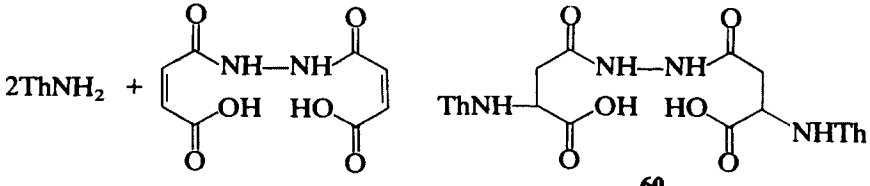
substituents on the thiazole ring, the effects related to ion pairing, the cationic counterpart and the solvent is still needed.

3. In acidic medium all reported examples are similar to the cases discussed in Sections III.2.C and IV.1.E.

2. Reactions with Reagents Bearing an sp^2C Electrophilic Center

A. *Additions to* C=C

Nucleophilic addition of 2-aminothiazole to the double bond of dimaleic acid hydrazine has been reported (206). No spectroscopic proof, however, is given to establish the proposed structure (**60**) for the resulting product (Scheme 41).



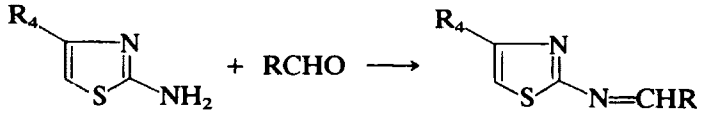
60

Th = 2-thiazolyl

Scheme 41

B. *Reactions with Carbonyl Derivatives*

The exocyclic nitrogen atom is involved when 2-aminothiazoles and aromatic aldehydes react under mild conditions yielding **61** (Scheme 42)

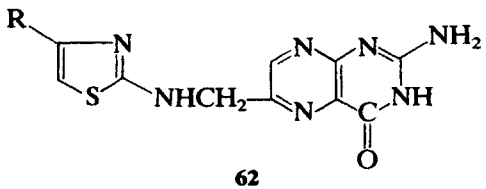


61

R = Ph, pFC_6H_4, 3,4,5-$(O_2N)_3C_6H_2$, 2-thienyl, α-naphthyl, p-$Me_2NC_6H_4$, p-$MeOC_6H_4$, etc.

Scheme 42

(207–209). The Schiff base obtained is converted in good yield (60 to 80%) to amines by the action of Raney Ni (209). This reductive condensation provides a good synthetic method and was used to prepare thiazole analogs (**62**) of folic acid (Scheme 43) (210). These Schiff bases under

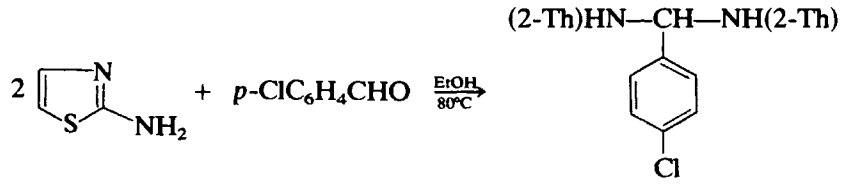


62

R = $HO_2C(CH_2)CH(CO_2H)NHCO$

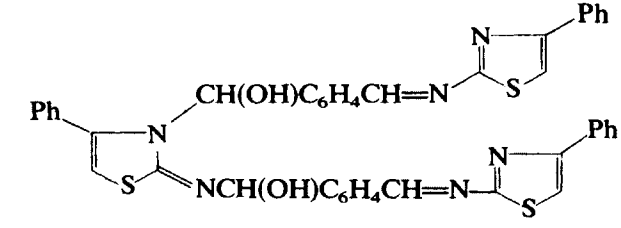
Scheme 43

other conditions may give benzylidene bis-aminothiazoles such as **63** (Scheme 44) (211–214). Another possible evolution is the reaction with a nucleophilic species (e.g., HCN) present in the medium (1574).

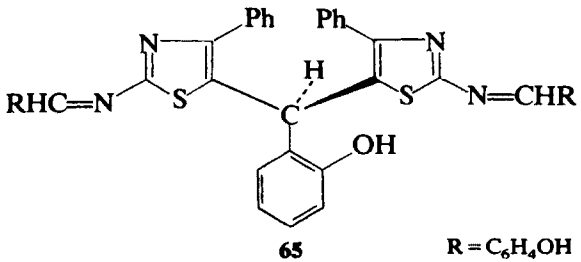


Scheme 44

Both carbonyl groups of terephthaldehyde are reported to react with the exocyclic nitrogen of 2-aminothiazole yielding 1,4-phenylene bis(2-methyleneamino)thiazole. The same report describes the reactions of 2-amino-4-phenylthiazole with terephthaldehyde and salicylaldehyde as yielding **64** and **65**, respectively (Scheme 45) (215), whose structures are based on ultraviolet and infrared spectra.



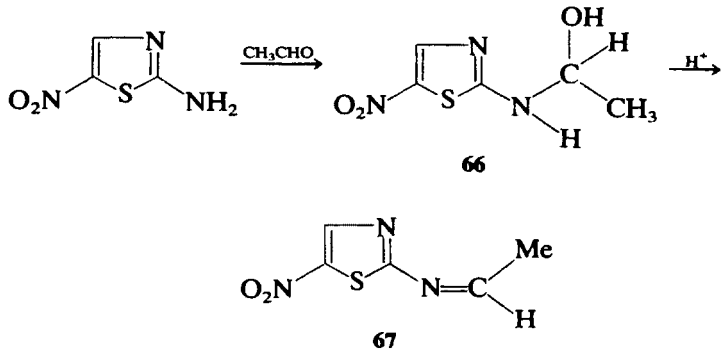
64



65 R = C_6H_4OH

Scheme 45

Isolation of the carbinolamine intermediate (**66**) is possible in the reaction of 2-amino-5-nitrothiazole with acetaldehyde (Scheme 46) (216).

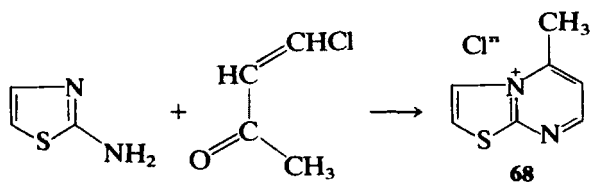


66

67

Scheme 46

This nucleophilic reactivity of 2-aminothiazoles has been used to prepare biheterocyclic compounds in the series of 5-methylthiazolo[3,2-*a*]pyrimidium salts (**68**) (Scheme 47) (217). The formation of the condensation product (**69**) when 2-aminothiazole and 3-methylacrylophenone react in boiling ethanol is nevertheless thought to involve conjugate addition of the ring nitrogen to the α,β-unsaturated ketone, followed by condensation of a second molecule of phenone (218, 219); **69** is then formed by ring-closure with elimination of ammonia (Scheme 48). Another example of intramolecular reactivity is provided by the heterocyclization of **70** on heating (Scheme 49) (220).

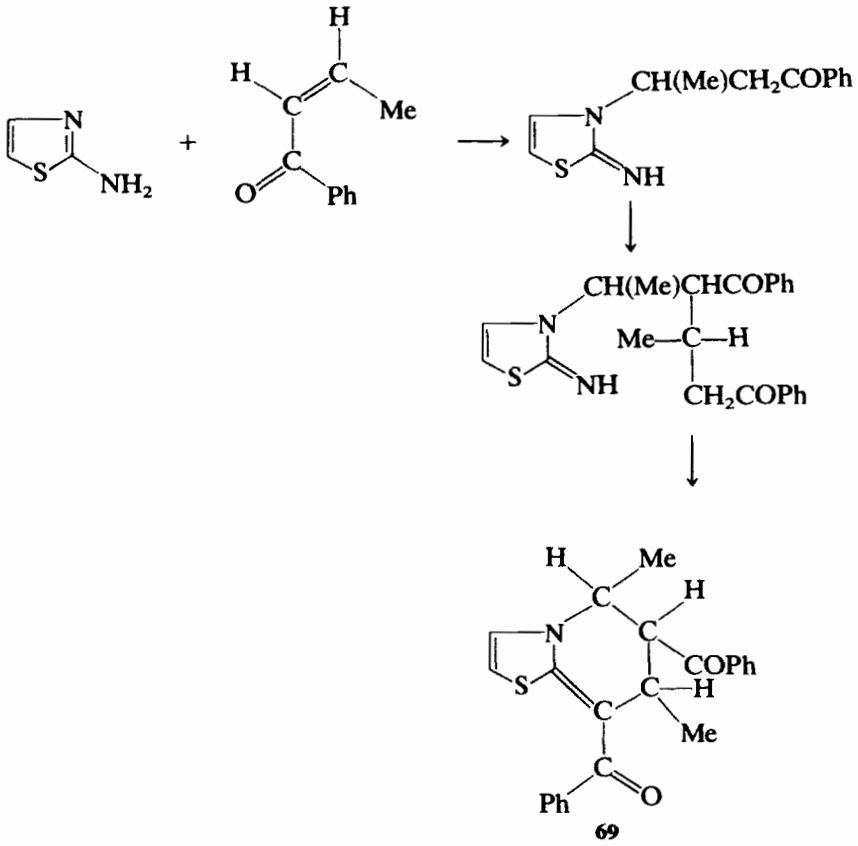


68

Scheme 47

Hexafluoroacetone complexes 2-aminothiazole derivatives (221, 222).

The metabolite of 2-amino-4-phenylthiazole (used as an anaesthetic for fish) was identified (223) as 2-amino-4-phenylthiazole 2-*N*,β-D-glucopyranosiduronic acid (**71**) (Scheme 50). The formation of this compound probably involves the reaction of the exocyclic nitrogen on the open-chain form of the acid. The isolation of this metabolite is part of a very systematic study by Japanese researchers related to the anaesthetic

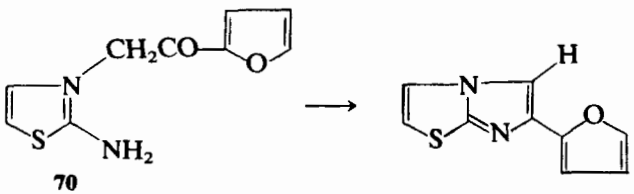


69

Scheme 48

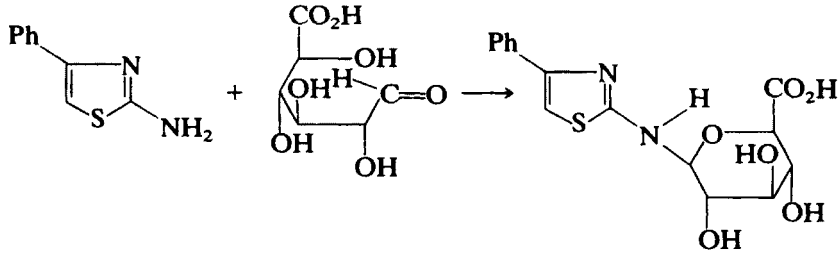
properties of 2-amino-4-phenylthiazole. These studies (224–227) attribute the inhalation anaesthesia caused by this substance to action on the fishes' central nervous system (see Section VI.2).

The ring nitrogen can be involved in intramolecular reactions on carbonyl carbon as exemplified by the preparation of 6,7-dimethoxy-4-methyl-10,11-thiopega-2,9-diene (**72**) (Scheme 51) (228).



70

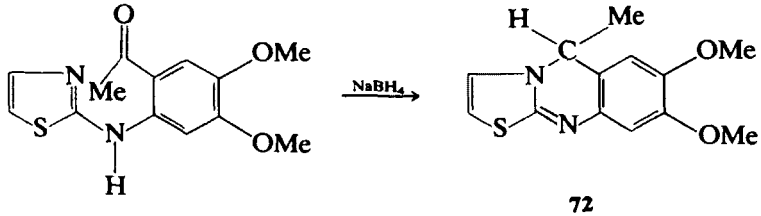
Scheme 49



71

Scheme 50

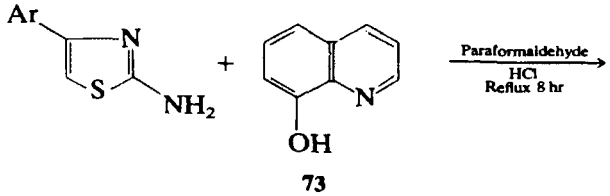
Condensation of 2-amino-4-phenylthiazole with 8-hydroxyquinoline (**73**) through the intermediacy of the formaldehyde Mannich base illus-



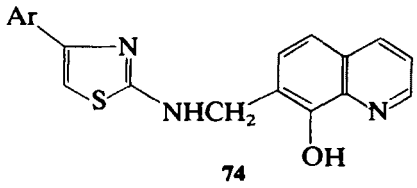
72

Scheme 51

trates the synthetic possibilities offered by this reactivity (Scheme 52) (229). Similarly, 2-amino-4-*p*-tolylthiazole may be converted to **75** by the



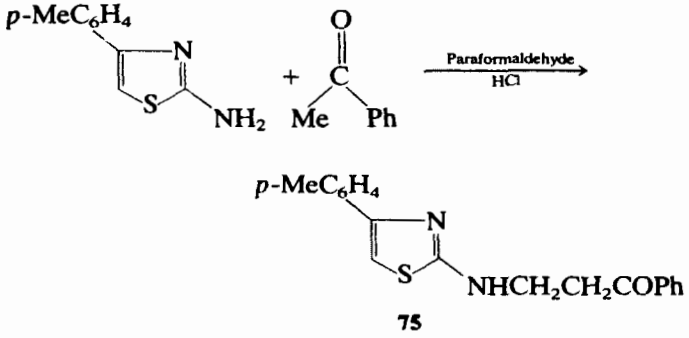
73



74

Scheme 52

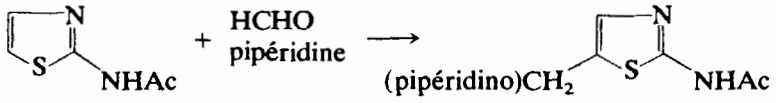
addition of a mixture of acetophenone, paraformaldehyde, and HCl (230). With acetamido derivatives substitution occurs in the 5-position of the thiazole ring (Scheme 54) (231–234, 1575).



75

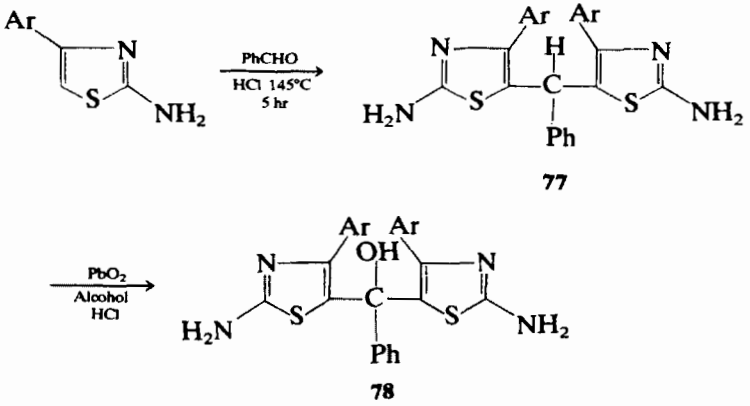
Scheme 53

In acidic medium and at higher temperatures, condensation of 2-amino-4-phenylthiazole takes place when it reacts with benzaldehyde



Scheme 54

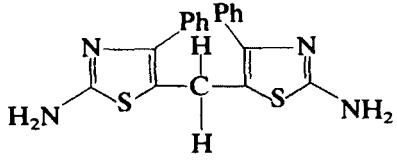
(Scheme 55) (235, 236). The product obtained (**77**) is probably formed via the protonated form of the thiazole, whose reactivity is treated in Section IV.1. The light-yellow leucobase (**77**) is reported to be oxidized by PbO_2 to the red-black carbinol (**78**) (236). This condensation reaction is also successful when benzaldehyde is replaced by formaldehyde, bis(2-amino-4-phenylthiazolyl-5)methane (**79**) being obtained (Scheme 56) (237).



77

78

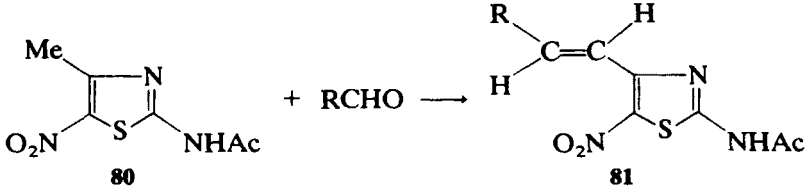
Scheme 55



79

Scheme 56

An alkyl group occupying the 4-position of the thiazole ring may condense if the 5-position is substituted. 2-Acetamido-4-methyl-5-nitrothiazole (**80**) and *p*-cyanobenzaldehyde when refluxed with small amounts of piperidine yield the 4-styryl derivative (**81**) (Scheme 57) (238, 239).

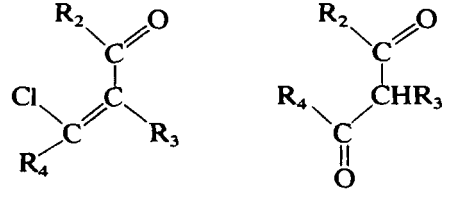


80 **81**

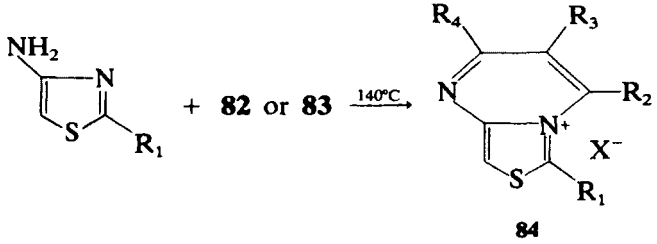
R = *p*-N≡CC$_6$H$_4$—

Scheme 57

Salts of 4-aminothiazoles react with chlorovinyl ketone (**82**) or with β-diketones (**83**) at 140°C to yield the thiazolo[3,4-*a*]pyrimidine derivatives (**84**) (Scheme 58) (240).



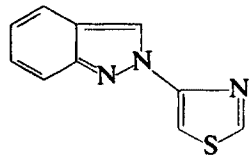
82 **83**



84

Scheme 58

The reaction between 4-aminothiazole and paranitrobenzaldehyde, followed by the cyclization of the Schiff base with $P(OEt)_3$, provides 2-(4-thiazolyl)indazole (**84a**) (1576) (Scheme 59).



84a

Scheme 59

2,5-Diamino-4-phenylthiazole condenses with benzaldehyde, yielding 2-amino-4-phenyl-5-benzalaminothiazole (241).

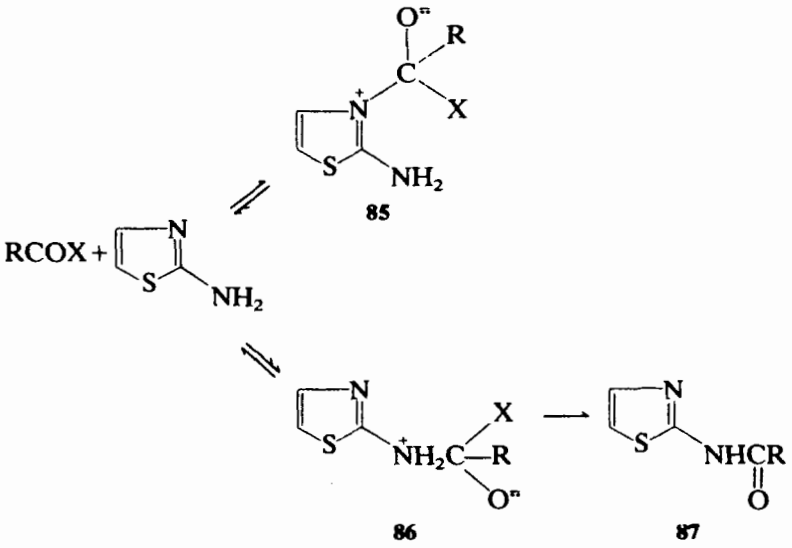
C. *Reactivity toward Carbocations*

Alkylation of 2-methylaminothiazole (204) with ROH in 85% sulfuric acid gives 2-methylimino-3-alkyl-4-thiazoline (**54**). 2-Amino-4-methylthiazole alkylated with an excess of isopropanol, however, gives 95% of 2-isopropylamino-4-methyl-5-isopropylthiazole (**56**). The same result is obtained with cyclohexanol (242). These results and those reported in Sections III.1.C and IV.1.E offer interesting new synthetic possibilities in thiazole chemistry. The reactive species in these alkylations is the conjugate acid of 2-aminothiazole, and the diversity of the products obtained suggests that three nucleophilic centers may be operative in this species.

D. *Acylations*

Most of the known acylations have been described for 2-aminothiazoles, the activity of the acylating agent being in the order, acid halides > anhydrides > esters > acids ≈ amides.

Small amounts of salt-like addition products (**85**) formed by reaction on the ring nitrogen may be present in the medium, (Scheme 60) but, as the equilibrium is shifted by further reaction on the exocyclic nitrogen, the only observed products are exocyclic acylation products (**87**) (130, 243, 244). Challis (245) reviewed the general features of acylation reactions; these are intervention of tetrahedral intermediates, general base catalysis, nucleophilic catalysis. Each of these features should operate in aminothiazoles reactivity.



Scheme 60

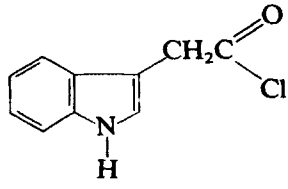
a. REACTIONS WITH ACID HALIDES

These acylating agents are the most commonly used (246). Acid chlorides react with 5-nitro-2-aminothiazole (**88**) despite the deactivating effect of the nitro group (Scheme 61) (247), but more vigorous conditions are required (248).

Scheme 61

Benzoyl chloride and derivatives acylate 2-amino-4-arylthiazoles in dioxane in yields of 80 to 90% (249, 250). The location of the acyl group on the exocyclic N has been demonstrated by the fact that the benzoylation product is identical to the benzamidothiazole synthesized from benzamide and 2-bromothiazole (251). 3-Indolyl acetic acid chloride (**89**) acylates 2-aminothiazole in pyridine (Scheme 62) (81).

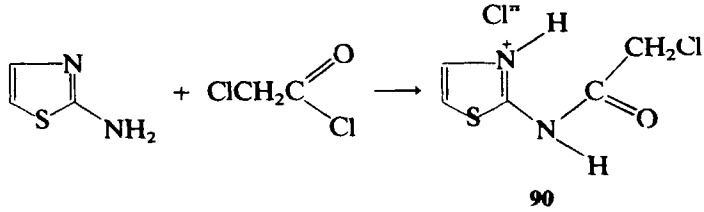
The acylation yields are reported to be improved by conducting the reaction in tetrahydrofuran with triethylamine as catalyst (252–254).



89

Scheme 62

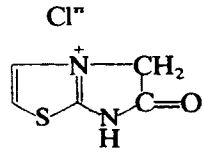
α-Chloroacetyl chloride reacts with 2-aminothiazole in benzene to yield the normal acylation product (**90**) (Scheme 63) (255–259). The



90

Scheme 63

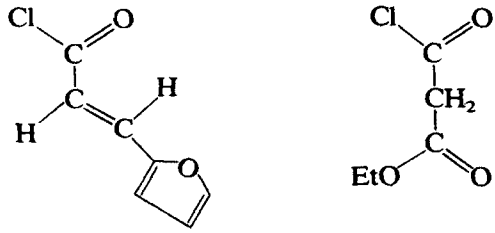
suggested structure (**90**) for the obtained product is not firmly established; structure **91** could as well have been proposed (Scheme 64).



91

Scheme 64

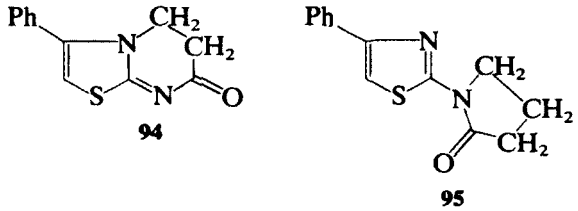
Acylation takes place similarly with α,β-unsaturated acid chlorides such as **92** (260) and with ethylmalonyl chloride (**93**) (130) (Scheme 65).



92 **93**

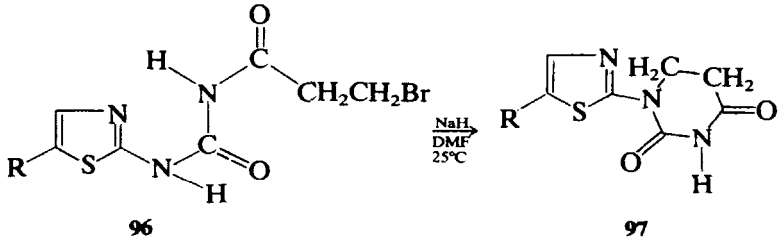
Scheme 65

Rumpf et al. (97) studied the ultraviolet spectra of products obtained when 2-amino-4-phenylthiazole is acylated by β-chloropropionyl chloride and γ-chlorobutyrylchloride and showed that their structures were **94** and **95**, respectively (Scheme 66). The open-chain intermediate to **95**, 2-(3-



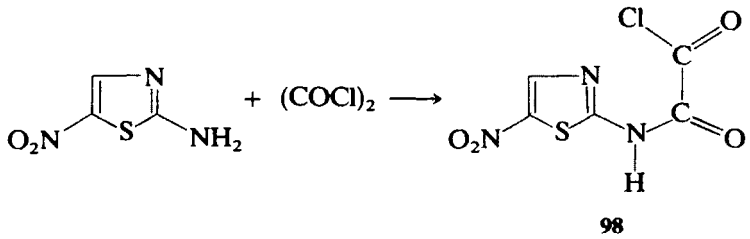
Scheme 66

chloropropionyl)aminothiazole, has been isolated (108). The second step of the reaction involves the nucleophilic reactivity of nitrogen toward the sp^3C electrophilic center (see Section III.1.A). The exocyclic nitrogen is the reactive center when 1-(3-bromopropionyl)-3-(-5-substituted-2-thiazolyl)ureas (**96**) are cyclized in DMF with NaH (Scheme 67) (196).



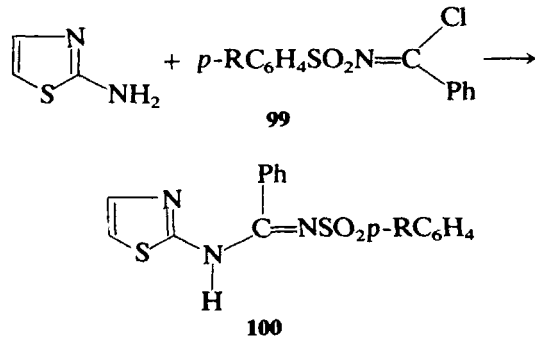
Scheme 67

Oxalyl chloride reacts at 25°C in tetrahydrofuran with 2-amino-5-nitrothiazole, yielding structure **98** (Scheme 68) (261).



Scheme 68

The reaction of arylsulfonylbenzimidoyl chlorides (**99**) with 2-aminothiazole yields **100** (Scheme 69) (262).

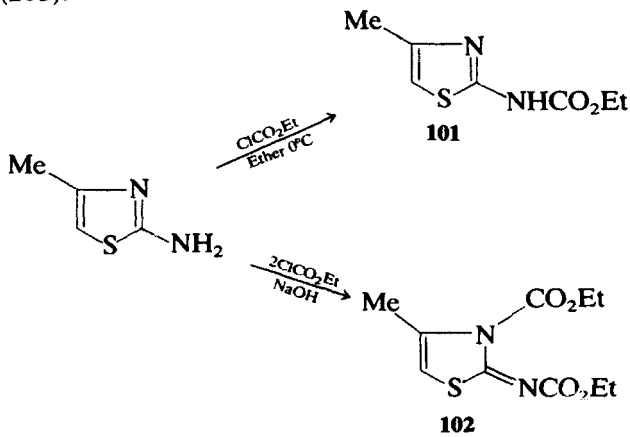


99

100

Scheme 69

Zugravescu et al. (263) showed that ethyl chloroformate reacts on the exocyclic nitrogen of 2-amino-4-methylthiazole to yield the carbamate (**101**) (Scheme 70) (see also Refs. 264 and 265). With an excess of chloroformate (2 moles for one of the thiazole) under Schotten-Bauman conditions the *N,N'*-dicarbamate of 2-imino-4-methylthiazoline (**102**) is obtained (263).

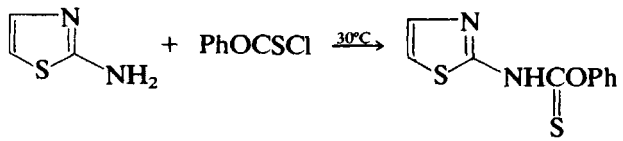


101

102

Scheme 70

Chlorothioformic phenyl ester (**103**) reacts under mild conditions with 2-aminothiazole to give **104** (Scheme 71) (266).

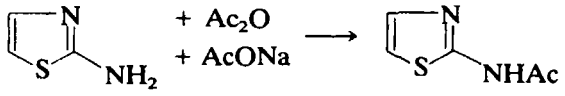


103 104

Scheme 71

b. REACTIONS WITH ACIDS AND THEIR ANHYDRIDES

Acetic anhydride and sodium acetate when heated with 2-aminothiazole for 2 hr give 2-acetamidothiazole **105** (Scheme 72) (243).

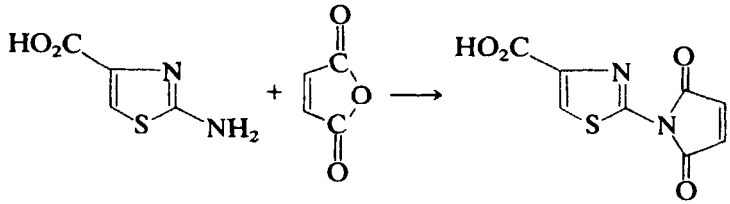


105

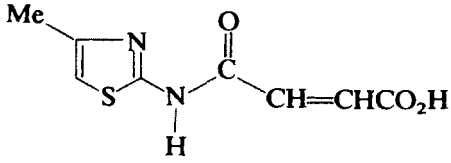
Scheme 72

Quantitative studies of solid-state organic reactions were performed by Glazman (267, 268). Equal amounts of acetic anhydride and 2-aminothiazole (grain diameter 0.15 mm) were mixed for 20 min, and the mixture was heated in a glycerol bath at 0.5°C per minute. Heating curves showed that the reaction starts in the solid phase; the use of an eutectic composition of organic reactants increases the yields.

Maleic anhydride condenses with 2-aminothiazole-4-carboxylic acid giving the maleimide **107** (269); another report claims, however, that the reaction of 2-amino-4-methylthiazole with this anhydride gives the N-substituted maleamic acid (**108**) (Scheme 73) (270).



106 **107**

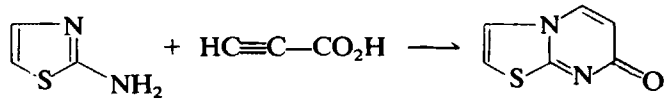


108

Scheme 73

As an application of this nucleophilic reactivity, 2-aminothiazole was used to partially convert into amide the polymer obtained from acrylic acid, benzene, and acetic anhydride (271). An aqueous medium is reported to favor the reaction between acetic anhydride and 2-aminothiazole (272).

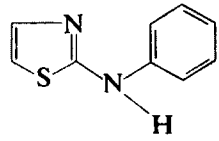
The reaction of propiolic acid or its esters with 2-aminothiazole yields 7H-thiazolo[3,2*a*]pyrimidine-7-one (**109**) (Scheme 74) (273). The reaction probably proceeds by initial nucleophilic attack of 2-aminothiazole on the sp^2C followed by intramolecular addition of ring nitrogen to *sp*C.



109

Scheme 74

Takatori (274) formylated 2-amino-4-methylthiazole with formic acid. When NH_3 is bubbled for 6 hr into a mixture of 2-amino-4-methylthiazole and propionic acid at 100°C, 2-propionamido-4-methylthiazole is obtained (275).



110

Scheme 75

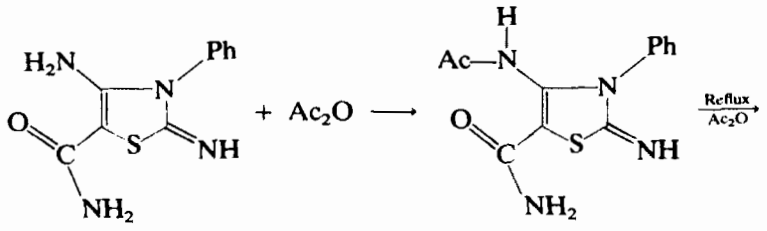
The exocyclic nitrogen is reactive even when already substituted: 2-anilinothiazole (**110**) is acetylated by acetic anhydride (120). other examples of this reactivity are given in the tables (Section VII).

Acetylation of 2-phenyl-4-amino-5-benzoylthiazole takes place on the exocyclic nitrogen (49). This exocyclic nitrogen remains the reactive center even with 2-imino-3-aryl-4-amino-5-carboxamido-4-thiazoline (**111**). Its acetylation with acetic anhydride gives the 4-acetamido derivative (**112**), which reacts further on heating to yield 2-(acetylimino)-(3H)-3-aryl-5-methylthiazolo[4,5-*d*]pyrimidin-7-(6H)-one (**113**) (Scheme 76) (276).

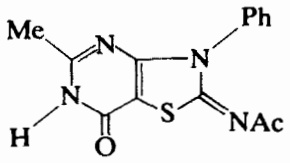
Boiling acetic acid converts 2-aminothiazole into the 2-acetamido derivative far more easily when catalytic amounts of diketene are added to the reaction mixture (277).

c. REACTIONS WITH ESTERS

Esters react with 2-aminothiazole and derivatives in the presence of catalysts; the reaction between β-ketoesters and 2-aminothiazole is, for example, realized in acidic medium (278, 279) and yields 2-acetoacetamidothiazole (**114**) (Scheme 77). No secondary products are



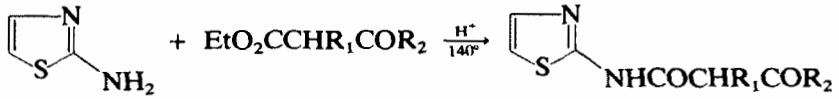
111 112



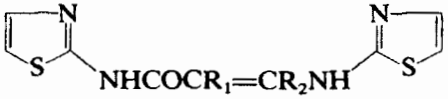
113

Scheme 76

reported for this reaction; however, the free carbonyl group of **114** could react intermolecularly with 2-aminothiazole to yield by-products (**115**) analogous to the ones reported when this reaction is carried out with 2-aminopyridine (280, 281).



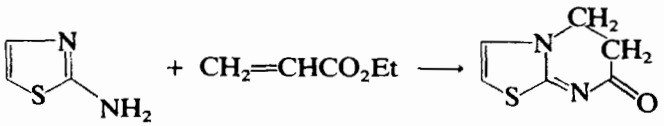
114



115

Scheme 77

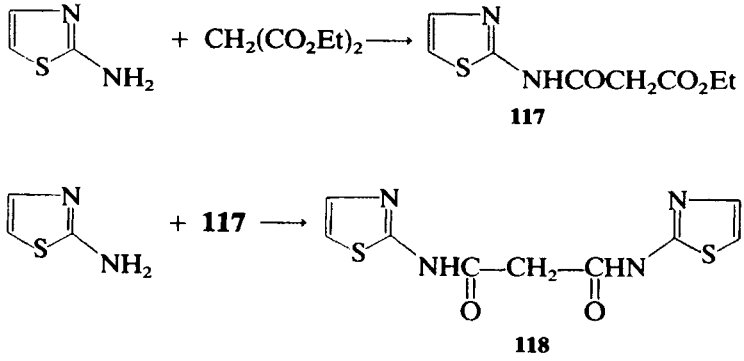
Reaction of 2-aminothiazole with ethyl acrylate yields 5,6-dihydro-7H-thiazolo[3,2*a*]pyrimidin-7-one (**116**) (Scheme 78) (169).



116

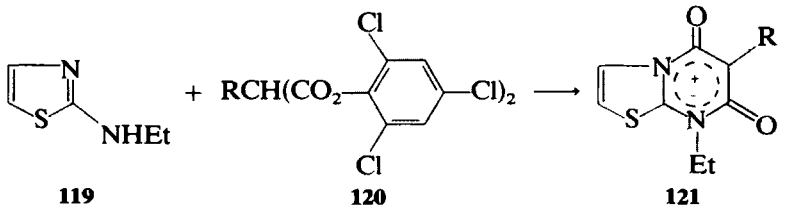
Scheme 78

When malonic esters are the acylating agents, further reaction may occur with an excess of 2-aminothiazole, yielding **118** (Scheme 79) (278).



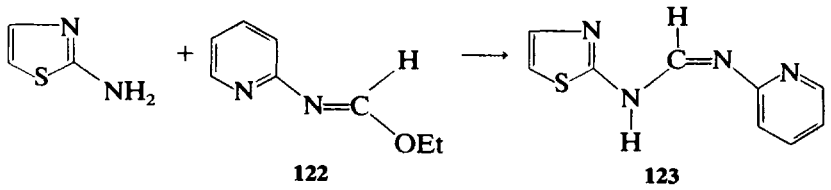
Scheme 79

2-Ethylaminothiazole when heated to 160°C with the bis(2,4,6-trichlorophenyl)malonate esters (**120**) gives the mesoionic xanthine (**121**) in good yield (Scheme 80) (130, 282).



Scheme 80

The 2-pyridylformimidate (**122**) reacts with 2-aminothiazole, yielding **123** (Scheme 81) (283).

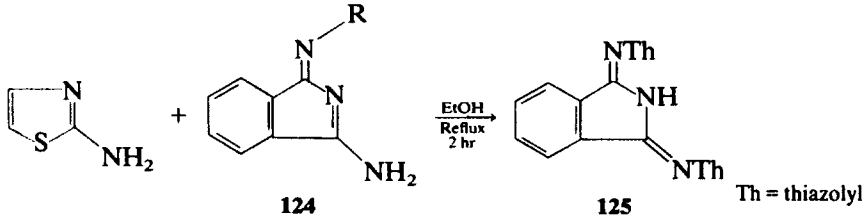


Scheme 81

β-Trichloromethyl-β-propiolactone reacts by its sp^2C electrophilic center, and a mixture of 2-iminothiazoline and 2-aminothiazole derivatives is obtained, which shows that with this particular electrophilic center both nuclear and exocyclic nitrogens are involved (284).

d. VARIOUS sp^2C ELECTROPHILIC CENTERS RELATED TO AMIDES

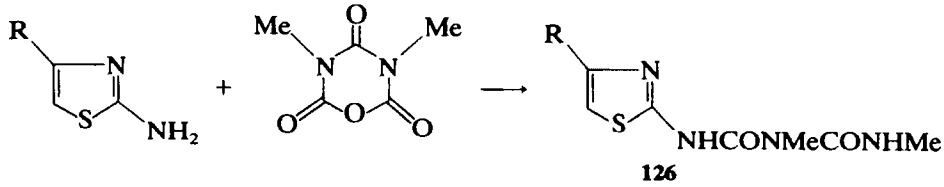
Vollmann found that the reaction between 1-imino-3-amino isoindolenine (**124**) and 2-amino-4-methylthiazole is catalyzed by ammonium chloride and involves the exocyclic nitrogen (285). This reaction (Scheme 82) was later used to prepare dyes (286).



124 **125**

Scheme 82

The reaction of 2-aminothiazole derivatives with the 1,3,5-oxadiazine 2,4,6-trione shown leads to biuret derivatives (**126**) (Scheme 83) (287).

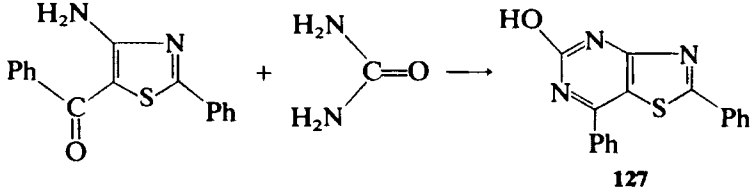


126

Scheme 83

2-Thiazolylureas are obtained by reaction between 2-aminothiazole derivatives and urea (see also p. 92).

Condensation of 2-phenyl-4-amino-5-benzoylthiazole with urea yields thiazolo[4,5-*d*]pyrimidines **127** (Scheme 84) (49).



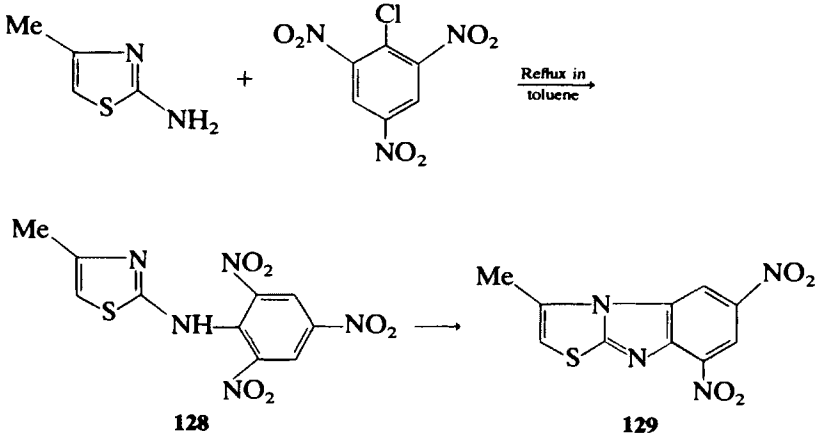
127

Scheme 84

E. *Substitution Reactions on Aromatic* sp^2C

Picryl halides react with 2-amino-4-methylthiazole. Again, the exocyclic nitrogen is the reactive center (288), and the product formed (**128**) is

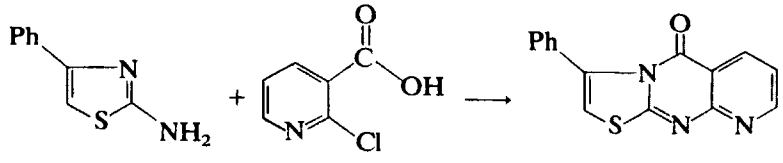
further converted to the thiazo[3,2-*a*]benzimidazole (**129**) (Scheme 85) (289, 290). According to the generally accepted mechanism for this kind of reaction a tetrahedral intermediate in a two-step mechanism is probably involved (291). Petrow (288) and Sharma (292) report the isolation of a complex in this reaction, but not evidence for its structure is presented.



128 **129**

Scheme 85

Reaction between 2-chloronicotinic acid (**130**) and 2-amino-4-phenylthiazole furnishes 3-phenyl-5-H-pyrido[2,3,-*d*]thiazolo[3,2-*a*]pyrimidin-5-one (**131**) (Scheme 86) (293). This reaction was extended to other pyridinyl compounds (294).



130 **131**

Scheme 86

The amino group of 2-imino-3-phenyl-4-amino-5-carbethoxy-Δ4-thiazoline is very reactive and displaces the chlorine atom of various 2-chlorothiazoles (1577).

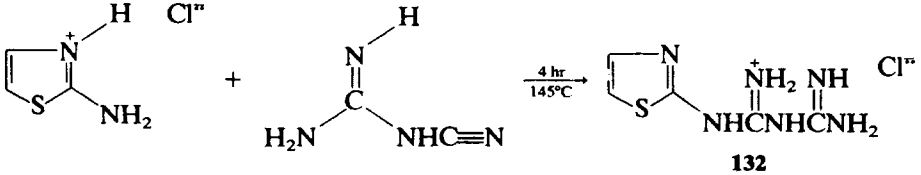
3. Reactions with Reagents Bearing an *sp*C Electrophilic Center

In most of the following reactions the reagent possesses two electrophilic centers, one of them being a sp^2 hybridized carbon; however,

we group all these reactions under the heading "*sp*C reagents"; in the following we tentatively determine for each case which electrophilic center is the more reactive.

The only reported example of nucleophilic addition to a C≡C bond is intramolecular: it is observed when propiolic acid is added to 2-aminothiazole producing (**109**) (see p. 53).

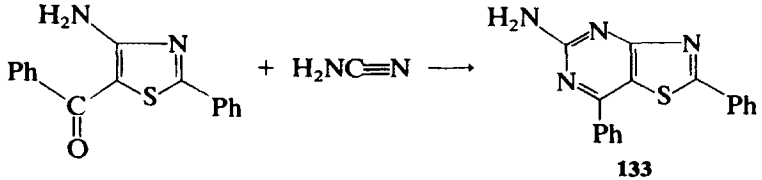
Dicyandiamide reacts with the chlorhydrate of 2-aminothiazole; compound **132** is produced (Scheme 87) (295).



132

Scheme 87

Condensation of 2-phenyl-4-amino-5-benzoylthiazole with cyanamide yields the pyrimidothiazole (Scheme 88) (**133**) (49); 2-imino-3-aryl-4-amino-5-carbethoxy-4-thiazolines condense similarly with alkyl isothiocyanates (276).



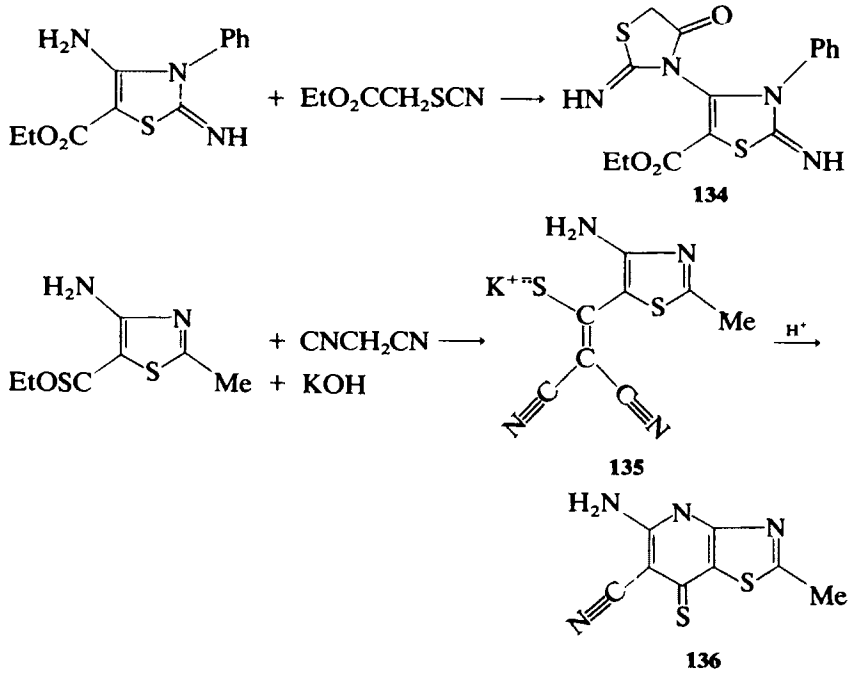
133

Scheme 88

The high reactivity of the exocyclic 4-NH_2 group is again illustrated by the reaction of 2-imino-3-phenyl-4-amino-5-(ethoxycarbonyl)-4-thiazoline with EtO_2CCH_2SCN, which yields **134** (296), and by the intramolecular preparation of the dihydrothiazolo[4,5-*b*]pyridine derivative **136** (297) (Scheme 89).

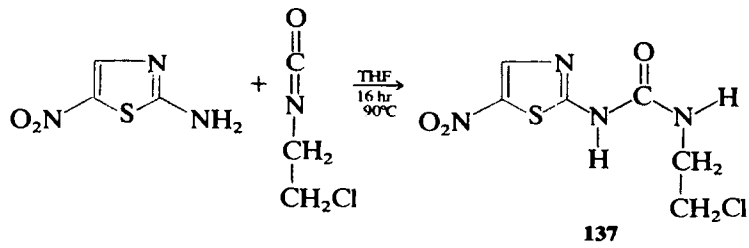
A. *Reactions with Isocyanates and Isothiocyanates*

The reaction of 2-amino-5-nitrothiazole with β-chloroethyl isocyanate gives the corresponding thiazolyl urea (**137**) (Scheme 90) (298). Other examples are given in Section V.1.B, where the preparation of thiazolylthioureas is discussed.



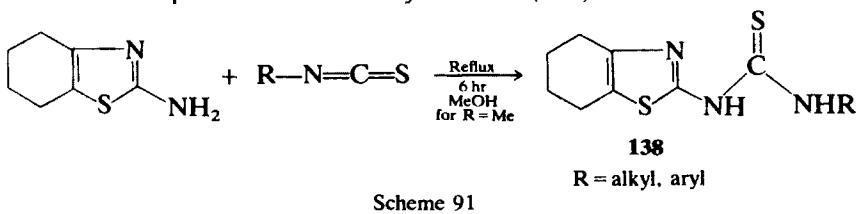
Scheme 89

2-Aminohexahydrobenzothiazole when heated with alkyl (299–301) or aryl (302) isothiocyanates yields the 1,3-substituted thioureas (**138**)



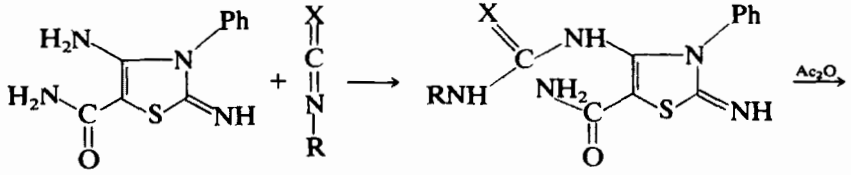
Scheme 90

(Scheme 91). Yamamoto et al. have shown unambiguously that the reaction takes place on the N-exocyclic atom (303).

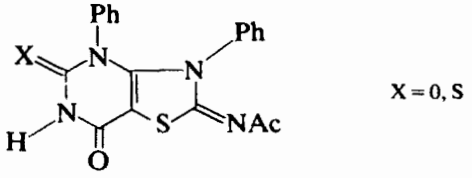


Scheme 91

Treatment of 2-imino-3-phenyl-4-amino-(5-amido)-4-thiazoline with isocyanates or isothiocyanates yields the expected product (**139**) resulting from attack of the exocyclic nitrogen on the electrophilic center (276). Since **139** may be acetylated to thiazolo[4,5-*d*]pyrimidine-7-ones or 7-thiones (**140**), this reaction provides a route to condensed heterocycles (Scheme 92).



139



140

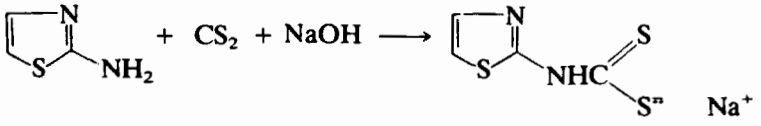
Scheme 92

B. *Reactions with Carbon Suboxide* (O=C=C=C=O)

Liquid carbon suboxide added to a solution of 2-ethylaminothiazole in anhydrous ether at 0°C gives immediate formation of a white precipitate of the mesoionic xanthine (**121**) (R = H) (130), otherwise prepared by reaction between 2-ethylaminothiazole and phenoxycarbonyl isocyanate (see p. 65 and Ref. 304).

C. *Reactions with Carbon Disulfide*

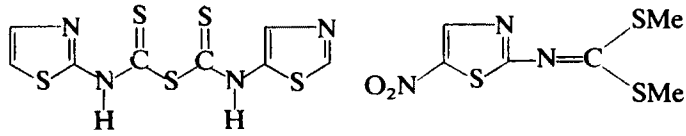
The sodium salt of *N*-2-thiazolyl dithiocarbamic acid (**141**) is obtained by reaction in NaOH between 2-aminothiazole and CS_2 (Scheme 93) (305). The product obtained in neutral medium is described as the



141

Scheme 93

thiuram (**142**) (306), and the one resulting from the same reaction conducted in DMSO in the presence of sodium *t*-butylate and methyl iodide is the methylene imino derivative (**143**) of 2-amino-5-nitrothiazole (Scheme 94) (307).



142 **143**

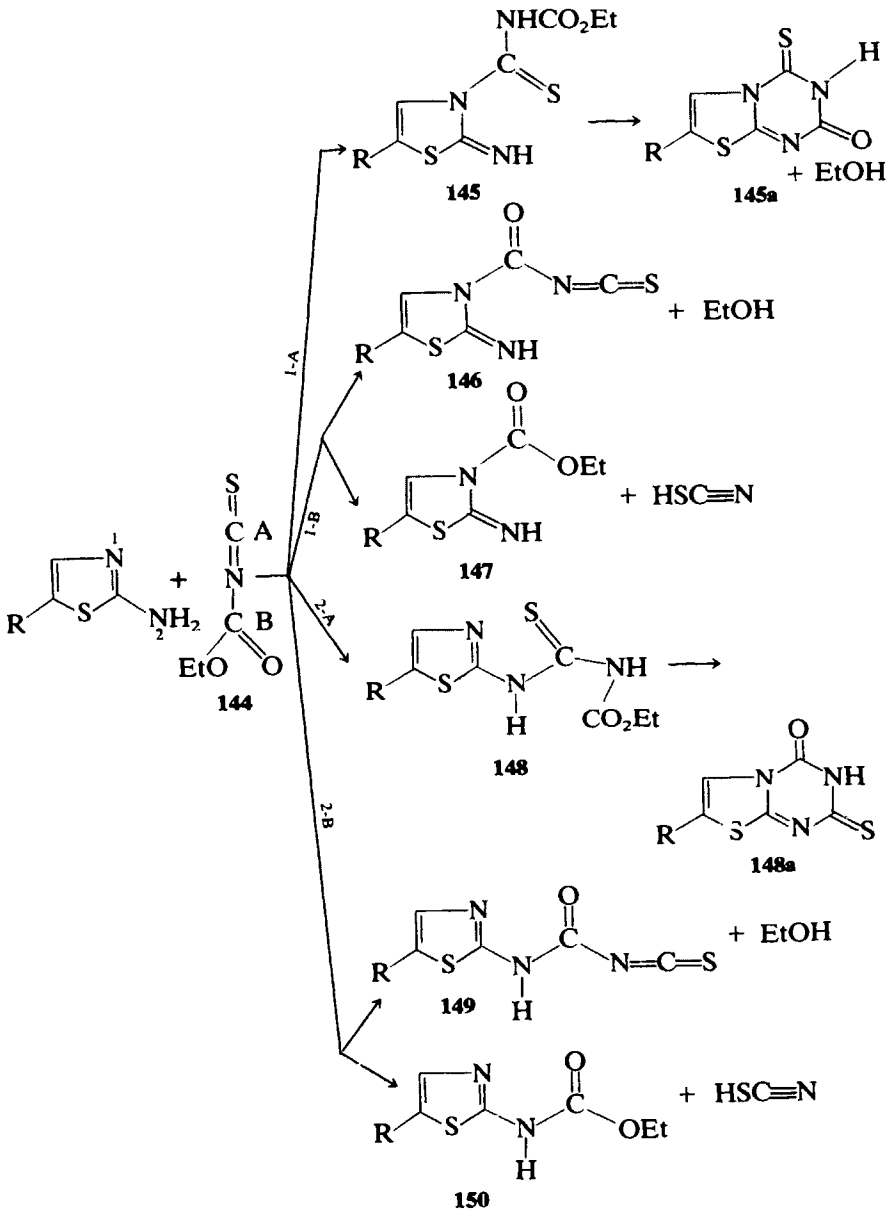
Scheme 94

D. *Reactions with Ambident Electrophilic Reagents bearing an spC Electrophilic Center*

The problem is more complicated when the ambident nucleophile, 2-aminothiazole, reacts with an ambident electrophilic center. Such an example is provided by the reaction between 2-amino-5-R-thiazole and ethoxycarbonyl isothiocyanate (**144**), which has been thoroughly studied by Nagano et al. (64, 78, 264); the various possibilities are summarized in Scheme 95. At 5°C, in ethyl acetate, the only observed products were **145a**, **148**, and **150**. Product **148** must be heated to 180°C for $\frac{1}{2}$ hr to give in low yield (25%) the thiazolo[3,2-*a*]-*s*-triazine-2-thio-4-one (**148a**) (102). This establishes that attack 1-B is probably not possible at −5°C. When R = H the percentages of **145a**, **148**, and **150** are 29, 50, and 7%, respectively. These results show that:

1. The ring nitrogen is far more reactive toward the *sp*C (A) than toward the sp^2C (B).
2. The exocyclic nitrogen is more reactive toward the *sp*-C (A) than toward the sp^2C, but selectivity is lower than in the case of the ring nitrogen.
3. The exocyclic nitrogen is slightly more reactive toward the electrophilic center A than is its ring counterpart.

Attempting to explain these results, Matsui and Nagano (64) calculated charge distribution and frontier electron densities in ethoxycarbonyl isothiocyanate. The most deficient total electron densities are on sp^2C (0.482) and *sp*C (0.333), but the higher frontier electron density is on *sp*C followed by sp^2C. For 2-aminothiazole the higher negative charges are on the endocyclic nitrogen (−0.248) and the exocyclic nitrogen (−0.240). The HOMO is of π symmetry, and frontier charge densities for these two



Scheme 95

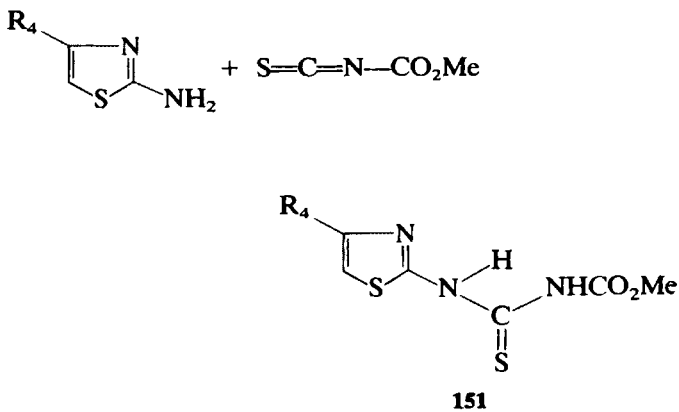
nitrogens are 0.326 and 0.407, respectively. In hard and soft acids and bases terms (10) the harder electrophilic center is on sp^2C and for the nucleophilic species the harder center is the ring nitrogen. In their work Nagano and Matsui explain the ambident behavior of 2- and 4-aminopyridine but admit the case of 2-aminothiazole to be "somewhat complicated." The comparison between experimental and theoretical results suggests that:

1. the reaction is dominated by soft-soft interactions that provide the higher yield of **148**.
2. the "softer" amino nitrogen reacts faster with the "softer" electrophilic center *sp*C (ratio 4:5) than with the "harder" sp^2C (symbiotic behavior).

Nevertheless, the puzzling fact to be explained is that the "harder" ring nitrogen "prefers" the softer electrophilic center and that this preference is more pronounced than the one observed for the amino nitrogen. Much remains to be done to explain ambident heterocyclic reactivity; it was shown recently by comparison between Photoelectrons Spectroscopy and kinetic data that not only the frontier densities but also the relative symmetries of "nucleophilic occupied orbitals" and "electrophilic unoccupied orbitals" must be taken into consideration (308).

The HSAB pattern may also be reversed by steric effects; a Japanese patent describes the preparation of 3-(4-R-thiazolyl-2)thioallophanic acid esters (**151**) by reaction between 2-amino-4-R-thiazoles (4-R = H or low alkyl) and isothiocyanate formic acid ester (Scheme 96) (309).

Further interesting results were observed with the variation of R_5 (78). With R_5 = Me the respective percentages of **145a**, **148**, and **150** become

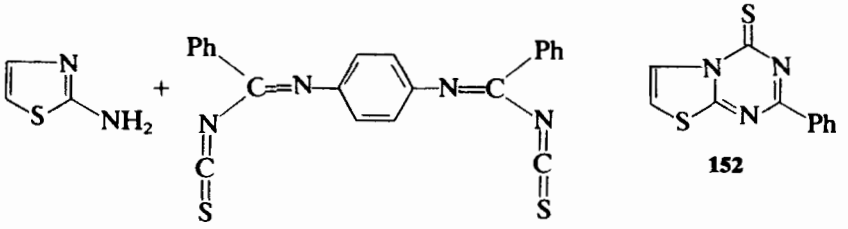


151

Scheme 96

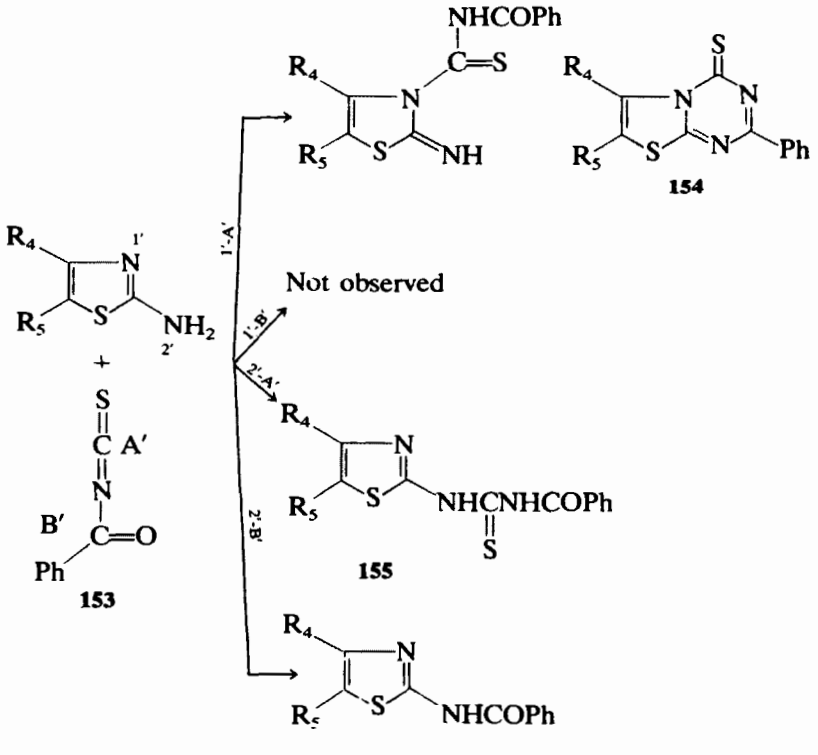
40, 43, and 7%; with $R_5 = NO_2$ they become 0, 28, and 2% (57% of unreacted 2-amino-5-nitrothiazole being recovered). These results show that the ring N is more sensitive to electronic variations in R_5.

Insufficient information is given to decide whether in Scheme 97 (310) the initial attack occurs through the ring nitrogen or the amino nitrogen.



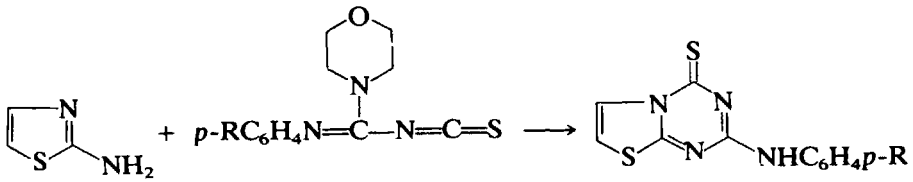
152

The ambident reactivity of 2-amino-4,5-disubstituted thiazoles toward benzoylthiocyanate **153** has been studied (311) and parallels that of ethoxycarbonyl isothiocyanate (Scheme 98); the percentages of **154**, **155**,



153 **154** **155** **156**

Scheme 98

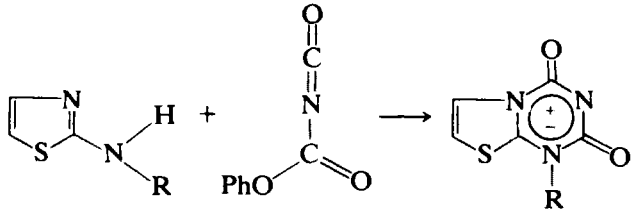


157 158

Scheme 99

and **156** are 22, 19, and 1%, respectively. The main difference with ethoxyisothiocyanate is that for **153** the *sp*C electrophilic center undergoes attack by the ring nitrogen.

Formamidinoyl isothiocyanates (**157**) combine with 2-aminothiazoles: the ring nitrogen attacks the *sp*C part of the electrophilic reagent (312); further reaction then yields aza-condensed thiazolo-*s*-triazines (**158**) (Scheme 99) (313). Mesoionic 8-alkylthiazolo[3,2-*a*]-*s*-triazine-5,7-diones (**159**) are obtained when 2-alkylaminothiazoles react with phenoxycarbonyl isocyanate (304).



159

Scheme 100

4. Electrophilic Centers Other than Carbon

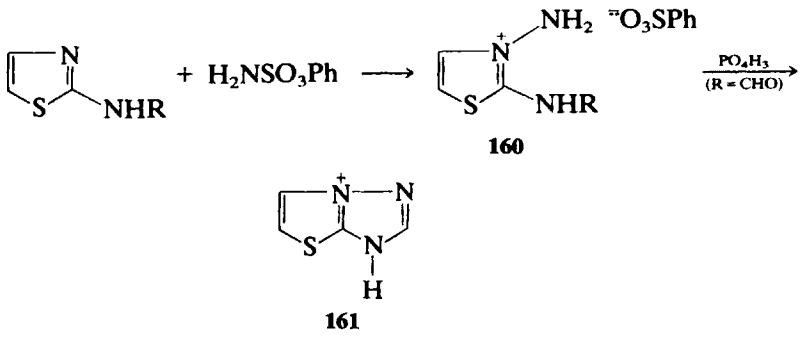
A. *Nitrogen*

a. REACTION WITH *O*-MESITYLSULFONYLHYDROXYLAMINE (MSH)

This reaction occurs on the ring nitrogen (101, 314–316), and the resulting 2,3-diaminothiazolium salt (**160**) is then used as a starting material to obtain the thiazolo(3,2-b)-*s*-triazole (**161**) (Scheme 101) (101, 314).

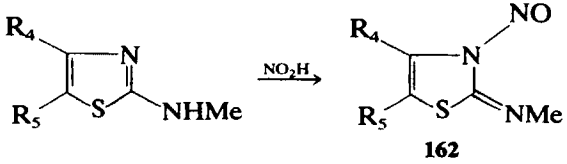
b. DIAZOTIZATIONS

Butler recently reviewed the diazotization of heterocyclic amines (317). Reactions with nitrous acid yield in most cases N-exocyclic compounds. Since tertiary amines are usually regarded as inert to nitrosation, this



Scheme 101

evidence seems to be unambiguous. Particular examples complicate this simple pattern: a tertiary amine reacts at high temperature in weakly acidic medium (318). Here again small amounts of N-ring nitroso-ammonium ion may be present in the diazoting medium. The behavior of *N*-methylaminothiazole in acidic medium lends credence to this possibility (Scheme 102) (319–321), however these references are rather old and their statements should be confirmed.



Scheme 102

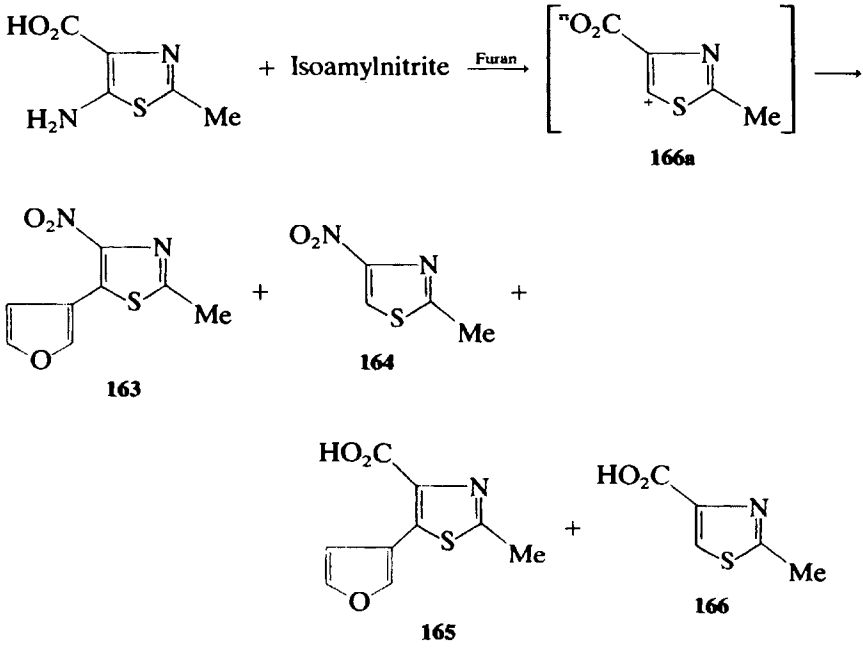
Several nitrous species are in equilibrium with molecular nitrous acid, many of them being effective nitrosating reagents: nitrous anhydride ($NONO_2$) nitrosyl halides (ONX), nitrous acidium ion ($NOOH_2^+$), and nitrosonium ion (NO^+). Hence mechanistic studies of N-nitrosation are not simple. The reaction, however, always starts with a nucleophilic attack of the heterocyclic nitrogen (either N-ring or N-amino) on the nitrogen electrophilic center of one of these nitrous species (Scheme 103).

$$RNH_2 \overset{\text{slow}}{\rightleftharpoons} RNH_2^+NO \longrightarrow R\overset{+}{N}_2 \longrightarrow R^+ \longrightarrow \begin{matrix}\text{Deamination}\\ \text{products}\end{matrix}$$

Scheme 103

The weakly basic 2-aminothiazoles are most readily diazotized in concentrated solutions of oxygen containing acids such as sulfuric acid, 40 to 50% (322–326); fluoroboric phosphoric acids (589); phosphoric acid (327, 328); and mixtures of phosphoric and nitric acid (74, 322, 323, 329–331). From strong acid solutions, solid diazonium salts can be isolated (34, 332, 333).

2-Methyl-5-aminothiazole-4-carboxylic acid is diazotized with isoamyl nitrite in the presence of furan in 1,2-dichloroethane to give a mixture of products: **163** (53%), **164** (33%), **165** (11%), and **166** (3%) (Scheme 104) (334). This reactivity experiment was carried out to examine the possibility of the occurrence of 4,5-dehydrothiazole (hetaryne). Hetaryne intermediates seem not to be involved as an intermediate in the reaction. The formation of **163** through **166** can be rationalized in terms of the intermediacy of **166a**.



Scheme 104

When carried out in dilute acid, diazotization of 2-aminothiazole may provide unstable diazohydroxides (164, 335, 336), differing in that respect from 2-aminopyridines which give 2-pyridones when the reaction is carried out in weak acids (337).

Even when deactivated by nitro substitution on C-5, the 2-aminothiazoles still undergo diazotization (35, 338–340). As with carbonyl derivatives (Section III.2.B), competition may occur between N nucleophilic reactivity and nitrosation of the 5-position when it is unsubstituted (341–344).

The reasons for this apparent polyvalent activity toward nitrosation (N ring reaction, N exocyclic reaction, nitrosation on the 5-position) are not

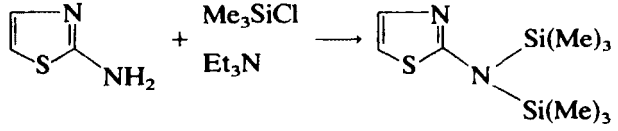
clearly understood. Experimental evidence is not yet sufficient to determine if this pattern results from:

1. Ambident reactivity of the same nucleophilic species toward different nitrosation electrophilic centers.
2. Steric effects in the nucleophile.
3. Several different mechanism involving either the free base or the protonated species according to the medium.
4. The rapid rearrangement of the initially formed species yielding the finally observed compounds.

Some recent general reviews deal with the mechanism of N-nitrosation in aqueous solution (345), the nitrosation of secondary amines (346), the effect of solvent acidity on diazotization (347) and the reactivity of diazonium salts (1691). Therefore, a complete rationalization of the reactivity of amino azaaromatics would be timely.

B. *Silicon*

In 2-aminothiazole the two N-bonded hydrogens are substituted by the trimethylsilyl group with the reagent trimethylsilyl chloride–triethylamine (Scheme 105) (348).

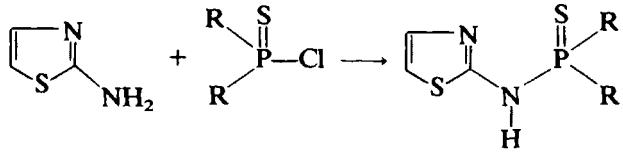


167

Scheme 105

C. *Phosphorus*

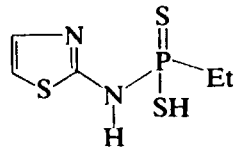
These reactions take on increasing synthetic importance because of the pesticidal properties of the resulting products (see p. 136). Reaction between 2-aminothiazole and $PSCl(R)_2$ occurs on the amino nitrogen, yielding **168** (Scheme 106) (349, 350). This exocyclic nitrogen reactivity is



R = Me or Ph

168

Scheme 106



169

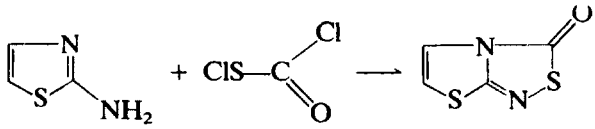
Scheme 107

found again in the reaction with EtP(S)SSP(S)Et, which leads to the 2-phosphonamidothiazole (**169**) (Scheme 107) (351).

D. *Sulfur*

2-Sulfenamidothiazoles are obtained from the reaction between 2-aminothiazoles and arylsulfenyl chlorides (see p. 113).

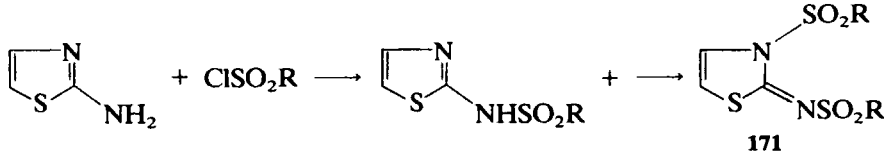
Condensation of (chloroformyl)-sulfur chloride with 2-aminothiazole gives a product (**170**) whose structure was established by X-ray analysis (Scheme 108) (352–354).



170

Scheme 108

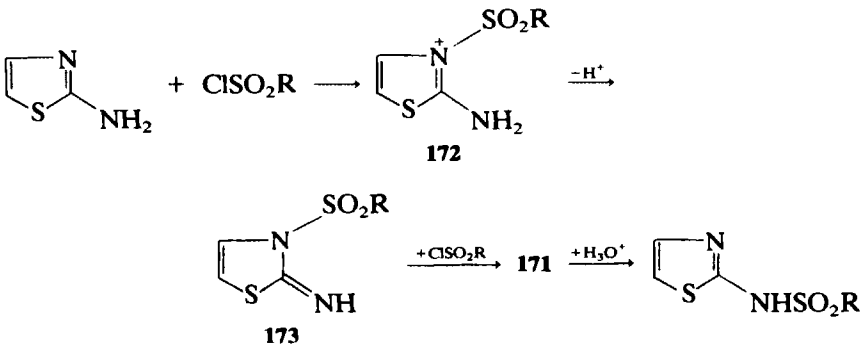
It has been reported that the reactions of 2-aminothiazoles and sulfonyl halides generally afford mono sulfonyl and disulfonyl (**171**) compounds (Scheme 109) (355–362). Angyal (358) explained this result by a mechanism where in the first reaction the product would be the cation (**172**)



171

Scheme 109

resulting from ring nitrogen attack. This cation would then lose a proton to yield 2-imino-3-sulfonyl-4-thiazoline (**173**), which reacts readily with a second molecule of sulfonyl halide to give the disulfonyl compound (**171**) easily hydrolyzed to the monosulfonyl derivative (Scheme 110). This proposition rests on the remark that the reaction of 2-amino-4-phenylthiazole with toluene-*p*-sulfonyl chloride is very slow: this fact is



Scheme 110

rationalized by the steric hindrance brought by R_4 in the first step of the proposed mechanism.

E. *Transition Metals*

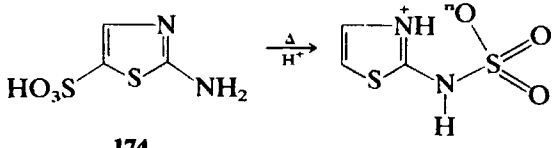
Complexes of type $ML_n(X)_m H_2O$ have been prepared by the action of 2-amino-4-substituted thiazoles on MX_2 ($X = Cl^-, AcO^-$); when M = Co(II) or Ni(II) $n = 2$ and $m = 0$ for 2-amino-4-methylthiazole as well as for 2-amino-4-phenylthiazole. When M = Cu(II) the values of n and m depend on the size of the 4-substituent: for R_4 = Ph, $n = 4$ and $m = 0$; for R_4 = Me, $n = 2$ and $m = 2$. It was suggested that the 2-amino-4R-substituted thiazoles are bonded through the ring nitrogen atom (363, 364). For the dihalo-bis(2-acetylaminothiazole)nickel II complexes, however, 2-acetylaminothiazole acts as a bidentate ligand, and the donor sites are thought to be carbonyl oxygen and ring sulfur (365) (see, however, p. 120).

2-Amino-4-methylthiazole also gives a complex with Hg(II) that has been used in a gravimetric determination of this metal (366).

Properties of the complexes formed are discussed in Section V.11.

5. Rearrangements Involving Nitrogen

2-Aminothiazole-5-sulfonic acid (**174**) rearranges to thiazolyl-2-sulfamic acid (**175**) when heated in acidic medium (Scheme 111). This rearrangement studied by Postovskii (367, 368) is opposite in character to analogous transformations in the series of aniline derivatives (369). In aniline derivatives the sulfo group migrates from nitrogen to the ring; here the reverse occurs because of the stabilization afforded by the inner salt formation in the sulfamic acid (**175**).



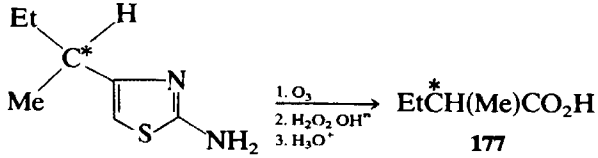
174 175

Scheme 111

IV. RING CARBON REACTIVITY

No systematic study of the free radical reactivity of aminothiazole derivatives has yet been reported. Their behavior, however, may be extrapolated from the detailed work performed on other thiazoles (see Chapter III, Section IX.1).

The only example involving the 4–5 double bond in a 1–3 dipolar addition is given by the ozonolysis of the optically active 2-aminothiazole (**176**) reported by Lardicci et al. (Scheme 112) (17).



176 177

Scheme 112

For ionic reactivity two cases must be considered depending on the electron demand: the thiazole ring may either be electron donating or electron accepting.

1. Reactivity toward Electrophilic Reagents

Amino substituents in both neutral and protonated thiazole rings should favor electrophilic reactivity.

Aminothiazole and derivatives seem to be sufficiently weak bases to allow the classical dilemma, "which is the reactive species: small amounts of reactive free base or more of highly concentrated but less reactive charged species?" Some mechanistic studies by Katritzky et al. (370–372) for pyridine derivatives are helpful in understanding aminothiazole reactivity. Applying the criteria deciding whether the nitration of heteroaromatic molecules in sulfuric acid is occurring on the conjugate acid or the free base (373) they showed that basic pyridines ($pK_a > +1$) are nitrated slowly as the cation and that very weakly basic pyridines ($pK_a < -2.5$) undergo nitration as the free bases. The synthetic consequence in

the pyridine series is that for the preparation of a pure mononitro derivative of pyridine, nitration should be carried out in oleum, where the extent of protonation is much greater than in 90% sulfuric acid and hence the rate of any further nitration as a free base is drastically reduced. With the assumption that the ratio of free base to protonated base reactivity is of the same order for the thiazole and pyridine rings, then 2-aminothiazole ($pK_a = 5.28$) and most of its derivatives react in the protonated form with electrophilic reagents.

A. *Nitration and Nitrosation*

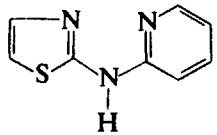
That numerous 2-amino-5-nitrothiazole derivatives exhibit antiamebic, antihistomonal, antitrichomonal, and antischistosomal properties (see Section VI.2) explains the large number of nitration reactions reported. Nitration in a mixture of concentrated nitric and concentrated sulfuric acids is among the most common experimental methods (16, 27, 58, 374–377).

2-Acetamidothiazole is nitrated in the same way (58, 378, 379). 2-Acetamido-4-phenylthiazole is reported to be nitrated on C-5 (380) as opposed to 2-amino-4-phenylthiazole, where nitration occurs on the phenyl ring (381). This latter result is not consistent with the other data on electrophilic reactivity; in most cases 2-amino-4-arylthiazole derivatives react with electrophilic reagents at the C-5 position (see Sections IV.1.B and D). Furthermore, N-pyridyl-(2)-thiazolyl-2-amine (**178**) is exclusively nitrated on the thiazole ring (Scheme 113) (132, 382).

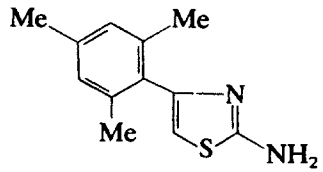
2-Amino-4-mesitylthiazole (**179**) cannot be nitrated, in contrast with 2-amino-4-*t*-Bu-thiazole (194). Nitration is also reported to fail with ethyl-2-acetamidothiazolyl-4-carboxylate (58).

2-Dimethylaminothiazole reacts as 2-aminothiazole with nitrating reagents (375, 384), but the other dialkylaminothiazoles (**32a**, **32b**, **32c** p. 32) are reported to be cleaved rather than nitrated (385).

When 2-amino-4-methylthiazole is nitrated under mild conditions, 2-nitramino-4-methylthiazole (**180**) is isolated (Scheme 114) (16, 194, 374).

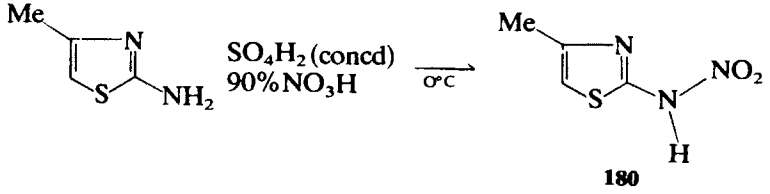


178



179

Scheme 113

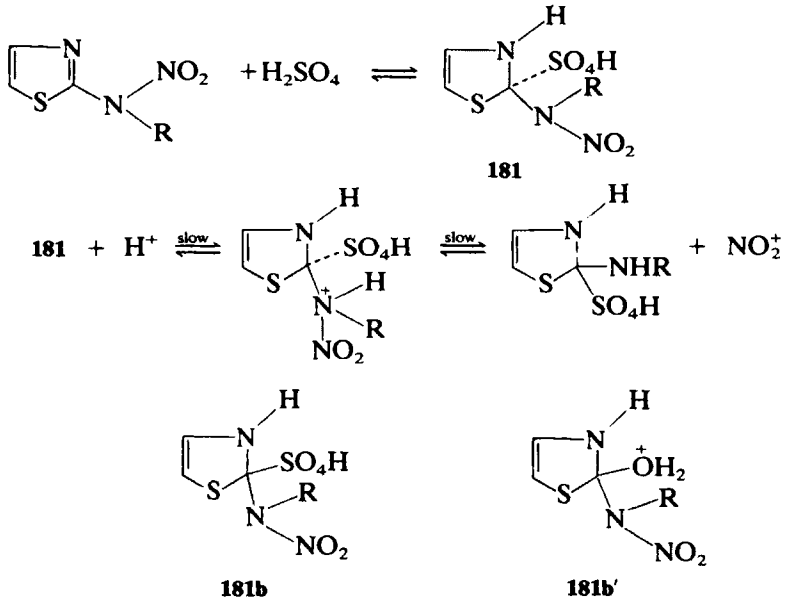


180

Scheme 114

Experimental requirements for the isolation of these nitramino derivatives are developed in Ref. 87. They rearrange easily to ring nitro-substituted isomers (see Section V.6). In the 2-aminothiazole series, nitration may proceed through direct electrophilic substitution competing with rearrangement of nitramino derivatives. Dickey et al. have shown that the rearrangement proceeds rapidly in 96% sulfuric acid at 20°C, but in 85% sulfuric acid it is very slow; so, according the concentration of acid various mechanisms can participate in the formation of the 5-nitro derivative.

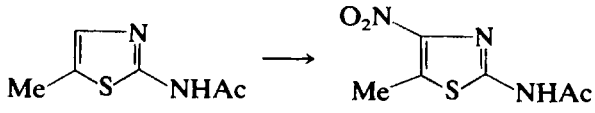
Toth et al. have thoroughly studied the rearrangement; their kinetic determinations suggest a general acid-catalyzed mechanism (Scheme 115) (1578). Some points remain unclear, however: why is the intermediate (**181**) written as a transition state when it is known that a tetrahedral intermediate (**181b** or **181b'**) could as well be postulated? How does this



Scheme 115

mechanism rationalize the fact that both intermolecular and intramolecular rearrangement take place during the reaction (1579)?

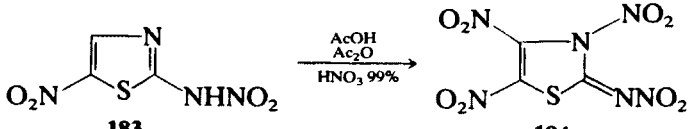
When the 5-position is occupied, as in 2-acetamido-5-methylthiazole (**182**), small amounts of 4-nitration are observed (Scheme 116) (27).



182

Scheme 116

Taurins reported that nitration of 2-nitramino-5-nitrothiazole yields the fully nitrated 2-imino-4-thiazoline (**184**) (Scheme 117) (87). This interesting compound should be studied by spectroscopic methods.



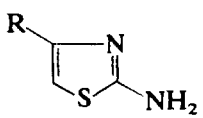
183 **184**

Scheme 117

Recent results for the quantitative nitration of 2-alkylthiazoles (386) suggest that a reinvestigation of the nitration of amino derivatives would be worthwhile.

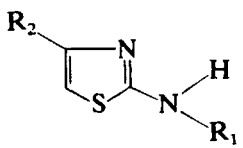
2-Amino-4-phenyl-5-benzoylthiazole is reported to react with nitric acid to give the 2-nitramino derivative (89).

Nitrosation in the 5-position has been reported with compounds **185** and **186** (Scheme 118) (165, 241), which are then reducible to the 5-aminothiazoles by Zn dust in AcOH. An old report (164) describing the nitrosation product of 2-methylaminothiazole as 2-(*N*-methylimino)-3-nitroso-2,3-dihydrothiazole (**187**) (Scheme 118) has been recently corrected by Ref. 314.



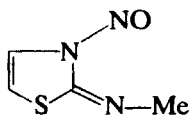
185

R = Me, Ph



186

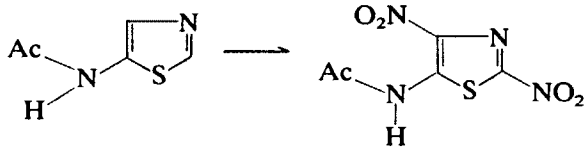
R_1 = Me and R_2 = *p*-tolyl,
R_1 = Ph and R_2 = Ph



187

Scheme 118

5-Acetamidothiazole when nitrated yields the 2,4-dinitro derivative **188** (387, 388) (Scheme 119).



188

Scheme 119

B. *Sulfonation*

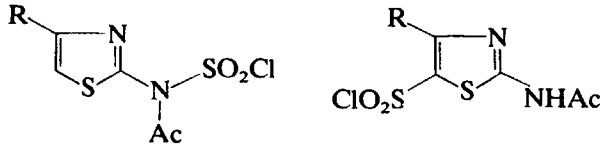
In fuming sulfuric acid (20% oleum) 2-aminothiazole (16, 27, 375, 389) and 2-amino-4-methylthiazole (374, 390) are sulfonated in the 5-position. When this position is substituted as in 2-amino-5-methylthiazole (27, 391) very small amounts of 4-sulfonation occur.

The 5-position is the preferred site for sulfonation (58, 392). This position is more reactive than any of the pyridine ring in *N*-[pyridyl-(2)]-thiazolyl-(2)-amine (**178**) (132, 382, 383).

Sulfonation under mild conditions is reported to yield thiazolyl-2-sulfamic acid, which, when heated in H_2SO_4, rearranges to 2-aminothiazole-5-sulfonic acid (16, 374, 390, 391). Postovskiĭ, however, reports exactly the opposite rearrangement (367), and spectroscopic evidence supports his conclusion (368) (see Section III.5).

2-Acetamidothiazole and its 4-alkyl derivatives react with chlorosulfonic acid. The structure of the resulting products was a subject of controversy (172, 393–397). *N*-acetyl-*N*-(2-thiazolyl)-sulfamoyl chlorides (**189**) first proposed were then shown to be 2-acetamido-5-chlorosulfonylthiazoles (**190**) (Scheme 120) (367, 368, 398), the latter assignment is based on infrared (368) and chemical evidence (367).

Attempts to prepare the dipropylamino-5-sulfonic acid by sulfonation in oleum failed (385). With 2-piperidino-4-methylthiazole Ochiai reports cleavage of the 2-piperidino ring (391).

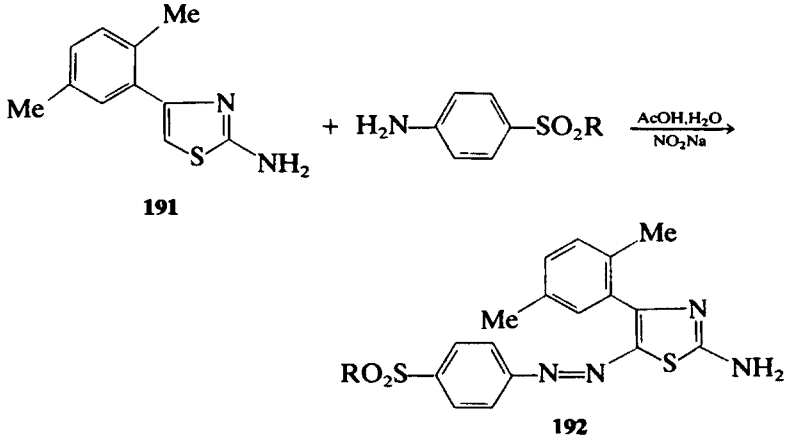


189 **190**

Scheme 120

C. *Coupling with Diazonium Salts*

2-Aminothiazole (58, 235, 391) and 2-amino-4-alkylthiazoles (391, 399) couple with diazonium salts. This reaction takes place in the 5-position and is possible even when bulky substituents occupy the 4-position, as exemplified by the reaction of **191** (Scheme 121) (400, 401).



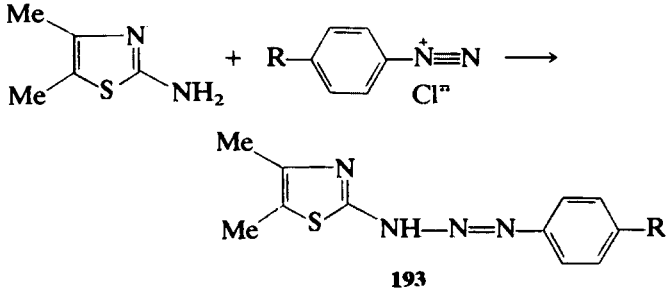
191

192

Scheme 121

Yields can be very good; Beyer (402) reports a 90% yield when coupling 2-amino-4-phenylthiazole with the diazonium salt of aniline. The coupling of diazotized anilines under modified conditions has been reported in a work treating the preparation of antineoplastics (403).

2-Amino-5-methylthiazole does not react with diazotized *p*-nitroaniline in solutions acidified with acetic or hydrochloric acid (391). 2-Amino-4,5-dimethylthiazole with the diazonium salts of para-substituted anilines, however, gives product **193**, involving reactivity of the exocyclic nitrogen (Scheme 122) (399).

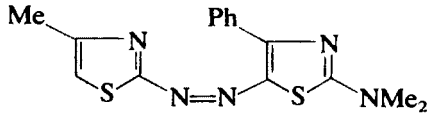


193

R = H, Me, NO_2, SO_3Na

Scheme 122

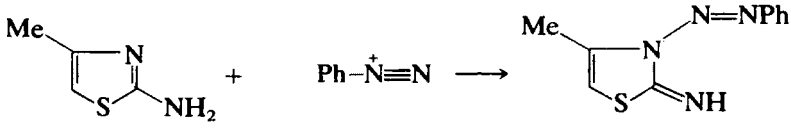
The diazonium salt of 2-aminothiazole couples with 2-dimethylamino-4-phenylthiazole, giving the corresponding azo dye (**194**) (Scheme 123) used for dyeing synthetic fibers (404).



194

Scheme 123

Zugravescu reports the isolation of ring nitrogen substitution products (**195**) (Scheme 124) (325), and it is not clear whether direct electrophilic substitution in the 5-position is the general case or if the finally observed product results from rearrangement.



195

Scheme 124

D. *Halogenation*

Halogenation of 2-aminothiazole and derivatives has been reported under a wide variety of experimental conditions: in water (161, 405, 406); in aqueous acids (16, 172, 407, 408); in solvents such as chloroform (27, 172), carbon disulfide (162, 166, 320, 409), benzene (165), acetic acid (410–413, 1580), or hydrochloric acid (414); or in 20% sulfuric acid (415–417).

Chlorination may be performed either in carbon tetrachloride with $SOCl_2$ (418) or by passing gaseous hydrogen chloride through an aqueous solution (419).

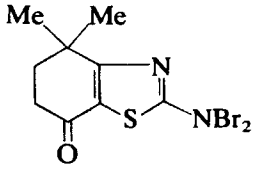
Bromination has been described using brominating agents such as *N*-bromosuccinimide in carbon tetrachloride (418, 420); bromine in either chloroform, acetic acid, or hydrochloric acid (414, 418, 421–423); bromine in sulfuric acid (415–417); and enzymatic catalysis (424, 425).

Iodination is performed with ICl under various experimental conditions (426–429).

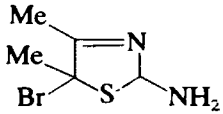
These halogenation reactions all take place in the 5-position (408, 409, 430) even when there is a phenyl or a 2-pyridyl (382) substituent on the exocyclic nitrogen. Crystalline perbromides have been isolated (166, 320,

408), but conclusive structural proof is lacking. Here again mechanistic studies using modern spectroscopic methods should be fruitful.

Conflicting results have been reported for the bromination of 2-amino-4,5-disubstituted thiazoles. Structure **196** (Scheme 125) has been proposed for the product obtained from the reaction between 2-amino-4,4-dimethyl-7-one tetrahydrobenzothiazole and dioxane dibromide (431). Garreau reports obtaining a Δ3-thiazoline (**197**) from the bromination of 2-amino-4,5-dimethylthiazole (Scheme 125) (422, 432); however, no spectroscopic proofs are given. Reaction of bromine with 2-allylamino-4-methyl-5-acetothiazole gives a bromination of the allyl group followed by bromination of the 5-acetyl group (433).



196

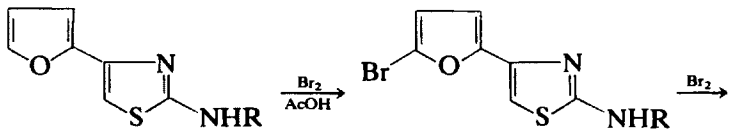


197

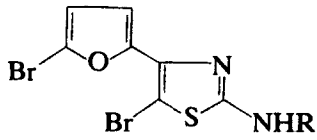
Scheme 125

Bromination of 2-dialkylaminothiazoles has been reported to be successful by one author (415) and to fail by others (375, 385). If the mechanism of direct electrophilic substitution is accepted for these compounds, it is difficult to understand why alkyl substitution on such a remote position as exocyclic nitrogen may inhibit this reaction in the C-5 position.

The reaction of 2-amino-4(2-furyl)thiazole in acetic acid with bromine yields product **198** brominated on the furan ring (Scheme 126). The



198

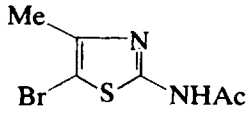


199

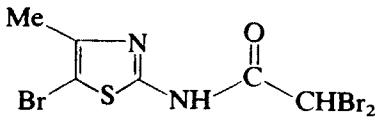
Scheme 126

reaction may then go further to give the dibrominated product (**199**) (434). The bromination occurs directly on C-5 if the furan ring is already substituted by a nitro group (435). *N*-[Pyridyl-(2)]-thiazolyl-(2)-amine, however, is brominated on the C-5 of the thiazole ring (132).

2-Acetamido-4-methylthiazole when enzymatically brominated is converted to a mixture of 2-acetamido-4-methyl-5-bromothiazole (**200**) and dibromacetamido-4-methyl-5-bromothiazole (**201**) (Scheme 127) (138, 436).



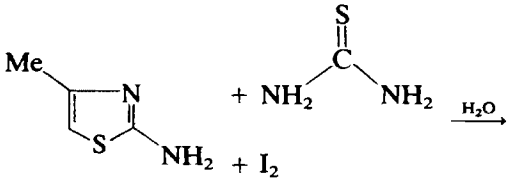
200

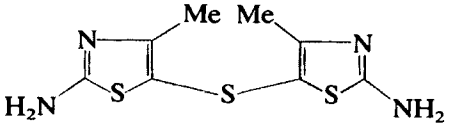


201

Scheme 127

The halogen in the 5-position of 2-aminothiazoles is usually reactive and is used for further reaction (see Chapter V). The reaction may take place in the same medium as thiocyanation (437–440), rhodanation (441), or reaction with $NaNO_2$ (435). Similarly, a mixture of 2-amino-4-methylthiazole and thiourea in H_2O yields 5,5′-thiobis(2-amino-4-methyl)thiazole (**202**) after addition of iodine (Scheme 128) (442).



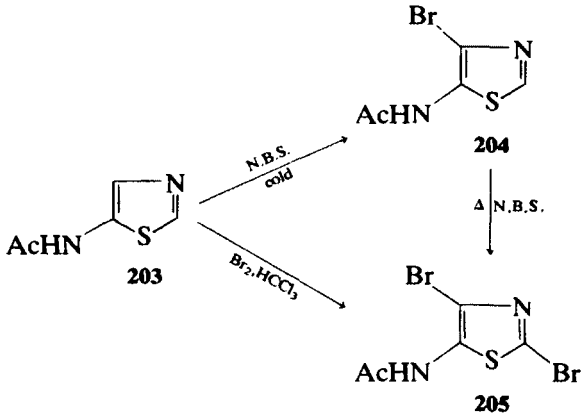


202

Scheme 128

Here again the question of reactive species in the acidic medium remains open. It must be noted that bromination of 2-amino-5-methylpyridine ($pK_a = 7$) and 2-amino-5-nitropyridine ($pK_a = 2.8$) in *N* sulfuric acid takes place on the free base (443).

5-Acetamidothiazole (**203**) gives, according to the brominating agent, either 4-bromo- (**204**) or 2,4-dibromo-5-acetamidothiazole (**205**) (Scheme 129) (388).



Scheme 129

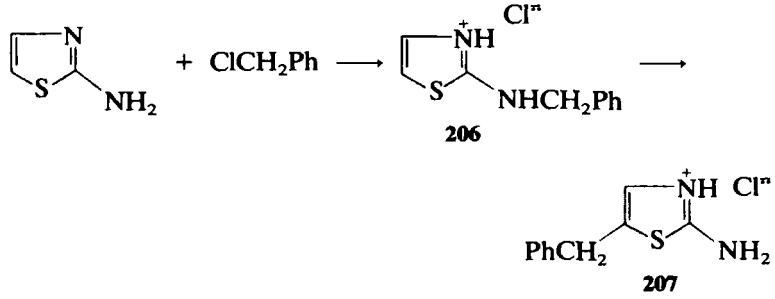
E. *Alkylation*

An interesting set of results was obtained by Burmistrov et al. (242, 444–448) when they studied the reaction of 2-aminothiazole and derivatives with various alcohols in concentrated sulfuric acid (see Section III.1.C).

If 2-amino-4,5-dimethylthiazole is treated under such conditions 2-alkylamino-4,5-dimethylthiazoles are formed (202).

Carbocations derived from the alcohol are probably the reactive species, but data concerning by-products expected with carbocationic intermediates are lacking. Rearrangement of 2-alkylaminothiazoles to 2-amino-5-alkylthiazoles may also explain the observed products: 2-aminothiazole with benzyl chloride yields first 2-benzylaminothiazole (**206**), which then rearranges to 2-amino-5-benzylthiazole (**207**) (Scheme 130) (163, 165, 198).

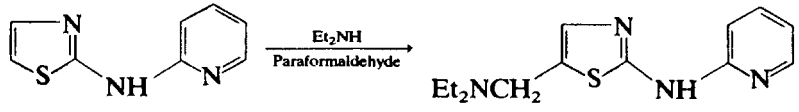
2-Acetamido-4-methylthiazole does not react with acetyl chloride in the Friedel-Crafts reaction (172, 407, 449).



Scheme 130

F. *Aminoalkylation*

Aminoalkylation of *N*-[pyridyl-(2)]thiazolyl-(2)-amine yields the C-5-substituted compound (**208**) (Scheme 131) (132, 382, 383).

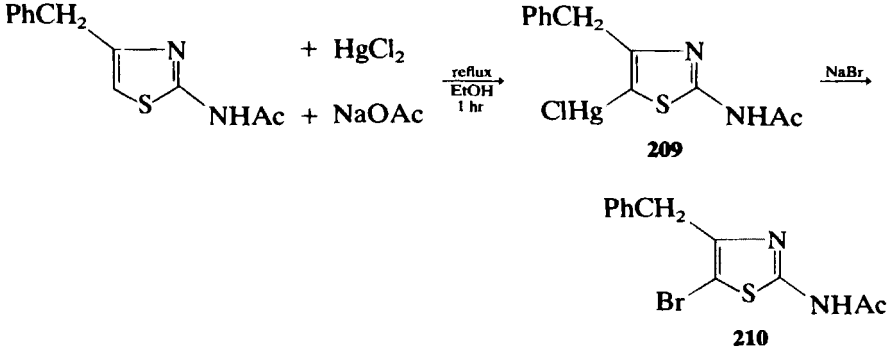


208

Scheme 131

G. *Mercuration*

Mercuration occurs in the 5-position (450) as demonstrated by the subsequent conversion of the mercurated derivatives (**209**) to the corresponding 2-amino-5-halothiazoles (Scheme 132) (396, 451). The reaction is favored by the presence of sodium acetate (452). Nitrogen mercurated intermediates have never been isolated.

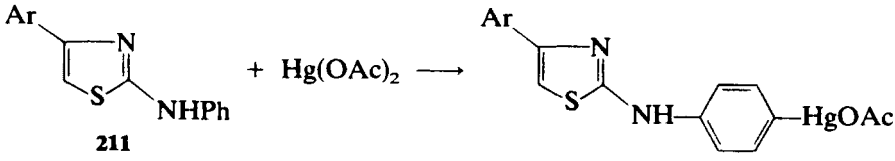


209

210

Scheme 132

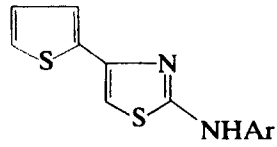
2-Anilino-4-arylthiazoles (**211**) are mercurated on the phenyl ring (Scheme 133) (453, 454). The phenyl ring is also the site of mercuration in 2-arylamino-4-(2-thienyl)thiazoles (**213**) (Scheme 134) (455).



211

212

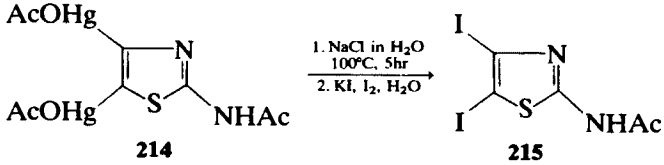
Scheme 133



213

Scheme 134

Mercuric acetate heated for 18 hr at 100°C in acetic acid with 2-acetamidothiazole yields 2-acetamido-4,5-diacetoxymercurithiazole (**214**) (396). This product may then be transformed to the 4,5-diiodo derivative of 2-acetamidothiazole (**215**) (Scheme 135).



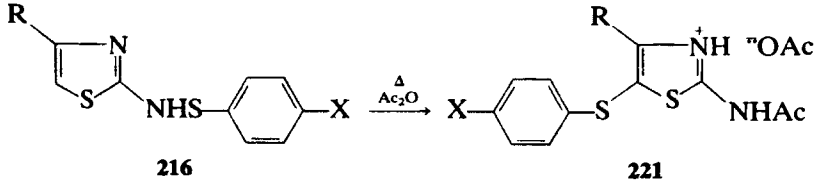
214 **215**

Scheme 135

H. *Rearrangements*

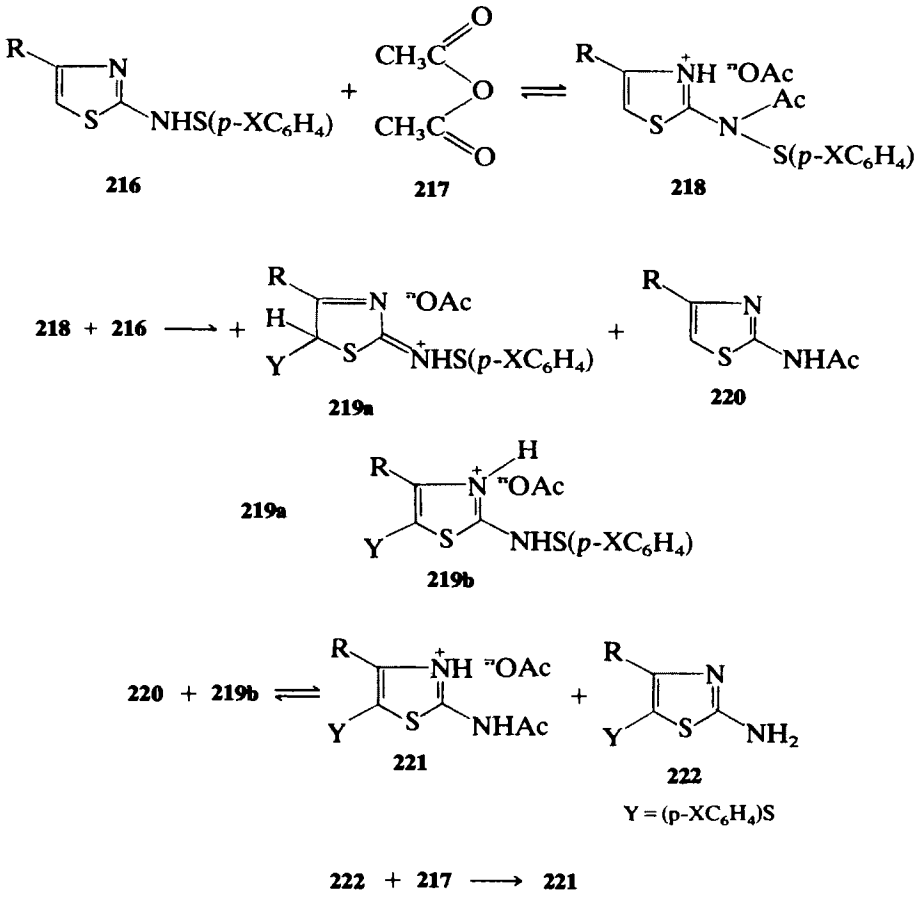
a. FROM 2-(*p*-R-ARYLSULFENAMIDO)THIAZOLES TO 2-AMINO-5-(*p*-R-ARYLTHIO)THIAZOLES

This reaction is favored by alkyl substituents in the 4-position of the thiazole ring and by electron-attracting substituents on the aryl moiety of the molecule (Scheme 136) (32, 456, 457). Sufficient evidence is given in these reports to enable us to suggest a mechanistic pathway in which the rate-determining step involves nucleophilic substitution of the 4-5 double bond of **216** or **220** on the electrophilic sulfur center of **218** or **219b** (Scheme 137). This mechanism is consistent with the fact that the electron-donating alkyl group that increases the nucleophilicity of **216** and **220** accelerates the reaction; electron-attracting substituents on Ar increase the electrophilic character of the sulfur atom, also favoring the reaction. If this mechanism actually operates, trifluoroacetic anhydride should be a better solvent for this reaction.



216 **221**

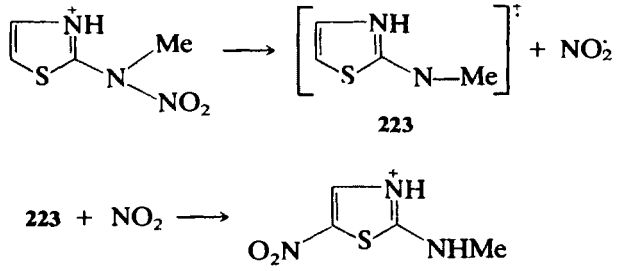
Scheme 136



Scheme 137

b. FROM 2-NITROAMINOTHIAZOLES TO 2-AMINO-5-NITROTHIAZOLES

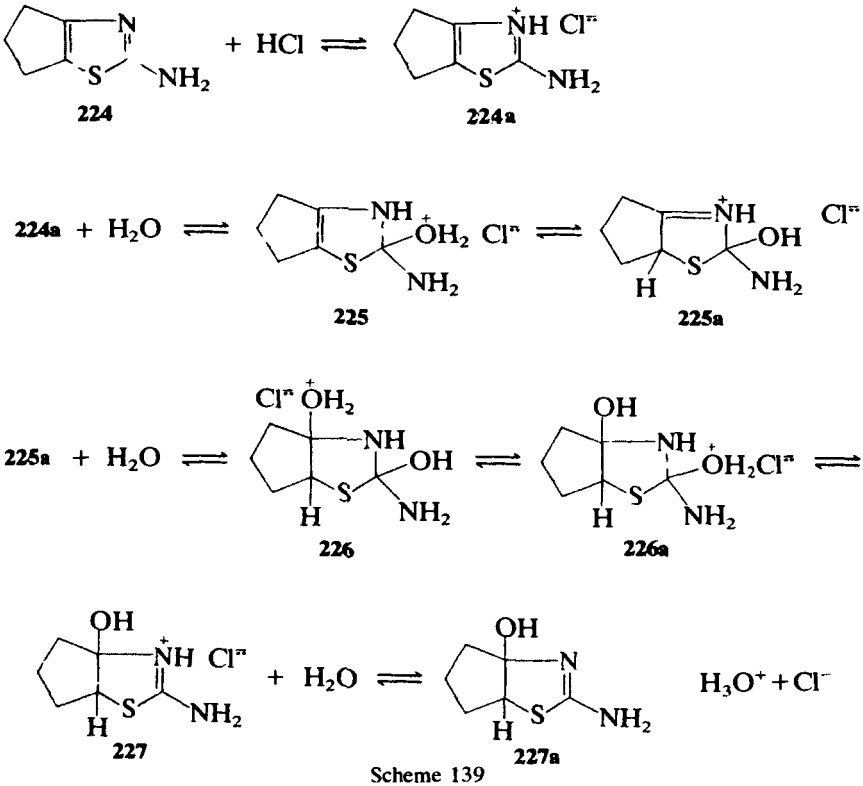
In aniline derivatives (458) the mechanism of this reaction is still not fully settled (459–461). However, the latest results seem to favor a pathway that, applied to 2-nitraminothiazole, would give Scheme 138, where the key step is the formation of a radical ion (**223**). Reexamination of the original reports on this reaction (16, 374, 378, 462) with EPR and Chemically Induced Dynamic Nuclear Polarisation techniques could be fruitful.



Scheme 138

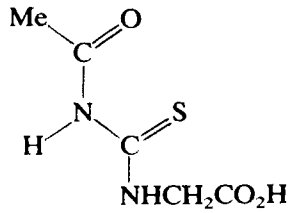
2. Reactivity toward Nucleophilic Reagents

The amino group is expected to have decreased reactivity in thiazolium salts. 2-Amino-4,5-trimethylene thiazole (**224**) heated in diluted HCl at 80°C, however, gives the product **227a** (463). The probable mechanism is shown in Scheme 139. This mechanism suggests a "retro-Hantzsch"



Scheme 139

reaction, the principle of microreversibility being merely applied to the mechanism described in Chapter II, Section II.1.D. The original point in our proposed "retro-Hantzsch" mechanism is that hydration of the 4,5 double bond of **224** must proceed by preliminary hydration of the C_2=N double bond. This kind of mechanism could be of importance in biological processes: the major urinary metabolite of 2-acetamidothiazole is the corresponding acetylthiohydantoic acid (**228**) produced by fission of the thiazole ring (Scheme 140). (464).



228

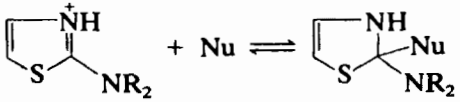
Scheme 140

This general scheme could be used to explain hydrogen exchange in the 5-position, providing a new alternative for the reaction (466). This leads us also to ask whether some reactions described as typically electrophilic cannot also be rationalized by a preliminary hydration of the C_2=N bond. The nitration reaction of 2-dialkylaminothiazoles could occur, for example, on the enamine-like intermediate (**229**) (Scheme 141). This scheme would explain why alkyl groups on the exocyclic nitrogen may drastically change the reaction pathway (see Section IV.1.A). Kinetic studies and careful analysis of by-products would enable a check of this hypothesis.

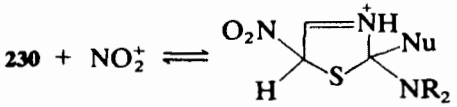
Acidic hydrolysis of 2,5-diphenyl-4-aminothiazole similarly gives product **233**, resulting from nucleophilic attack on C-4 (Scheme 142) (465).

Treating 5.5 g of 2-amino-4,5-dimethylthiazole HCl with 0.66 g of solid sodium hydroxide 15 min at 220°C yields 53% of 4,4′,5,5′-tetramethyl-2,2′-dithiazolylamine, whose structure was proved by identification with the product obtained from the reaction between dithiobiuret and 3-bromo-2-butanone (467). This result is comparable to the reaction between 2-aminopyridine and its hydrochloride to yield bis(pyridyl-2)amine (468). Gronowitz applied this reaction to 2-aminothiazole, refluxing it with its hydrochloride 4 hr in benzene and obtained the dimeric 2-aminothiazole (**236**). He proposed a mechanism (Scheme 143) that involves the addition of a proton to the 5-position of the ring to give **234**. The carbocation formed then reacts on the 5-position of a second

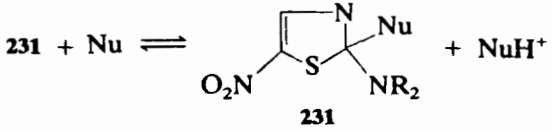
molecule of 2-aminothiazole providing **235**, which loses a proton to give **236**.



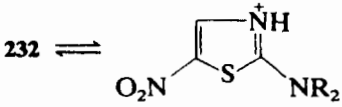
229



230



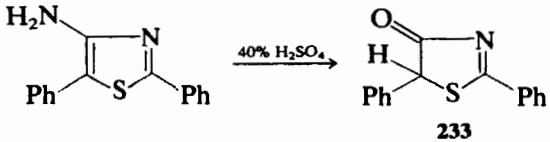
231



232

Nu = Nucleophilic species

Scheme 141 (H_2O, SO_4H^-, . . .)

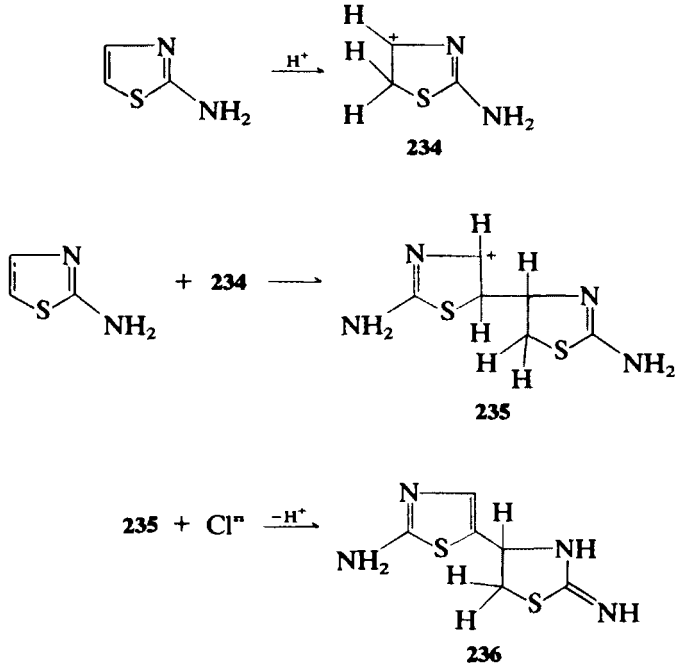


233

Scheme 142

The recently reported rearrangement (1581) of 2-allylamino-4-carboxamido-5-aminothiazoles to 4-aminoimidazole-5-carboxamide in presence of sodium bicarbonate probably involves the electrophilic reactivity of C-2, which allows the ring opening.

2-Amino-4-phenylthiazole when heated with Raney Ni is reported to yield acetophenone (469). In the course of a general study on reductive cleavage in heterocyclic systems Hoff et al. studied the reaction of 2-amino-4-methylthiazole with Na in liquid ammonia. Two equivalents of Na are necessary to obtain a mixture of 4-methyl-3-thiazoline (**240**) and

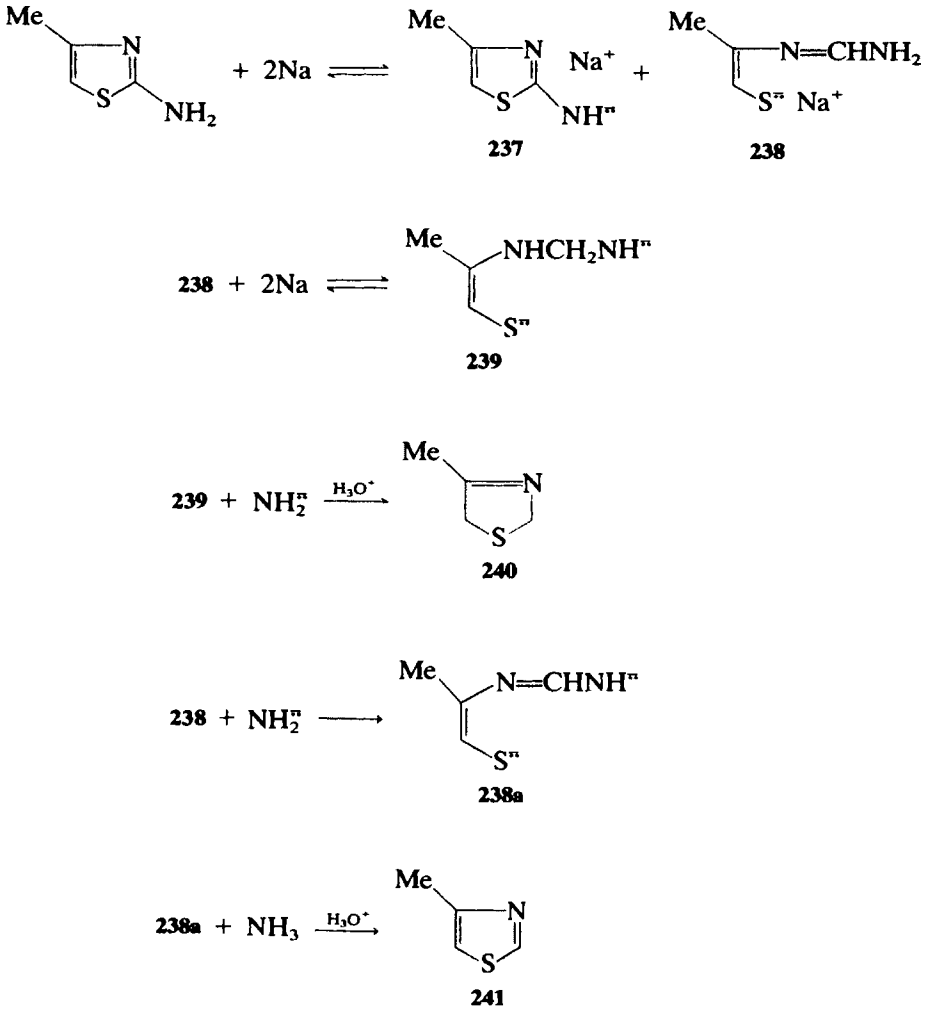


Scheme 143

4-methylthiazole (**241**). This reduction was rationalized according to Scheme 144 (470). The suggested mechanism of ring opening (470) involves the C-2 nucleophilic reactivity of the radical anion (**242**) toward NH_3 (Scheme 145). According to this mechanism the reaction would have been treated elsewhere, but we place it in Section IV.2 because reduction reactions are often viewed as a nucleophilic attack of an hydride anion. When 2-methylamino-4-methylthiazole is submitted to these reagents a 50/50 mixture of 4-methylthiazole and unreacted material is obtained. With 2-dimethylamino-4-methylthiazole the mixture obtained contains 32% of unreacted material, 48% of 4-methylthiazole, and 20% of 4-methyl-3-thiazoline (470).

General trends in the reactivity of aminothiazoles and derivatives may be tentatively outlined.

2-Aminothiazole in its neutral form seems to be able to react in 3 different positions according to the electrophilic center considered (Scheme 146). The question of C-5 reactivity for this neutral form remains open, however, because the observed product might also be formed from the protonated form of 2-aminothiazoles. A surprising

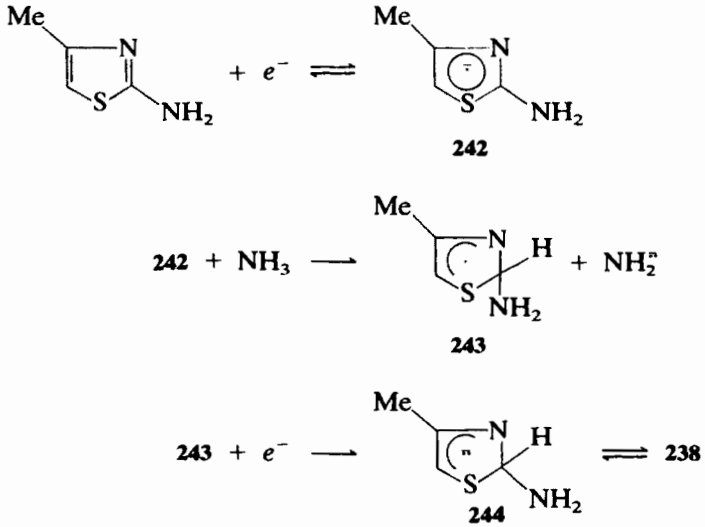


Scheme 144

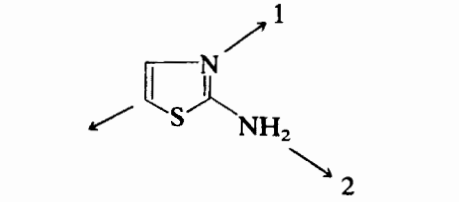
result, not explicable in terms of the HSAB concept (10), is that H^+ seems to react on the ring nitrogen as do soft electrophilic centers such as CH_3X. Further work is still needed to clarify this point.

The little-studied conjugated base of 2-aminothiazoles is able to react on either ring nitrogen or exocyclic nitrogen. Steric hindrance of R_2 and R_4 is clearly an important factor in this reactivity (Scheme 147).

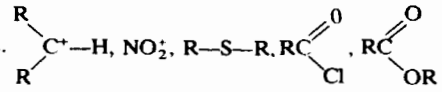
Reactivity of the conjugate acid of 2-aminothiazoles seems more clearly defined. The 5-carbon is the most reactive toward electrophilic

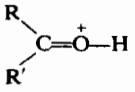


Scheme 145

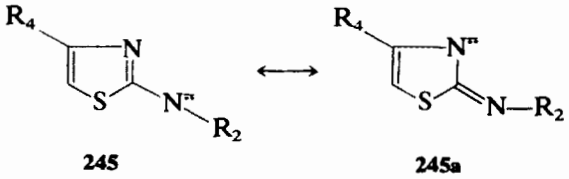


1. H^+, RCH_2X (X = halogen)

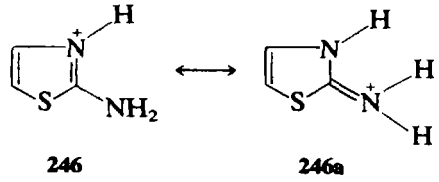
2. 

3. Br^+, NO_2^+, SO_3H, 

Scheme 146



Scheme 147



246 246a

Scheme 148

centers (see, however, the discussion of alkylations in SO_4H_2 in Sections III.2.C and IV.1.E), and the 2-carbon is the most reactive toward nucleophilic centers (Scheme 148).

V. AMINOTHIAZOLE DERIVATIVES

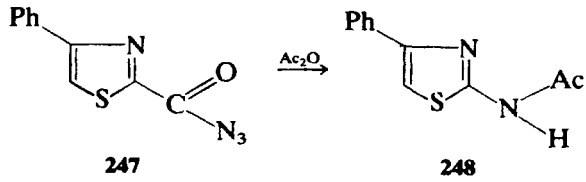
In this section, only salient features of the synthesis, physicochemical properties, and reactivity of major derivatives of 2-aminothiazole and 2-imino-4-thiazoline are summarized. Further details on each compound are found in associated references collected in Section VII. The synthetic methods reported in this section exclude heterocyclization methods treated in Chapter II but given in specific references found in Section VII.

1. N—C(X)R Substituents

A. *Acylamino Derivatives* (X = 0; R = *alkyl, aryl*)

These compounds are easily prepared from the appropriate 2-aminothiazole and acyl chloride (see Section III.2.D) or by general heterocyclization methods. Acyl chlorides may be replaced by the corresponding anhydrides (471). Acids themselves may be used as acylating agents provided that the imidazole–triphenyl phosphine mixture is used as a catalyst (472). The Curtius degradation of **247** yields 2-acetamido-4-phenylthiazole (**248**) (Scheme 149) (473).

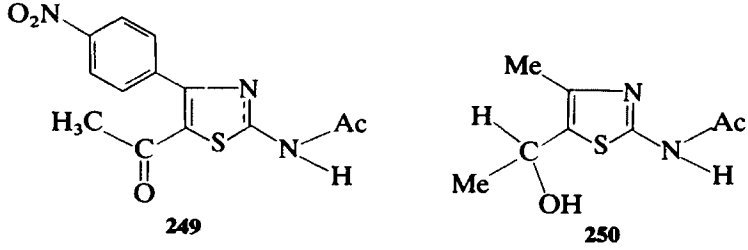
The acetyl group decreases the basicity of the corresponding 2-aminothiazole by about 2 pK_a units (71, 474), and it increases the acidity



247 248

Scheme 149

of hydrogen in the N–H group: 2-acetamidothiazole gives an acidic hydrogen by the Zerevetinov test (367). Stable isolable potassium and sodium salts of these compounds have been described (40, 43, 475). The pK_bs of a series of 2-acylamino-4,5-disubstituted thiazoles range from 7.16 for **249** to 10.9 for **250** (Scheme 150) (84).



249 **250**

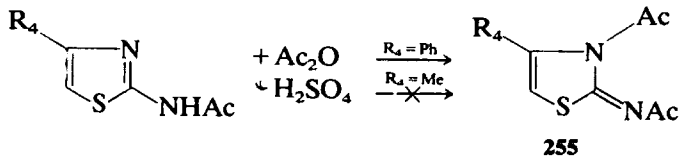
Scheme 150

Differences of electronic effect between 2-amino and 2-acetamido substituents are also illustrated by:

1. The conditions required for the sodium borohydride reduction of 2-amino-5-acylthiazoles are stronger than those used for the reduction of 2-acylamino-5-acylthiazole (476).
2. The reactivity of the 5-acyl group of 2-acylamino-5-acylthiazole in the formation of Mannich bases is greater than that observed for 2-amino-5-acylthiazole (476).

A protomeric equilibrium favors the acetamido rather than the acetimido form (105, 121). The parent molecular ion has been reported to be absent in the mass spectrum of 2-acylaminothiazoles (130).

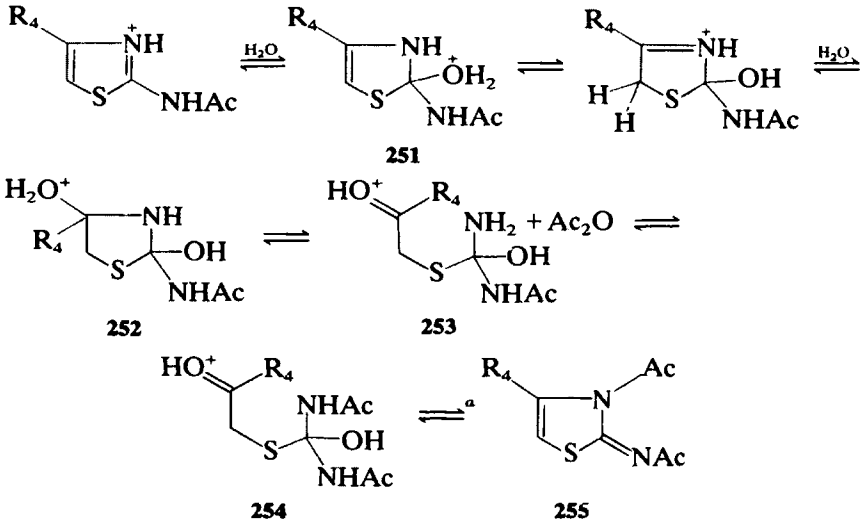
The general pattern of alkylation of 2-acylaminothiazoles parallels that of 2-aminothiazole itself (see Section III.1). In neutral medium attack occurs on the ring nitrogen, and in alkaline medium a mixture of N-ring and N-amino alkylation takes place (40, 43, 161, 163). In acidic medium unusual behavior has been reported (477): 2-acetamido-4-substituted thiazoles react with acetic anhydride in the presence of sulfuric acid to yield 2-acetylimino-3-acetyl-4-phenyl-4-thiazolines (**255**) when R = Ph, but when R_4 = Me or H no acetylation occurs (Scheme 151). The explanation rests perhaps in an acid-catalyzed heterocyclization with an acetylation on the open-chain compound (**253**), this compound being stabilized



255

Scheme 151

when $R_4 = Ph$ (Scheme 152). Careful determination of by-products and use of deuterated sulfuric acid would elucidate this point.



Scheme 152

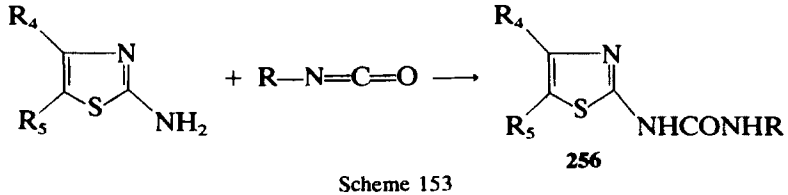
[a] The symbol $\rightleftharpoons$ stands here for a succession of elementary steps (heterocyclization, first deshydratation, second deshydratation).

2-Acylaminothiazoles easily regenerate their 2-aminothiazole counterparts under acidic hydrolytic conditions (120).

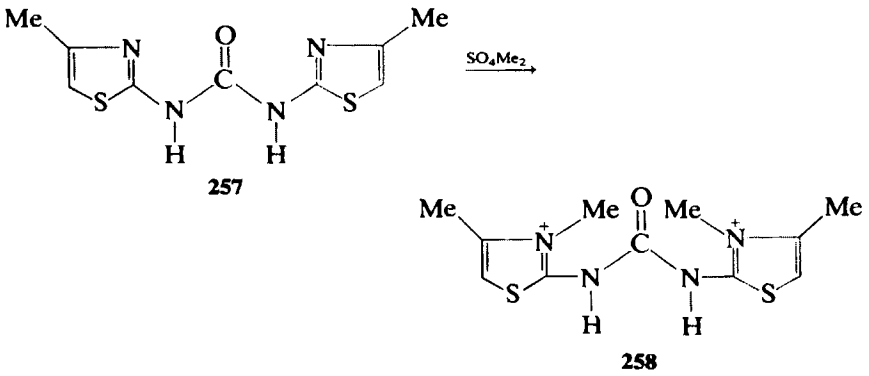
2-Acetamidothiazoles are reduced with $LiAlH_4$ to 2-alkylaminothiazoles in good yields (81, 130, 477, 478), (see also Section I.1.E).

B. *Thiazolyl Ureas and Thioureas* ($X = O, S$; $R = NR_2$)

Reaction of 2-aminothiazoles with alkyl isocyanates yields 2-thiazolylureas (**256**) (Scheme 153) (479–483). This reaction is general and works with acyl isocyanates (484, 485). These heterocyclic ureas are also prepared by the reaction of H_2O on 2-thiazolylcyanamide (486) or by action of H_2O_2 on the corresponding thiourea (303, 481).



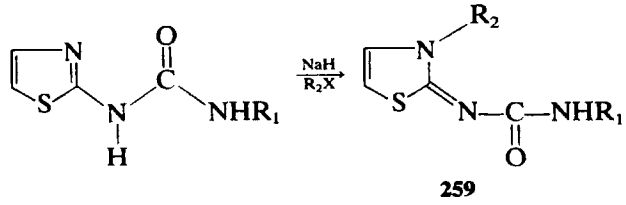
Scheme 153



Scheme 154

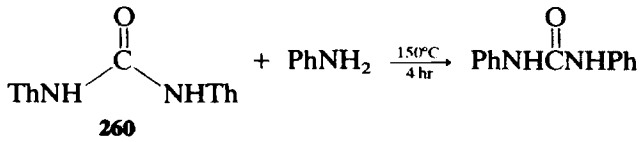
Characteristic spectroscopic data (infrared and NMR) of 2-thiazolyl ureas are given in a recent report (484). Their characteristic ultraviolet absorption is in the 260 to 270 nm region (487).

Alkylation of bis(4-methyl-2-thiazolyl)urea (**257**) with dimethyl sulfate gives product **258** dimethylated on the ring nitrogens (Scheme 154) (488). Alkylation of 1-alkyl-3-(2-thiazolyl)urea from its derived anion formed by NaH gives **259** (Scheme 155).



Scheme 155

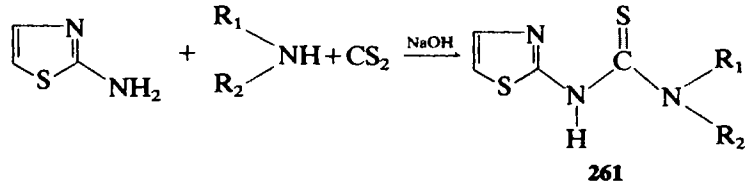
Exchange reactions known in urea derivatives are reported for the 2-thiazolylurea series (**260**) (Scheme 156) (488, 489).



2-Acetamidothiazoles are obtained when 2-thiazolylureas are heated with acetic anhydride and sodium acetate (488).

The reaction of 2-aminothiazoles with alkyl isothiocyanates yields 2-thiazolylthioureas (303, 490), otherwise usually obtained by direct heterocyclization (Chapter II, Section II.4). Other synthetic methods

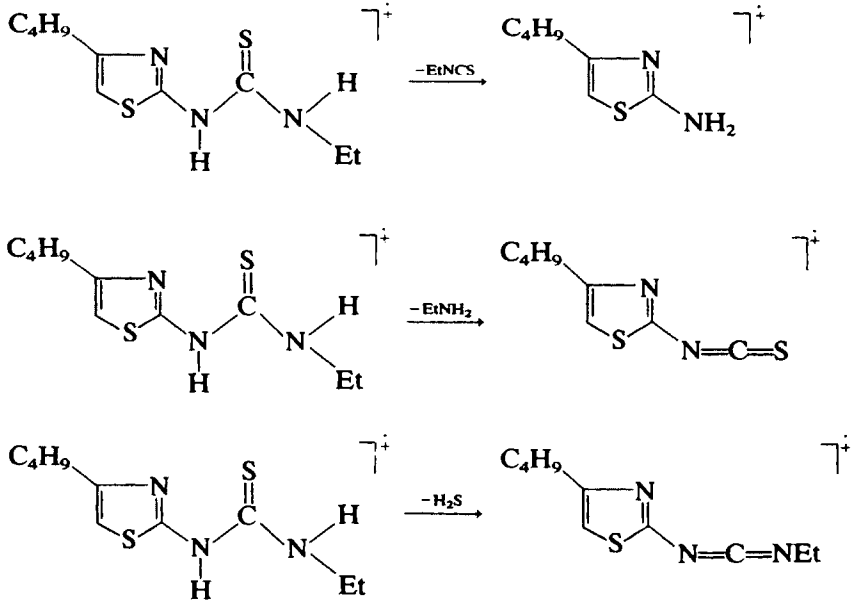
involve the reaction of hydrogen sulfide on 2-thiazolylcyanamide (487) or reaction between a mixture of 2-aminothiazole, an amine, and carbon disulfide in alkaline medium (Scheme 157) (491).



261

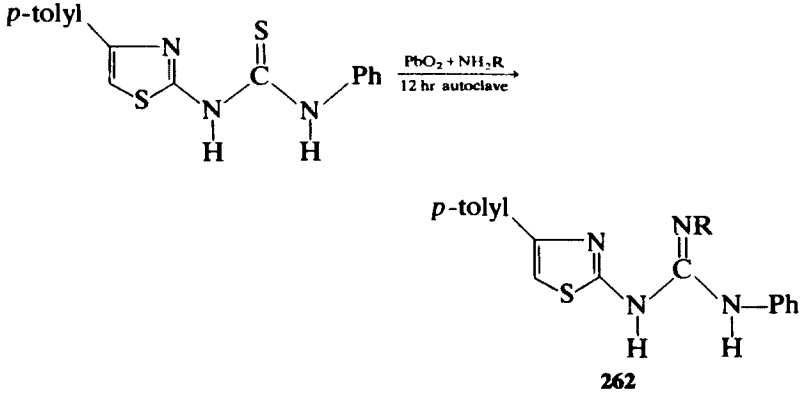
Scheme 157

The infrared spectra of a set of 2-thiazolylthioureas are reported in Ref. 486. The ultraviolet spectra of 1-aryl-3-(2-thiazolyl)thioureas are characterized by two bands of approximate equal intensity around 282 and 332 nm (492). For 1-alkyl-3-(2-thiazolyl)thioureas these bands are shifted to 255 and 291 nm, respectively (492). The shape of the spectrum is modified further when 1,1′-dialkyl-3-(2-thiazolyl)thioureas are considered (491). Fragmentation patterns of various 2-thiazolylthioureas have been investigated (100, 493), some of which are shown in Scheme 158. Paper and thin-layer chromatography provide an effective tool for the analysis of these heterocyclic thioureas (494, 495).



Scheme 158

When desulfurized with PbO_2, 1-aryl-3-(4-*p*-tolyl-2-thiazolyl)thioureas yield the heterocyclic guanidines (**262**) (Scheme 159) (299, 496, 497).

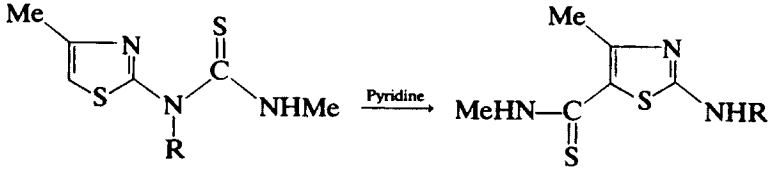


262

Scheme 159

The sulfur atom of the thiocarbonyl group is a good nucleophile, and reaction between benzyl bromide and 1-(2-thiazolyl)thiourea yields the isothiouronium salt (496). The sulfur atom may also be engaged in a chelate, as exemplified by the Cu chelate of 2-thioureido-4-methylthiazole (491). These chelates with metal ions were thoroughly studied in acidic, neutral, and alkaline media for 66 metal ions in order to define their analytical use. They are formed in the molar ratio of 1:2 for metal II: compounds (498).

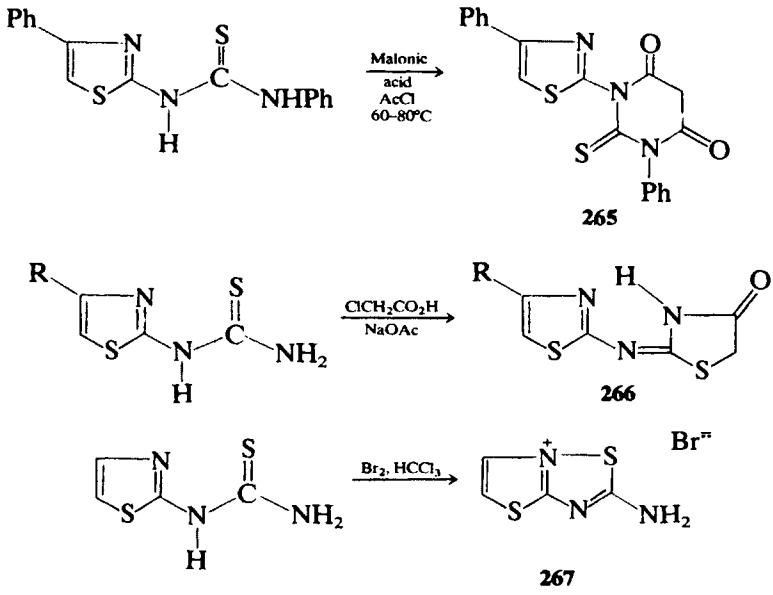
An interesting rearrangement of the (4-methyl-2-thiazolyl)thioureas (**263**) has recently been reported (Scheme 160) (303). The reaction mechanism is currently under investigation. This reaction does not occur if the 4-methyl substituent in the thiazole ring of **263** is replaced by an hydrogen, which suggests an electrophilic attack on C-5 as the mechanism of this reaction.



263 **264**

Scheme 160

The versatility of 2-thiazolylthioureas in biheterocyclic synthesis is illustrated by the preparation of the thiazole derivative of thiobarbituric acid (**265**) (302), of the (thiazolylimino)thiazolidinones (**266**) (499, 500), and of 1,2,4-thiadiazolo[3,2-*b*]thiazole (**267**) (Scheme 161) (311).



265

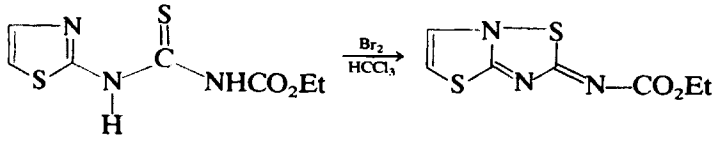
266

267

Scheme 161

Compound **265** may be transformed into its urea counterpart by the action of lead acetate (102).

The preparation and spectroscopic properties (infrared, ultraviolet, NMR) of *N*-alkoxycarbonyl-*N'*-(2-thiazolyl)thioureas (**268**) have been studied by the Nagano group (78, 264). These compounds react with bromine in acetic acid or chloroform to give 2-alkoxycarbonylimino-thiazolo[3,2-*b*]thiadiazolines (Scheme 162), whose structures were established by mass spectroscopy, infrared, NMR, and reactivity patterns (481).

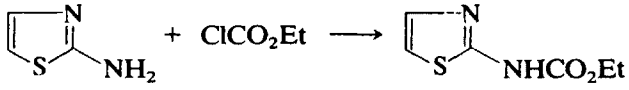


268

Scheme 162

C. *Thiazolyl Carbamates and Dithiocarbamates* (X = O, S; R = OR, SR)

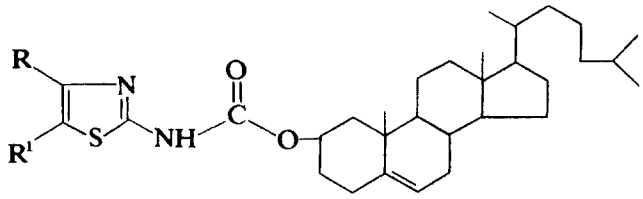
The reaction between ethyl chloroformate and 2-aminothiazoles provides easy synthetic access to thiazolyl-2-carbamates (**269**) (Scheme 163)



269

Scheme 163

(263, 265, 501). This reaction is general: a series of *N*-(4-R,5-*R'*2-thiazolyl)cholesterylurethanes (**270**) has been prepared according to Scheme 163 (502).

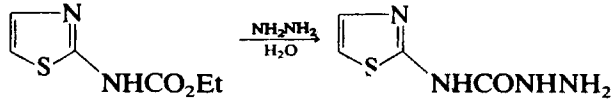


270

Scheme 164

Typical spectroscopic properties of ethyl-*N*-(2-thiazolyl)-carbamate are: ultraviolet absorption in EtOH, 256 mμ ($\varepsilon = 9100$); infrared in nujol, 3178 cm^{-1} (N–H), 1730 cm^{-1} (C=O); NMR in chloroform (internal TMS) CH_3, 1.4 ppm; CH_2, 4.4 ppm ($J_{CH_2CH_3}$, 7.5 cps); NH, 12.47 ppm; C_4–H, 7.42 ppm; C_5–H, 6.96 ppm ($J_{C_4\text{-}HC_5\text{-}H}$, 3.8 cps) (264). The electron-attracting carbethoxy group is not sufficient to shift the protomeric equilibrium to the imino form (503, 504).

Ethyl-*N*-(2-thiazolyl)carbamate reacts with aqueous hydrazine to give **271** (Scheme 165) (263).



271

Scheme 165

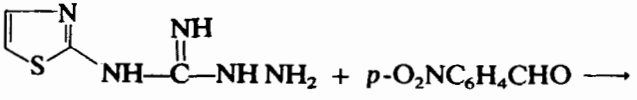
N-(2-thiazolyl)dithiocarbamates are prepared by the action of carbon disulfide on 2-aminothiazoles (see Section III.3.C and Ref. 505). When refluxed with secondary amines these heterocyclic dithiocarbamates yield 1,1'-dialkyl-3-(2-thiazolyl)thioureas (**261**) (491).

D. *Thiazolyl Guanidines* (X = NH; R = NHR)

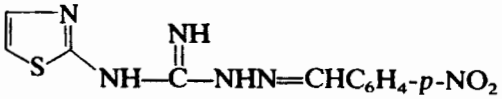
N-(2-Thiazolyl)cyanamide may be converted into guanidino derivatives by the action of hydrazines (487). *N*-Aryl-*N'*-(4-aryl-2-thiazolyl)guanidines

(**262**) are prepared by desulfuration of *N*-aryl-*N'*-(4-aryl-2-thiazolyl)-thioureas with yellow PbO_2 in the presence of either ammonia or methylamine (497).

The guanidine (**272**) is very reactive in condensation reactions (Scheme 166) (487).

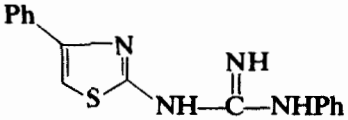


272



Scheme 166

N-Phenyl-*N'*-(4-phenyl-2-thiazolyl)guanidine (**273**) (Scheme 167) forms 2:1 complexes with Cu(II) and Hg(II) and 1:1 complexes with W(IV) and Mo(VI) (506).

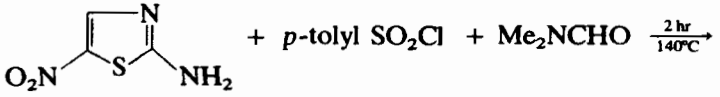


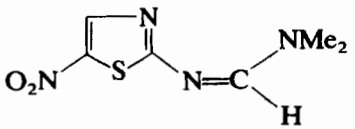
273

Scheme 167

2. Schiff Bases Derived from Aminothiazoles

2-Amino-5-nitrothiazole, on treatment with arenesulfonyl halides and dimethylformamide at 140°C, gives (5-nitro-2-thiazolyl)amidine (**274**) (Scheme 168) (507, 508). The condensation products of the reaction of 2-aminothiazole derivatives with various aldehydes are grouped in Tables



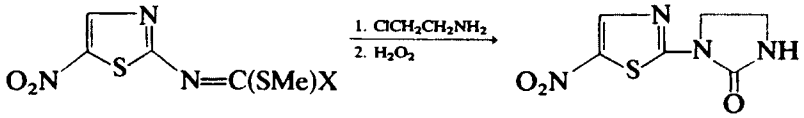


274

Scheme 168

56 and 57 (Section VII). These amidines are conveniently characterized as their picrates by thin-layer chromatography (509).

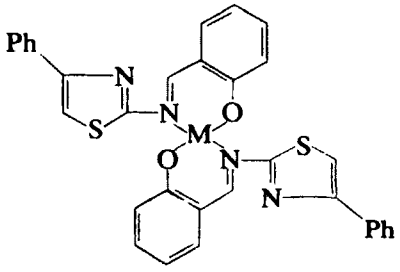
Acidic hydrolysis of these compounds regenerates the initial 2-aminothiazole (510). The reduction of 2-thiazolylamidines provides a good synthetic route to secondary 2-aminothiazoles (see Section I.1.E). They can be used as starting materials to obtain biheterocyclic products such as 1-(5-nitro-2-thiazolyl)-2-thioxoimidazolidine (**275**) (Scheme 169) (511).



275

Scheme 169

Co(II), Ni(II), Cu(II), and Zn(II) complexes of Schiff bases derived from 4-aryl-2-aminothiazoles and salicylaldehyde have been prepared, and structure **276** (Scheme 170) was established by magnetic susceptibility measurements and by infrared, electronic, and mass spectra (512).



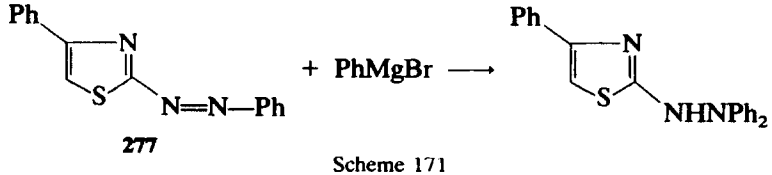
M = Ni, Co, Cu, Zn

276

Scheme 170

3. 2-Hydrazinothiazoles

This set of compounds is the most thoroughly studied among derivatives of 2-aminothiazole, thanks to the Beyer group. Heterocyclization methods are most commonly used for their preparation (see Chapter II and Refs. 37, 341, and 513–520). Reaction of 2-diazothiazoles (**277**) with organometallics provides another synthetic method (Scheme 171) (521). 2-Hydrazinothiazoles may also be obtained by the action of hydrazine on 2-bromothiazoles (388) or on 2-mercaptothiazoles (522).

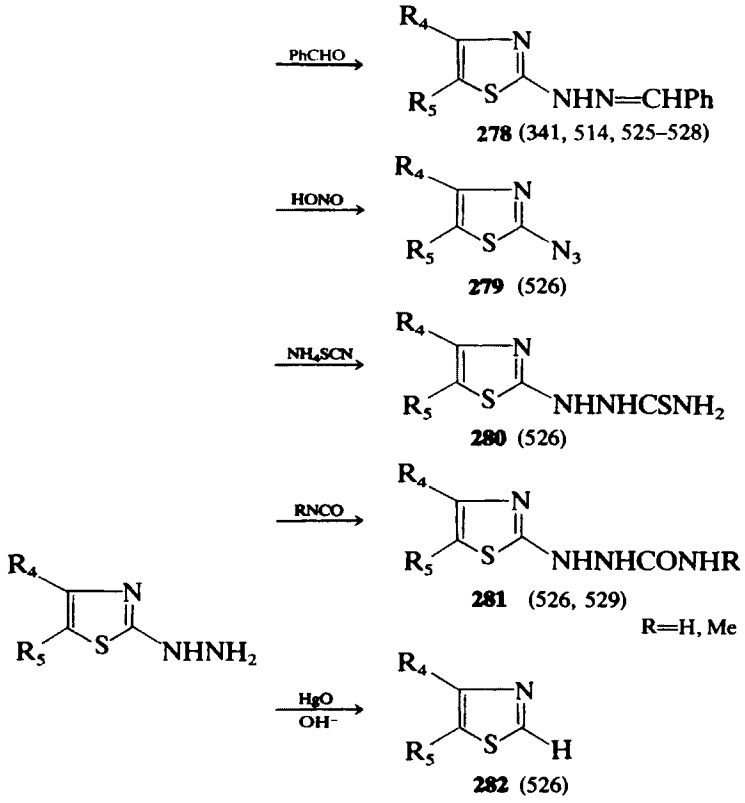


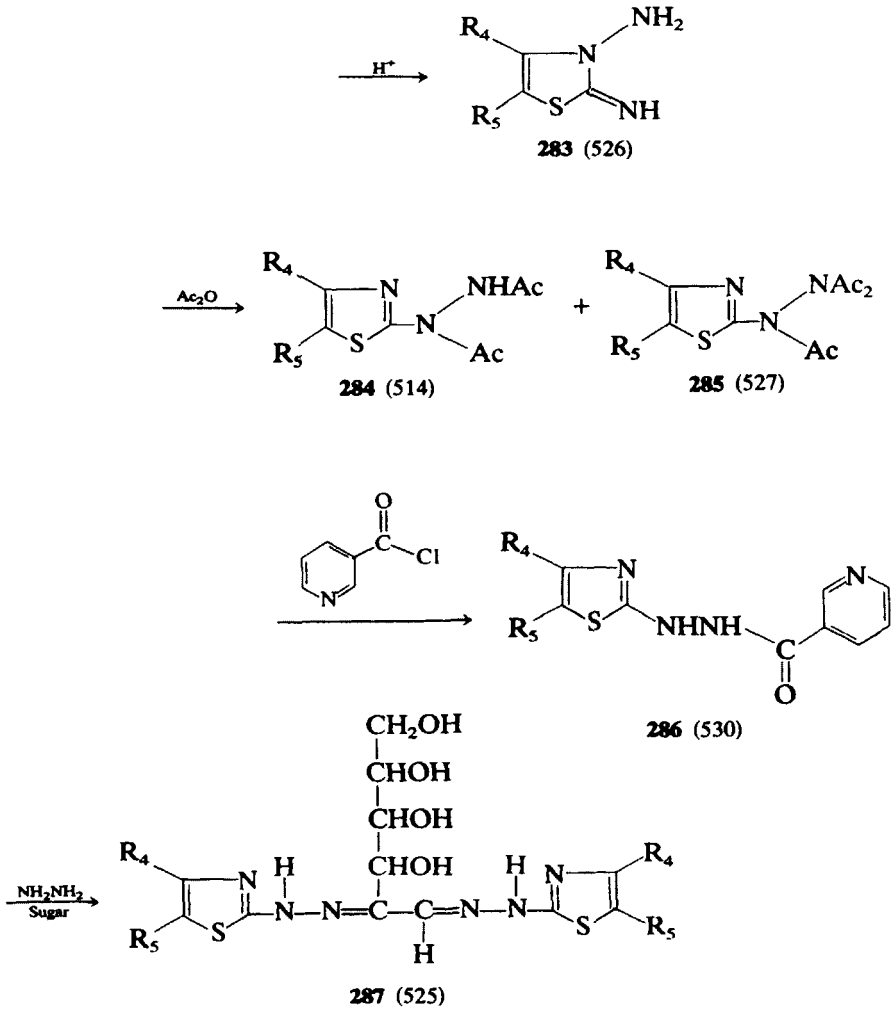
277

Scheme 171

Experimental evidence (523, 524) agrees with the trend suggested by HMO treatment (see the introduction to Part 2 of this volume), which predicts the preference of the amino structure.

The principal reactions of this class of compounds are summarized in Scheme 172. In most of these reactions the reactive nucleophilic center is the terminal NH_2 group, although the other exocyclic nitrogen may also be involved, as shown by acetylation, which yields **284** and **285**. However, the structure of compound **281** is not the one proposed in a recent report (1582) that attributes the attack to the other exocyclic nitrogen. The formation of osazones (**287**) from sugars, 2-hydrazinothiazoles, and hydrazine has been reported (525, 531).

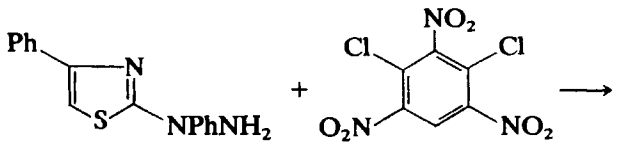




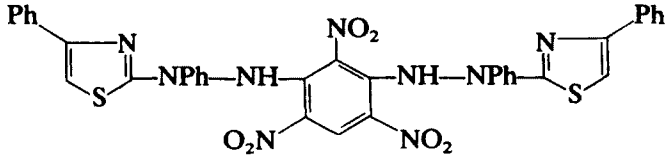
Scheme 172

The terminal amino group of 2-hydrazino-4-phenylthiazole is also the reactive center in reactions with activated aryl halides such as **288**. A solution of the product (**289**) obtained from this reaction when shaken with PbO_2 gives a deeply colored radical, whose structure has been studied by ESR (Scheme 173) (532, 533).

Thiazolotriazepines (**290**) are prepared by reaction in cold pyridine of the product resulting from nucleophilic attack of 2-hydrazinothiazole on



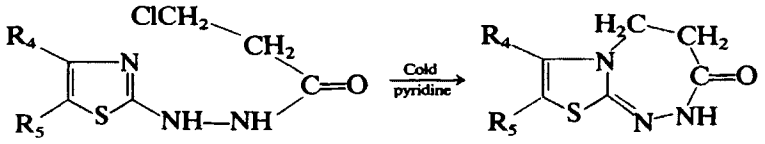
288



289

Scheme 173

β-chloropropionyl chloride (Scheme 174) (534). The thiazolo[2,3-*c*]-[1,2,4]triazepine ring is similarly synthesized by condensation of 2-hydrazinothiazole with 1,3-diketones or β-ketoesters (535).

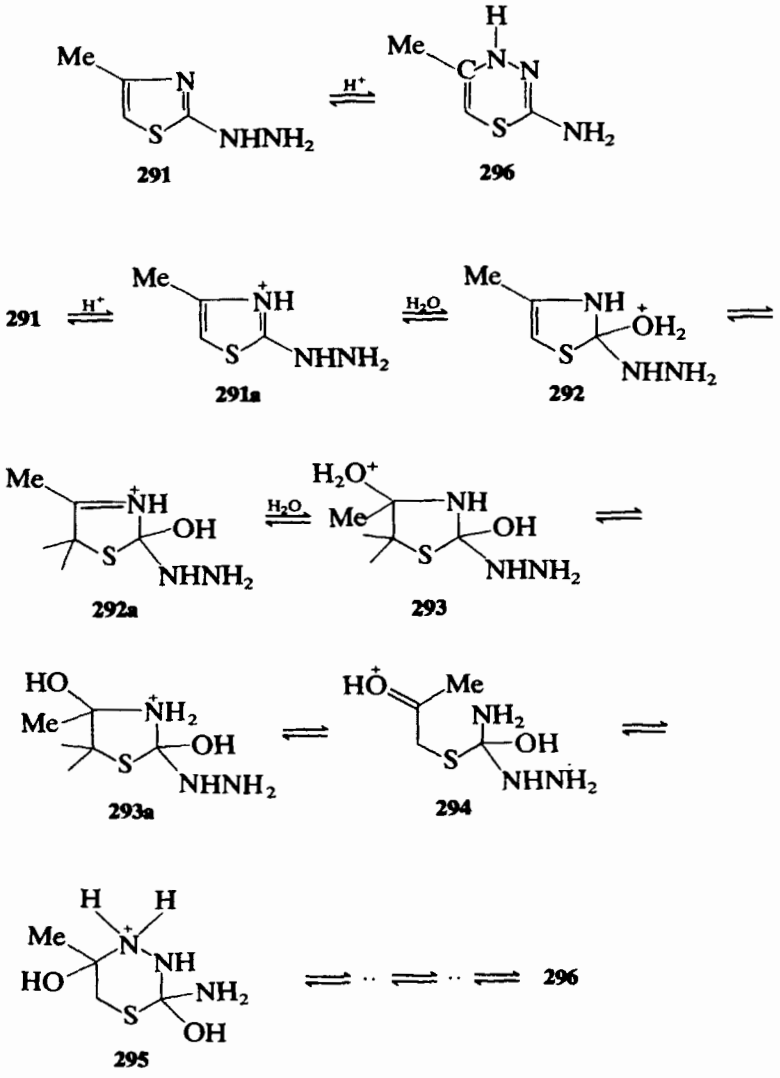


290

Scheme 174

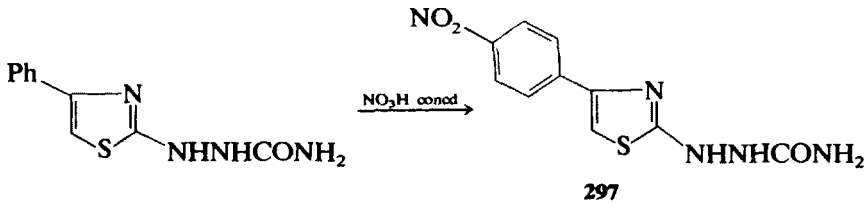
Rearrangement of 2-hydrazino-4-methylthiazole (**291**) to 2-amino-5-methyl-1,3,4-thiadiazine (**296**) in acidic medium has been reported (514, 531). We suggest that this reaction occurs by way of the retro-Hantzsch mechanism (Scheme 175). In this mechanism, a succession of reversible steps leads to the open-chain product (**294**), which can either revert to the starting product (**291**) or react further to give the thiadiazine (**296**). The whole reaction is expected to be reversible through this mechanism. The reaction would proceed from thiadiazine to 2-hydrazinothiazole if the 4-nitrogen atom and the 5-carbon atom of the thiadiazine were both substituted with bulkyl alkyl groups (343, 537).

Oxidation of 2-hydrazinothiazoles with $FeCl_3$ gives 2-azothiazoles in good yields (515, 521, 538–540). This oxidation may also be performed with dilute nitric acid (523, 541). However, the reaction of concentrated



Scheme 175

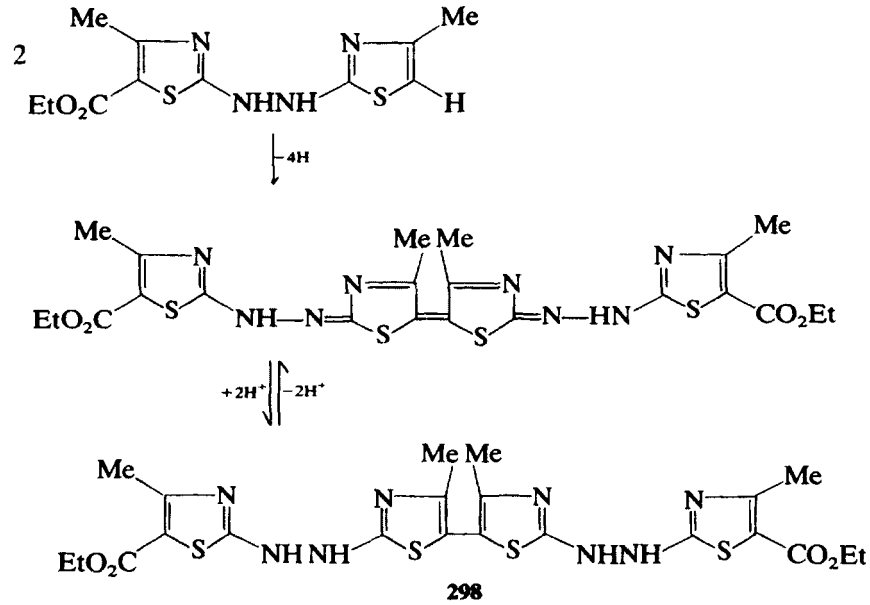
nitric acid with (4-phenyl-2-thiazolyl)semicarbazide gives product **297** nitrated on the phenyl ring (Scheme 176) (542). Bromination of 2-hydrazino-4-chloromethylthiazole takes place on C-5 (543). A colorful oxidation reaction is observed when two 2-hydrazino derivatives of thiazole react together to form "thiazole blue" (**298**) (Scheme 177) (544, 545).



297

Scheme 176

The benzidine-like rearrangement of 2-hydrazinothiazoles has been observed by the Beyer group (523, 546). This rearrangement may be very fast (539), but does not occur with 2,2'-hydrazothiazole (**300**), where the

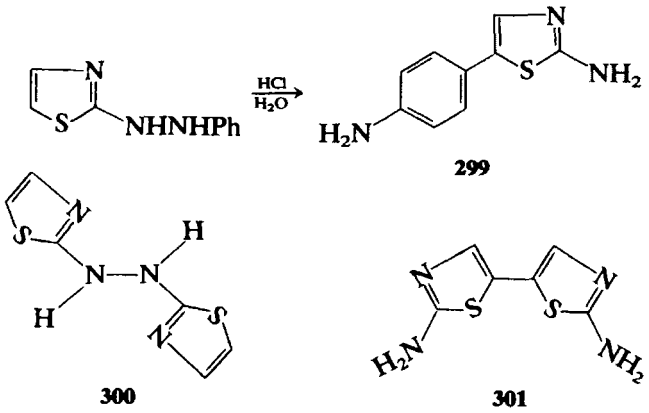


298

Scheme 177

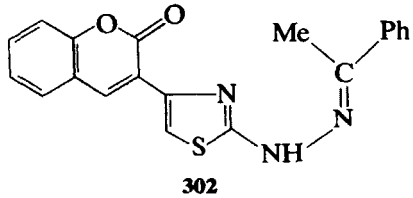
hydrazo bridge is stabilized (546); the expected product (**301**) of the benzidine-like rearrangement of **300** nevertheless may be obtained using phthalic anhydride as protecting group (Scheme 178) (546).

2-Hydrazinothiazoles form stable complexes with Mn^{2+}, Ni^{2+}, Cd^{2+}, Cu^{2+}, Co^{2+}, Fe^{2+}, and Fe^{3+}; this property was used to detect small amounts of these salts in mixtures by paper chromatography (547, 548). Some infrared features of the complexes formed with the silver salts and with the mercuric salts have been reported (1583).



Scheme 178

2-Alkylidenehydrazinothiazoles (**297**) can be prepared either from 2-hydrazinothiazoles (549) or by direct heterocyclization (527). Their characteristic infrared bands have been reported (550). The main mass spectrometric peaks of (4-coumarinyl-2-thiazolyl)hydrazone (**302**) (Scheme 179) (134, 551) are situated at $m/e = 361$, 244, 243, 118, 216, 202, 174, 117; the proposed interpretation of the fragmentation pattern should, however, be reconsidered. Scheme 180 summarizes some representative reactions of this class of compounds.



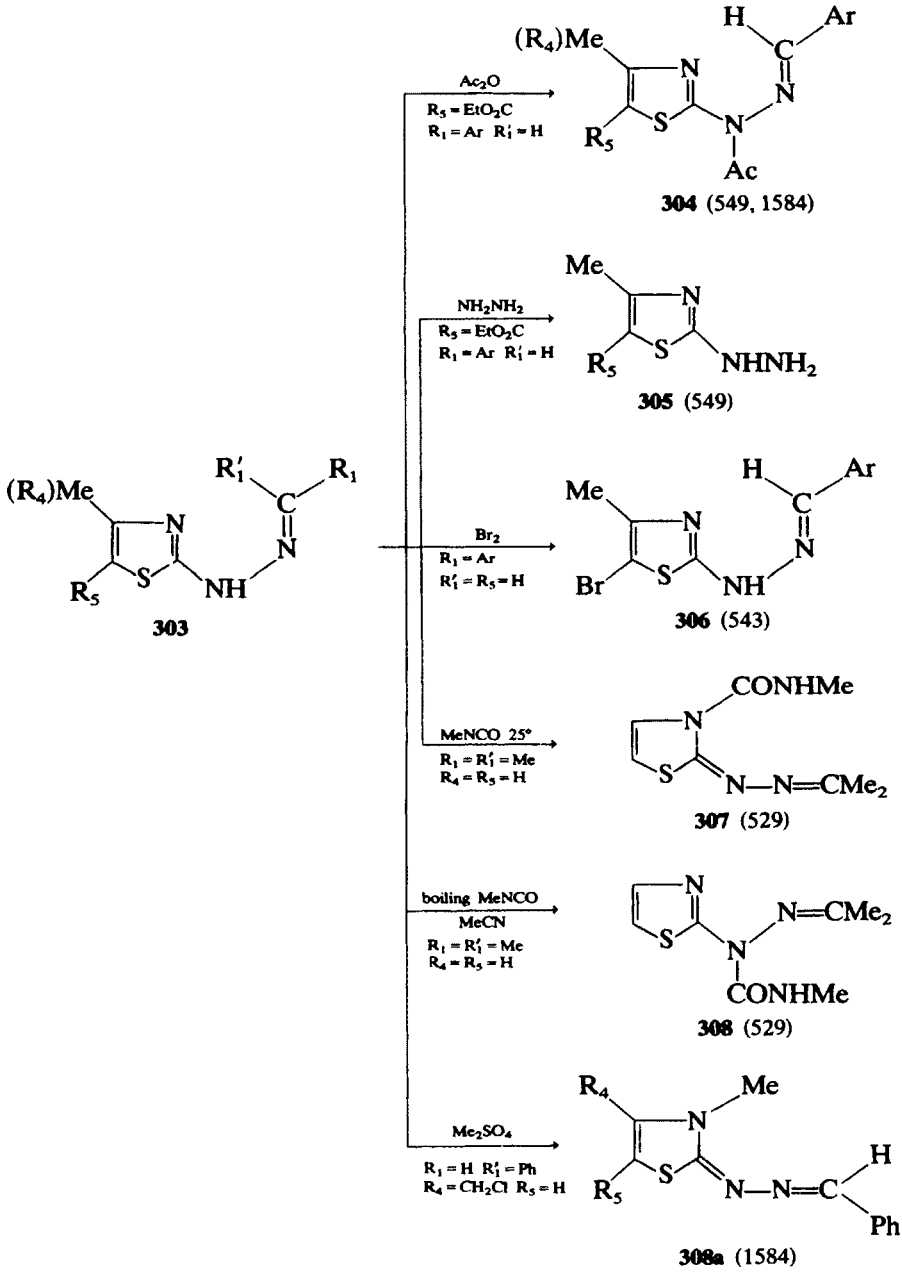
Scheme 179

4. 2- and 5-Azothiazoles

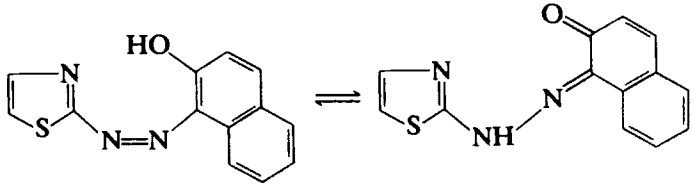
2- and 5-Azothiazoles are obtained either through diazo coupling (see p. 76) or through oxidation of 2-hydrazinothiazoles (344, 515, 523, 538, 539, 541).

Highly colored, they have been used to dye cellulose acetate (552) and acrylic fibers (553). Cationic dyes prepared from 2-azothiazoles by simple alkylation on the ring nitrogen (552) have been used increasingly with the introduction of polyacrylonitrile fibers with basic sites that can be colored with such dyes (554).

The H_4 and H_5 protons are more deshielded in 2-azothiazoles (C_4–H,


(R4)Me
R1'
R1
N
C
N
S
R5
NH
303
Ac2O
R5 = EtO2C
R1 = Ar R1' = H
304 (549, 1584)
NH2NH2
R5 = EtO2C
R1 = Ar R1' = H
Me
NHNH2
305 (549)
Br2
R1 = Ar
R1' = R5 = H
Br
306 (543)
MeNCO 25°
R1 = R1' = Me
R4 = R5 = H
CONHMe
N—N=CMe2
307 (529)
boiling MeNCO
MeCN
R1 = R1' = Me
R4 = R5 = H
N=CMe2
308 (529)
Me2SO4
R1 = H R1' = Ph
R4 = CH2Cl R5 = H
R4
Me
H
Ph
308a (1584)

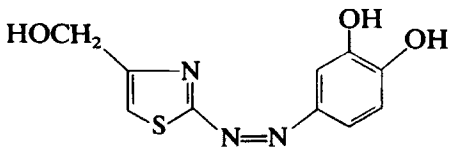
Scheme 180



309 309a

Scheme 181

7.32 ppm; C_5–H, 7.95 ppm) (555) than in 2-aminothiazoles (C_4–H, 6.97 ppm; C_5–H, 6.53 ppm; Table VI-5). The infrared spectra of these azothiazole derivatives are discussed in Ref. 550. In 1-(2-thiazolylazo)-2-naphthol (**309**) the equilibrium between azohydrazone (**309**) and hydrazone (**309a**) (Scheme 181) is suggested by infrared studies (556) and demonstrated in the solid state by X-ray determinations (557, 558, 1587, 1588). 4-(4-Hydroxymethyl-2-thiazolylazo)-pyrocatechol (**310**) has a pK_a of 6.9 (Scheme 182) (559, 560). The pK_as of some 2-azothiazoles have

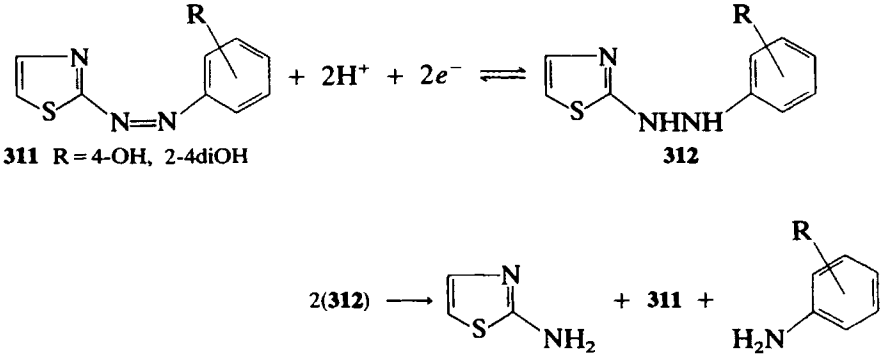


310

Scheme 182

been compared to those of other azaheterocycles (561). They are also important for analytical applications of azo compounds (1585). The paper-electrophoretic behavior of thiazolyl-azo derivatives was examined in order to optimize methods for their analysis (562).

The analytical use of 2-azothiazoles has given rise to a large number of polarographic, spectroscopic, and complexometric reports (see Section VI.3). Studies have shown that their polarographic behavior is different from that of their benzene or naphthalene counterparts (563, 1586). First, thiazolyl azo dyes are less prone to undergo disproportionation because of the electron-attracting properties of the thiazolyl group (Scheme 183). Second, their disproportionation is base catalyzed. The most commonly used analytical reagents bearing the 2-thiazolylazo substituent are: 1-(2-thiazolylazo)-2-hydroxy-3-naphthoic acid (**313**); 1-(2-thiazolylazo)-2-naphthol (**314**); 3-(2-thiazolylazo)-*p*-cresol (**315**); 1-(2-thiazolylazo)-3,6-disulfo-2-naphthol (**316**); 4-(4,5-dimethyl-2-thiazolylazo)-2-methyl resorcinol (**317**), 4-(2-thiazolylazo)-thymol (**318**); 1-(4-methyl-2-thiazolylazo)-2-hydroxy-5-methoxybenzene (**319**); and 1-(2-thiazolylazo)-2-hydroxy-4-dimethylaminobenzene (**320**) (Scheme 184). In the presence of various



311 R = 4-OH, 2-4diOH

312

Scheme 183

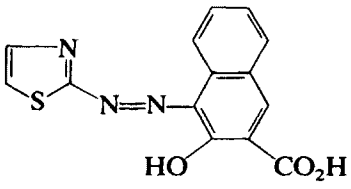
metal ions these azo derivatives exhibit two polarographic reduction waves, the first being due to the free dye and the second to the metal-dye complex (563). This property was used to determine these metal ions (see Section VI.3). Kurahashi has determined the crystal structure of the main H–TAN and TAN complexes (569, 1589). The $Co(TAN)_2$ (567, 1589), $Ni(TAN)_2$ (581), and $Fe(TAN)_2$ (582, 1590) complexes present a metal atom surrounded octahedrally by two ligand anions in the mer configuration. H–TAN is a tridentate ligand as shown by the structure of the PdClH–TAN complex (**321**) (Scheme 185); the phenolic oxygen atom, azo nitrogen atom, and thiazole nitrogen atom are coordinated to the palladium atom to form two five-membered chelate rings, while the chlorine atom occupies the fourth coordination position (583). Uranyl ions (UO_2^{2+}) react with thiazolylazoamino cresol (**315**) at pH 5 to 8 to form 1:1 complexes used for the photometric determination of UO_2^+ (584).

Mercuric halides, silver nitrate, and copper nitrates form stable complexes with bis-2,2′-thiazolylazo compounds (1591), for which the X-ray structure is not yet known.

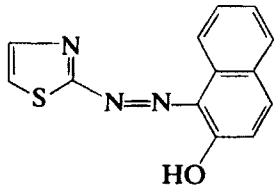
The 2-azo derivative (**322**), when heated with butyl glycidyl ether in acetic acid, reacts through the ring nitrogen (Scheme 186) (585).

(4-Phenyl-2-thiazolylazo)benzene **277** reacts with Grignard reagents to yield the corresponding 2-hydrazinothiazole (521).

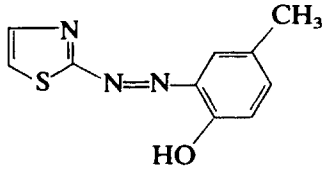
The preparation of 5-azothiazoles uses the nucleophilic character of C-5 carbon in reaction with the appropriate diazonium salt (402, 586). These 5-azothiazoles form 1:1 complexes with Ag (587). 2-Amino-4-methyl-5-arylazothiazoles give reduction waves involving two-electron transfer; the $E_{1/2}$ values correlate to the angle between the thiazole and phenyl rings (588).



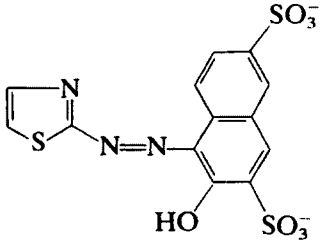
313 TAHN



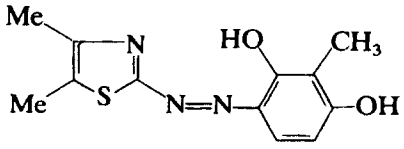
314 H-TAN or TAN



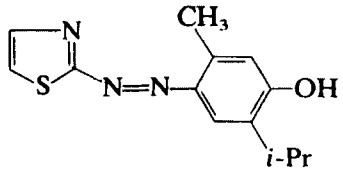
315 TAC



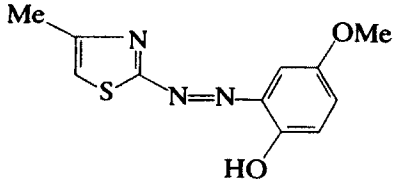
316 TAN 3,6-S



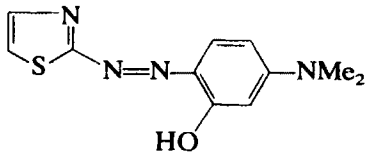
317 DTAMR



318 TAT



319

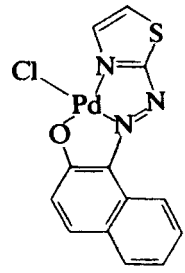


320 TAM

Scheme 184

5. 2-Thiazolyldiazonium Salts

2-Diazonium salts of thiazoles are among the most widely used intermediates in thiazole chemistry. They are prepared by diazotization of

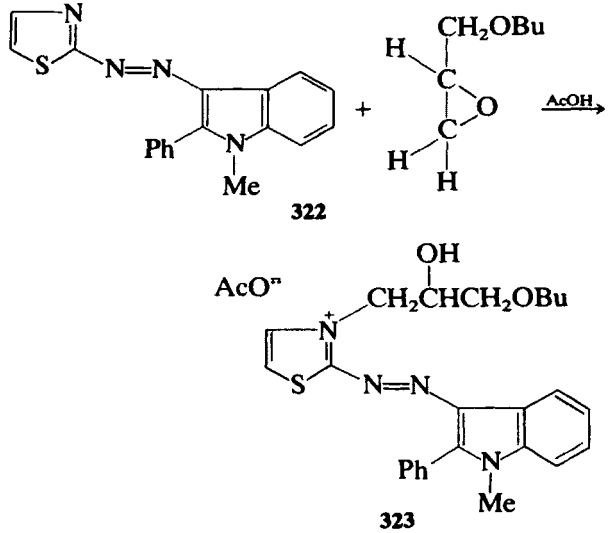


321

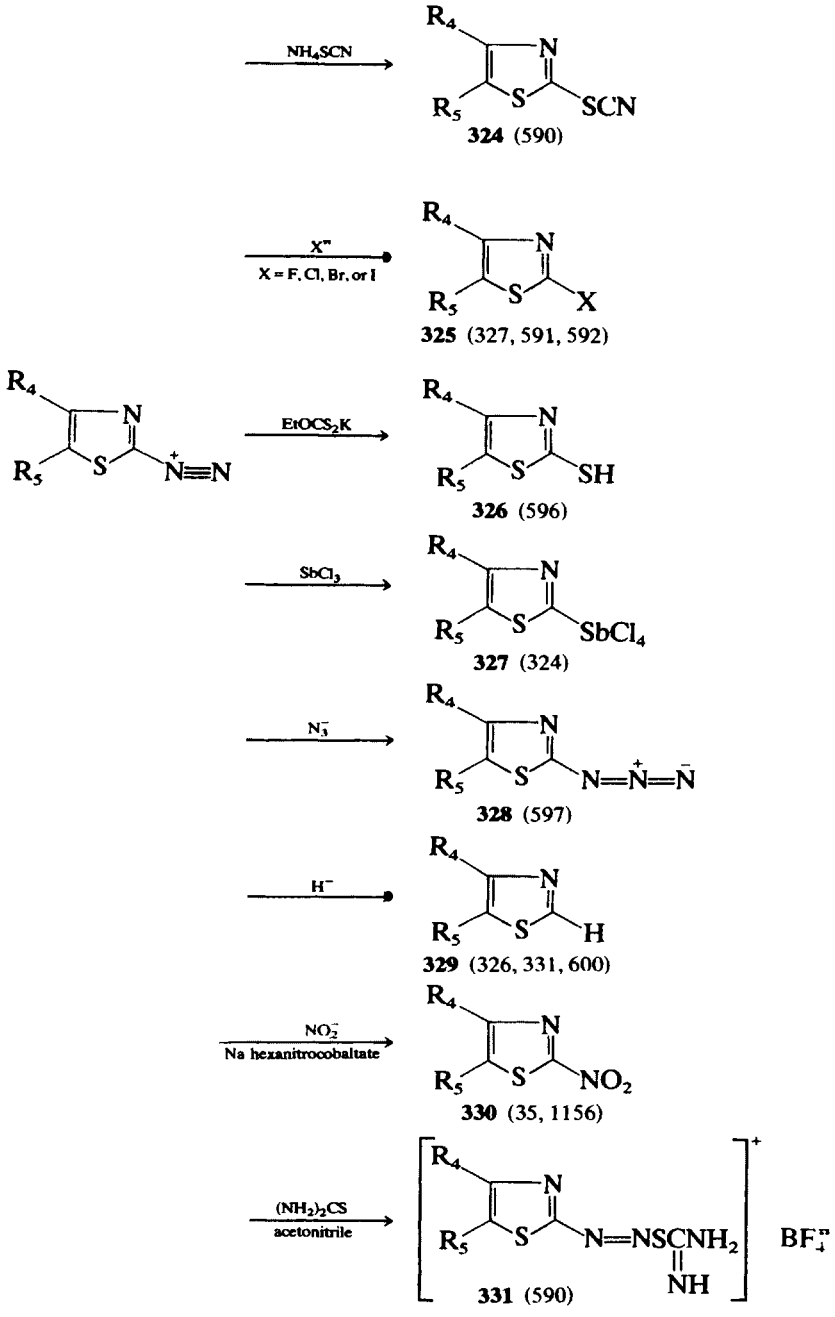
Scheme 185

2-aminothiazoles in acidic medium (322–326, 329, 330, 589) (see Section III.4.A). Their reactivity involves three main processes: ionic scission of the C_2–$\overset{+}{N}\equiv N$ bond, diazo coupling, and production of 2-thiazolyl radicals. The latter are treated in Chapter III, Section IX.1, and are not discussed here.

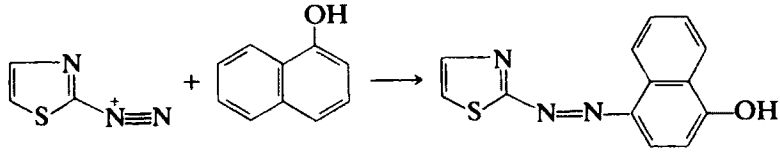
Substitution at C-2 may either occur through a cationic species or by direct displacement of the excellent leaving group $\overset{+}{N}\equiv N$. Synthetic applications of this reaction are summarized in Scheme 187. The reduction of 2-amino-5-alkylthiazoles via diazonium salts may offer an original synthetic pathway to 2-unsubstituted 5-alkylthiazoles, particularly since 2-aminothiazoles are easily alkylated by alcohols (see Sections III.1.C and



Scheme 186


NH4SCN
SCN
324 (590)
X⁻
X = F, Cl, Br, or I
X
325 (327, 591, 592)
EtOCS2K
SH
326 (596)
SbCl3
SbCl4
327 (324)
N3⁻
328 (597)
H⁻
H
329 (326, 331, 600)
NO2⁻
Na hexanitrocobaltate
NO2
330 (35, 1156)
(NH2)2CS
acetonitrile
N=NSCNH2
NH
BF4⁻
331 (590)

Scheme 187



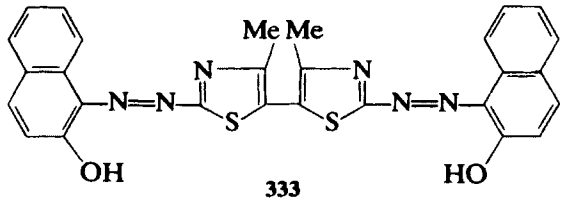
332

Scheme 188

III.2.C). The deamination of 2-aminothiazoles could be performed alternatively by refluxing them with amyl nitrite in tetrahydrofuran (604).

Diazo coupling involves the N exocyclic atom of the diazonium salt, which acts as an electrophilic center. The diazonium salts of thiazoles couple with α-naphthol (605), 2-nitroresorcinol (606), pyrocatechol (607–609), 2,6-dihydroxy-4-methyl-5-cyanopyridine (610), and other heteroaromatic compounds (404, 611) (Scheme 188). The rates of coupling between 2-diazothiazolium salts and 2-naphthol-3,6-disulfonic acid were measured spectrophotometrically and found to be slower than that of 2-diazopyridinium salts but faster than that of benzene diazonium salts (561). The bis-diazonium salt of bis(2-amino-4-methylthiazole) couples with β-naphthol to give **333** (Scheme 189) (612). The products obtained from the diazo coupling are usually highly colored (234, 338, 339, 613–616).

Attempts to obtain thiazolynes by treating 5-aminothiazoles with isoamyl nitrite in ethylene chloride were unsuccessful (334).



333

Scheme 189

6. 2-Nitraminothiazoles

These compounds are isolated when the nitration of 2-aminothiazoles is carried out under mild conditions (see p. 73).

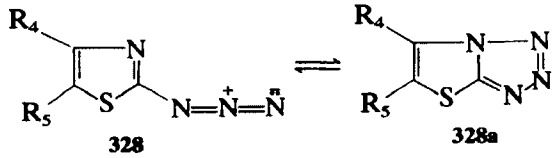
The nitro group increases the acidity of the hydrogen born by the exocyclic nitrogen, and alkylation of 2-nitraminothiazole with diazomethane is possible (87). The formed 2-(*N*-methylnitramino)-thiazole also may be obtained from the reaction of 2-nitraminothiazole with dimethylsulfate in basic medium (194).

2-Nitraminothiazole, treated for 12 hr with 96% sulfuric acid, gives 2-amino-5-nitrothiazole (194). The mechanism of this rearrangement is not yet quite resolved even for nitraminobenzene derivatives (617). The series of kinetic determinations and appropriate labeling performed by Toth et al. provide, however, precious hints for this difficult problem (1578, 1579).

7. 2-Azidothiazoles

Not many examples of this class of compounds have been reported. They are prepared by the action of sodium azide on 2-diazonium salts of 2-aminothiazole (590, 597, 598).

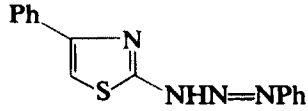
An interesting ring-chain tautomerism between 2-azidothiazole (**328**) and thiazolotetrazole (**328a**) has been reported (597, 618, 619), the **328** structure predominating (Scheme 190). The solvent polarity and basicity influences this equilibrium constant significantly (1592).



328 **328a**

Scheme 190

6-Phenylthiazolo[2,3-*e*]tetrazole treated with equimolecular amounts of phenyl magnesium bromide gives phenyl(4-phenyl-2-thiazolyl)triazene (**334**) (Scheme 191) (620).



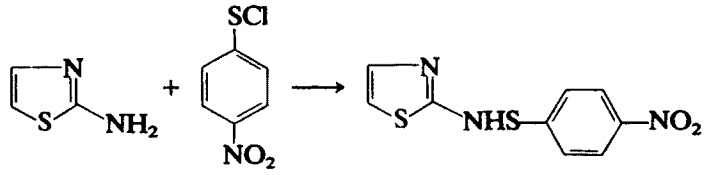
334

Scheme 191

Sulfonation by oleum occurs as expected on C-5 (598). The same position is reactive toward bromination, thiocyanation, and nitration (619).

8. Sulfenylthiazoles

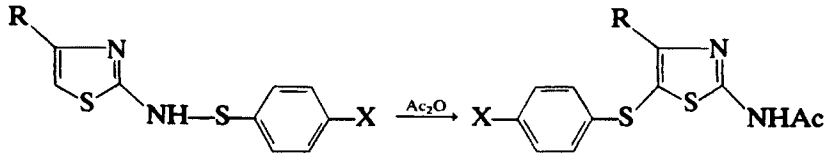
The exocyclic nitrogen of 2-aminothiazole reacts with arylsulfenyl chlorides (32, 456, 457, 621–624) to yield 2-sulfenamidothiazoles (**335**) (Scheme 192).



335

Scheme 192

2-Sulfenamidothiazoles heated in acetic anhydride rearrange to 2-acetamido-5-thiophenoxythiazoles (**337**) (Scheme 193) (32, 456, 457). Only decomposition products are found when these conditions are applied to **336** with X = Cl or methyl. Substitution in the 4-position of the thiazole ring (R = methyl, phenyl), however, favors the rearrangement (see p. 82).

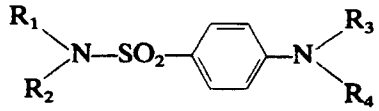


336 337

Scheme 193

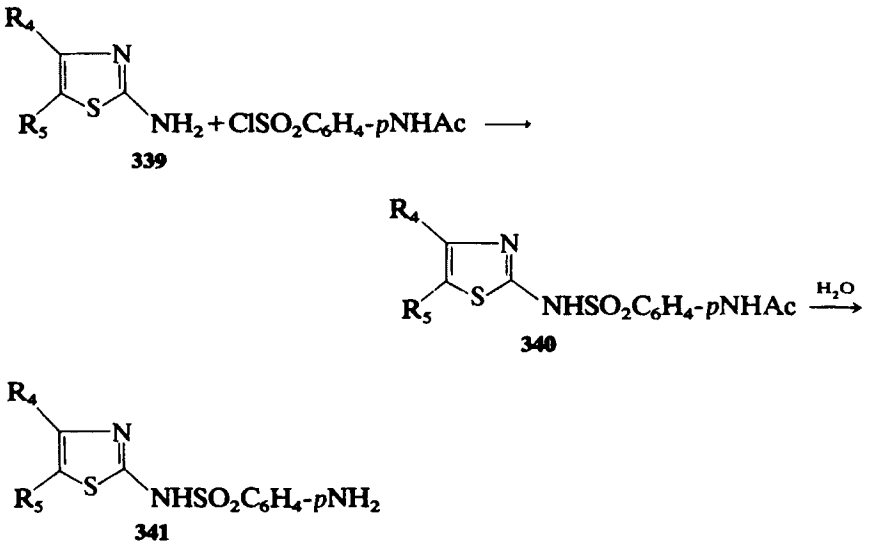
9. Sulfonamidothiazoles

The great amount of synthetic work performed on this class of compounds (see Tables VI78–95) dates from just before the World War II, when the wide biological activity of sulfonamides (**338**) was discovered (625, 626). The sulfathiazoles are the class of sulfonamide (**338**) when R_1 or R_2 is a thiazolyl group (Scheme 194). Most of the reports related to them were published from 1940 to 1960. In most cases the thiazolyl group is a 2-thiazolyl group, though some 5-thiazolyl substituted sulfonamides have been reported (57, 58, 62, 393, 627–630; Table VI-92). The general formula may also be modified by replacing the arylsulfonyl group by an alkyl group (360, 394, 398).



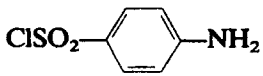
338

Scheme 194



Scheme 195

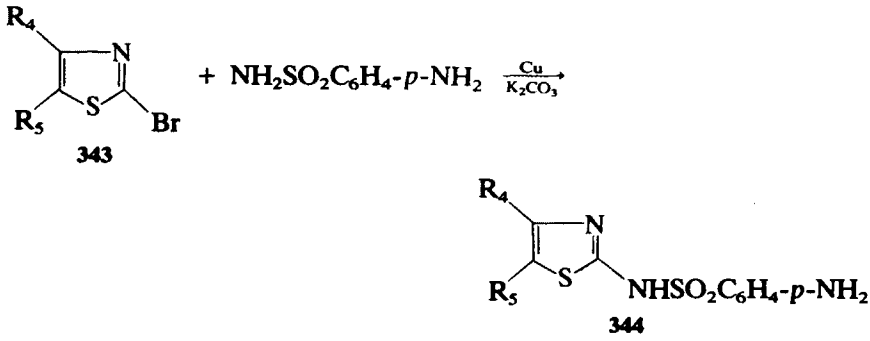
These compounds may be obtained by the Hantszch heterocyclization method (see Chapter II, Section II.3). A widely used two-step preparative method (Scheme 195) involves initial reaction of a 2-aminothiazole with **339** in pyridine (631–638) in aqueous sodium carbonate (639) or by fusion without solvent (640). The formed **340** is then hydrolyzed in acidic (641, 642, 1593) or alkaline medium (643–646). The direct reaction of **342** (Scheme 196) with 2-aminothiazoles is less common and takes place in



342

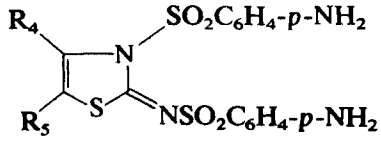
Scheme 196

aqueous $NaHCO_3$ (355, 647) or Na_2CO_3 (648). Aryl sulfonyl fluorides may be used in place of the chloride (362). In the Ullman (649) synthesis the starting compounds are the 2-bromothiazoles (**343**) (Scheme 197) (629, 650, 651). Since 2-bromothiazoles are obtained from 2-aminothiazoles, the Ullman synthesis is of little synthetic interest. Sulfonylation may yield disulfonyl compounds (**345**) (Scheme 198) that give the monosulfonyl derivatives (**344**) with ammonia (652) or by alkaline or acidic hydrolysis (355, 653). The latter preparative method involves the oxidation of nitrosulfonamides (**346**) or nitrosulfonamides (**347**) followed by reduction of the nitro group (Scheme 199). This reduction may be



Scheme 197

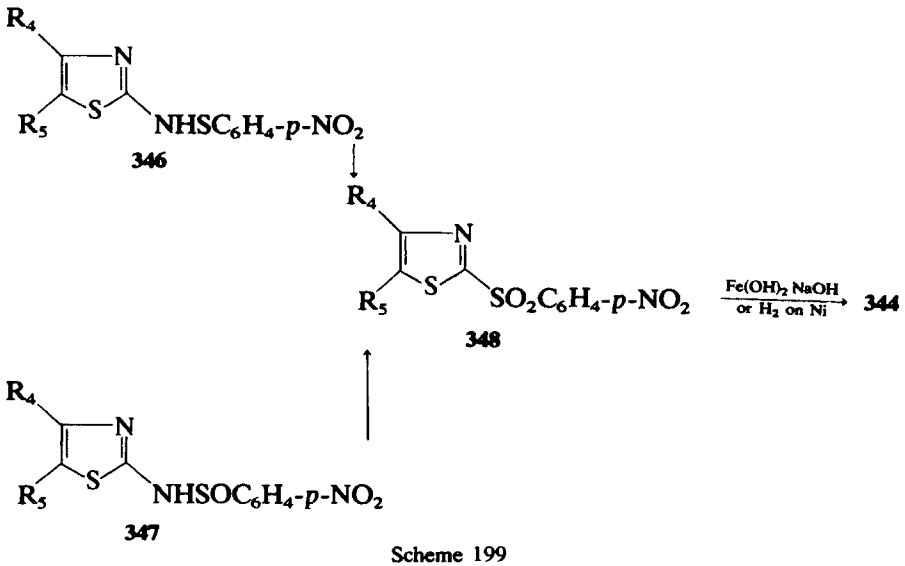
carried out with $Fe(OH)_2$ in alkaline medium (621, 654), with Fe in hydrochloric acid (654), by hydrogenation with Ni catalyst (655), or with Sn in hydrochloric acid (654).



Scheme 198

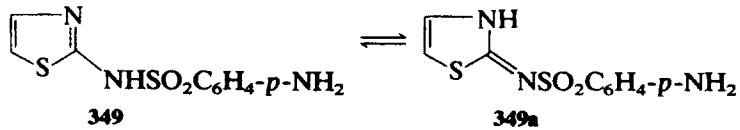
Differential thermal analysis carried out on sulfathiazole demonstrated the polymorphism of its crystals (656). The Khuner group identified its origin (484): the infrared absorption spectra of enantiotropic crystal modifications of sulfathiazole suggest that amide-imide tautomerization causes the polymorphism. The modification stable at room temperature has an amide structure, while the high-temperature form is ascribed to the imide form (657–659). Ultraviolet absorption spectra indicate that, in solution sulfathiazoles (**340**) contain a significant amount of the imino-thiazoline form (**349a**) (Scheme 200) (70, 85, 86). Quantitative determination of sulfathiazoles has been performed by colorimetry (660), potentiometry (661), infrared spectrophotometry (662), paper chromatography (663), thin-layer chromatography (364, 664), oscillopolarography (665), nitrometry (666), and ultraviolet spectrophotometry (667, 668).

The reactivity of sulfathiazoles has been reviewed (65). Methylation in alkaline solution with dimethyl sulfate gives only the ring methylated derivative (85). Mixtures of products are obtained with diazomethane as alkylating agent (see p. 37). Other alkyl halides in aqueous alkali lead also to ring-alkylated products (85, 251, 650, 669–671).



Scheme 199

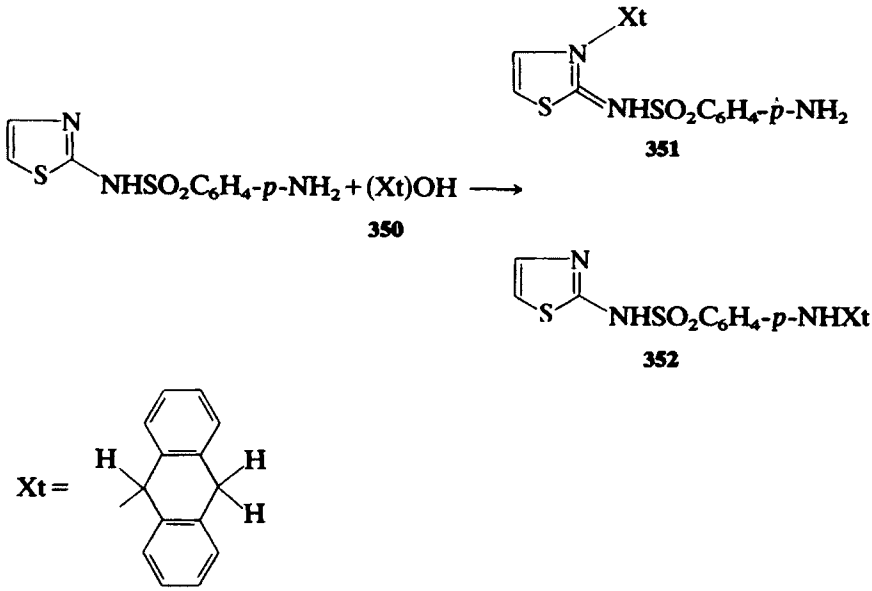
The amino group borne by the phenyl group is involved in some reactions: the xanthyl cation generated by xanthydrol (**350**) reacts with sulfathiazole to give a mixture of **351** and **352** (Scheme 201) (672). Similarly, cyclic anhydrides (673, 674), isothiocyanates (675), and glyoxylic acid react with the terminal amino group of sulfathiazole. Diazotization occurs also on this group (676, 677), and direct condensation of sulfathiazole with D-glucose yields the *N*-D-glucosyl sulfathiazole (678). Two moles of sulfathiazole react on 3 moles of formaldehyde yielding a compound, $C_{21}H_{23}N_6O_6S_4$, to which the name formocibazol (679) has been attributed but whose exact structure is unclear.



Scheme 200

While the S–N bond of the monoarylsulfonamides must be cleaved by protonating agents, the diaryl compounds are readily cleaved with alkaline agents, removing one or both $ArSO_2$ depending on the leaving-group ability of the $ArSO_2$ group. The S–N (ring) bond is more sensitive to nucleophilic reagents than the S–N (exocyclic) bond (680).

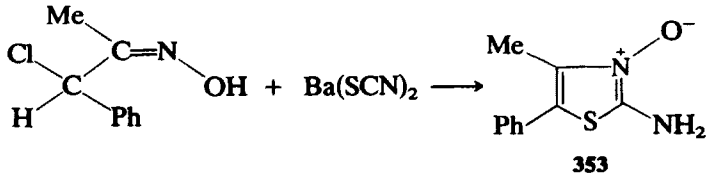
Action of magnesium sulfate on the sodium salt of sulfathiazole gives its magnesium salt (681).



Scheme 201

10. 2-Aminothiazole *N*-Oxides

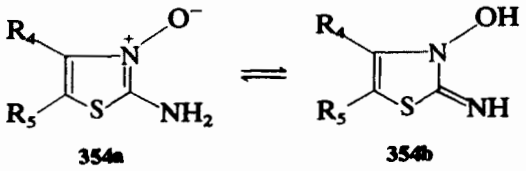
2-Amino-4-methyl-5-phenylthiazole-3-oxide (**353**) was synthesized from the α-chlorooxime and $Ba(SCN)_2$ (Scheme 202) (682). This class of compounds is usually prepared by such heterocyclizations (683).



Scheme 202

The mass-spectrometric fragmentation of 2-aminothiazole-3-oxides is characterized by the abstraction of O and OH out of the molecule ion. Variations observed in the mass spectra suggest an equilibrium between tautomers **354a** and **354b** in the gas phase (Scheme 203).

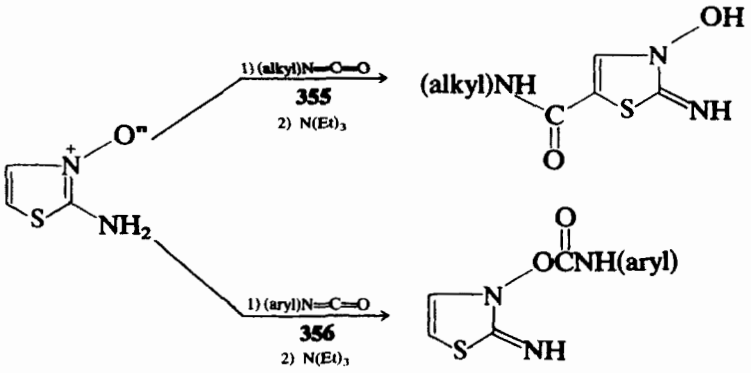
2-Aminothiazole-3-oxides in neutral medium react differently on alkyl isocyanates (**355**) and arylisocyanates (**356**) (Scheme 204) (684). The interpretation of this difference rests on the concepts of charge control versus frontier control reactivity (1594; see also p. 63). Esters of



354a 354b

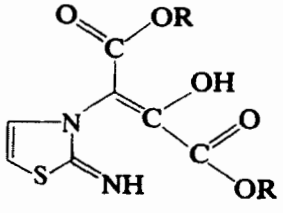
Scheme 203

acetylenedicarboxylic acid react with 2-aminothiazole-3-oxides to give fluorescent thiazolo[3,2-*a*]pyrimidones (1595). Intermediate **357** (Scheme



Scheme 204

205) has been isolated in this reaction. The chloride salt of 2-aminothiazole-3-oxides reacts under mild conditions with these isocyanates to yield 4-oxodiazetidino-[2,3-*b*]-thiazole (**358**) (Scheme 206) (684).

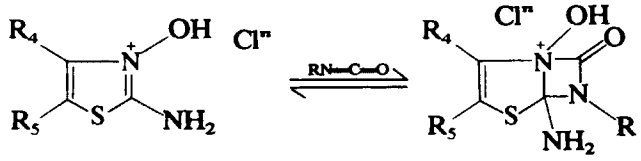


357

Scheme 205

11. Complexes of 2-Aminothiazoles with Metals

The formation of complexes of 2-aminothiazole and derivatives with first-row transition elements has been recently reported (363, 364, 685).



358

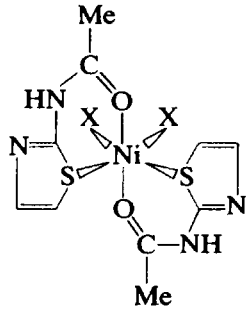
$R_4 = CH_3$; $R_5 = H$ or Ar

Scheme 206

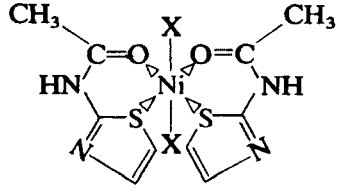
They are prepared by the addition of an alcoholic solution of thiazole to the metal salt in the same solvent.

The magnetic moments of 2-aminothiazole complexes with Ni(II) and Cu(II) correspond approximately to octahedral and pseudooctahedral stereochemistry, respectively (364). Those of 2-amino-4-substituted thiazoles with Ni(II) and Cu(II) correspond to distorted tetrahedral and distorted octahedral structures, respectively (364). In all these complexes, 2-aminothiazoles are reported to be bonded through the exocyclic nitrogen (686, 687). Similarly, infrared spectra of MX_4L_2 complexes (M = Sn(IV), Ti(IV); X = Cl, Br, I; L = 2-aminothiazole) suggest the exocyclic nitrogen as the donor site (689). The same authors, studying the 2-acetylaminothiazole ligand in Sn(IV) complexes, conclude that its carbonyl oxygen is the donor site. The far-infrared spectra of 2-aminothiazole and 2-acetylaminothiazole are interpretated as being representative of cis-octahedral and trans-spatial dispositions, respectively (689). The cis and trans isomers of dihalobis (2-acetylaminothiazole)nickel(II) have been prepared, and their magnetic moments, and infrared and electronic spectra have been recorded. The far-infrared region (650 to 50 cm^{-1}) suggests a C_{2h} symmetry for the trans and a C_{2v} symmetry for the cis isomers. The ligand exerts a stronger ligand field in cis than in trans isomers. It was proposed that 2-acetylaminothiazole acts as a bidentate ligand, the donor sites being carbonyl oxygen and ring sulfur. The proposed structures for cis and trans isomers are **359** and **360**, respectively (365) (Scheme 207); other authors, however give good arguments for the ring nitrogen as a second donor site (690, 691).

For the complexes of 2-(2-pyridylamino)-4-(2-pyridyl)thiazole (**361**) (Scheme 208), abbreviated papt H (692), the heterocyclic structure functions as a tridentate ligand (693). Coordination renders the hydrogen atom of the exocyclic amino group more acidic, and treatment of cation complexes such as $[Fe(papt\ H)_2]^{2+}$ with bases yields the noncharged complexes, $Fe(papt)_2$, which are more stable than their ionic counterparts. An interesting kind of behavior was discovered through the study of the magnetic properties associated with the cationic iron(II) complexes of



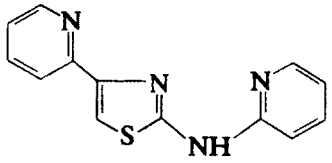
359



360

Scheme 207

papth H that depend on the associated anion. Two distinct classes of compounds were recognized: those that obey the Curie–Weiss law on the temperature dependence of magnetism and those that do not. The first class (associated anions: iodide, perchlorate, oxalate, and 3-5 dihydrate of the sulfate) have magnetic moments corresponding to the expected value for spin-free iron. The anomalous behavior of the second class (associated anions: pentahydrate of the sulfate, dihydrate of the chloride, dihydrate of the chloroplatinate, monohydrate of the nitrate) may be rationalized assuming the presence of a thermal equilibrium between nearly equienergetic spin-paired and spin-free configurations of the iron atom (692).



361

Scheme 208

Complexes of Fe(II) may be either spin-paired or spin-free. The first situation is encountered with ligands such as cyanide for which the strength of the ligand field (Δ) is greater than the pairing energy (P) for the iron(II) d_ε^6 configuration. For the second class of ligands such as H_2O the reverse situation is encountered: $\Delta < P$ and the configuration becomes $d_\varepsilon^4 d_\gamma^2$. The ligand field strength of papt H is then such that it lies very close to the value at the crossover points of the $^1A_1(t_2^6)$ and $^5T_2(t_2^4e^2)$ terms (694, 695). The same magnetic dependence on temperature was also demonstrated for unsolvated Fe[papt] (694), and a detailed Mössbauer study established that the $^5T_2(t_2^4e^2) \rightleftharpoons {}^1A_1(t_2^6)$ spin transition is thermally induced between about 100 and 300°K in the crystal (696). The effect of substituents on the 2-pyridylamino part of the complex was determined for this equilibrium (697).

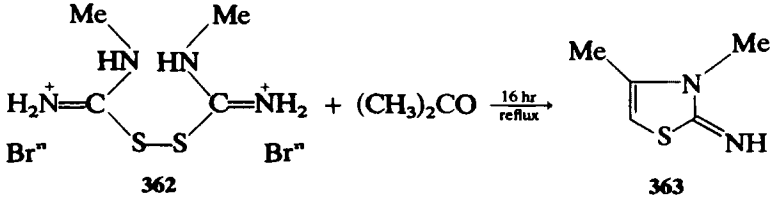
Chrysean (**10**) forms an orange complexe with Hg(I) and a red one with Hg(II) (698).

In the presence of $[PtCl_4]^{2-}$ and a base, 2-aminothiazole undergoes ring cleavage of the C–S bond to give $PtLCl_2$ (L = HSCH=CHNHCN) (699). The Pd and Pt complexes of 2-aminothiazoles show biological activity (1596).

12. 2-Imino-4-thiazolines

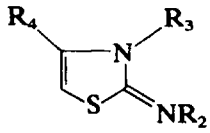
The first member of the series, 2-imino-3,4-dimethyl-4-thiazoline (**363**) is obtained when the di-HBr salt of bis(methylformamidine)disulfide (**362**) is refluxed for 16 hr in acetone (Scheme 209) (700). The most common preparative methods involve direct heterocyclization by the Hantzsch method (see Chapter II, Section II.4), though the mechanism of this reaction suggests certain limitations according to the respective natures of R_2, R_3, and R_4 in **364** (Scheme 210).

Alkylation of the appropriate 2-aminothiazole in neutral medium (46, 173, 263) followed by liberation of the free base from the quaternary salt is also used. The quaternary salt (**365**) is the starting material for the



362 363

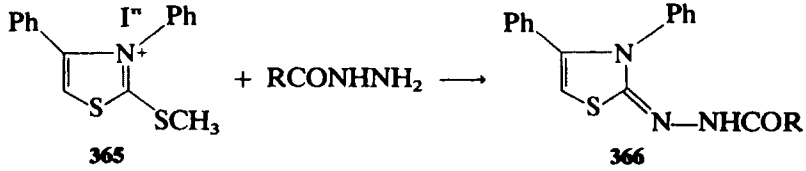
Scheme 209



364

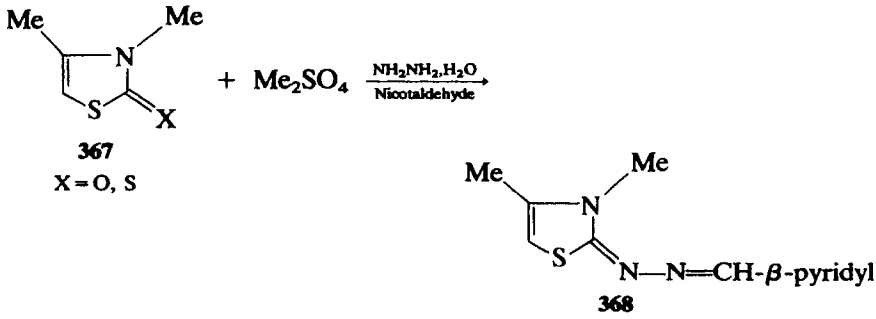
Scheme 210

preparation of **366** (Scheme 211) (99). Consequently, 4-thiazoline-2-ones and 4-thiazoline-2-thiones may also be used as starting materials (Scheme 212) (701).



365 **366**

Scheme 211

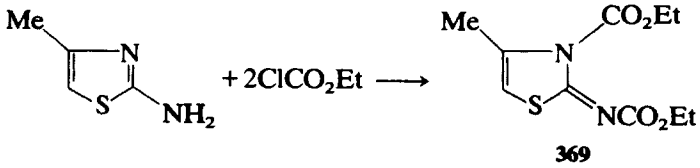


367
X = O, S

368

Scheme 212

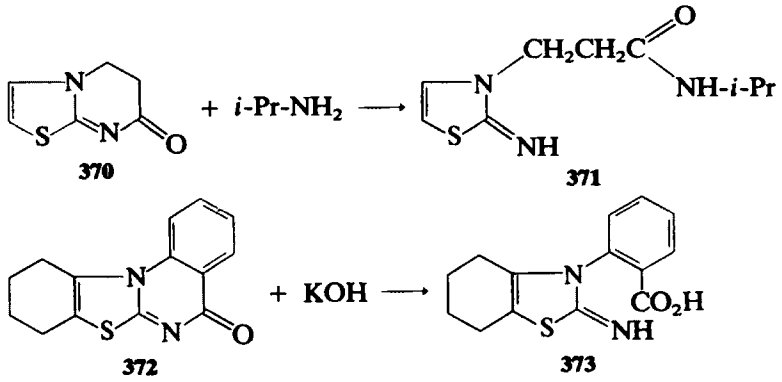
The 1,3-diester derivative of 2-imino-4-thiazoline (**369**) is obtained by the Schotten–Bauman reaction (Scheme 213) (263).



369

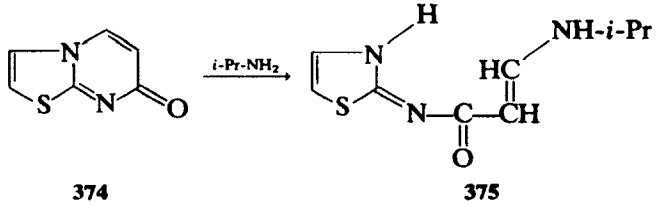
Scheme 213

Refluxing 5,6-dihydro-7H-thiazolo[3,2-*a*]pyrimidine-7-one (**370**) with isopropylamine led to 2-imino-3-[2-(isopropylaminocarbonyl)ethyl]-thiazoline (**371**) (108). Similarly, tetrahydrobenzothiazolo[3,2-*a*][2,3-*b*]-quinazoline is opened by potassium hydroxide, yielding **373** (Scheme 214)



Scheme 214

(702). This kind of nucleophilic reaction, when performed with 7*H*-thiazolo[3,2-*a*]pyrimidine-7-one (**374**), however, is reported to give 2-[(β-aminoacryloyl)imino]-4-thiazoline (**375**) (Scheme 215) (273, 703).

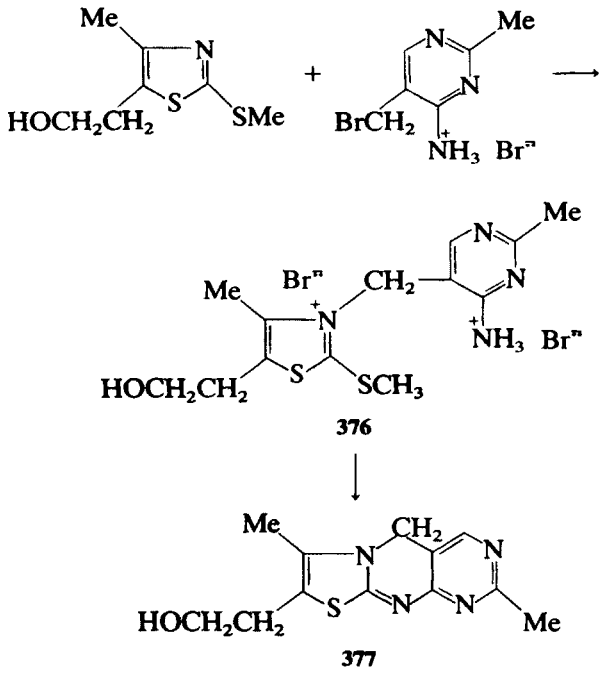


Scheme 215

Thiochrome (**377**), the well-known derivative of the 2-imino-4-thiazoline ring, is synthesized according to the set of reactions shown in Scheme 216 (704, 732).

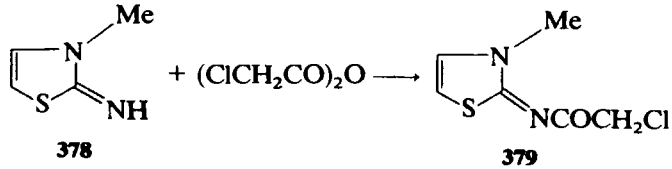
Most of the spectroscopic properties of 2-imino-4-thiazolines have been treated in Section II. Paper chromatography and thin-layer chromatography are particularly suitable for distinguishing 2-amino-thiazoles from 2-imino-4-thiazolines: their R_fs and characteristic reactions are different (148, 494, 705).

2-Imino-4-thiazolines are far more basic than their isomeric 2-aminothiazoles (see Table VI-1). They react with most electrophilic centers through the exocyclic nitrogen and are easily acylated (37, 477, 706) and sulfonated (652). The reaction of 2-imino-3-methyl-4-thiazoline (**378**) with α-chloracetic anhydride yields **379** (Scheme 217) (707). This exclusive reactivity of the exocyclic nitrogen precludes the direct synthesis of endocyclic quaternary salts of 2-imino-4-thiazolines, although this class of compounds was prepared recently according to Scheme 218 (493).



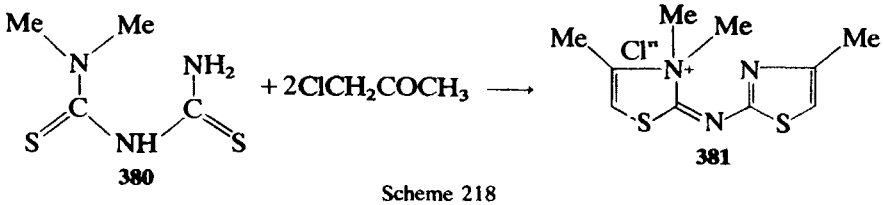
Scheme 216

Reaction of 2-imino-3-alkyl-4-thiazolines with alkyl isocyanates gives the ureas (**382**), which when nitrated on C-5 give the schistosomicide class of compounds (**383**) (Scheme 219). When nitration takes place on the ring

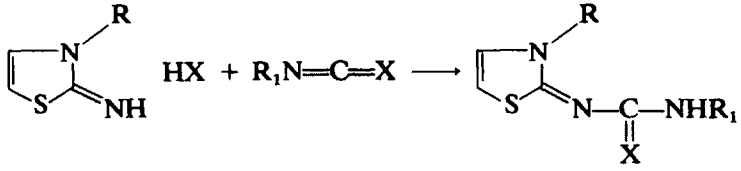


Scheme 217

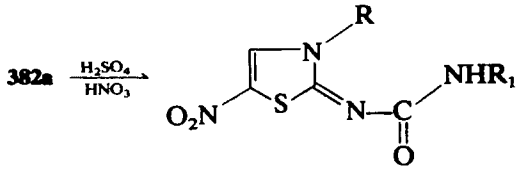
C-5, nitrosation yields **384** (Scheme 219). If alkylisocyanates replace alkylisothiocyanates, the corresponding thioureas (**382b**) (X = S) are obtained (482). Tertiary ureas **386** are prepared by reaction



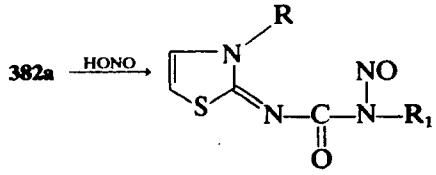
Scheme 218



382a (X = O)
382b (X = S)



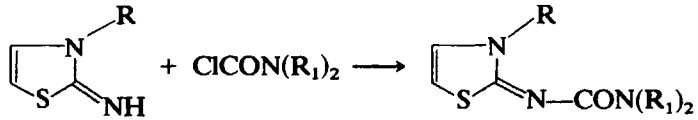
383



384

Scheme 219

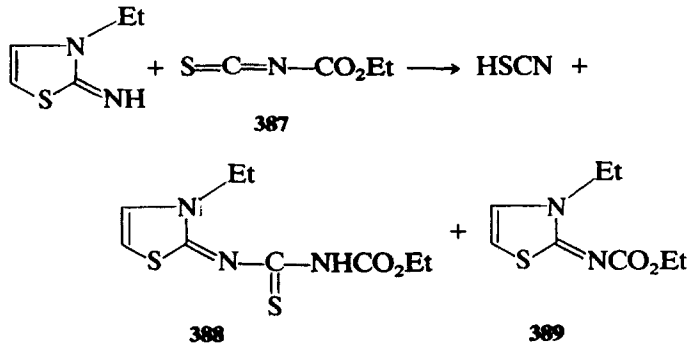
between 2-imino-4-thiazolines and dialkylaminocarbamoyl chlorides (**385**) (Scheme 220) (482). The ambident electrophilic reagent, ethoxy-



385 **386**

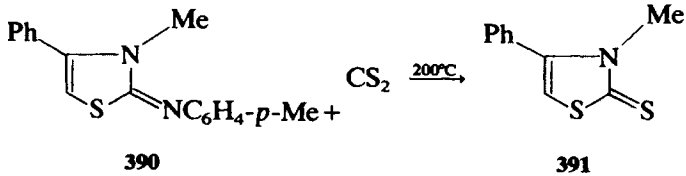
Scheme 220

carbonyl isothiocyanate (**387**), yields the expected mixture of products (**388** and **389**) in reaction with 2-imino-3-ethyl-4-thiazoline (Scheme 221) (102). 3-Methyl-4-phenyl-4-thiazoline-2-thione (**391**) is obtained when 2-*p*-tolylimino-3-methyl-4-phenyl-4-thiazoline (**390**) and carbon disulfide are heated at 200°C (Scheme 222) (199). The anthelmintic agents (**392**) are prepared through a reaction illustrating the nucleophilic properties of the exocyclic imino nitrogen (708). 2-Nitrosoimino-4-thiazoline derivatives are obtained from 4-thiazoline homologs in acetic acid by adding a



Scheme 221

sodium nitrite solution (198, 241). 2-Haloimino-4-thiazolines are prepared by treating 2-imino-4-thiazoline with a salt of hypohalous acid

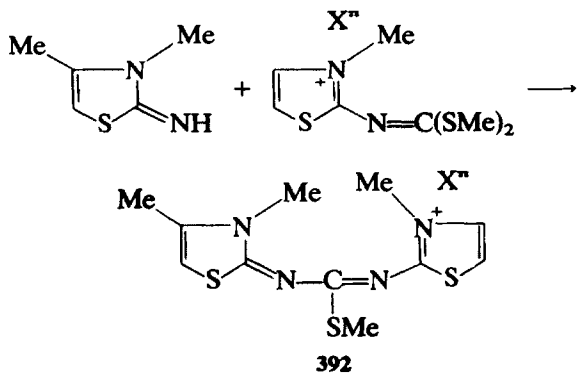


Scheme 222

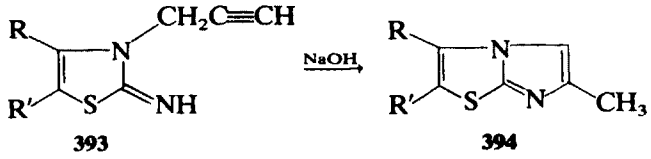
(709). The anionic form of 2-imino-4-thiazoline is involved in the alkaline cyclisation of **393** (Scheme 224) (176).

The nucleophilic properties of the 2-iminonitrogen, however, may be masked under certain conditions as shown by Scheme 225 (710).

Acylated derivatives of 2-imino-3-alkyl-4-thiazolines are reduced to 2-alkylimino-3-alkyl-4-thiazolines by the action of $LiAlH_4$ (477). The acyl



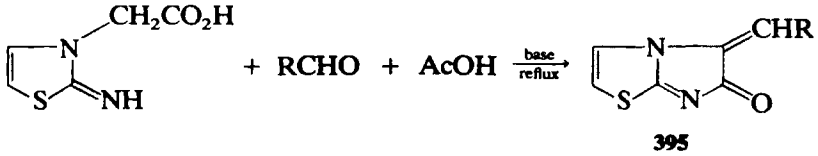
Scheme 223



393 394

Scheme 224

group is rapidly cleaved by HCl (121, 482, 711, 712), free 2-imino-3-alkyl-4-thiazolines (**396**) being produced (Scheme 226). Cleavage in basic

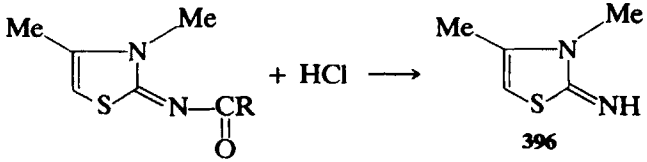


395

Scheme 225

medium has been reported for 2-acetylimino-3-acetyl-4-thiazoline, the 2-acetyl group being first cleaved (477).

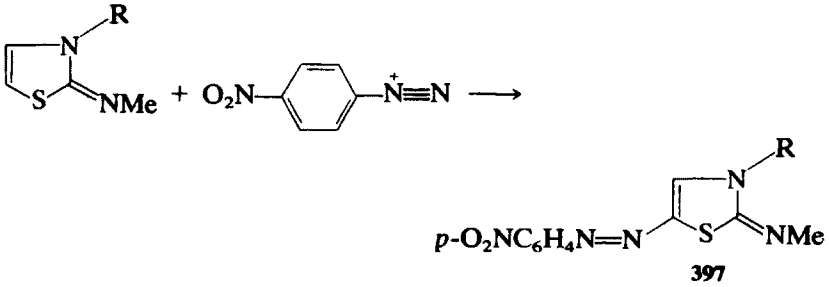
Bromination occurs on the 5-position of the ring; the bromo compound



396

Scheme 226

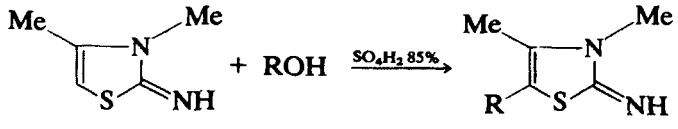
obtained is far less susceptible to substitution than its 2-amino-5-bromothiazole isomer (713, 714, 422, 432). An old report claims that 2-imino-3-alkyl-4-thiazolines do not couple with diazonium salts (165); more recent work shows, however, that coupling takes place and occurs as expected in the 5-position (Scheme 227) (204). The Burmistrov method



397

R = *i*-Pr, *t*-Bu, MeEtCH–

Scheme 227

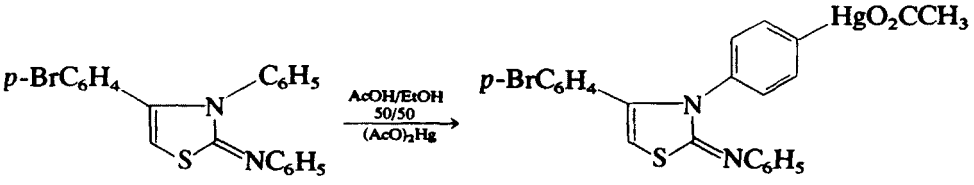


398

R = *i*-Pr, *t*-Bu, MeEtCH

Scheme 228

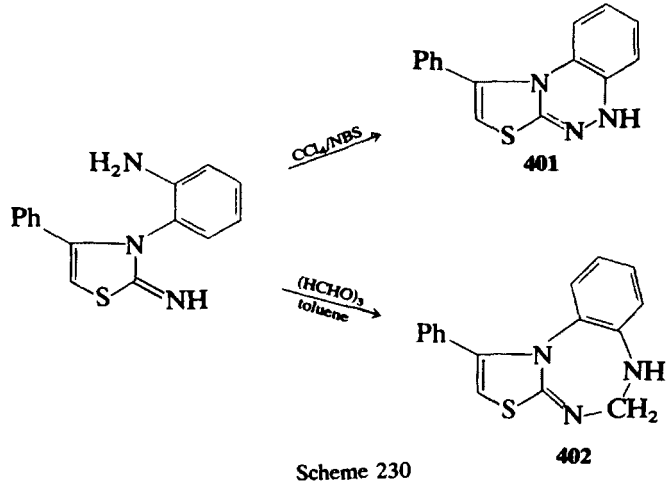
of alkylation applied to 2-imino-3,4-dimethyl-4-thiazoline yields 5-substituted alkyl derivatives (**398**) (Scheme 228) (715). The 2-arylimino-3-aryl-4-*p*-bromophenyl-4-thiazolines (**399**) are mercurated with mercuric acetate: the acetoxymercuri group enters in the 3-aryl group (Scheme 229) (716, 717).



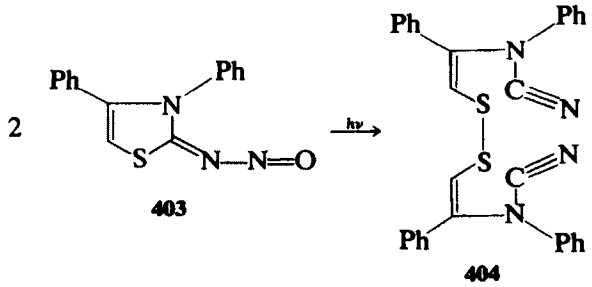
399 **400**

Scheme 229

The synthesis of 9H-benzo[2,1-*e*]thiazolo-[2,3-*c*]-*as*-triazine (**401**) was achieved by oxydative cyclization of 2-imino-3-(*o*-aminophenyl)-4-phenyl-4-thiazoline (718, 719). This latter reacts also with paraformaldehyde in hot toluene yielding 3-phenyl-9H,10H-benzo[1,2-*f*]thiazolo-[2,3-*d*][1,3,5]triazepine (**402**) (720). This heterocyclic sytem is also formed when carboxylic acids replace paraformaldehyde (Scheme 230) (721).



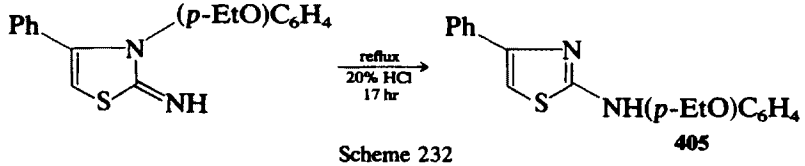
Scheme 230



Scheme 231

Little is known about the photochemical behavior of 2-imino-4-thiazolines: the only data concerns the photolysis of 3,4-diphenyl-2-nitrosoimino-2,3-dihydrothiazole (**403**) (Scheme 231) (722).

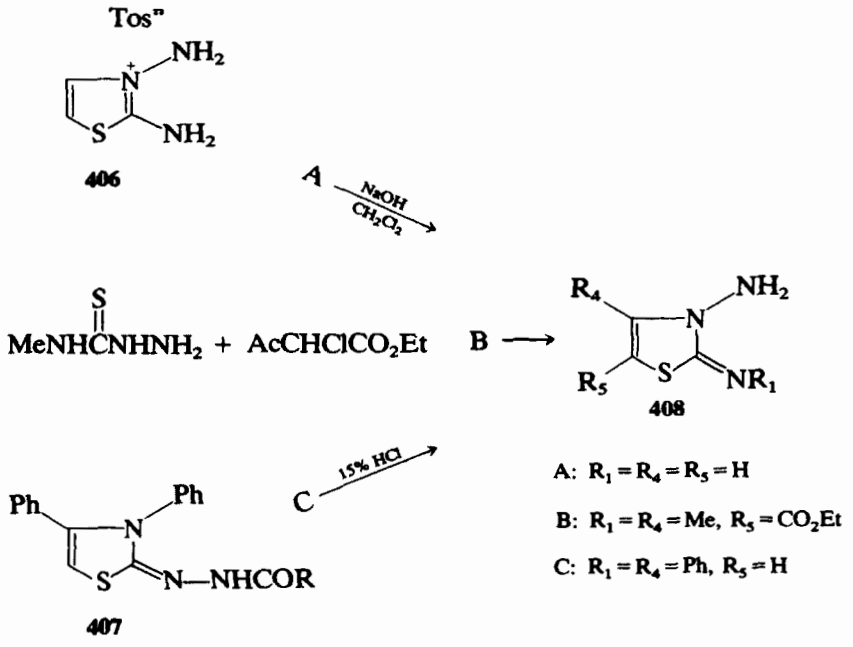
Attack on the electrophilic C-2 may occur as in the 2-aminothiazoles series, which probably explains the rearrangements observed in acidic medium (121, 711, 712, 723, 724), in aqueous medium with NaOAc (725), or with aqueous $NaHCO_3$ (725) (Scheme 232). That the initial attack probably involves the C-2 atom is substantiated by the fact that this rearrangement occurs under extremely mild conditions for 2-imino-3-substituted-5-nitro-4-thiazolines (725). As the whole mechanism proposed (see p. 92) is reversible, when imino derivatives are submitted to such rearrangement conditions the rearrangement is expected to occur faster if steric interaction between 3- and 4-substituents exists in the 2-imino isomer. Another reaction may occur in acidic medium: phenylimino-2-biphenyl-3,4-4-thiazoline hydrolyzed with hydrochloric acid gives the corresponding 4-thiazoline-2-one and aniline (717).



Scheme 232

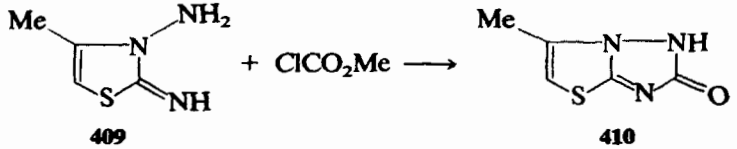
An interesting class of 2-imino-3-amino-4-thiazolines (**408**) has been described (578, 701, 726). These 3-amino derivatives of 4-thiazoline may also be prepared from 2,3-diaminothiazolium salts (**406**) in basic medium (101) or through the acid-catalyzed rearrangement of 2-acylaminoimino-3-phenyl-4-phenyl-4-thiazolines (**407**) (Scheme 233) (99, 724).

The 3-amino group brings a second nucleophilic center in these structures; thus 2-imino-3-amino-4-methyl-4-thiazoline (**409**) reacts with methyl chloroformate to give the bicyclic compound (**410**) (Scheme 234). Other thiazolo-*s*-triazoles of the [3,2-*b*] type have been obtained by



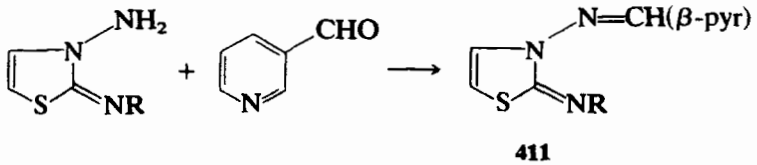
Scheme 233

reaction between 3-amino-2-iminothiazoline or its hydrochloride with acid chlorides, ethyl orthoformate, cyanogen bromide, and carbon disulfide (1597).



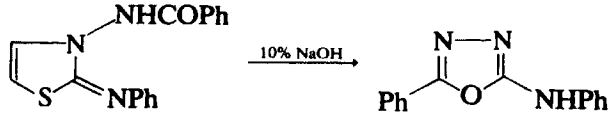
Scheme 234

The same 3-amino substituent is reactive in condensation reactions (Scheme 235) (701, 726–729). 2-Imino-3-amino-4-thiazoline reacts, however, in the nicotinoylation reaction through its imino nitrogen (727).



Scheme 235

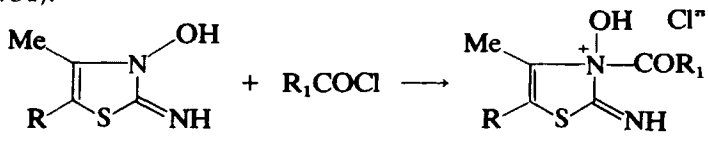
2-Phenylimino-3-benzoylamino-4-thiazoline (**412**) rearranges in basic medium to 2-anilino-5-phenyl-1,3,4-oxadiazole (**413**) (Scheme 236) 730).



412 **413**

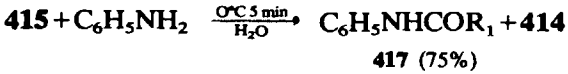
Scheme 236

Treating the iminothiazoles with acyl chlorides yields the aminium salts (**415**), which are acylation and alkoxycarbonylation reagents (Scheme 237) (731).



414 **415**

416 (100%)



417 (75%)

Scheme 237

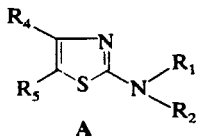
VI. APPLICATIONS OF AMINOTHIAZOLES

A wide variety of applications has been proposed for aminothiazole derivatives; from fungicides (Table VI-6) to a component of hair-waving lotions containing cosmetic resins for dyeing hair (733). The main applications cover the fields of agriculture, pharmacy, and photography or related activities. This section is only representative, not exhaustive, and can be completed with the indications given in Tables of Section VII.

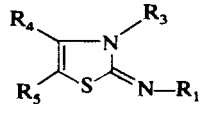
1. Agricultural Applications

The screening of agricultural applications is summarized in Table VI-6. The most investigated applications are related to fungicides (305, 483,

TABLE VI-6. AGRICULTURAL APPLICATIONS OF 2-AMINOTHIAZOLES AND 2-IMINO-4-THIAZOLINES



A



B

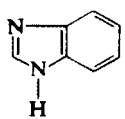
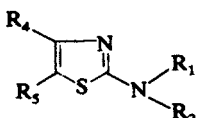
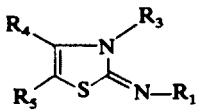
Structure	Products					Uses	Ref.
	R_1	R_2	R_3	R_4	R_5		
A	H	H	—	H	H	Nitrification inhibitor	749, 750
A	H	H	—	Me	CONHPh	Fungicide	734
A	H	H	—	Me	$CONR_1R_2$	Plant growth regulator	755
A	H	H	—	Me	CONHPh	Fungicide	756
A	H	H	—	Me	Cl	Herbicide	757
A	H	EtCO	—	H	Cl	Herbicide	757
A	H	H	—	R	Cl	Fungicide	758
A	H	H	—		H	Parasiticide	759
A	H	H	—	CH_2SCu	H	Fungicide	735
A	H	H	—	Aryl	H	Insecticide, fungicide	736, 737
A	H	NH_2	—	Aryl	H	Insecticide, fungicide	736, 737

TABLE VI-6. (*Continued*)



A



B

Structure	Products					Uses	Ref.
	R_1	R_2	R_3	R_4	R_5		
A	H	$CSNH_2$	—	Me	H	Insecticide, fungicide	736, 737
A	H	H	—	Substituted aryl	H	Fungicides, herbicides	760–765
A	H	H	—	p-BrC_6H_4	Br	Fungicide	413
A	H	H	—	HO_2CCH_2	H	Growth-regulating activity	766
A	H	H	—	Ph	H	Mixed with $CuCl_2$, is an active pesticide	767
A	H	H	—	$(EtO)_2OPSCH_2$–	H	Pesticide	768
A	H	Allyl	—	H	H	Bactericide for agricultural and horticultural uses	769
A	H	Allyl	—	R	R′	Cytostatic activity on *Triticum vulgare* and *Alga scenedesmus acutus*	770, 771
A	H	COR	—	$-CH_2C(R)_2CH_2C(R)_2-$		Postemergence herbicidal activity	772, 1598

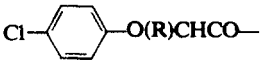
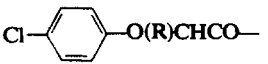
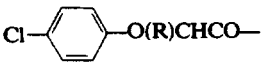
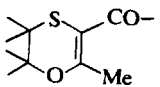
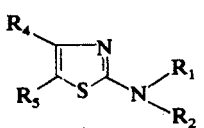
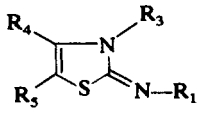
A	H	COR	—	-5-O_2N-furyl-2-	H	Fungicide	738–741
A	H	$ClCH_2CH_2CO$-	—	H	SCN	Fungicide	441
A	H	COPr	—	Me	Cl	Herbicidal agent	275
A	H		—	H	H	Selective herbicide against *Echinochloa crus galli* in rice cultures	254, 773, 774, 1599, 1600
A	Me		—	Me	H		
A	Allyl		—	Me	H		
A	H	R	—	Me	Me	Fungicides	758
A	H	R(Me)C=CHCO- R = alkyl	—	H	H	Fungicides	742
A	H	-COR (R = NHR, OC_2H_5)	—	$-CH_2CH_2-$		Herbicides	775
A	H	-CO-*i*-Pr	—	H	Br	Effective non selective herbicide in controlling weeds in orchards and forests	776
A	H	$COCH_2COCH_3$	—	CO_2Et	H	Enhances the growth of wheat	777
A	H		—	H	H	Systemic fungicide acting as a steric inhibitor towards succinate deshydrogenase	743–745
A	H	$ClCH_2CO$-	—	H	H	Culture plant-protecting compounds	778

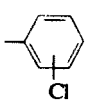
TABLE VI-6. (*Continued*)



A



B

Structure	Products R_1	R_2	R_3	R_4	R_5	Uses	Ref.
A	H	–COR (R = Pr, Ph)	—	H	Cl Br	Enhance the growth of tomato and lettuce	779
A	H	–COPh	—	H	H	Control of bacteria leaf blight on rice	780
A	H	–COPh	—	p-ClC_6H_4	H	Fungicides	746
A	H	–COAr	—	R′	H	Fungicides	781
A	H	$(R_1R_2N)COCO$– (R_1, R_2 = H, alkyl)	—	H	NO_2	Antibacterial, antiparasitic. The 5-NO_2 group is determinant for these properties 196	196, 261, 782–784
A	H	–CONH(Alkyl)	—	Me	COR (R = MeO, EtO, PhNH)	Fungicidal agents	483
A	H	$–CONH_2$			H	Useful for the control of soil-born nematods	785
A	H	–CONHR	—	Ph	H	Nematocides	786
A	H	RO_2CHNCS– (R = alkyl)	—	Me H	H H	Effective against phytopathogenic microorganisms	309
A	H	$–CS_2R$	—	H	H	Fungicides	305, 505
A	H	–PSR (R = alkyl)	—	H	H	Insecticides	350, 787

A	$-CONRCH_2NRCH_2-$		—	Cl	H	Herbicidal activity	788
A	$-CONRCH_2NRCH_2-$		—	Cl	Me	Herbicidal activity	788
A	H	$-P(S)(SMe)Et$	—	H	H	Insecticides	351
A	H	$ClCH_2SO_2-$	—	H	H	Agricultural pesticides	776
A	Me	$-CONR_1R_2$ (R_1 = H, Me; R_2 = Me, Et, allyl)	—	Cl	Cl	Herbicide	789
A	R	R′	—	H	NO_2	Antifungal	747
A	R	$-C(Z)NR_1R_2$	—	X	Y	Post- and pre-emergence herbicidal properties	790
A	H	SO_2CF_3	—	H	H	Fungicide, plant growth regulator	1601, 1602
A	H	$R_1R_2C{=}N-$	—	$-(CH_2)_n-$		Bactericides, fungicides	791
A	$RHC{=}$		—	H	NO_2	Antiparasitic, antibacterial	792
A	$Cl_3CHC{=}$		—	H	NO_2	Anthelmintic and fungicidal	793
B[a]	$-CH(Ph)CH_2-$			H	H	Anthelmintic effective against whip worms	173, 794, 795
B	$(EtO)_2(S)PSCH_2CO-$	—	Me	H	Me	Pesticidal	707
B	Ph	—	p-$HgOAcC_6H_4-$	Me	CO_2Et	Inhibits the growth of a fungus on rice	748
B	R_1 ($R_1 = R_3 = p$-$MeCO_2HgC_6H_4-$)	—	R_3	p-BrC_6H_4	H	Fungicides	796
B		$-CH(C_6H_5)CH_2CH_2-$		CH_2OH	H	Agricultural bactericide	1603

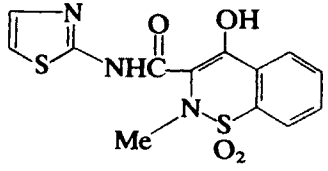
[a] For **B** type of structures when the substituent is centered under the heading R_2, it is linked to both exo and endo cyclic nitrogens.

505, 734–748), but unfortunately little is known of the molecular basis of this activity. Another important use, if confirmed, could be that of nitrification inhibitor (749–752). 2-Bromo-4-aminothiazole derivatives exhibit a biological activity against fungus, brown root, and water plant countail (753). 2-Aminothiazole was tested for fungistatic activity on wheat stored with a moisture content of 16% to 25% (754).

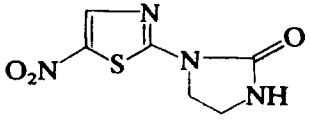
2. Pharmaceutical Uses—Toxicity

This section includes veterinary applications. The antiviral, bactericidal, and antimicrobial applications of 2-aminothiazoles and 2-imino-4-thiazolines are summarized in Table VI-7. They show a marked anti-trichonomicidal activity, which has even been quantitatively measured by the Hansch approach (797). The antiparasitic action of these compounds has been investigated for some compounds and is summarized in Table VI-8; interesting results were obtained with aminotrozal (1348).

The most studied properties of 2-aminothiazoles and 2-imino-4-thiazolines are related to their antiinflammatory activity (Table VI-9). Two classes of compounds have even been given trade names: Sudoxicam (**418**) and Niridazole, also named Ambilhar (**419**) (Scheme 238).



418



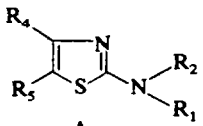
419

Scheme 238

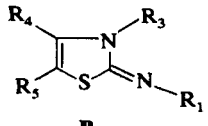
An interesting set of central nervous system properties has also been discovered and studied (Table VI-10). The work devoted to piscaine must be emphasized: besides finding hypnotic properties of 2-amino-4-phenyl-thiazole on fish, the authors studied the structure of the metabolite, as well as the localization of the (radio labeled) metabolic product in various organs. Recently, thiazol-4-yl methoxyamine was shown to inhibit the development of morphine tolerance (1607). 5-Aminothiazole derivatives such as **419a** were proposed as cardiovascular agents (1608, 1610). Substitution of the 5-aminothiazole radical on the cephalophosphorin structure gives a series of antibacterial products (1609).

Other pharmaceutical applications have been proposed; they are grouped in Table VI-11, which includes applications in both human and veterinary medicine.

TABLE VI-7. ANTIVIRAL, BACTERICIDAL AND ANTIMICROBIAL PROPERTIES OF 2-AMINOTHIAZOLES (A) AND 2-IMINO-4-THIAZOLINES (B)



A



B

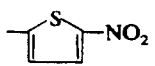
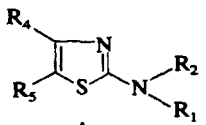
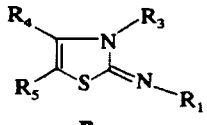
Structure	Products					Use	Ref.
	R_1	R_2	R_3	R_4	R_5		
A	H	H	—	Adamantyl	H	Antiviral and bactericide	798
A	H	H	—	H	Br	Antibacterial	799
A	H	H	—	Ph	H	Bacteriostatic properties	519
A	H	H	—	*p*-alkylC_6H_4–	H	Antiviral	800
A	H	H	—	HC=HC(5-O_2N-furyl-2)	H	Bactericide	801, 802
A	H	H, Me, CO_2Me, CONHallyl	—	Ph	H	Antiviral chemotherapy; effectiveness is caused by formation of physical linkages with coding structures	803–806, 1604
A	H	(p-ClC_6H_4)(ThHN)CH– (Th = 2-thiazolyl)	—	H	H	Toxicant for the control of *Staphylococcus aureus*	213
A	H	H	—		NO_2	Antibacterial activity against gram-positive bacteria	807
A	H	H_3CHOCH–	—	H	NO_2	Antifungal and anti-protozoan agent	216
A	H	Ac	—	Me	H	Bacteriostatic	808
A	H	Ac	—	H	H_2N(S)C–N=CH–	Antitubercular activity	809
A	H	Ac	—	COPh	ClHg	Bacteriostatic *in vitro* against *Escherichia coli* and *Staphylococcus aureus*	452

TABLE VI-7. (*Continued*)



A



B

Structure	Products R_1	R_2	R_3	R_4	R_5	Use	Ref.
A	H	Ac	—	CH_2Ph	BrHg	Bacteriostatic *in vitro* against *Escherichia coli* and *Staphylococcus aureus*	452
A	H	Ac	—	5-NO_2-furyl	CH_2-piperidino	Bactericidal	435
A	H	(5-NO_2-2-furyl) HC=CHCO–	—	H	H	Protozoicide	260
A	H	–COCHPhCHPhMe	—	Me	H	Activity against *M. tuberculosis*	810
A	H	–COR	—	H	CO_2H	Virus inhibitor	811
A	H	–COR	—	CO_2H	H	Virus inhibitor	811
A	H	CONHR (R = Me, Ph)	—	–$CH_2C(Me)_2CO$–		Antibacterial	1653
A	H	–$CO(CH_2)_nSR$	—	H	NO_2	Active against bacteria and protozoa	812
A	H	–CO(polysubstituted phenyl)	—	H	H	Oral schistosomicidal agents	813
A	H	(2-thienyl)CO–	—	H	NO_2	Active against *Trichomonas vaginalis*	814
A	H	CONHR	—	Polysubstituted phenyl	H	Antiviral	805
A	H	CSNHR	—	Polysubstituted phenyl	H	Antiviral	806
A	H	CO_2Ph	—	H	H	Treatment and prevention of harmful protozoal infection in fowl	265
A	H	–$CSNH_2$	—	2-Benzofuryl	H	Antibacterial agent	306
A	H	–$CSNEt_2$	—	H	H	Antimalarial agent	817

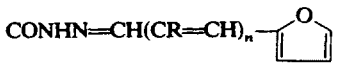
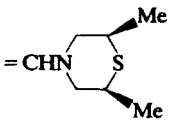
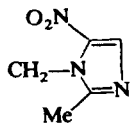
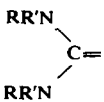
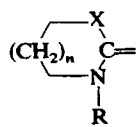
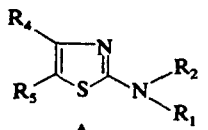
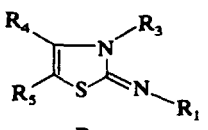
A	H	(*o*-OHC_6H_4)HC=N-	—	4-Antipyrinyl	H	*Staphylococcus aureus* growth inhibitory action	818
A	H	R (R = allyl, Me, EtAc)	—		H	Tuberculostatic, bactericidal products	819
A	NH_2	$ArHNCH_2(O)C$-	—	$-CO_2Et$	H	Prevents growth of *Mycobacterium tuberculosis*	820
A			—	H	NO_2	Amebicidal, schistosomacidal	1605
A	R_1 R_2 (R_1 = H, R_2 = alkyl, aryl; or R_1, R_2 = morpholino, succinimido)		—		H	Trichomonacidal products	821
A	$-CH_2CH_2N(Ac)CH_2CH_2-$		—	H	NO_2	Active against *Trichomonas*	25
A	$-(CH_2)_nNHC(S)-$		—	H	NO_2	Trichomonacidal, antimicrobial products	822
			—	H	NO_2	Antimicrobial effect against Trichonomas foetus	823
A		 (X = NH, O, S; R = alkyl)	—	H	NO_2	Antibacterial; can be used against *Trichomonas* and *Schistosomas*	824
A	(5-NO_2-2-furyl)(H)C=		—	H	H	Antimicrobial activity	825, 826

TABLE VI-7. (*Continued*)



A



B

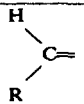
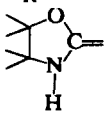
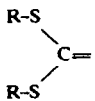
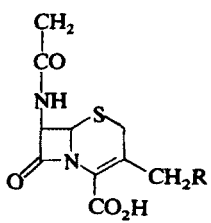
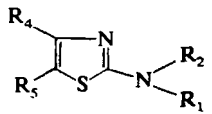
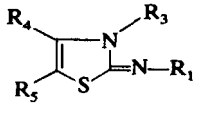
Structure	Products R_1	R_2	R_3	R_4	R_5	Use	Ref.
A			—	H	NO_2	Trichonomicidal action	797
A			—	H	NO_2	Antimicrobial agent	827, 828
A			—	H	NO_2	Antimicrobial products	829
B	COR_1 (R_1 = alkyl)	—	$R_2R_3NCOCH_2$– (R_2, R_3 = alkyl, aryl, hetaryl)	H	NO_2	Tested against a Puerto Rican strain of *Schistosoma mansoni*	189
B	(2-Pyridyl) HC=N–	—	Me	Me	H	Antibacterial, antiviral	830
B	(2-pyridyl) HC=N–	—	Ph	Ph	H	Antibacterial, antiviral	830
B	H	—	H		H	Good activity against gram-negative bacteria	1606

TABLE VI-8. PARASITICIDAL PROPERTIES OF 2-AMINOTHIAZOLE (**A**) AND 2-IMINO-4-THIAZOLINE (**B**)



A



B

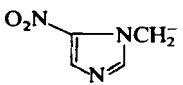
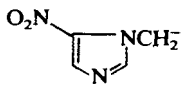
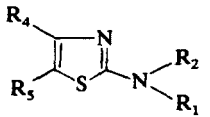
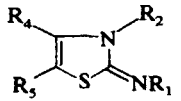
Structure	Products					Uses	Ref.
	R_1	R_2	R_3	R_4	R_5		
A	H	–CONHR R = H, Alkyl	—	*p*-ClC_6H_4	H	Nematocide	786
A	H	Me	—		H	Trichomonacidal products	821
A	Alkyl, aryl	*i*-Pr	—		H	Trichomonacidal products	821
A	H	$BrCH_2CH_2NHCO$–	—	H	NO_2	Antiparasistic	298
A	$R_2R_3N(R_1)C$=		—	H	NO_2	Antiparasistic	508
A	Me_2NCHN=		—	H	NO_2	Effective against helminths	507
A	–$CH_2CONRCO$–		—	H	NO_2	Schistosomicide	831
B	–COR	—	$CH_2CONR_1R_2$	H	H	Antischistosomal properties	190, 192, 200, 482
B	–CONHEt	—	Et	H	NO_2	Active against schistosomes and trichomonides	832
B	Ac	—	$CH_2CONR_1R_2$	H	NO_2	Parasiticidal	178

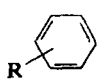
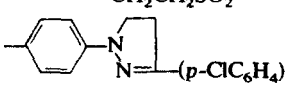
TABLE VI-9. ANTIINFLAMMATORY AND RELATED ACTIVITY OF 2-AMINOTHIAZOLES



A



B

Structure	Products R_1	R_2	R_4	R_5	Uses	Ref.
A	H	H	2-Benzothiazolyl	H	Antiinflammatory activity	833, 834
A	H	H	6-Benzothiazolyl	H	Antiinflammatory activity	835
A	H	H	Me	CONHPh	Antiinflammatory activity	836
	Et	Et	Et, $CONHNH_2$			
A	H	H	*p*-XC_6H_4 (X = Cl, F)	$(CH_2)_nCOR$ (R = OH, OEt, NHR)	Antipyretic, antiinflammatory, antitussive, analgesic activity	837
A	Et	Et, CONHPh	*p*-XC_6H_4 (X = Cl, F)	$(CH_2)_nCOR$ (R = OH, OEt, NHR)	Antipyretic, antiinflammatory, antitussive, analgesic activity	837
A	H	Decyl, allyl, *p*-$XC_6H_4CH_2$-	*p*-$MeOC_6H_4$	*p*-$MeOC_6H_4$	Antiinflammatory and antiviral	838
A	H	H	(2-*p*-XC_6H_4-4-methylthiazol-5yl)	H	Inhibit rat paw edema	1611
A	H	*p*-XC_6H_4	(2-*p*-XC_6H_4-4-methylthiazol-5yl)	H	Inhibit rat paw edema	1611
A	H	 $CH_2CH_2SO_2$	H	$CHMeCO_2H$	Antiinflammatory	1614
A	H		H	H	Antiinflammatory	839

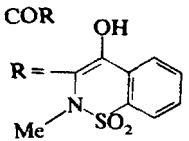
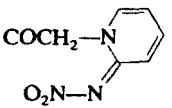
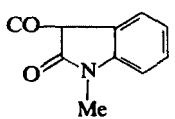
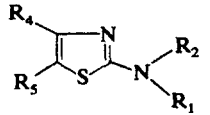
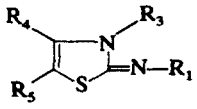
A	H		H	H	Sudoxicam, antiinflammatory, also inhibitor of platelet aggregation	840–842, 1612, 1613
A	H		H	H	Antiinflammatory	843
A	H	$COCH_2Cl$	Ph	H	Local anesthetic	256, 844
A	H	$COCH_2Cl$	Me	CO_2Me	Local anesthetic	256, 844
A	H	Ph	Me	CH-alkyl-CO_2H	Antiinflammatory and analgesic	1615
A	H		H	H	Analgesic, antipyretic, and anti-inflammatory	843
A	H	$CONR_1R_2$ ($R_1 = R_2$ = Alkyl, Ph)	H, Me	Cl	Sedative, antiinflammatory	845
A	H	$NHCONH_2$	H, Alkyl	Cl	For treating inflammatory or immune diseases	480
A	H	$SO_2(CH_2)_2NH_2$	H	H	Antiinflammatory analgesic drug	846
A	$-CH_2CH_2NHCO-$		H	NO_2	Niridazole, Ambilhar, anti-inflammatory effects in treatment of cerebral *Schistosomiasis japonica*	831, 847, 848, 850–855
B	Polysubstituted phenyl	Me	Me	H	These or their Ca salts are useful as analgesics and inflammation inhibitors	1616

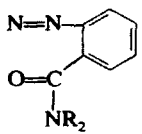
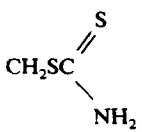
TABLE VI-10. PSYCHOACTIVE DRUGS AND RELATED USES OF 2-AMINOTHIAZOLES (A) AND 2-IMINO-4-THIAZOLINES (B)



A



B

Structure	Products R_1	R_2	R_3	R_4	R_5	Uses	Ref.
A	H	H	—	Me	I	Narcotic	429
A	H	H	—	Ac	Br	Hypnotic agent	856
A	H	H	—	Ph	H	Piscaine or Phenthiazamine, piscine anesthetic; action on central nervous system of fishes	224–227, 857–862
A	H	 R = H, Me	—	H	H	Psychotropics	1617
A	H	H	—	*p*-RC_6H_4	$(CH_2)_n$ = amine	Its 2HBr salt is an hypotensive agent	863
A	H	H	—		H	Its 2HCl salt (Agr 307) increases dopamine content in the brain while decreasing norepinephrine	864, 865
A	H	H, NO, Me	—	Me	$CONR_1R_2$ (R_1 = H, Me; R_2 = CH_2Ph)	Psychoactive compounds that decrease agressive behavior	866

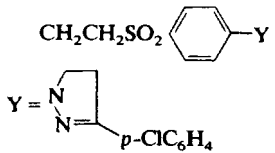
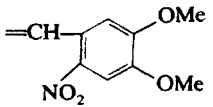
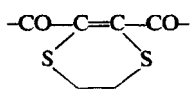
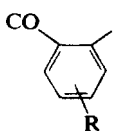
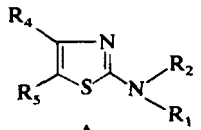
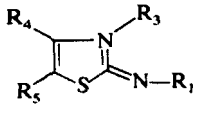
A	H	H	—	$NHCH_2CH_2NH_2$	p-ClC_6H_4	Hypotensive	867
A	H	Ph	—	$-CH(CO_2Et)CH_2CH_2CH_2-$		Central nervous system depressants	868, 869
A	H		—	H	H	Sedative, tranquilizing, decontracturant properties	839
A			—	H	H	Central nervous system depressants and anti-hypertensives	1618
A	H	OMe	—	H	H	Prevention of allergic encephalomyelitis	870
A	R_1	R_2	—	$-CH_2CH_2NRCHR-$		Sedative, analgesic, antipyretic, hypotensive	871
A	$-CH_2CH_2NRCH_2CH_2-$		—	$-(CH_2)_n-$		Central nervous system stimulant	872
A	$-CH{=}C(CO_2R)CH{=}CH-CO-$		—	R	CH_2CO_2Et	Central nervous system depressant	873
A			—	H	H	Psychotropic drug	874
B	$-CH_2(CH_2)_nCH_2-$			Substituted phenyl	H	Antidepressant or anorectic	875
B	Me	—	Me	p-ClC_6H_4	H	Appetite depressant and central nervous system stimulant	876
B	 (R = 8-Me, 7-MeO)			Me	CO_2Et	Central nervous system depressant	877

TABLE VI-11. VARIOUS PHARMACEUTICAL USES OF 2-AMINOTHIAZOLES (A) AND 2-IMINO-4-THIAZOLINES (B)



A



B

Structure	Product R_1	R_2	R_3	R_4	R_5	Uses	Ref.
A	H	H	—	H	H	Reduces the I *content* of the blood for Basedow patients and reduces the I hunger of the organism	905
A	H	H, Ac	—	H	NO_2	Enheptin, Control of black head in turkeys; oral bactericids; also named Nitasol	26, 378, 1619
A	H	Bz	—	H	NO_2	Skin-protecting fungicide	252
A	H	H	—	H	NO_2	Its salt with 7-(phenylacetylamino)-cephalosporanic acid is an antiinfective agent	906
A	H	H	—	Me	H	Its hydrochloride has a radioprotective action in mice	907
A	H	H	—	*p*-RC_6H_4	CH_2CO_2H	Antipyretic, antitussive, antiulcer properties	908
A	H	H	—	$-CH_2CH_2CH_2-$		Strong vaso-depressor action	909
A	H	H	—	$CH_2SC(=NH)NH_2$	H	Its 2HCl salt (Agr 307) opposes the formation of extensive infarct lesions	910
A	H	H	—	3,4-dihydroxyphenyl	H	"Ag 130" acts on cell carbohydrate metabolism. Complete pharmacological study	911–914

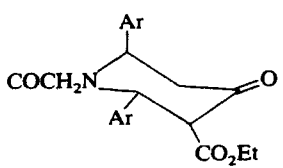
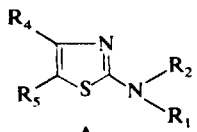
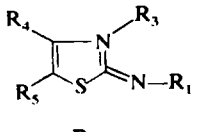
A	H	H	—	Ph	N=N-(substituted phenyl)	Neoplasm inhibitors	401
A	H	Me	—	Polysubstituted 3-indolyl	H	Inhibitors of gastric acid secretion in mamals	915
A	Me, Et	Me, Et	—	H	$CH_2CH_2N(Et)_2$	Hypotensive and vasodilatator activity	1620
A	H	CH_2CH_2COPh	—	2-Thiofenyl	H	Antihistaminic and antispasmodic activity	916
A	H	Ac	—	H	NO_2	Aminitrozole, control of black head in turkeys and active against human trichomoniasis	26
A	H	Ac	—	H	NO_2	Treatment of swine dysentry	917
A	H	Ac	—	H	NO_2	Enheptin≡Aminitrozole, causes sterility in male rats	918
A	H	CONHEt	—	H	NO_2	Nithiazide, control of black head in turkeys	26
A	H	COR	—	Me	CO_2Et	Antitumor activity against Walker carcinoma	919
A	H	$COCH_2NR_1R_2$	—	3-Pyridyl	H	Gastric secretion inhibitor in rats	920, 1655
A	H	$COCH_2Cl$	—	H	Cl, Br	Mitodepressive compound	921
A	Et	Et	—	H	$CH_2CH_2N(Me)_2$, CH_2CH_2-pyrrolidino, CH_2CH_2-piperidino	Anticholesteremics and antilipemics	1621
A	H	$COCH_2Cl$	—	CO_2Et	H	Cytostatic activity	258
A	H	$COCHCl_2$	—	CO_2Et	H	Mitodepressive and mitostatic properties	922
A	H	$COCH_2$(morpholino)	—	p-$O_2NC_6H_4$	H	Its hydrochloride is a local anesthetic	923
A	H		—	Ph	H	Local anesthetic	923

TABLE VI-11. (*Continued*)

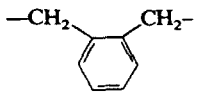
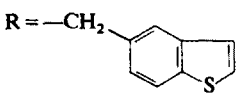
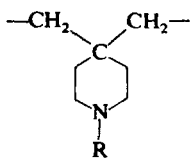


A



B

Structure	Product R_1	R_2	R_3	R_4	R_5	Uses	Ref.
A	H	Ar	—	Ar	H	Diuretic properties in the rat	209, 924
A	H	Substituted phenyl	—	Substituted phenyl	H	Muscle relaxant compounds	925, 926
A	H	PhHC=N	—	Me	Br	Mitodepressive activity	927
A	$-CONHCH_2CH_2-$		—	H	NO_2	Niridazole, effective against amebiasis and schistosomiasis in man	26, 831
A	R_1	R_2	—	Me	CO_2Et	Cytostatic activity	928
A	CH_2 | CH_2OH	CH_2 | CH_2OH	—	Ph	Ph	Platelet aggregation inhibitor, analgesic, anticholesteremic	1622
A	H	Me	—	Ph	Ph	Platelet aggregation inhibitor, analgesic, anticholesteremic	1622
A	Et	Et	—	Ph	Ph	Platelet aggregation inhibitor, analgesic, anticholesteremic	1622
A		RHC=	—	Ar	H	Diuretic properties in the rat	209
A	H	R = 2-(4-Phthiazolyl)NH	—	Ph	H	Injection into a mouse colors the blood, organs, and urine blue	541

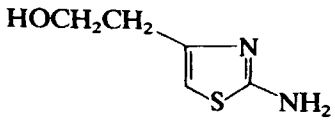
B			—	Ph, 2-thienyl	H		Inhibitor of platelet aggregation	929
A	$-CH_2CH_2NRCH_2CH_2-$		—	H	H		Treatment of Parkinsonism, hypertension, and blood-vessel disorders	930
A	H	Me, Allyl, Ethyl, cyclohexyl, Bu . . . and)	—	2-, 3-, or 4-pyridyl	H		Diuretics	931
A	H	Ac	—	Me	$COCH_2CH_2N(CH_2CH_2Cl)_2$		Its hydrochloride is an anti-mitotic and antitumor agent	1623
B				p-XC_6H_4, 2-naphthyl	H		Thymo analeptics and blood-platelet aggregation inhibitors	1624
B	Alkyl	—	Alkyl	m-$SO_2NR_2C_6H_4$	H		Their hydrated form has diuretic and saliuretic properties	1625

Special mention obviously must be given to sulfonamido derivatives of the thiazole ring. A complete coverage of the field is beyond the scope of this review: however, some examples of their activity may be given here:

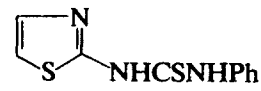
- General chemotherapeutic and therapeutic activity (408, 641, 878–888).
- Bacteriostatic activity (85, 410, 627, 628, 641, 645, 889–898).
- Specific bacteriostatic activity against *Escherichia coli* (681, 896, 899), *Staphylococcus aureus* (681, 896), *Cocci* (900), *Shigella dysenteriae* (681), *Salmonella typhi* (681), *Proteus vulgaris* (681), *Pseudomonas aeruginosa* (681), *Streptococcus* (889, 901, 902) and *Pneumococcus* (901–904).
- Various other properties: intestinal antiseptic (932, 933), fungicide (934, 935), insecticide (895, 898), coccidiostatic activity (936), carcinolytic activity (937), radioprotective activity (938), antimutagenic activity (939, 940).

However, the sulfathiazoles have now been superseded by penicillin derivatives.

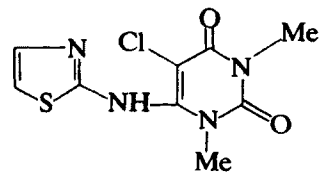
Beyond pharmaceutical screening activity developed on aminothiazoles derivatives, some studies at the molecular level were performed. Thus 2-aminothiazole was shown to inhibit thiamine biosynthesis (941). Niridazole (**419**) affects iron metabolism (850). The dehydrase for δ-aminolevulinic acid of mouse liver is inhibited by 2-amino-4-(β-hydroxyethyl)thiazole (**420**) (942) (Scheme 239). 1-Phenyl-3-(2-thiazolyl)thiourea (**421**) is a dopamine β-hydroxylase inhibitor (943). Compound **422** inhibits the enzyme activity of 3′,5′-nucleotide phosphodiesterase (944). The oxalate salt of **423**, an analog of levamisole **424** (945) (Scheme 240),



420

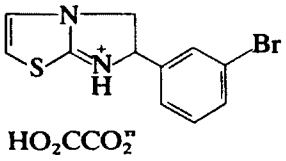


421

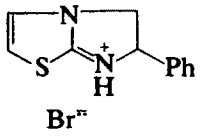


422

Scheme 239



423



424

Scheme 240

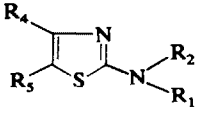
achieves complete inhibition of alkaline phosphatase, while specific phosphatase activities are not affected (871, 946, 947).

The toxicity of some 2-aminothiazoles has been studied, and the results are summarized in Table VI-12.

3. Analytical Uses

In the presence of many metal ions, diorthohydroxyazo dyes exhibit two polarographic reduction waves, the first due to free dye and the second to metal-dye complex. Highly sensitive analytical methods based on this principle have been developed: for example, Ni or Fe may be determined in the presence of an excess of aluminum thank to thiazolylazo derivatives (563).

TABLE VI-12. TOXICITY OF 2-AMINOTHIAZOLES



	Products				
R_1	R_2	R_4	R_5	Toxicity	Ref.
H	H	H	H	Markedly goitrogenic in young rats	948
H	H	H	NO_2	Enheptin causes sterility in male rats	918
H	H	CO_2H	Me	Slight hypotensive action	949
H	Me, Ac, NH_2, H, NMe_2, NHCHO	2-(5-nitrofuryl)	H	Carcinogenic activity in mice	950–952
H	H, CHO, NH_2	4-$O_2NC_6H_4$, 4-$H_2NC_6H_4$	H	Carcinogenic activity in mice	950, 952
H	H	R	R	No mutagenetic activity in *Salmonella typhimurium*	1626

Another line of analytical use is exemplified by the properties of 1-(2-thiazolylazo)-2-naphthol (**305**), whose complexes with metals may be used for their spectrophotometric and titrimetric determination, as well as for their separation by solvent extraction (564, 568, 953-957, 1040).

Some representative analytical uses of 2-aminothiazole derivatives are reported in Table VI-13. The use of azoderivatives of thiazoles in analysis has been reviewed (958).

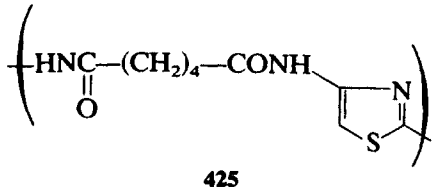
Recently their potential as analytical reagents for U(VI) was tested (959).

4. Dyes

The use of 2-aminothiazole derivatives as dyeing compounds is direct related to the development of synthetic fibers. Some typical examples are given in Table VI-14. The importance of these dyes lies in their performance on acetate fibers. They have excellent fastness to gas fumes, produce a bright blue shade, and have a high tinctorial strength. Their only disadvantage is their relatively low light fastness, which does limit their application.

5. Photography and Related Uses

Various uses have been proposed for aminothiazoles in the field of photography. The more representative examples are given in Table VI-15. The 4-aminothiazole derivative (**425**) has been reported to be efficient fog stabilizer for photographic emulsions (1019) (Scheme 241).



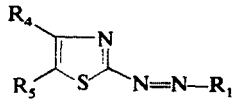
425

Scheme 241

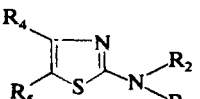
6. Miscellaneous

Unsubstituted 2-aminothiazole was proposed as a food seasoning (1020). This product was found the most effective brightener for a

TABLE VI-13. ANALYTICAL USES OF 2-AZOTHIAZOLE (**A**) AND 2-AMINOTHIAZOLE (**B**) DERIVATIVES



A



B

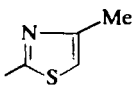
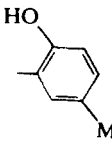
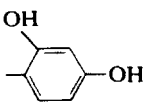
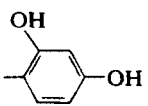
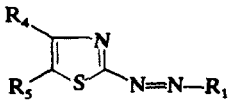
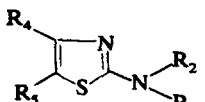
Structure	Products R_1	R_2	R_4	R_5	Use	Ref.
A		—	Me	H	Spot test reagent for Pd^{2+}	960
A		—	H	H	T.A.C. Hg^{2+} indicator Ni indicator as efficient as pyrocatechol violet T.A.R. extraction of vanadium	571, 572, 961, 962, 1041
A		—	H	H	Extraction agent of Cd, Ni, and Zn	1627
A		—	H	H	Spectrophotometric determination of molybdenum, cobalt(2), and gold(3)	963–966, 1628

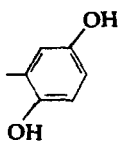
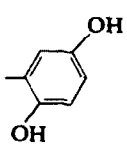
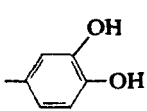
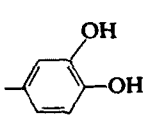
TABLE VI-13. (*Continued*)



A



B

Structure	Products R_1	R_2	R_4	R_5	Use	Ref.
A		—	Ph	COPh	Spectrophotometric determination of Cu(2), Ni(2), Co(2), Zn(2), Cd(2), and Hg(2)	967, 1629
A		—	H	H	Determination of Zn in alloys	968
A		—	H	Cl, I	Form complexes with titanium, germanium, tungsten	1630–1632
A		—	Ph	COPh	Selective reagent for germanium, gallium, tungsten	969–974

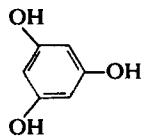
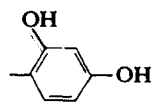
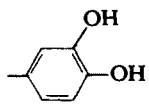
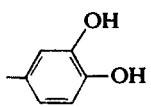
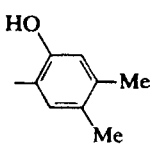
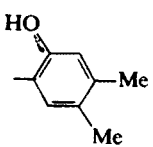
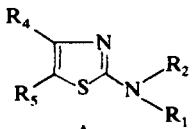
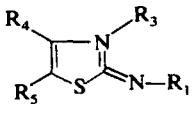
A		—	H	H	Good indicator for Cu^{2+}–EDTA titration	1633
A		—	$-CH_2CH_2CH_2-$		Indicator sensitive to copper, vanadium, and gallium	975–978
A		—	H	I	Forms colored complexes with various metal ions easily extracted with BuOH and isopentylalcohol	1634
A		—	CO_2H	H	Detection of In^{3+}	979
A		—	$-CH_2C(Me)_2CH_2CO-$		Acid-base indicators	980
A		—	H	H	TAMP, spectrophotometric determination of Ni	981

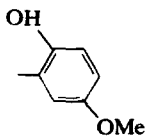
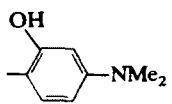
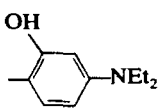
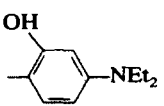
TABLE VI-13. (*Continued*)



A



B

Structure	Products R_1	R_2	R_4	R_5	Use	Ref.
A		—	Me	H	Detection of Zn and Co; detection of MoO_4^{2-}, PO_4^{3-}, and SO_4^{2-} by titration with a lead(II) nitrate solution using azothiazole as indicators	575, 576
A		—	H	H	TAM, spectrophotometric determination of vanadium; photometric determination of niobium in the presence of triethanolamine; spectrophotometric determination of bismuth in the presence of 1,3-diphenylguanidine; spectrophotometric determination of yttrium in the presence of zephiramine; formation constants of complexes with Ho(III) measured	577, 580, 965, 982, 983
A		—	$-CH_2CH_2CH_2-$		Gives colored complexes with gallium(3), indium(3), vanadium(5), copper(2), antimony(3)	984
A		—	H	H	Gives colored complexes with Ir	985

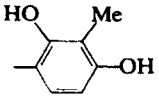
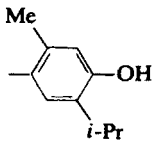
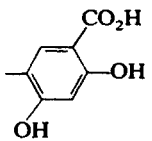
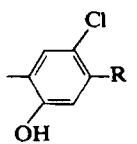
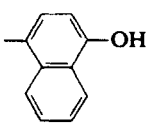
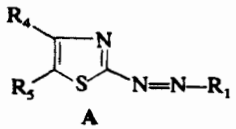
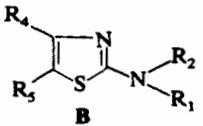
A		—	Me	Me	DMT, AMR acid-base indicator	574
A		—	H	H	Acid-base indicator recommended for titration of acids ($pK_a \leq 5$) with strong bases	555
A		—	H	NO_2	Used in the photometric determination of Pd	1635
A	 (R = Me, OH)	—	$-CH_2C(Me)_2CH_2CO-$		Used as indicators in the titration of strong acids or strong bases	1636
A		—	R	H	Reagent for detection of Pd	605

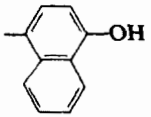
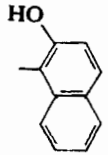
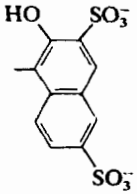
TABLE VI-13. (*Continued*)



A



B

Structure	Products R_1	R_2	R_4	R_5	Use	Ref.
A		—	CO_2H	H	Determination of In^{3+}	979
A		—	H	H	TAN analytical reagent for metals	564, 568, 953, 964, 986, 987, 1637
A		—	H	H	TAN-3,6-S Photometric reagent for Co; mercuric indicator.	572, 988

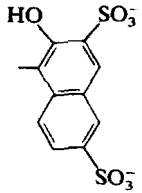
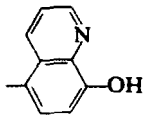
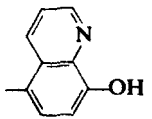
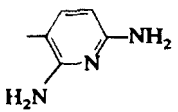
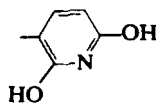
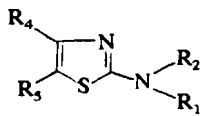
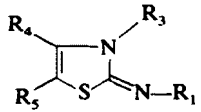
A		—	Me	Me	Gives complexes of constrating colors with Al, Zn, Th, Zr, and Ga and is useful in the photometric analysis of these elements; specific reagent of Pa(II); spectrophotometric determination of Cu(II)	989–992
A		—		$-CH_2CH_2CH_2-$	Analytical reagent	993
A		—		$-CH_2CH_2CH_2-$	Determination of Ni	994, 995
A		—	H	H	Analytical reagent	996
A		—	H	H	Analytical reagent, $pK_1 = 2.54$, $pK_2 = 5.83$	997
B	H	COR	H	NO_2	R = fatty acid, characteristic derivatives for fatty acids because of the sharpness of their melting point	998
B	H	NH_2	Ph	H	Spot-test reagent for Cu^{2+}	960
B	H	NHAc	Me	H	Detection of γ amounts of Cu^{2+}, Co^{2+}, Fe^{2+}, and Fe^{3+} by paper chromatography	547
B	H	CSNHMe	Me	H	Forms colored chelates with Pd^{2+}, Cu^{2+}, Pt^{4+}, Au^{3+}, Ru^{3+}, Ag^{+}	999

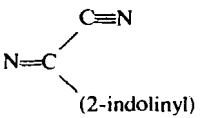
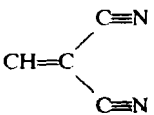
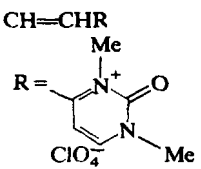
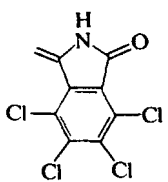
TABLE VI-14. 2-AMINOTHIAZOLES (A) AND 2-IMINO-4-THIAZOLINES (B) DERIVATIVES USED AS DYES



A



B

Structure	Products				Uses	Ref.
	R_1	R_2	R_4	R_5		
A	H	 (2-indolinyl)	H	H	Dyes	1000
A	Me	Ph	Ph		Dyes for hydrophobic textiles and material	1001
A	Me	Ph	Me		Cationic methine dye used to obtain positive colored image in an Ag-free photobleaching process	1002
A			H	NO_2	Its complexes with divalent metal salts are light- and solvent-resistant orange pigments	1638

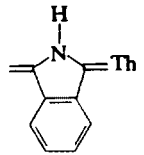
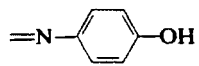
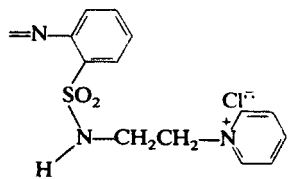
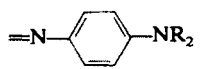
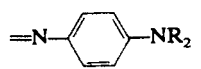
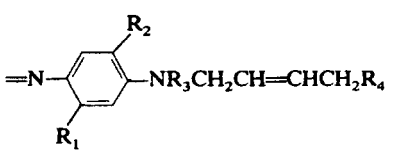
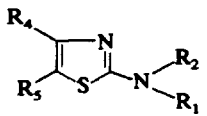
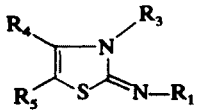
A		H	H	Dye for polyesters, polyamides, cellulose, and triacetate fiber; yellow or reddish yellow shade	285
A		$(o\text{-}CO_2H)C_6H_4$	R	Direct azo dyes for wool, silk, and polycarprolactam	1003
A		H	NO_2	Cationic dyes for polyacrylonitrile textiles	554, 1004
A		H	NO_2	Dyes with good wet, light, and sublimation fastness for polyesters, nylon, and acetate fibers	234, 614
A		CO_2Me	CH_2OH	Dye	1042
A	 (R_1 = H, MeAcNH; R_2 = H, OMe; R_3 = Et, CH_2CH_2OAc; R_4 = CN, OAc)	H	NO_2	Dyes polyester fibers fast blue, brown, and red shades	1654

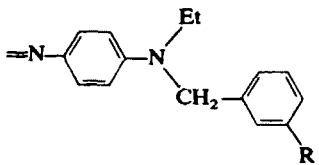
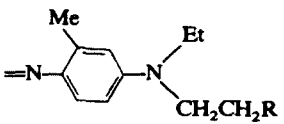
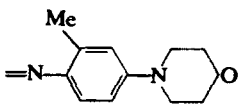
TABLE VI-14. (*Continued*)



A



B

Structure	Products R_1	R_2	R_4	R_5	Uses	Ref.
A			H	NO_2	Dyes polyacrylonitrile a fast blue shade; with $R = SO_3H$, is used for dyeing furs with minimal dyeing of the leather	1005–1008, 1639, 1640
	$(R = SO_2NHCH_2CH_2$—  , $SO_2NHCH_3)$					
A			H	NO_2, Br	Dyes synthetic fibers	616, 1641
	$(R = SO_2$ vinyl, $O_2COCH_2CH_2OEt)$					
A			H	H	Cationic and disperse azo dyes	1642

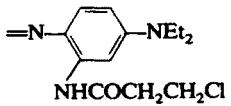
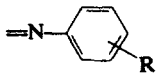
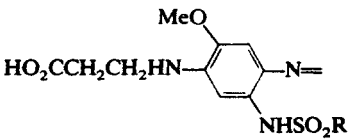
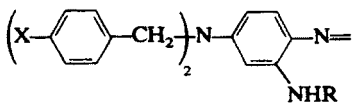
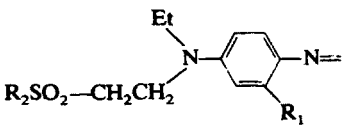
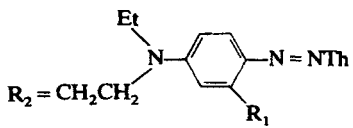
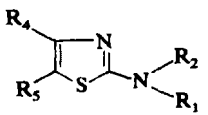
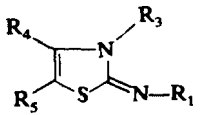
A		H	NO_2	Disperse azo dye; fast brilliant blue shades	1009
A		H	NO_2	Used for transfer printing of polyester textiles	1643
A		H	NO_2	Dyes for dyeing and printing polyester and cellulose acetate fibers fast blue and red shades	340, 553,
A	 (X = H, Cl; R = Ac, $SO_2MeCONHEt$)	H	H	Disperse azo dyes for dyeing polyester textile material	1010
A	 (R_1 = H, Me)	H	H	Disperse azo dyes	1011
	 (R_1 = H, Me)				

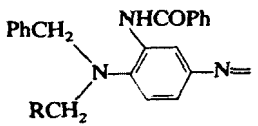
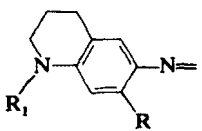
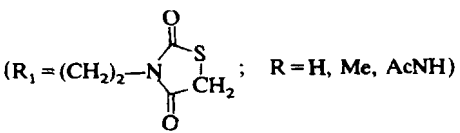
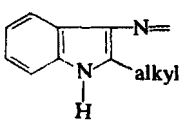
TABLE VI-14. (*Continued*)



A



B

Structure	Products R_1	R_2	R_4	R_5	Uses	Ref.
A			H	H	Gives blue and violet shades on polyester fibers	1644
	($R = CH_2OAc$, CH_2CO_2NHEt)					
A			H	NO_2	Dyes for polyesters or cellulose acetate fiber; deep blue to greenish blue shades	1012
						
A			H	H	Cationic dyes	1013, 1014

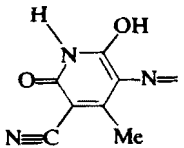
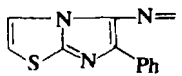
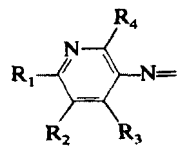
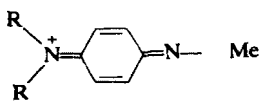
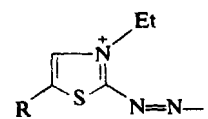
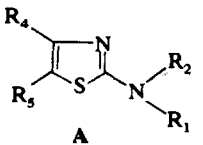
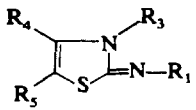
A		H	H	Dye for polyacrylonitrile fiber; light and wet; fast yellow shade	610
A		H	H	Orange dye that gives on quaternization a new fast-red dye on acrylic fibers	1645
A	 (R_1 = NHEt, NH-*i*-Pr; R_2 = C≡N, $CONH_2$; R_3 = NH_2, NH-*i*-Pr; R_4 = NH_2, OC_6H_{13})	H	NO	Dyes for polyesters and cellulose acetate fibers	1015
B		H	H	Dyes with 560 mμ absorption and very low absorption in the red and blue	1016
B	 (R = $CH_2CH_2C{\equiv}N$)	H	H	Cationic dyes for acrylic fiber	553, 1017 1018
B	 (R = H, Me, Cl, Br, $MeSO_2$, NO_2)	H	R	Dye	1646

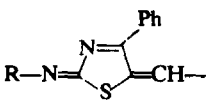
TABLE VI-15. PROPOSED USES OF 2-AMINOTHIAZOLES (**A**) AND 2-IMINO-4-THIAZOLINES (**B**) IN PHOTOGRAPHY

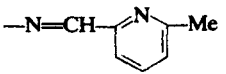
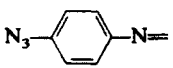
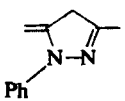
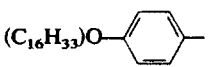


A



B

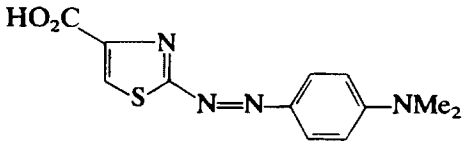
Structure	Products R_1	R_2[a]	R_4	R_5	Uses	Ref.
A	H	H	Ph	H	Supersensiting dyes mixture for Ag halide emulsion	1028
A	H	R (R = alkyl or aryl)	Ph		Heat-sensitive copying material	1029
A	H	RO_2CCH_2OC- (R = *o*-$(C_{12}H_{25})OC_6H_4$	Ph CO_2H m-$HO_3SC_6H_4$	H	Yellow photographic color-coupling agents	1030

A	H		Ph	Ph	Photographic Ag halide emulsions are stabilized against the formation of spontaneous fog by incorporation of this product	1031
A	H	$COCH_2SR$ (R = alkyl, aryl)	Aryl, alkyl	H	Additive for developing photographic materials	1032
A			H, alkyl, alkoxy, nitro, halo	H, alkyl, alkoxy, nitro, halo	Used in photosensitive resin composition	1033
B		CO_2Et		H	Diffusion resistant color coupler for negative color image suitable for the development	1034, 1035
B	NH_2	Alkyl, aryl	Alkyl, aryl, acyl, sulfonic acid	Alkyl, aryl, acyl, sulfonic acid	Used for colored photographic pictures by chromogenic developing	1036
B	CSNH-(p-ClC_6H_4)	Me	Me	CONHPh	Supersensitizes photographic emulsions	1647

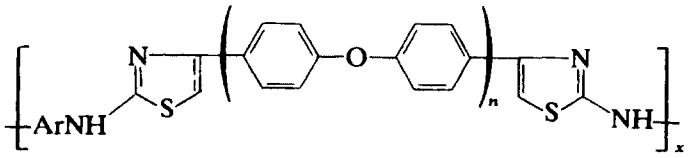
[a] For B type compounds R_3 is centered under this heading.

pyrophosphate copper plating bath (1648). The 2-azoderivative (**426**) (Scheme 242) has a protective action on steel. Its action is conditioned by its physical adsorption at the metal surface (1021, 1022). Brass is protected against corrosion by 2-aminothiazole (1649). 2-Anilino-4-phyenylthiazole is an heat and light stabilizer for polyolefins, animal and vegetable fats, gasoline, jet fuel, and waxes (1023).

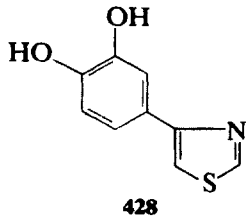
Sulfathiazole is advised as an hair lotion additive defatting the hair and reducing formation of dandruff (1024). The polymeric 2-aminothiazoles derivatives (**427**) exhibit good thermal stability with decomposition in air starting at 350°C (1025).



426



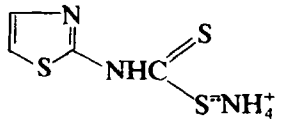
427



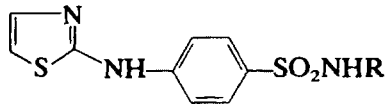
428

Scheme 242

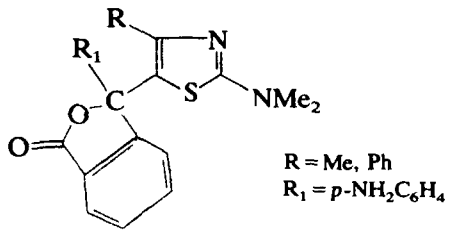
The 2-imino-4-thiazolines may be used as ultraviolet-light stabilizers of polyolefin compositions (1026). 2-Aminothiazole improves adhesive properties of wood to wood glue (271). Compound **428** exhibits antioxidant properties (Scheme 242) (1027). Ammonium *N*-(2-thiazolyl)dithiocarbamate (**429**) is a bactericide and fungicide used in industrial products such as lumber, paint, plastics, and textiles (1037). Compound **430** is reported (1038) to form an excellent volume of foam coating in aluminum pans when ignited with propane.



429



430



431

Scheme 243

Pressure-sensitive copying paper containing **431** was recently patented (1650). 2-Thiazolyldiazonium chloride enters in the composition of synthetic fibers with ion-exchange properties (1651).

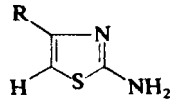
VII. TABLES OF COMPOUNDS

1. General Organization of Tables of Compounds

The following tables contain information on 6000 aminothiazoles. Letters placed after each reference indicate whether any applications or spectroscopic data are given in the reference (meaning of the letters is given in Part One, pp. 2 and 3. Some confusion may occur when the letter l (living material) is placed after the reference because of the typographic similarity of "one" and "ell." Be cautious and don't mix up for example 1131 and 113 l.

The choice of the headings allows a rapid search: in each table the compounds are arranged in order of increasing complexity and mass (see Part One, pp. 2 and 3.

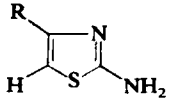
TABLE VI-16.



(R = CH_2X)[a]

R	m.p. (°C)	b.p.(°C)	Ref.
H	93 134(ac.) 23C(pic.)	—	17, 28, 32, 33, 79, 95, 101, 114, 123 u,i, 124 q, 140 d, 154, 172, 174, 197, 217, 231, 235, 271, 316, 383, 390, 515, 536, 631, 639, 641, 648, 688, 699, 714, 752, 815, 816, 892, 1003, 1028, 1043–1049, 1050 v, 1051–1063
H (Cu, Cd, Ni complexes) (Co, Ni, Cu complexes)	—	—	363, 685
H ^{15}N in 3-position	—	—	1064
Me	46, 44–45 133 (ac.)	—	16, 95, 96, 117, 121, 197, 235, 364, 390, 405, 488, 902, 1043, 1049, 1056, 1061, 1065–1074, 1562
Et	35 118 (ac.)	106/3	37, 600, 1072, 1075–1078
Pr	27 102 (ac.)	—	9091, 1078, 1079
Bu	182 (pic.)	80/0.05	9091, 1072, 1077, 1080
Amyl	46	—	636, 1079
Hexyl	184 (pic.)	120/2	450
Heptyl	56	180/14	636, 1079
Nonyl	53	—	636
Undecyl	60	—	636
Tridecyl	67	—	636
Pentadecyl	71	—	636
$(CH_2)_{11}CO_2H$	107	—	1081
$(CH_2)_9CH_2$(2-H_2N-4-thiazolyl)	171	—	1082
$(CH_2)_7CH_2$(2-H_2N-4-thiazolyl)	180	—	1082, 1083
$(CH_2)_6CH_2$(2-H_2N-4-thiazolyl)	111	—	1084
$(CH_2)_5CH_2$(2-H_2N-4-thiazolyl)	205	—	1082
$(CH_2)_5NH_2$	136 (2HCl salt)	—	1085
$(CH_2)_4CH_2$(1-phtalimido)	170	—	1085
$(CH_2)_4CO_2H$	237	—	1081

TABLE VI-16. (*Continued*)



(R = CH_2X)

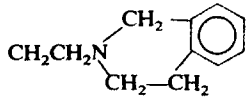
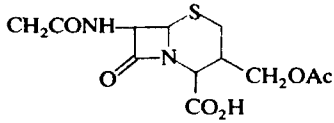
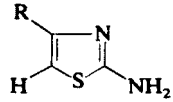
R	m.p. (°C)	b.p. (C)	Ref.
$(CH_2)_3CH_2$(2-H_2N-4-thiazolyl)	216	—	1082, 1083
$(CH_2)_3CO_2H$	127	—	1081
$(CH_2)_3NH_2$	163 (2HCl salt)	—	1086
$(CH_2)_2CH_2$(1-phtalimido)	189	—	1086
$(CH_2)_2CO_2H$	214	—	1081, 1087
$(CH_2)_2Ph$	90	—	7621, 1088, 1091
CH_2CH_2(4-$MeOC_6H_4$)	98	—	7621
CH_2CH_2(4-$MeO_2SC_6H_4$)	220	—	1089
CH_2CH_2Cl	60 160 (ac.)	—	871, 1090,
$(CH_2)_2NH_2$	217 (2HCl salt)	—	1086
CH_2CH_2NHPr	127 (ac.)—	—	871
$CH_2CH_2N(Me)_2$	129	—	1076
CH_2CH_2Npiperidino	135	—	1076
	93	—	1076
CH_2CH_2(1-phtalimido)	196	—	1086
$(CH_2)_2SO_2$(4-tolyl)	220 (HCl salt)	—	10891
CH_2CO_2H	130	—	766, 1070
CH_2CO_2Et	94 80	—	322, 777, 1092–1096
	—	—	1681
CH_2Ph	93 191 (ac.)	—	104 u, 4521, 1072, 1080
CH_2(4-tolyl)	110 148 (ac.)	—	4521
CH_2(4-ClC_6H_4)	146 167 (ac.)	—	4521, 1072, 1080
CH_2(2,4-dichloro-C_6H_3)	98 185 (ac.)	—	4521

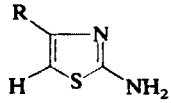
TABLE VI-16. (*Continued*)



(R = CH_2X)

R	m.p. (°C)	b.p. (°C)	Ref.
CH_2(2,5-dichloro-C_6H_3)	105 190 (ac.)	—	4521
CH_2(3,4-dichloro-C_6H_3)	120 156 (ac.)	—	4521
CH_2(4-$O_2NC_6H_4$)	172 189 (ac.)	—	4521, 1080
CH_2(4-$MeOC_6H_4$)	187 191 (ac.)	—	4521
CH_2(2-Cl-4-$MeOC_6H_3$)	141 170 (ac.)	—	4521
CH_2(2-O_2N-1-imidazolyl)	—	—	1082
CH_2(4-O_2N-1-imidazolyl)	—	—	1082
CH_2(2-Me-5-O_2N-1-imidazolyl)	—	—	1082
CH_2(2-*i*-Pr-4-O_2N-1-imidazolyl)	—	—	1082
CH_2(1-pyridinium)$^+Cl^-$	207	—	11001
CH_2(1-quinolinium)$^+Cl^-$	242	—	11001
CH_2(1-isoquinolinium)$^+Cl^-$	245	—	11001
CH_2(1-phtalimido)	243	—	1085
CH_2(2-H_2N-4-thiazolyl)	215	—	331, 1104
CH_2Cl	171 (ac.)	—	28, 418
CH_2NH_2	91 (2HCl salt)	—	1085
$CH_2NHCONHSO_2$(4-tolyl)	296 (ac.)	—	1098
$CH_2NHCONHSO_2$(4-$AcNHC_6H_4$)	298 (ac.)	—	1098
CH_2NH(4-$HO_2SC_6H_4$)	230	—	1097
$CH_2N(Me)_2$	152	—	28
$CH_2N(Et)_2$	65	—	28
CH_2(1-piperidino)	163	—	28
CH_2(1-morpholino)	—	—	234 a
CH_2N^+(morpholino)CH_2(2-H_2N-4-thiazolyl), Cl^-	173	—	1099
CH_2N^+(1-pyrrolidinyl)CH_2-(2-H_2N-4-thiazolyl) Cl^-	151	—	1099
CH_2N^+(1-hexahydroazepinyl)CH_2-(2-H_2N-4-thiazolyl) Cl^-	93	—	1099
CH_2N^+(piperidino)CH_2-(2-H_2N-4-thiazolyl) Cl^-	161	—	1099
CH_2OH	99	—	28, 559, 1101
CH_2OBu	60	—	1102
CH_2OAc	150 (ac.)	—	28
CH_2SH	—	135/2	1103

TABLE VI-16. (*Continued*)

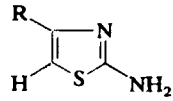


(R = CH_2X)

R	m.p. (°C)	b.p. (C)	Ref.
CH_2SMe	80	—	28, 894
CH_2SEt	95	—	28
$CH_2SCH_2CO_2H$	168	—	28
$CH_2SCH_2CO_2Et$	69	—	28, 1103
$CH_2SC(=NH)NH_2$	106	—	28, 1103
CH_2SAc	147 (ac.)	—	1103
$CH_2S(4\text{-}O_2NC_6H_4)$	192	—	10971
	174 (ac.)		
$CH_2SO_2(4\text{-}H_2NC_6H_4)$	229	—	457
$CH_2SO_2(4\text{-}O_2NC_6H_4)$	238	—	457, 10971
	208 (ac.)		
	204 (ac.)		
$CH_2SSCH_2(2\text{-}H_2N\text{-}4\text{-thiazolyl})$	168	—	28, 1103
$CH_2SPO(OEt)_2$	145 (oxalate)	—	768
CH_2SCu	166	—	7351

[a] For 2-aminothiazole and its complexes R = H.

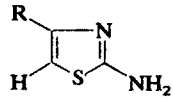
TABLE VI-17.



(R = CHXY)

R	m.p. (°C)	Ref.
CHMeEt(+S)	—	17
(2-Ph-cyclopropyl)	126	1106
	156 (ac.)	
2-(4-$H_2NC_6H_4$)-1-cyclopropyl	142	1106
(4-O_2N-C_6H_4-cyclopropyl)	197	11061
	222 (ac.)	
CH(Me)CO_2Me	130	1093
CH(Et)CO_2Et	104–105	1078
		1079
CH(CO_2H)$CH_2(CH_2)_4$Me	125	1079
CH(CO_2Et)$CH_2(CH_2)_2$Me	—	635, 1079
CH(CO_2Et)$CH_2(CH_2)_9CO_2$Et	80	1081
CH(CO_2Et)$CH_2(CH_2)_4$Me	100	1079
CH(CO_2Et)$CH_2(CH_2)_2CO_2$Et	84	1081
CH(CO_2Et)$CH_2CH_2CO_2$Et	88	1081
CH(CO_2Et)CH_2CH(CO_2Et)(2-H_2N-4-thiazolyl)	158	1105
CH(CO_2Et)CH_2CO_2Et	119	1081
CH(CH_2CO_2H)SC(=NH)NH_2	175	402
(D) CH(OAc)CH(OAc)CH(OAc)CH(OAc)CH_2OAc	175	1101
Vinyl	138 (ac.)	1076
CH=$CHCO_2$H	319 (ac.)	418
CH=CHPh	162	90 u
CH=CH(4-$MeOC_6H_4$)	128	1107
CH=CH(5-O_2N-2-furyl)	190	239, 257, 7411
	203	
	235 (ac.)	
	200–203	
	200–205	
CH=(2-Ph-5-oxo-4-(Δ2-oxazolinyl))	290 (ac.)	418
CH=NNHCSNH_2	260 (ac.)	8091
CH=NH=C(SAc)NHAc	227 (ac.)	418
CHO	211 (ac.)	1101
t-Bu	101	194, 903,
	98	1110, 1111
	176 (ac.)	
C(CO_2Et)Me_2	137	1112
1-(4-$H_2NC_6H_4$)-1-cyclopropyl	187	11091
1-(4-O_2N-C_6H_4)-1-cyclopropyl	221	11091
	238 (ac.)	
CF_3	69	194

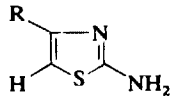
TABLE VI-17. (*Continued*)



(R = CHXY)

R	m.p. (°C)	Ref.
C(Me)=CH(5-O_2N-2-furyl)	180–184 256 (ac.) 263–265 (HCl) 265–267 (HBr)	257
CCl=CH(5-O_2N-2-furyl)	215–217 256 (ac.) 255 (HCl) 252–255 (HBr)	257
C(CH_3)=NNH(2,4-dinitro-C_6H_3)	240	1113
C(Me)=NOH	194	1114
antipyryl	238	818
Ac	230 188 (HCl salt)	856 l, 1113
Bz	161 196 (ac.)	452 l
CO(4-tolyl)	154 201 (ac.)	452 l
CO(4-CC_6H_4)	158 218 (ac.)	452 l
CO(2,4-dichloroC_6H_3)	204 180 (ac.)	452 l
CO(2,5-dichloroC_6H_3)	169 191 (ac.)	452 l
CO(3,4-dichloroC_6H_3)	199 218 (ac.)	452 l
CO(4-$MeOC_6H_4$)	156 198 (ac.)	452 l
$CONHNH_2$	—	113 l, 836 l, 1115 l
CONHN=CHPh	202	1115 l
CONHN=CH(2-HOC_6H_4)	160	1115 l
CONHN=CH(4-HOC_6H_4)	248	1115 l
CONHN=CH(4-$MeOC_6H_4$)	200	1115 l
CONHN=CH(3-MeO-4-HOC_6H_3)	253	1115 l
CONHN=CH(2-furyl)	210	1115 l
CO_2H	—	269, 426, 811 l, 1101
CO_2Et	—	58, 113 i
N=N-Ph	240	1116

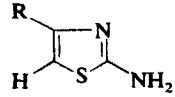
TABLE VI-18.



R = Aryl, Hetaryl

R	m.p. (°C)	Ref.
Ph	151–152 212 (ac.) 201 143–145	73 (pK_a), 95, 96 u, 126 r, 129 r, 142 x, 223–227, 234 a, 235, 246, 292–294, 299, 336, 364, 473, 705 g, 762 l, 805, 857, 858 l, 1043, 1056, 1066, 1068, 1069, 1074, 1107, 1117, 1118–1125, 1126, 1127, 1562, 1675
2-Tolyl	81–82 82 (ac.)	95, 1111
4-Tolyl	124–125 205 112 216 (ac.) 198–200 115–120	73, 95, 246 l, 294, 473, 519, 762 l, 1107, 1111, 1121, 1124
2,5-diMe-C_6H_3	178	762 l
3,4-diMe-C_6H_3	136	762 l
2,4,6-triMe-C_6H_2	165 170 (ac.)	194
4-EtC_6H_4	108 236 123 (ac.)	246 l, 762 l, 1121
4-PrC_6H_4	198 165	246 l, 800 l, 1121
4-BuC_6H_4	189 123	246 l, 800 l, 1121
4-Amyl-C_6H_4	176 (HCl salt)	246, 800 l
4-Hexyl-C_6H_4	191	800 l
4-Octyl-C_6H_4	198	800 l
4-Nonyl-C_6H_4	198	246 l
4-Decyl-C_6H_4	200	246 l, 800 l
4-Dodecyl-C_6H_4	190	246 l, 800 l
4-Hexadecyl-C_6H_4	143 (ac.)	1128 l
4-*i*-PrC_6H_4	164	1121
4-*i*-BuC_6H_4	124	1121
4-Cyclopentyl-C_6H_4	145	1129
4-$F_3CC_6H_4$	187–188	73

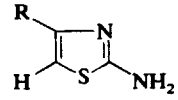
TABLE VI-18. (*Continued*)



R = Aryl, Hetaryl

R	m.p. (°C)	Ref.
2-$HO_2CC_6H_4$	174	1120
p-Diphenyl	217	73, 103 u, 878, 1111
	253 (ac.)	
	210–212	
4-FC_6H_4	120	1130
	212 (ac.)	
2-F-5-MeC_6H_3	110	1130
	230 (ac.)	
3-Me-4-FC_6H_3	87	1130
	190 (ac.)	
4-ClC_6H_4	165	73, 86, 250, 292, 294,
	258 (ac.)	519 l, 804, 1107,
	166–168	1111, 1124, 1131,
		1132
3,4-diClC_6H_3	—	803, 805
4-BrC_6H_4	179	73 (pK_a), 250, 473,
	278 (ac.)	762, 861, 1111, 1124
	198	
	185–187	
4-IC_6H_4	177	1111, 1139
	303 (ac.)	
3-$H_2NC_6H_4$	171	762
4-$H_2NC_6H_4$	176	73 (pK_a), 1111, 11331
4-$AcNHC_6H_4$	248	1134
4-$Cl_2CHCONHC_6H_4$	198	1134
2-$O_2NC_6H_4$	118	1135
3-$O_2NC_6H_4$	191	292, 390, 1056, 1069,
	314 (ac.)	1111, 1124
4-$O_2NC_6H_4$	285	73 (pK_a), 248, 292, 952,
	306 (ac.)	1111, 1672
	291	
2-HOC_6H_4	140	103, 762, 1129
3-HOC_6H_4	138	1111
4-HOC_6H_4	200	1111, 1129
3,4-diHOC_6H_3	236	1027, 1136, 1137
	268 (ac.)	
3,4,5-triHOC_6H_2	—	1139
4-$MeOC_6H_4$	205	96 u, 519 l, 762, 1107,
	128	1111, 1127, 1129
	184	
	288 (ac.)	

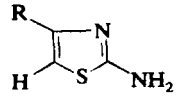
TABLE VI-18. (*Gontinued*)



R = Aryl, Hetaryl

R	m.p. (°C)	Ref.
3-MeO-5-(2-Me-4-thiazolyl)phenyl	208	1140, 1083
2-MeO-5-FC_6H_4	93	11381
3-F-4-$MeOC_6H_4$	178	11381
3,4-di$MeOC_6H_3$	194	6451, 805
2,5-di$MeOC_6H_3$	—	1139
4,5-diMeO-2-MeC_6H_2	—	804
2,3,5-tri$MeOC_6H_2$	—	1139
3,4,5-tri$MeOC_6H_2$	—	1139
4-$EtOC_6H_4$	231	762,
	242	1107
3,4-di$EtOC_6H_3$	159	6451
(4-C_6H_4)(O$(CH_2)_2)_3$(4-(2-H_2N-4-thiazolyl)C_6H_4)	165	1140
(4-C_6H_4)(O$(CH_2)_2$)(4-(2-H_2N-4-thiazolyl)C_6H_4)	179	1083, 1140
(4-C_6H_4)$(OCH_2)_2$(4-(2-H_2N-4-thiazolyl)C_6H_4)	255	1083, 1140
3,4-di$AcOC_6H_3$	185	1027
4-$C_6H_5OC_6H_4$	117	1141
(4-C_6H_4)(4-OC_6H_4)(2-H_2N-4-thiazolyl)	246	1140, 11411
4-$MeSC_6H_4$	182	1111,
	233 (ac.)	73
	173–180	
4-(4-(2-H_2N-4-thiazolyl)$C_6H_4S)C_6H_4$	240	1141
4-$MeSOC_6H_4$	231–234	73
4-$MeO_2SC_6H_4$	247–255	73
1-Naphthyl	131	103 u, 762
	162	
2-Naphthyl	154	615, 762, 1111
	240 (ac.)	
2-HO-1-naphthyl	198	103 u
7-MeO-2-naphthyl	160	1129
4,8-diMeO-1-naphthyl	210	1129
2-Phenanthryl	243	1111
	305 (ac.)	
2-Pyridyl	175–176	1142
3-Pyridyl	204	1142
4-Pyridyl	270	1142
6-Me-2-pyridyl	180–185	1312
2-Quinolyl	218–219	1142
4-Quinolyl	268–269	1142
2-Pyrrolyl	160	1120, 1143
	200 (HCl salt)	

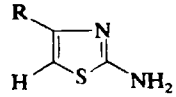
TABLE VI-18. (*Continued*)



R = Aryl, Hetaryl

R	m.p. (°C)	Ref.
3-Indolyl	164	1144 i,u
5-Et-3-indolyl	—	915 l
5-MeO-3-indolyl	—	915 l
5-EtO-3-indolyl	—	915
5-MeO-7-Me-3-indolyl	—	915 l
4-Me-5-imidazolyl	210 315 (ac.)	1149
1-(4-$O_2NC_6H_4$)O_2S-2-benzimidazolyl	—	1145
2-Furyl	125 207 (ac.)	255, 1120
5-Me-2-furyl	—	1146
5-Br-2-furyl	164 185–187 (HCl) 169–171 (HBr) 206–208 (pic.)	434 i,r,u
5-O_2N-2-furyl	239 296 215 (ac.) 232–233 235–236	89, 434 u,i,r, 435, 440, 578, 738, 739
5-MeO-3-furyl	139	1147
2-benzofuryl	245	306
2-benzofuryl	238	1148
5-benzofuryl	182	1148
2-Et-3-benzofuryl	99	1148
7-MeO-2-benzofuryl	181	1148
2-thienyl	108 130 207 (ac.)	762, 1111
2-thiazolyl	187	1151
4-Me-2-thiazolyl	154	1150
2,4-diMe-5-thiazolyl	222	1150
4,5-diMe-2-thiazolyl	225	1150
4-Et-5-Me-2-thiazolyl	142	1150
4-Ph-2-thiazolyl	167	1150
2-Ph-4-Me-5-thiazolyl	197	1150
4-(4-$O_2NC_6H_4$)-2-thiazolyl	238 228–229	1150, 1153
2-(4-$O_2NC_6H_4$)4-Me-5-thiazolyl	243–244	1152
2-H_2N-4-thiazolyl	240	1154

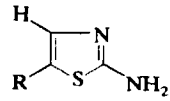
TABLE VI-18. (*Continued*)



R = Aryl, Hetaryl

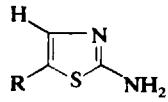
R	m.p. (°C)	Ref.
2-benzothiazolyl	247–248	833
6-benzothiazolyl	—	835
2-Me-6-benzothiazolyl	—	835
6-Me-2-benzothiazolyl	217	833
2-F_3C-6-benzothiazolyl	—	835
2-Ph-6-benzothiazolyl	—	835
6-Cl-2-benzothiazolyl	242	833
5-AcNH-2-thiadiazolyl	>360 (ac.)	418

TABLE VI-19.



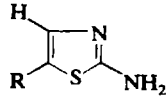
R	m.p. (°C)	b.p. (°C)	Ref.
Me	95–96 115–120	—	32, 73, 78, 295, 407, 1155–1159
Et	55	—	78, 1047, 1158, 1160–1162
Pr	59	—	78, 909, 1158
Bu	68	—	78, 1158, 1160
Amyl	73	—	1160, 1162
CH_2CH_2OH	209 (ac.)	—	1164
CH_2CONH_2	175	—	1165
CH_2CO_2Et	101	—	1165
$CH_2N(CH_2CH_2Et)_2$	—	—	234 a
CH_2-piperidino	158	—	234 a
CH_2-morpholino	152 127 (ac.)	—	234 a
i-Pr	—	110/5	1158, 1160
1-adamantyl	—	—	1163
CH(Me)OH	—	—	1166
2-imino-4-thiazolidinyl	193 (dihydrate)	—	128 r
$CH(OH)CH_2Br$	—	—	1166
$CH(OH)CH_2$-morpholino	—	—	1166
CF_3	187–188	—	73
CHO	207 (ac.)	—	809
Ac	178	—	1166, 1167
COEt	150	—	1167
$COCH_2Br$	—	—	1166
$COCH_2$-morpholino	—	—	1166
$CONH_2$	232	—	397
CONHPh	—	—	1168
$CONH(4\text{-}MeC_6H_4)$	—	—	1168
$CONH(4\text{-}MeOC_6H_4)$	—	—	1168
$CONHNH_2$	205	—	58
CONHN=CHPh	—	—	1169 l
$CONHN{=}CH(4\text{-}AcNHC_6H_4)$	—	—	1169 l
$CONHN{=}CH(4\text{-}Me_2NC_6H_4)$	—	—	1169 l
$CONHN{=}CH(3\text{-}O_2NC_6H_4)$	—	—	1169 l
$CONHN{=}CH(4\text{-}HOC_6H_4)$	—	—	1169 l
$CONHN{=}CH(2,4\text{-}diHOC_6H_3)$	—	—	1169 l
$CONHN{=}CH(3,4\text{-}diHOC_6H_4)$	—	—	1169 l
$CONHN{=}CH(3\text{-}MeO\text{-}4\text{-}HOC_6H_3)$	—	—	1169 l
CON_3	—	—	58
CO_2H	185	—	430, 475, 1170 a,l
CO_2Me	—	193	397, 1171

TABLE VI-19. (*Continued*)



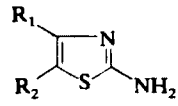
R	m.p. (°C)	b.p. (°C)	Ref.
CO_2Et	164	—	330, 430, 475, 635, 922, 1172
$CSNH_2$	—	—	698
Ph	201–202 211 245 (ac.) 204–205	—	73, 396, 432, 600, 1173
4-MeC_6H_4	205–208	—	73
4-ClC_6H_4	200–201	—	73
4-$H_2NC_6H_4$	204 300 (2HCl salt)	—	73, 397, 479, 523
4-$O_2NC_6H_4$	—	—	73 pK_a, 109 u,i,r
4-HOC_6H_4	—	—	109 u,i,r
4-$MeSC_6H_4$	242–243	—	73
4-$MeOSC_6H_4$	242–245	—	73
4-$MeO_2SC_6H_4$	260–262	—	73
1-Naphthyl	129	—	103 u
2-Fluorenyl	—	—	109 u,i,r
3-Indolyl	—	—	109 u,i,r
5-Indanyl	—	—	109 u,i,r
4-Pyridyl	—	—	109 u,i,r
3-Thianaphthenyl	148	—	1174
3-Et-2-thianaphthenyl	143	—	1174
2-H_2N-5-thiazolyl	>300 (di HCl salt)	—	546
2-HO-4-Me-5-thiazolyl	225	—	1149
Cl	—	115 111–115	77, 327, 408, 409 417, 419, 422
Br	95 220 (ac.)	—	78, 143, 396, 408, 414, 416, 417, 422, 799, 1175–1177
I	226 112 230 (ac.)	—	396, 422, 426, 451
NCS	—	—	78
NO_2	291	—	73, 78, 376, 1010
OMe	197–200	—	73
SMe	173–180	—	73
SCN	—	—	907
SOMe	231–234	—	73
SO_2Me	247–255	—	73
SO_2NH_2	161	—	4301

TABLE VI-19. (*Continued*)



R	m.p. (°C)	b.p. (°C)	Ref.
SO_2NHPh	155	—	430
SO_2NH(2-pyridino)	228	—	395, 430
SO_2NH(2-pyrimidino)	253	—	395
SO_3H	—	—	389, 392
HgCl	180 >300 (ac.)	—	396, 451

TABLE VI-20.



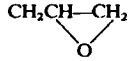
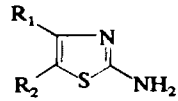
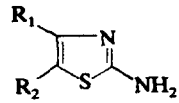
R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
Me	Me	83 146 (ac.)	145/27	32, 78, 106, 251, 600, 749, 859, 1074, 1077 1110, 1178–1181
Me	Et	239	144/26	1110, 1160, 1182
Me	Pr	—	90/0.1	1077, 1160
Me	Bu	—	151/7	1110, 1160
Me	Amyl	175 (pic.)	131/3	450, 1160
Me	Hexyl	—	—	1160
Me	$(CH_2)_5CO_2H$	185	—	11831
Me	$(CH_2)_4CO_2H$	208	—	11831
Me	$(CH_2)_3CO_2H$	202	—	11831
Me	$(CH_2)_2CO_2H$	257	—	231, 1184
Me	$(CH_2)_2CO_2Et$	168	—	1184
Me	$(CH_2)_2$(2-H_2N-4-Me-5-thiazolyl)	220	—	1185
Me	$(CH_2)_2Cl$	171 (HCl salt) 190 (pic.)	—	1186–1188
Me	$(CH_2)_2OH$ with C_2 ^{14}C	—	—	1189
Me	$(CH_2)_2OH$	98 70 209 (pic.)	—	251, 326, 1065, 1117, 1172, 1186–1188, 1190–1195, 1196
Me	$(CH_2)_2OAc$	210 (pic.)	—	1197
Me	$(CH_2)_2S(CH_2)_2$(2-H_2N-4-Me-5-thiazolyl)	225	—	1198
Me	$(CH_2)_2SC(=NH)\overset{+}{N}H_2Br^-$	242 (HCl salt)	—	1198
Me	$(CH_2)_2SS(CH_2)_2$(2-NH_2-4-Me-5-thiazolyl)	160	—	1198
Me	$(CH_2)_2SHgEt$	141	—	1198
Me	*sec*-Bu	—	159/24	1110
Me	*iso*-Amyl	78	—	1160
Me	$CH_2CH(CO_2Et)_2$	—	—	231, 1184
Me	$CH_2CH(OH)CH_2Cl$	146 (HCl salt)	—	1199
Me		152	—	1199
Me	$CH_2C(CO_2Et)_2NHAc$	184 (ac.)	—	231
Me	CH_2CO_2H	275	—	402, 1200–1202

TABLE VI-20. (*Continued*)



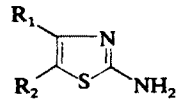
R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
Me	CH_2CO_2Me	153	—	1202
Me	CH_2CO_2Et	123	—	402, 1200, 1202, 1203
Me	CH_2Ph	113 164 (ac.)	—	1204, 1205
Me	$CH_2(2,4\text{-diMeC}_6H_3)$	123 170 (ac.)	—	1204
Me	$CH_2(2,5\text{-diMeC}_6H_3)$	150 151 (ac.)	—	1204
Me	$CH_2(2,4,6\text{-triMeC}_6H_2)$	169 239 (ac.)	—	1204
Me	CH_2(1-naphthyl)	189 165 (ac.)	—	1204
Me	CH_2NMe_2	139 (ac.)	—	231
Me	CH_2piperidino	144	—	234 a
Me	$CH_2SC(NH_2)$=NH, HBr	—	—	1180
Me	*i*-Pr	—	148/19	205, 1110
Me	CH(Me)Et	—	—	205
Me	*t*-Bu	216	—	446
Me	$CH{=}C(CO_2H)NHCOPh$	127	—	201
Me	$C(=NNHPh)(CH_2)_2NMe_2$	201–202	—	1206
Me	$C(=NNHPh)(CH_2)_2NEt_2$	123–124	—	1206
Me	$C(=NNHPh)(CH_2)_2$ (1-piperidino)	121–122	—	1206
Me	$C(=NNHPh)(CH_2)_2$ (1-morpholino)	160–161	—	1206
Me	CHO	181	—	201
Me	Ac.	—	—	1206–1210
Me	$CO(CH_2)_2$(1-piperidinyl)	188–190 (HCl)	—	1206
Me	$CO(CH_2)_2$(1-morpholino)	203–205 (HCl)	—	1206
Me	$COCH_2Br$	—	—	77, 1208
Me	$COCH_2I$	—	—	1208
Me	CONHBu	—	—	8661
Me	$CONH(CH_2)_2Ph$	—	—	8661
Me	$CONHCH_2Ph$	—	—	8661
Me	$CONHCH_2(4\text{-ClC}_6H_4)$	—	—	8661
Me	CONHPh	—	—	743, 756, 8361, 8661
Me	$CONH(2,4,6\text{-triMeC}_6H_2)$	—	—	8661
Me	$CONHNH_2$	213	—	8361
Me	$CONHN(CH_2CH_2Cl)_2$	224 (ac.)	—	201
Me	$CONHN(CH_2CH_2OH)_2$	216 (ac.)	—	201
Me	$CON(Me)CH_2Ph$	—	—	8661

TABLE VI-20. (*Continued*)



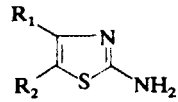
R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
Me	CON_3	—	—	58
Me	CO(morpholino)	—	—	8361
Me	CO_2H	—	—	649
Me	CO_2Et	177 225 (ac.)	—	106 u, 299, 335, 402, 649, 903, 1056, 1069, 1073, 1093–1096, 1112, 1211–1215
Me	$CO_2(CH_2)_2Cl$	165	—	323
Me	$CO_2(CH_2)_2NEt_2$	121	—	323
Me	CN	166	—	1216
Me	Ph	163	—	104, 682, 1072, 1080, 1191, 1217
Me	$4\text{-}ClC_6H_4$	189	—	1072
Me	$4\text{-}H_2NC_6H_4$	181	—	1080, 1218
Me	$2\text{-Me-}4\text{-}H_2NC_6H_3$	157	—	1219
Me	$3\text{-Me-}4\text{-}H_2NC_6H_3$	144	—	1219
Me	$4\text{-}AcNHC_6H_4$	292 (ac.)	—	1218
Me	$2\text{-Me-}4\text{-}AcNHC_6H_3$	236 (ac.)	—	1219
Me	$3\text{-Me-}4\text{-}AcNHC_6H_3$	266 (ac.)	—	1219
Me	$4\text{-}O_2NC_6H_4$	216	—	1135
Me	$2\text{-}O_2N\text{-}4\text{-}H_2NC_6H_3$	110	—	1220
Me	$4\text{-MeO}C_6H_4$	188	—	1080, 11261
Me	1-naphthyl	161	—	1072, 1080
Me	4-H_2N-1-naphthyl	110	—	1220
Me	4-AcNH-1-naphthyl	260 (ac.)	—	1220
Me	2-H_2N-5-thiazolyl	300 (2HCl salt)	—	546
Me	NMe_2	171–172 (HCl)	—	1206
Me	NEt_2	169–170 (HCl)	—	1206
Me	N_2Ph	184	—	399, 402
Me	$N_2(4\text{-MeC}_6H_4)$	—	—	402
Me	$N_2(2,3\text{-diCl}C_6H_3)$	—	—	403
Me	$N_2(4\text{-}O_2NC_6H_4)$	192	—	402
Me	$N_2(4\text{-}H_2NSO_2C_6H_4)$	202	—	402
Me	2-H_2N-4-Me-5-thiazolyl	276	—	1154
Me	N_2(2-Me-6-benzothiazolyl)	—	—	399
Me	N_2(2-Me-5-benzothiazolyl)	—	—	399
Me	Cl	108 197 (ac.)	—	408, 858, 1175, 1180, 1221

TABLE VI-20. (*Continued*)



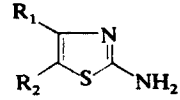
R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
Me	Br	170 109 207 (ac.)	—	172, 408, 414, 713, 1060, 1065, 1102, 1175, 1180, 1222
Me	I	114 218 (ac.)	—	426, 427, 429, 451, 1180
Me	SPh	—	—	1223
Me	SO_2Ph	—	—	1223
Me	SO_2(2-AcNH-4-Me-5-thiazolyl)	325 (ac.)	—	10651
Me	HgCl	250	—	451
Et	Me	70–71	—	95, 861, 889
Et	Et	—	—	1112
Et	Pr	—	154/16	1110
Et	*sec*-Bu	—	166/19	1224
Et	CH(Me)Et	—	172/23	1110
Et	Ph	—	—	1225
Et	$CONHNH_2$	—	—	8361
Et	Pr	—	—	1112
Pr	Ph	—	—	1225
Bu	Pr	—	(0.4) 131–137	775
Hexyl	HgOAc	>240 (ac.)	—	450
	$-CH_2CH_2CH_2-$[a]	125	—	80, 909, 1179, 1226
	$-CH_2CH_2CH_2CH_2-$	87–88 93 141 (ac.) 244 (HCl) 56–58	—	80, 95, 396, 775, 860, 889, 1179, 1205, 1226 u, 1227–1232
	$-CH_2CH_2CH_2CH_2CH_2-$	76 125 (ac.)	—	80, 909, 1111
	$-CH_2CH_2CH_2CH_2CH_2-$	204 (HCl salt)	—	80. 1226
	$-CH_2(CH_2)_4CH_2-$	—	—	80
$(CH_2)_5CH_2$(2-H_2N-4-Ph-5-thiazolyl)	Ph	204	—	1140
$(CH_2)_2Ph$	Br	201	—	7621
	$-CH_2CH_2(2-C_6H_4)-$	251	—	109, 1135
	$-CH_2CH_2(4-O_2N-2-C_6H_3)-$	—	—	109 u, i, r
$CH_2CH_2(4-MeOC_6H_4)$	Br	197	—	7621
	$-CH_2CH_2NHCH_2-$	196	—	8711
	$-CH_2CH_2N(Pr)CO-$	240	—	8711
	$-CH_2CH_2N$(phenethyl)CH_2-	183	—	8711

TABLE VI-20. (*Continued*)



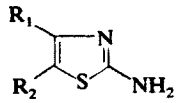
R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
	$-CH_2CH_2N(phenethyl)CO-$[a]	258 (HCl salt)	—	8711
	$-CH_2CH(Me)CH_2CH_2-$	111 151 (ac.)	—	1111
	$-CH_2CH(Ph)CH_2CO-$	—	—	1233
	$-CH_2CH(2\text{-furyl})CH_2CO-$	—	—	1233
	$-CH_2CH(Me)OCH(Me)-$	—	—	775
	$-CH_2C(Me)_2CH_2CH_2-$	—	—	80
	$-CH_2C(Me)_2CH_2CH(Me)-$	(0.3) 132–133	—	775
	$-CH_2C(Me)_2CH_2CO-$	307 219 (ac.)	—	80, 431 i, 1233
$CH_2C(CO_2Et)_2NHAc$	NO_2	—	—	1234
CH_2CO_2H	CO_2H	198	—	1235
CH_2CO_2Me	CO_2Me	137 128 (ac.)	—	1235
CH_2CO_2Et	CO_2Et	—	—	893
CH_2Ph	Me	—	—	1236 u
CH_2Ph	Ph	144 165 (ac.)	—	104, 1080, 1111
	$-CH_2(2\text{-}C_6H_4)-$	215	—	1135
$CH_2(4\text{-tolyl})$	Br	178 (ac.)	—	4521
$CH_2(2,4\text{-dichloro-}C_6H_3)$	Br	201 (ac.)	—	4521
$CH_2(2,5\text{-dichloro-}C_6H_3)$	Br	182 (ac.)	—	4521
$CH_2(3,4\text{-dichloro-}C_6H_3)$	Br	236 (ac.)	—	4521
$CH_2(4\text{-tolyl})$	HgCl	285 (ac.)	—	4521
$CH_2(2,4\text{-dichloro-}C_6H_3)$	HgCl	287 (ac.)	—	4521
$CH_2(2,5\text{-dichloro-}C_6H_3)$	HgCl	286 (ac.)	—	4521
$CH_2(3,4\text{-dichloro-}C_6H_4)$	HgCl	281 (ac.)	—	4521
$CH_2(4\text{-}O_2NC_6H_4)$	Br	186 (ac.)	—	4521
$CH_2(4\text{-}O_2NC_6H_4)$	HgCl	270 (ac.)	—	4521
$CH_2(4\text{-MeO}C_6H_4)$	Br	164 (ac.)	—	4521
$CH_2(4\text{-MeO}C_6H_4)$	HgCl	259 (ac.)	—	4521
$CH_2(4\text{-Cl-4-MeO}C_6H_4)$	Br	190 (ac.)	—	4521
$CH_2(2\text{-Cl-4-MeO}C_6H_4)$	HgCl	290 (ac.)	—	4521
CH_2Cl	4-ClC_6H_4	266	—	682
CH_2Cl	Cl	207 (ac.)	—	418 —
CH_2Cl	Br	216 (ac.)	—	418
CH_2OH	Me	159	—	106 u
CH_2OH	4-ClC_6H_4	196	—	682
CH_2OH	CO_2Me	188	—	550
CH_2OH	CO_2Et	183	—	550
CH_2OH	CO_2Pr	151–152	—	550
	$-CH(CH_2NMe_2)CH_2CH_2CH_2-$	107 180 (ac.)	—	894, 1076
	$-CH(CH_2\text{piperidino})CH_2CH_2CH_2-$	148	—	1076
	$-CH(CO_2Et)CH_2CH_2CH_2-$	—	—	1237
	$-CH{=}CH-CH{=}CH-$	—	—	101

TABLE VI-20. (*Continued*)



R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
$CH{=}CHCO_2H$	Cl	299 (ac.)	—	418
$CH{=}CHCO_2H$	Br	>360 (ac.)	—	418
CH=CHPh	Ph	162	—	90
CH=(2-Ph-5-oxo-4-(Δ2-oxazolinyl))	Cl	272 (ac.)	—	418
CH=(2-Ph-5-oxo-4-(Δ2-oxazolinyl))	Br	249 (ac.)	—	418
$CH{=}NN{=}C(SAc)NHAc$	Cl	258 (ac.)	—	418
$CH{=}N{-}N{=}C(SAc)NHAc$	Br	220 (ac.)	—	418
$C(Me){=}NNH(2,4\text{-}diO_2NC_6H_3)$	Br	—	—	1113
CHO	Cl	229 (ac.)	—	418
CHO	Br	220 (ac.)	—	418
Ac	Br	>240	—	8561, 1113
Ac	Me	—	—	109 u, i, r
Bz	Br	200 (ac.)	—	4521
Bz	HgCl	274 (ac.)	—	4521
CO(4-tolyl)	Br	169 (ac.)	—	4521
CO(4-tolyl)	HgCl	286 (ac.)	—	4521
$CO(4\text{-}ClC_6H_4)$	Br	178 (ac.)	—	4521
$CO(4\text{-}ClC_6H_4)$	HgCl	289 (ac.)	—	4521
$CO(2,4\text{-dichloro-}C_6H_3)$	Br	207 (ac.)	—	4521
$CO(2,4\text{-dichloro-}C_6H_3)$	HgCl	255 (ac.)	—	4521
$CO(2,5\text{-dichloro-}C_6H_3)$	Br	201	—	4521
$CO(2,5\text{-dichloro-}C_6H_3)$	HgCl	255	—	4521
$CO(3,4\text{-dichloro-}C_6H_3)$	Br	209 (ac.)	—	4521
$CO(3,4\text{-dichloro-}C_6H_3)$	HgCl	283 (ac.)	—	4521
$CO(4\text{-}MeOC_6H_4)$	Br	178 (ac.)	—	4521
$CO(4\text{-}MeOC_6H_4)$	HgCl	284 (ac.)	—	4521
COCl	COCl	—	—	1238
$CONHNH_2$	CONHPh	—	—	8361
$CONEt_2$	$CONEt_2$	44	—	1238
CO_2H	Me	—	—	9491
CO_2H	CH_2CO_2H	195	—	1239
CO_2H	CO_2H	230	—	415, 1240
CO_2H	Ph	226	—	1241
CO_2H	Br	>240	—	1175, 1242
CO_2H	I	220	—	426
CO_2H	NCS	—	—	269
CO_2Me	Et	—	—	1243
CO_2Me	CH(Me)OMe	—	—	1244
CO_2Me	C(Me)(OMe)Et	—	—	1244
CO_2Et	Me	—	—	949
CO_2Et	CH_2CO_2Et	153	—	1239
CO_2Et	CO_2Et	90	—	106 u, 330, 1240
CO_2Et	$4\text{-}O_2NC_6H_4$	251 (ac.)	—	12451
$-CO_2CO-$[a]		—	—	1238
CO_2Et	Ph	182	—	1241 a

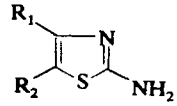
TABLE VI-20. (*Continued*)



R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
CO_2Et	4-$O_2NC_6H_4$	224	—	1245
Ph	Et	—	—	860
Ph	N=N(4-ClC_6H_4)	—	—	403
Ph	SPh	—	—	859, 861
4-tolyl	N=N(4-$EtOC_6H_4$)	—	—	403
–(2-C_6H_4)CO–[a]		—	—	1233
4-IC_6H_4	Me	—	—	1139
3,5-Diodo-4-HOC_6H_2	Me	—	—	1139
3,5-Diodo-4-$MeOC_6H_2$	Me	—	—	1139
Substituted aryl	CH_2CO_2R	—	—	873
4-Antipyryl	Me	220	—	8181
4-Antipyryl	Et	221	—	8181
4-Antipyryl	Pr	200	—	8181
4-Antipyryl	*i*-Pr	203	—	8181
Br	CO_2H	>360	—	415
I	I	240	—	396
NH_2	Ph	—	—	1019
$NH_2(CH_2)_2NH$	4-ClC_6H_4	—	—	867
N=NPh	Me	—	—	588
N=N(2-MeC_6H_4)	Me	—	—	588
N=N(2,6-diMeC_6H_3)	Me	—	—	588
N=N(2-EtC_6H_4)	Me	—	—	588
N=N(2,6-diEtC_6H_3)	Me	—	—	588
N=N(4-ClC_6H_4)	Me	—	—	588
N=N(2-BrC_6H_4)	Me	—	—	588
N=N(4-$EtOC_6H_4$)	Me	—	—	588
HgOAc	HgOAc	>300	—	396

[a] Left bond means "bonded to 4-C"; right bond, "bonded to 5-C."

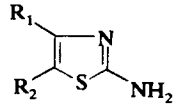
TABLE VI-21.



R_1 = Aryl, Hetaryl

R_1	R_2	m.p. (°C)	Ref.
Ph	Me	125, 223 (ac.)	645, 7361, 1056, 1069, 1205
Ph	Et	93, 69	1111, 1205
Ph	Bu	61	1111
Ph	$(CH_2)_2CONHCH_2CH_2NEt_2$	—	8371
Ph	$(CH_2)_2CO_2H$	—	8371
Ph	$(CH_2)_2CO_2Et$	—	8371
Ph	$(CH_2)_2NMe_2$	155 (2HBr salt)	8631
Ph	$(CH_2)_2$-piperidino	—	1673
Ph	$(CH_2)_2$-pyrrolidino	269 (2HBr salt)	8631
Ph	$CH_2CONH(CH_2)_2NEt_2$	—	8371
Ph	CH_2CO_2H	230	8371, 1246, 1247
Ph	CH_2CO_2Me	231	1246, 1247
Ph	CH_2CO_2Et	—	8371
Ph	CH_2(2-H_2N-4-Ph-5-thiazolyl)	223	237
Ph	CH_2NMe_2	147, 157 (ac.)	234a
Ph	CH_2-piperidino	129, 158 (ac.)	234, 1076, 12481
Ph	CH_2-morpholino	198 (ac.)	2321
Ph	CH_2N(Me)Ph	202 (ac.)	2321
Ph	CH_2N(Et)Ph	205 (ac.)	2321
Ph	$CH_2N(CH_2Ph)Ph$	196 (ac.)	2321
Ph	CH_2(4-(2-H_2N-4-Ph-5-thiazolyl)-CH_2-1-piperazinyl)	93 (ac.)	2321
Ph	CH_2(2-Me-1-benzymidazolyl)	123 (ac.)	2321
Ph	$CH(Me)CO_2H$	240	1246, 1247
Ph	CH=(2-Me-imino-4-Ph-5-Δ3-thiazoline)	>300	237, 1029
Ph	Bz	216, 238 (ac.)	88, 89, 1111, 1249
Ph	CO_2Et	173	1120, 1250, 1251
Ph	Ph	185 183 (HCl salt)	479, 11261, 1155, 1205, 1252
Ph	4-$H_2NC_6H_4$	201	479, 1218
Ph	2-Me-4-$H_2NC_6H_3$	135	1219
Ph	3-Me-4-$H_2NC_6H_3$	165	1219
Ph	*p*-$AcNHC_6H_4$	286 (ac.)	1218
Ph	2-Me-4-$AcNHC_6H_3$	235 (ac.)	1219

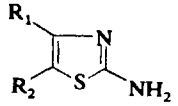
TABLE VI-21. (*Continued*)



R_1 = Aryl, Hetaryl

R_1	R_2	m.p. (°C)	Ref.
Ph	3-Me-4-AcNHC$_6$H$_3$	182 (ac.)	1219
Ph	4-O$_2$NC$_6$H$_4$	215	1135
Ph	2-O$_2$N-4-H$_2$NC$_6$H$_3$	253	1220
Ph	2-O$_2$N-4-AcNHC$_6$H$_3$	295 (ac.)	1220
Ph	1-naphthyl	248	103 u
Ph	4-amino-1-naphthyl	236	1220
Ph	4-NHAc-1-naphthyl	248 (ac.)	1220
Ph	2-H$_2$N-4-C$_6$H$_5$-5-thiazolyl	251–252	545
Ph	Cl	—	7361
Ph	Br	108, 178 (ac.)	414, 420, 457, 7621, 1175, 12531
Ph	I	238	451
Ph	NHCOPh	191	1126
Ph	N=NPh	—	399, 1674
Ph	N=N(2,4-diClC$_6$H$_3$)	—	587
Ph	N=N(2-MeOC$_6$H$_4$)	—	587
Ph	N=N(4-MeOC$_6$H$_4$)	—	587
Ph	N=N(2-Me-5-benzothiazolyl)	—	399
Ph	N=N(2-Me-6-benzothiazolyl)	—	399
2-Tolyl	Me	124, 75 (HCl salt)	9191
3-Tolyl	Me	87	
		194 (HCl salt)	9191
4-Tolyl	Me	174	
		208 (HCl salt)	919
2-Tolyl	4-H$_2$NC$_6$H$_4$	210	479
4-Tolyl	CH$_2$CO$_2$H	224	1246
4-Tolyl	CH$_2$NMe$_2$	107 (ac.)	232.1
4-Tolyl	CH$_2$NEt$_2$	205 (ac.)	2321
4-Tolyl	CH$_2$-piperidino	124 (ac.)	2321
4-Tolyl	CH$_2$-morpholino	186 (ac.)	2321
4-Tolyl	CH$_2$N(Me)Ph	184 (ac.)	2321
4-Tolyl	CH$_2$N(CH$_2$Ph)Ph	135 (ac.)	2321
4-Tolyl	CH$_2$(4-(2-H$_2$N-4-Ph-5-thiazolyl)-CH$_2$-1-piperazinyl)	71 (ac.)	2321
4-Tolyl	CH$_2$(1-benzimidazolyl)	97 (ac.)	2321
4-Tolyl	4-H$_2$NC$_6$H$_4$	190	479, 1218
4-Tolyl	3-Me-4-H$_2$NC$_6$H$_3$	181	1219
4-Tolyl	4-AcNHC$_6$H$_4$	290 (ac.)	1218
4-Tolyl	2-Me-4-AcNHC$_6$H$_3$	243 (ac.)	1219
4-Tolyl	2-O$_2$N-4-H$_2$NC$_6$H$_3$	163	1220

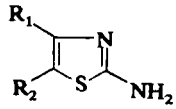
TABLE VI-21. (*Continued*)



R_1 = Aryl, Hetaryl

R_1	R_2	m.p. (°C)	Ref.
4-Tolyl	2-O_2N-4-$AcNHC_6H_3$	253 (ac.)	1220
4-Tolyl	4-H_2N-1-naphthyl	280	1220
4-Tolyl	4-NHAc-1-naphthyl	208 (ac.)	1220
4-Tolyl	Br	106	762 l
4-Tolyl	N=N(2-Me-5-benzothiazolyl)	—	399
4-Tolyl	N=N(2-Me-6-benzothiazolyl)	—	399
2,5-diMeC_6H_3	Br	187	762 l
3,4-diMeC_6H_3	Br	107	762 l
4-EtC_6H_4	Br	112	762 l
–(2-C_6H_4)CO–		—	1254
2-$HO_2CC_6H_4$	Ph	—	1255
p-diPh	Ph	201	103 u
p-diPh	*p*-$H_2NC_6H_4$	237	479
4-FC_6H_4	Me	127, 215 (ac.)	1130
4-FC_6H_4	Et	90, 188 (ac.)	1130
4-FC_6H_4	$(CH_2)_2CO_2H$	—	837 l
4-FC_6H_4	$CH_2CONHCH_2CH_2NEt_2$	—	837 l
4-FC_6H_4	CH_2CO_2H	—	837 l, 908
4-FC_6H_4	Br	188 (ac.)	1253 l
2-F-5-MeC_6H_3	Me	100, 164 (ac.)	1130
2-F-5-MeC_6H_3	Et	119	1130
4-ClC_6H_4	$(CH_2)_4NMe_2$	225 (2HBr salt)	863 l
4-ClC_6H_4	$(CH_2)_3NMe_2$	276 (2HBr salt)	863 l
4-ClC_6H_4	$(CH_2)_2CO_2H$	—	837 l, 908
4-ClC_6H_4	$(CH_2)_2NMe_2$	228 (2HBr salt)	863 l
4-ClC_6H_4	$(CH_2)_2$-pyrrolidino	264 (2HBr salt)	863 l
4-ClC_6H_4	CH_2CO_2H	—	837 l, 908
4-ClC_6H_4	4-$H_2NC_6H_4$	224	479
4-ClC_6H_4	Br	172 (ac.)	1253 l
4-ClC_6H_4	N=NPh	—	401 a
4-ClC_6H_4	N=N(2-ClC_6H_4)	—	401 a
4-ClC_6H_4	N=N(4-BrC_6H_4)	—	401 a
4-ClC_6H_4	N=N(4-$MeOC_6H_4$)	—	401 a
4-ClC_6H_4	N=N(2,3,4-tri$O_2NC_6H_2$)	—	401 a
4-ClC_6H_4	N=N(2-Me-5-benzothiazolyl)	—	399
p-ClC_6H_4	N=N(2-Me-6-benzothiazolyl)	—	399
2-Cl-5-MeC_6H_3	N=N(2-ClC_6H_4)	—	401 a
2-Cl-5-MeC_6H_3	N=N(4-BrC_6H_4)	—	401 a
2-Cl-5-MeC_6H_3	N=N(2,3,4-tri$O_2NC_6H_2$)	—	401 a
3-BrC_6H_4	4-$H_2NC_6H_4$	214	479
4-BrC_6H_4	$(CH_2)_2NMe_2$	250 (2HBr salt)	863 l

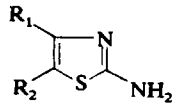
TABLE VI-21. (*Continued*)



R_1 = Aryl, Hetaryl

R_1	R_2	m.p. (°C)	Ref.
4-BrC_6H_4	CH_2NMe_2	118 (ac.)	2321
4-BrC_6H_4	CH_2NEt_2	142 (ac.)	2321
4-BrC_6H_4	CH_2piperidino	129 (ac.)	2321
4-BrC_6H_4	CH_2morpholino	115 (ac.)	2321
4-BrC_6H_4	CH_2N(Me)Ph	98 (ac.)	2321
4-BrC_6H_4	$CH_2N(CH_2Ph)Ph$	130 (ac.)	2321
4-BrC_6H_4	4-$H_2NC_6H_4$	247	479
4-BrC_6H_4	CH_2(4-(H_2N-4-Ph-5-thiazolyl)-CH_2-1-piperazinyl)	101 (ac.)	2321
4-BrC_6H_4	CH_2(1-benzimidazolyl)	136 (ac.)	2321
4-BrC_6H_4	CH_2(2-Me-1-benzimidazolyl)	134 (ac.)	2321
4-BrC_6H_4	Br	192, 184 (ac.)	7621, 12531
4-BrC_6H_4	N=N(2-Me-5-benzothiazolyl)		399
3-IC_6H_4	4-$H_2NC_6H_4$	195	479
4-IC_6H_4	4-$H_2NC_6H_4$	261	479
3-$H_2NC_6H_4$	Br	171	7621
4-$H_2NC_6H_4$	4-$H_2NC_6H_4$	111 and 223 (double m.p.)	479
4-$H_2NC_6H_4$	Br	200	7621
4-$Me_2NC_6H_4$	$(CH_2)_2NMe_2$	200 (2HBr salt)	8631
3-$O_2NC_6H_4$	Me	185 (HCl salt)	9191
4-$O_2NC_6H_4$	Me	234	9191
4-$O_2NC_6H_4$	CO_2Et	258	248, 1245
3-$O_2NC_6H_4$	4-$H_2NC_6H_4$	231	479
4-$O_2NC_6H_4$	*p*-$H_2NC_6H_4$	252	479, 1135
3-$O_2NC_6H_4$	N=NPh	—	401 a
3-$O_2NC_6H_4$	N=N(3,4-diMeC_6H_3)	—	401 a
3-$O_2NC_6H_4$	N=N(2-ClC_6H_4)	—	401 a
3-$O_2NC_6H_4$	N=N(4-BrC_6H_4)	—	401 a
3-$O_2NC_6H_4$	N=N(2,3,4-tri$O_2NC_6H_2$)	—	401 a
3-$O_2NC_6H_4$	N=N(4-$MeOC_6H_4$)	—	401 a
2-$O_2NC_6H_4$	4-$H_2NC_6H_4$	241	479
2-HOC_6H_4	Me	120	103 u
4-HOC_6H_4	Me	210 (HCl salt)	103 u
2-HOC_6H_4	Ph	171	103 u
4-HOC_6H_4	Ph	284	103 u
2-HOC_6H_4	Br	221	7621
4-HOC_6H_4	Br	235	7621
4-$MeOC_6H_4$	Me	117	11261
4-$MeOC_6H_4$	$(CH_2)_2CO_2H$	—	8371
4-$MeOC_6H_4$	$(CH_2)_2NMe_2$	228 (2HBr salt)	8631

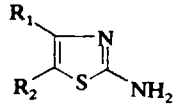
TABLE VI-21 (*Continued*)



R_1 = Aryl, Hetaryl

R_1	R_2	m.p. (°C)	Ref.
4-$MeOC_6H_4$	$CH_2CONHCH_2CH_2NEt_2$	—	837 l
4-$MeOC_6H_4$	CH_2CO_2H	—	837 l
4-$MeOC_6H_4$	CH_2NMe_2	96 (ac.)	232 l
4-$MeOC_6H_4$	CH_2NEt_2	123 (ac.)	232 l
4-$MeOC_6H_4$	CH_2-piperidino	87 (ac.)	232 l
4-$MeOC_6H_4$	CH_2-morpholino	90 (ac.)	232 l
4-$MeOC_6H_4$	CH_2N(Me)Ph	199 (ac.)	232 l
4-$MeOC_6H_4$	CH_2N(Et)Ph	85 (ac.)	232 l
4-$MeOC_6H_4$	$CH_2N(CH_2Ph)Ph$	203 (ac.)	232 l
4-$MeOC_6H_4$	CH_2(1-benzimidazolyl)	141 (ac.)	232 l
4-$MeOC_6H_4$	CH_2(2-Me-1-benzimidazolyl)	107 (ac.)	232 l
4-$MeOC_6H_4$	CH_2(4-(2-H_2N-4-Ph-5-thiazolyl)-CH_2-1-piperazinyl)	214 (ac.)	232 l
4-$MeOC_6H_4$	4-$H_2NC_6H_4$	237	479
4-$MeOC_6H_4$	N=N(2-Me-5-benzothiazolyl)	—	399
4-$MeOC_6H_4$	N=N(2-Me-6-benzothiazolyl)	—	399
4-$MeOC_6H_4$	Br	152	762 l
4-$EtOC_6H_4$	Br	98	762 l
(4-C_6H_4)O(4-C_6H_4)-(2-H_2N-5-Me-4-thiazolyl)	Me	246	1140
1-Naphthyl	Me	195	103 u
1-Naphthyl	CH_2CO_2H	259	1246, 1247
2-Naphthyl	CH_2CO_2H	256	1246
2-Naphthyl	CH_2NMe_2	91 (ac.)	232 l
1-Naphthyl	CH_2NEt_2	137 (ac.)	232 l
2-Naphthyl	CH_2NEt_2	96 (ac.)	232 l
1-Naphthyl	CH_2-piperidino	92 (ac.)	232 l
1-Naphthyl	CH_2morpholino	98 (ac.)	232 l
2-Naphthyl	CH_2N(Me)Ph	123 (ac.)	232 l
2-Naphthyl	$CH_2N(CH_2Ph)Ph$	115 (ac.)	232 l
2-Naphthyl	CH_2(4(2-H_2N-4-Ph-5-thiazolyl)-CH_2-1-piperazinyl)	130 (ac.)	232 l
2-Naphthyl	CH_2(1-benzimidazolyl)	93 (ac.)	232
2-Naphthyl	CH_2(2-Me-1-benzimidazolyl)	95 (ac.)	232 l
1-Naphthyl	Ph	223	103 u
1-Naphthyl	4-$H_2NC_6H_4$	155	479
1-Naphthyl	1-Naphthyl	260	103 u
1-Naphthyl	Br	111	762 l
2-Naphthyl	Br	168	762 l
2-Pyridyl	2-Pyridyl	246–246.5	1142

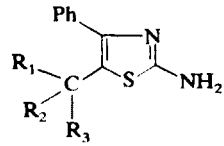
TABLE VI-21. (*Continued*)



R_1 = Aryl, Hetaryl

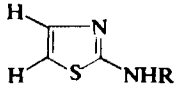
R_1	R_2	m.p. (°C)	Ref.
2-Pyridyl	4-Pyridyl	216–217	1142
4-Pyridyl	2-Pyridyl	277–278	1142
4-Pyridyl	4-Pyridyl	292–293	1142
2-Furyl	SCN	—	255
2-Furyl	SH	169–171	434
2-Furyl	NHC(=NH, HBr)S	198–199	434
5-Br-2-furyl	Ac	215	434
5-Br-2-furyl	Br	138, 225 (ac.)	434 u, i, r
5-O_2N-2-furyl	CH_2-piperidino	—	4351
5-O_2N-2-furyl	Br	190–192	1313
5-O_2N-2-furyl	NO_2	282–284	1313
5-O_2N-2-furyl	SCN	240–242	440
2-Et-3-benzofuryl	Me	137	1148
2-Et-3-benzofuryl	Et	128	1148
2-Et-3-benzofuryl	Ph	172	1148
1-Thienyl	CH_2CO_2H	203	1246, 1247
2-Thienyl	Br	217	7621
5-O_2N-2-thienyl	NO_2	—	807
2-H_2N-5-Me-4-thiazolyl	Me	290	1154
2-H_2N-5-Ph-4-thiazolyl	Ph	256	1256
NHCONH(2-H_2N-4-Me-5-thiazolyl)	Me	245	58

TABLE VI-22.



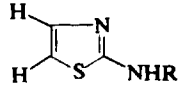
R_1	R_2	R_3	m.p. (°C)	Ref.
H	Ph	2-H_2N-4-Ph-5-thiazolyl	221	235
H	4-Tolyl	2-H_2N-4-Aryl-5-thiazolyl	247	236
H	4-ClC_6H_4	2-H_2N-4-Ph-5-thiazolyl	203, 174	235, 236
H	2-$O_2NC_6H_4$	2-H_2N-4-Ph-5-thiazolyl	231	235
H	3-$O_2NC_6H_4$	2-H_2N-4-Ph-5-thiazolyl	203	235
H	4-$O_2NC_6H_4$	2-H_2N-4-Ph-5-thiazolyl	238	235
H	2-HOC_6H_4	2-H_2N-4-Ph-5-thiazolyl	222	235
H	4-HOC_6H_4	2-H_2N-4-Ph-5-thiazolyl	252	235
H	4-$MeOC_6H_4$	2-H_2N-4-Ph-5-thiazolyl	196	236
H	4-$EtOC_6H_4$	2-H_2N-4-aryl-5-thiazolyl	210	236
H	1-Naphthyl	2-H_2N-4-Aryl-5-thiazolyl	165	236
Ph	4-ClC_6H_4	2-H_2N-4-Ph-5-thiazolyl	—	235
4-ClC_6H_4	2-H_2N-4-Ph-5-thiazolyl	OH	—	235
4-$Me_2NC_6H_4$	*p*-$(Me)_2NC_6H_4$	2-H_2N-4-Ph-5-thiazolyl	—	235
4-$O_2NC_6H_4$	4-$O_2NC_6H_4$	2-H_2N-4-Ph-5-thiazolyl	—	235
OH	Ph	2-H_2N-4-Ph-5-thiazolyl	197	235
OH	4-Tolyl	2-H_2N-4-Ph-5-thiazolyl	152	236
OH	4-ClC_6H_4	2-H_2N-4-Ph-5-thiazolyl	114	236
OH	4-$MeOC_6H_4$	2-H_2N-4-Ph-5-thiazolyl	130	236
OH	4-$EtOC_6H_4$	2-H_2N-4-Ph-5-thiazolyl	130	236
OH	Naphthyl	2-H_2N-4-Ph-5-thiazolyl	140	236
SO_2(4-$AcNHC_6H_4$)	SO_2(4-$AcNHC_6H_4$)	Me	147	889

TABLE VI-23.



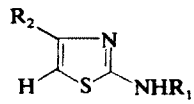
R	m.p. (°C)	b.p. (°C)	Ref.
Me	82	—	85, 304, 650, 1055, 1282
Et	53, 184 (pic.)	—	37, 125 r, 304
Pr	—	135/25	158 k, 304
Bu	—	154/20	158 k
$(CH_2)_2Ph$	147–149 (pic.)	—	188, 1051
$(CH_2)_2$(3-indolyl)	120	—	81
$(CH_2)_2Cl$	134 (HCl salt)	—	183
$(CH_2)_2NHCO_2Et$	85	—	131, 1283
$(CH_2)_2NMe_2$	—	83/45	130, 186
$(CH_2)_2OH$	89, 105	—	183, 1284
$(CH_2)_2SO_2C_6H_3$— 	183	—	839, 1679
CH_2-*i*-Pr	66, 206 (pic.)	130/3	242
$CH_2C(CO_2Et)_2NHAc$	—	—	1234
Allyl	—	128/32	158 k,7691
CH_2Ph	127, 73 (ac.)	—	37, 46
CH_2(*o*-ClC_6H_4)	58	—	1261
i-Pr	82, 137 (pic.)	—	242
Cyclohexyl	146	—	242
$CHMe(CH_2)_3NEt_2$	—	150/1	1285
1-Adamantyl	104–105	—	282
CH(Ac)C(Me)=NH	—	—	1280
$CH(CO_2H)CH_2CONHNHCOCH_2$-CH($CO_2H$)NH(2-thiazolyl)	164–165	—	206
CH(Ph)NH(2-thiazolyl)	138	—	1286, 1287
CH(*p*-ClC_6H_4)NH(2-thiazolyl)	128	—	2131
CH(2,4-diClC_6H_3)NH(2-thiazolyl)	117	—	2131
CH(2-thiophenyl)NH(2-thiazolyl)	—	—	1286, 1288
$CHCl_2$	146	—	248
t-Bu	100, 208 (pic.)	—	242
CPh_3	215	—	33
CH=C(CO_2Et)CO_2Et	—	—	1263
CH=N(2-pyridyl)	—	—	283
CH=N(3-pyridyl)	—	—	283
CH=N(4-pyridyl)	—	—	283
CPh=NSO_2Ph	—	—	262
CPh=NSO_2(*p*-MeC_6H_4)	—	—	262
CPh=NSO_2(*p*-ClC_6H_4)	—	—	262
Ph	126	—	124 q, 1043, 1055, 1282, 1562

TABLE VI-23. (*Continued*)



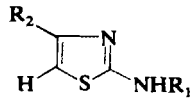
R	m.p. (°C)	b.p. (°C)	Ref.
3,4,5-triMeOC$_6$H$_2$	96–97	—	282
p-EtOC$_6$H$_4$	143	—	712
2-AcO-5-AcNHC$_6$H$_3$	—	—	1289
3,4,5-triAcOC$_6$H$_2$	171	—	1275
p-C$_6$H$_5$OC$_6$H$_4$	129, 188 (pic.)	—	11411
p-As(OH)$_2$OC$_6$H$_4$	240	—	30
m-As(OH)$_2$OC$_6$H$_4$	206	—	30
p-H$_2$NO$_2$SC$_6$H$_4$	240	—	10381, 1279
CH$_2$(2-HO-1-naphthyl)	158	—	38
2-Cl-6-MeO-9-acridinyl	246, 246–7	—	1291, 1294
2-Pyridyl	196	—	18
2-Pyrimidyl	213	—	18
2-One-6-benzoxazolino	—	—	1292
4-(3,5-diMeisoxazolyl)	204–205	—	1280
2-Benzoxazolyl	110	—	1293
6-Ac-2-benzoxazolyl	100	—	12931
6-O$_2$N-2-benzoxazolyl	100	—	12931
NHPSMe$_2$	94–96	—	349
NHPSPh$_2$	208–210	—	349
S(*p*-MeC$_6$H$_4$)	—	—	32
S(*p*-ClC$_6$H$_4$)	139	—	32
S(*p*-O$_2$NC$_6$H$_4$)	166	—	32
SO(*p*-O$_2$NC$_6$H$_4$)	119	—	621
PMe(S)SMe	—	—	3511
PMe(S)S-Allyl	—	—	3511
PMe(S)SCH$_2$Ph	—	—	3511
PEt(S)SMe	—	—	3511
P$^+$(SMe)Me$_2$	—	—	1671

TABLE VI-24.



R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
Me	Me	70, 110 (ac.)	—	96 u, 121, 197, 243, 303, 536, 889, 1043, 1257
Me	CH(Ph)(2-H_2N-4-Me-5-thiazolyl	180	—	235
Me	t-Bu	92, 51 (ac.)	—	194
Me	CONHNCHCH$_2$CH(5-O_2N-2-furyl)	—	—	819
Me	CO_2Et	—	—	819
Me	Ph	—	—	96 u, 126 r, 706 805, 1257
Me	4-ClC_6H_4	—	—	804, 805
Me	3,4-diHOC_6H_3	280 (HCl salt)	—	1137
Me	p-$MeOC_6H_4$	—	—	96 u
Me	2-Me-3,4-di$MeOC_6H_2$	—	—	805
Me	2-Me-4,5-di$MeOC_6H_2$	—	—	804
Me	2-Pryidyl	—	—	931
Me	5-O_2N-2-furyl	201	—	739
Me	6-Cl-2-benzothiazolyl	200	—	833
Et	Me	53	—	282
Et	Ph	76	—	477
Et	2-MeO-5-FC_6H_3	—	164/0.1	11381
Et	3-F-4-$MeOC_6H_3$	83	—	11381
Et	2-Pyridyl	—	—	931
Bu	Ph	—	—	805
Bu	2-Pyridyl	—	—	931
Amyl	CO_2H	253	—	8111
Heptyl	CO_2H	223	—	8111
Nonyl	CO_2H	210	—	8111
$(CH_2)_{10}Me$	Me	—	—	478
$(CH_2)_{12}Me$	CO_2H	173	—	8111
$(CH_2)_2COPh$	p-Tolyl	219	—	2301
$(CH_2)_2COPh$	p-BrC_6H_4	214	—	2301
$(CH_2)_2COPh$	p-$MeOC_6H_4$	156	—	2301
$(CH_2)_2COPh$	2-Thienyl	—	—	916
$(CH_2)_2CO$(p-tolyl)	p-Tolyl	215	—	2301
$(CH_2)_2CO$(p-tolyl)	p-BrC_6H_4	137	—	2301
$(CH_2)_2$(3-indolyl)	Me	—	—	81
CH_2CH_2Cl	Me	173 (HCl salt)	—	184 u
CH_2CH_2OH	Me	156 (pic.)	159/5	184 u
CH_2CH_2OH	2-Pyridyl	—	—	931
$(CH_2)_2NEt_2$	5-O_2N-2-furyl	200 (HCl salt)	—	739
$CH_2CH(Me)OH$	Me	163 (HCl salt)	160/6	184 u
Allyl	Me	41	—	536
Allyl	CH_2Cl	84	—	1258
Allyl	CH=CH(5-O_2N-2-furyl)	121–122	—	80, 257, 577
Allyl	CMe=CH(5-O_2N-2-furyl)	120–121	—	80, 257, 577
Allyl	CCl=CH(5-O_2N-2-furyl)	110, 108–110	—	80, 257, 577

TABLE VI-24. (*Continued*)



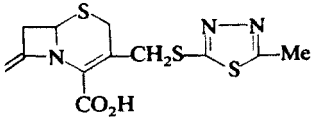
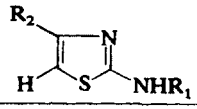
R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
Allyl	C(Me)=NOH	155	—	1258
Allyl	C(NOH)COPh	182–183	—	1134
Allyl	Ac	92, 61 (ac.)	—	771, 1258
Allyl	$CONHNH_2$	100–101	—	471
Allyl	$CONHNHCSNH_2$	185–186	—	471
Allyl	CONHN=$CHCH_2CH$(5-O_2N-2-furyl)	—	—	819
Allyl	CONHN=CH(2-HOC_6H_4)	167–169	—	471
Allyl	CONHN=CH(4-$MeOC_6H_4$)	172–174	—	471
Allyl	CONHN=CH(3,4-di$MeOC_6H_3$)	199–200	—	471
Allyl	CO_2H	268, 167 (ac.) 202–204	—	471, 1258
Allyl	CO_2Et	85, 65 (ac.)	—	819, 1258
Allyl	Ph	73	—	1259
Allyl	p-BrC_6H_4	109, 151 (ac.)	—	1258
Allyl	p-$AcNHC_6H_4$	191	—	1134
Allyl	p-$Cl_2CHCONHC_6H_4$	175	—	1134
Allyl	p-$O_2NC_6H_4$	123, 131 (ac.)	—	1258
Allyl	3,4-diHOC_6H_3	209	—	1260
Allyl	5-O_2N-2-furyl	—	—	4351
Allyl	2-Allyl-NH-4-thiazolyl	141	—	1258
CH_2(o-ClC_6H_4)	Me	100	—	1261 f
CH_2(m-ClC_6H_4)	Ph	93–94	—	282
CH_2(p-$MeOC_6H_4$)	Me	101 (ac.)	—	243 u
CH_2(2,3-di$MeOC_6H_3$)	Ph	124	—	209
CH_2(2,4-di$MeOC_6H_3$)	Ph	110	—	209
CH_2(3,4-di$MeOC_6H_3$)	Ph	148	—	209
CH_2(3,4,5-tri$MeOC_6H_2$)	Ph	135	—	209
CH_2(2-HO-1-naphthyl)	Ph	168	—	38
CH_2(2-HO-1-naphthyl)	4-MeC_6H_4	179	—	38
CH_2(8-HO-2-quinolyl)	p-Tolyl	116	—	2301
CH_2(8-HO-2-quinolyl)	p-BrC_6H_4	242	—	2301
CH_2(8-HO-7-quinolyl)	p-HOC_6H_4	152	—	2291
CH_2(8-HO-2-quinolyl)	p-$MeOC_6H_4$	212	—	2301
CH_2(8-HO-7-quinolyl)	3-MeO-4-HOC_6H_3	135	—	2291
CH_2(8-HO-7-quinolyl)	1-Naphthyl	128	—	2291
CH_2(2-H_2N-3,4-dihydro-4-oxo-6-pteridyl)	$CONHCH(CO_2H)(CH_2)_2CO_2H$	—	—	2101
CH_2Cl	CO_2Et	216	—	258
CH_2Cl	p-BrC_6H_4	172	—	258
CH_2Cl	$2ClCH_2CONH$-4-thiazolyl	240	—	258
CH_2OH	5-O_2N-2-furyl	—	—	4351
Cyclohexyl	2-Pyridyl	—	—	931
	ylglycoloyl	—	—	1262

TABLE VI-24. (*Continued*)



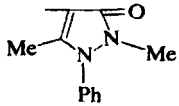
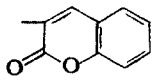
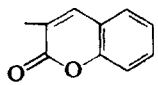
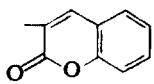
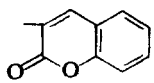
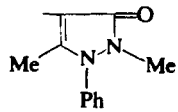
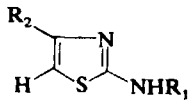
R_1	R_2	m.p.(°C)	b.p. (°C)	Ref.
$CHCl_2$	CO_2Et	200	—	258
$CHCl_2$	p-BrC_6H_4	131	—	258
$CHCl_2$	2-Cl_2CCONH-4-thiazolyl	240	—	258
CCl_3	CO_2Et	91	—	258
CCl_3	p-BrC_6H_4	143	—	258
CCl_3	2-Cl_3CCONH-4-thiazolyl	218	—	258
$CH{=}C(CO_2Et)CO_2Et$	Me	—	—	1263 u
$CH{=}C(CO_2Et)CO_2Et$	Ph	—	—	1263 u
$CPh{=}NSO_2Ph$	Me	—	—	262
$CPh{=}NSO_2(p\text{-}ClC_6H_4)$	Me	—	—	262
$C(NH)NHCH_2Ph$	p-MeC_6H_4	156–158	—	497
C(NH)NH(2-tolyl)	Ph	140–141	—	497
C(NH)NH(4-tolyl)	p-MeC_6H_4	132–134	—	497
$C(NH)NH(4\text{-}ClC_6H_4)$	p-MeC_6H_4	191	—	497
$C(NH)NH(4\text{-}EtOC_6H_4)$	p-MeC_6H_4	168	—	497
$C(NMe)NHCH_2Ph$	p-MeC_6H_4	—	—	497
C(NMe)NH(4-tolyl)	p-MeC_6H_4	142–143	—	497
$C(NMe)NH(4\text{-}ClC_6H_4)$	p-MeC_6H_4	180	—	497
$C(NMe)NH(4\text{-}EtOC_6H_4)$	p-MeC_6H_4	138	—	497
Ac	CH_2(2-Me-5-O_2N-1-imidazolyl)	—	—	821
$CSNHCH_2Ph$	p-MeC_6H_4	85	—	497
N=CHPh		—	—	1265
N=CH(o-tolyl)	Ph	225	—	1266
$N{=}CH(o\text{-}ClC_6H_4)$		—	—	134
$N{=}CH(p\text{-}ClC_6H_4)$		—	—	134
$N{=}CH(o\text{-}HOC_6H_4)$		—	—	134
$N{=}CH(p\text{-}MeOC_6H_4)$		—	—	134
$N{=}CH(p\text{-}MeOC_6H_4)$		—	—	1265

TABLE VI-24. (*Continued*)



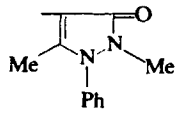
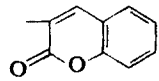
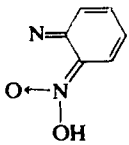
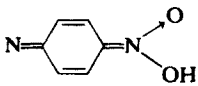
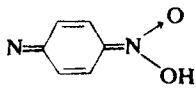
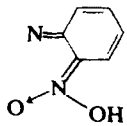
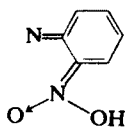
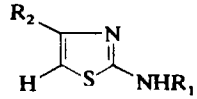
R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
N=CH(3-MeO-4-HOC$_6$H$_3$)		—	—	1265
N=CH(3-MeO-4-HOC$_6$H$_3$)		—	—	134
N=CMe$_2$	Ph	123	—	1267
	Me	165	—	1220
	Ph	189	—	1220
	*p*-MeC$_6$H$_4$	178	—	1220
	Ph	190	—	1220
	*p*-MeC$_6$H$_4$	185	—	1220
NO_2	*t*-Bu	171	—	194
NO_2	CF_3	157	—	194
S(*p*-MeC$_6$H$_4$)	Me	224	—	457
S(*p*-ClC$_6$H$_4$)	Me	221	—	457
S(*p*-O$_2$NC$_6$H$_4$)	Me	183	—	624, 885, 1268
PMe(S)SMe	Me	—	—	3511
PMe(S)SMe	CH_2SMe	—	—	3511
PO(OEt)$_2$	Me	126	—	1269

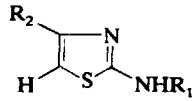
TABLE VI-25.



R_1 = Aryl

R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
Ph	Me	88 118, 117 (ac.)	— —	243, 390, 405, 432, 536, 1043, 1270
Ph	Bu	—	—	1271
Ph	CH_2(2-Me-5-O_2N-1-imidazolyl)	—	—	821
Ph	2-Furyl	—	—	254
Ph	CH_2Cl	104–105	—	66, 98, 120, 1272
Ph	CH_2S(*p*-tolyl)	105	—	1272
Ph	CH_2SO_2(*p*-tolyl)	159	—	1272
Ph	C(Et)(CO_2Et)CO_2Et	128	—	1273
Ph	CH=CH(5-O_2N-2-furyl)	150–153	—	257
Ph	C(Me)=CH(5-O_2N-2-furyl)	146–147	—	257
Ph	CCl=CH(5-O_2N-2-furyl)	180–182	—	239, 257
Ph	Ph	139 81 76 133 (ac.)	—	243, 545, 1125, 1274
Ph	*p*-FC_6H_4	110	—	454
Ph	2-F-4-MeC_6H_3	140	—	454
Ph	2-F-5-ClC_6H_3	77	—	1663
Ph	2-Me-5-FC_6H_3	138	—	454
Ph	*m*-$O_2NC_6H_4$	165	—	1275
Ph	*p*-$O_2NC_6H_4$	202	—	1275
Ph	*o*-$MeOC_6H_4$	195	—	1275
Ph	2-MeO-5-FC_6H_3	—	223/0.03	1138 1
Ph	3-F-4-$MeOC_6H_3$	188	—	11381
Ph	2-Naphthyl	127	—	1275
Ph	4-Antipyryl	248	—	8181
Ph	2-Thienyl	146, 171 (ac.)	—	4551
Ph	2-Benzothiazolyl	211	—	833
Ph	6-Benzothiazolyl	—	—	835
Ph	6-Me-2-benzothiazolyl	204	—	833
Ph	2-Me-6-benzothiazolyl	—	—	835
Ph	2-F_3C-6-benzothiazolyl	—	—	835
Ph	2-Ph-6-benzothiazolyl	—	—	835
Ph	6-Cl-2-benzothiazolyl	218	—	833
o-Tolyl	Me	110	—	454
p-Tolyl	Me	174, 128	—	166, 405, 1270
p-Tolyl	$ClCH_2$	115	—	120, 144
o-Tolyl	Ph	126 112 (ac.)	—	1274
m-Tolyl	Ph	133 (ac.)	—	1274

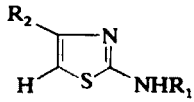
TABLE VI-25. (*Continued*)



R_1 = Aryl

R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
p-Tolyl	Ph	123 118 (ac.)	—	165, 1229, 1259, 1274
o-Tolyl	*p*-FC_6H_4	115	—	454
o-Tolyl	2-Me-4-FC_6H_3	150–152	—	454
p-Tolyl	4-Antipyryl	215	—	8181
p-Tolyl	3-Coumarinyl			1276
o-Tolyl	2-Thienyl	159 118 (ac.)	—	4551
m-Tolyl	2-Thienyl	131 141 (ac.)	—	4551
p-Tolyl	2-Thienyl	167 146 (ac.)	—	4551
o-Tolyl	2-Benzothiazolyl	194–195	—	833
p-Tolyl	2-Benzothiazolyl	178	—	833
o-Tolyl	6-Benzothiazolyl	—	—	835
o-Tolyl	2-Me-6-benzothiazolyl	—	—	835
o-Tolyl	2-F_3C-6-benzothiazolyl	—	—	835
o-Tolyl	2-Ph-6-benzothiazolyl	—	—	835
3,5-di-*t*-BuC_6H_3	Ph	194	—	1023
o-$HO_2CC_6H_4$	Me	236	—	1270
m-$HO_2CC_6H_4$	Me	119	—	1270
p-$HO_2CC_6H_4$	Me	166	—	1270
o-$HO_2CC_6H_4$	Ph	272 274 (ac.)	—	1274
m-$HO_2CC_6H_4$	Ph	260 186 (ac.)	—	1274
p-$HO_2CC_6H_4$	Ph	252 211 (ac.)	—	1274
o-$HO_2CC_6H_4$	2-Thienyl	209 217 (ac.)	—	4551
m-$HO_2CC_6H_4$	2-Thienyl	205 219 (ac.)	—	4551
p-$HO_2CC_6H_4$	2-Thienyl	216 209 (ac.)	—	4551
p-(*p*-$H_2NC_6H_4$)C_6H_4	*p*-*n*-$DodecylC_6H_4$	175	—	925, 1023
p-(*p*-$H_2NC_6H_4$)C_6H_4	*p*-*t*-BuC_6H_4	188	—	925, 1023, 1277
m-ClC_6H_4	Me	112	—	1270, 1274
p-ClC_6H_4	Me	147 131 (ac.)	—	167, 243, 270, 1274
p-ClC_6H_4	CH_2Cl	124	—	1278
m-ClC_6H_4	2-Me-4-FC_6H_3	104	—	454
m-ClC_6H_4	2-F-5-MeC_6H_3	117	—	454
p-ClC_6H_4	3-Coumarinyl			1276

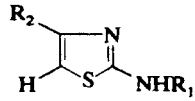
TABLE VI-25. (*Continued*)



R_1 = Aryl

R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
o-ClC_6H_4	2-Thienyl	109 98 (ac.)	—	455
m-ClC_6H_4	2-Thienyl	142 137 (ac.)	—	455 l
p-ClC_6H_4	2-Thienyl	211 181 (ac.)	—	455 l
o-ClC_6H_4	2-Benzothiazolyl	149–150	—	833
m-ClC_6H_4	2-Benzothiazolyl	178	—	833
p-ClC_6H_4	2-Benzothiazolyl	198	—	833
p-ClC_6H_4	6-Benzothiazolyl	—	—	835
p-ClC_6H_4	2-Me-6-benzothiazolyl	—	—	835
p-ClC_6H_4	2-F_3C-6-benzothiazolyl	—	—	835
p-ClC_6H_4	2-Ph-6-benzothiazolyl	—	—	835
p-ClC_6H_4	6-Cl-2-benzothiazolyl	240	—	833
p-BrC_6H_4	Me	162	—	167
p-BrC_6H_4	CH_2Cl	120–121	—	120, 144
p-BrC_6H_4	3-Coumarinyl	—	—	1276
2-Br-4-MeC_6H_3	Me	85	—	166
2,4-diBrC_6H_3	Ph	137	—	167
2,4,6-triBrC_6H_2	2-Me-4-FC_6H_3	88	—	454
p-IC_6H_4	Me	169	—	167
o-$H_2NC_6H_4$	Me	148	—	925, 1023
m-$H_2NC_6H_4$	Me	Liqu.	—	925, 1023
p-$H_2NC_6H_4$	Me	141	—	1023, 1277
o-$H_2NC_6H_4$	$(CH_2)_{10}Me$	94	—	925, 1023
p-$H_2NC_6H_4$	$(CH_2)_{10}Me$	71	—	925, 1023
o-$H_2NC_6H_4$	tBu	134–135	—	925, 1023
m-$H_2NC_6H_4$	tBu	102	—	925, 1023
p-$H_2NC_6H_4$	tBu	146	—	925, 1023
o-$H_2NC_6H_4$	Ph	165	—	925, 926 a, l, 1023
m-$H_2NC_6H_4$	Ph	162	—	925, 926 a, l, 1023, 1277
p-$H_2NC_6H_4$	Ph	135	—	925, 926, 1023, 1229, 1277
o-$H_2NC_6H_4$	*p*-*n*-DodecylC_6H_4	124	—	925, 1023, 1277
p-$H_2NC_6H_4$	*p*-*n*-DodecylC_6H_4	121	—	925, 1023, 1277
p-$NH_2C_6H_4$	*p*-*t*-BuC_6H_4	162	—	925
m-$AcNHC_6H_4$	Ph	146	—	925, 926, 1023, 1277
p-$AcNHC_6H_4$	Ph	180	—	925, 926, a, l, 1023, 1277

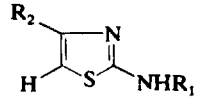
TABLE VI-25. (*Continued*)



R_1 = Aryl

R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
m-$AcNHC_6H_4$	*p*-*t*-BuC_6H_4	140	—	925, 1023, 1277
p-$AcNHC_6H_4$	*p*-*t*-BuC_6H_4	200	—	925, 1023, 1277
p-$(CH_3(CH_2)_{10}CONH)C_6H_4$	Ph	150–151	—	925, 926, a, l, 1023, 1277
p-$(CH_3(CH_2)_{10}CONH)C_6H_4$	*p*-*t*-BuC_6H_4	165	—	925, 1023, 1277
$(CH_3(CH_2)_{16}CONH)C_6H_4$	Ph	147	—	925, 926, a, l, 1023, 1277
p-Anilino-C_6H_4	*p*-*t*-BuC_6H_4	163	—	925, 1023, 1277
m-(4-Me-2-thiazolyl)NHC_6H_4	Me	152	—	405
p-(4-$Me(CH_2)_{10}$-2-thiazolyl)NHC_6H_4	$(CH_2)_{10}CH_3$	151	—	925
p-(4-(*p*-dodecyl-C_6H_4)-2-thiazolyl)NHC_6H_4	*p*-Dodecyl-C_6H_4	263	—	925
p-(4-tBu-2-thiazolyl)NHC_6H_4	*t*-Bu	244	—	925
p-(4-Ph-2-thiazolyl)NHC_6H_4	Ph	278	—	925
p-$Me_2NC_6H_4$	5-O_2N-2-furyl	205	—	739
p-$Et_2NC_6H_4$	Ph	150	—	925, 926, a, l, 1023, 1277
p-$Et_2NC_6H_4$	*p*-*t*-BuC_6H_4	233	—	925, 1023, 1277
m-$O_2NC_6H_4$	Me	103	—	1270
p-$O_2NC_6H_4$	Me	181	—	167, 1270
p-$O_2NC_6H_4$	3-Coumarinyl	—	—	1276
m-$O_2NC_6H_4$	2-Benzothiazolyl	245–247	—	833
p-HOC_6H_4	Me	220	—	167
o-HOC_6H_4	$(CH_2)_{10}Me$	123	—	1023
p-HOC_6H_4	$(CH_2)_{10}Me$	86	—	925, 1023
o-HOC_6H_4	*t*-Bu	146	—	925, 1023
m-HOC_6H_4	*t*-Bu	151	—	925, 1023
p-HOC_6H_4	*t*-Bu	179	—	925, 1023
o-HOC_6H_4	Ph	180	—	925, 926, 1023
m-HOC_6H_4	Ph	123–125	—	925, 926, a, l, 1023
p-HOC_6H_4	Ph	203	—	925
o-HOC_6H_4	*p*-*n*-DodecylC_6H_4	140	—	925, 1277
m-HOC_6H_4	*p*-*n*-DodecylC_6H_4	140	—	1023
p-HOC_6H_4	*p*-*n*-DodecylC_6H_4	137	—	925, 1023, 1277
p-HOC_6H_4	*p*-Diphenyl	153	—	926 a, l
2-HO-3,5-di-*t*-BuC_6H_2	Me	175	—	925, 1023

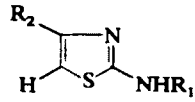
TABLE VI-25. (*Continued*)



R_1 = Aryl

R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
3,5-di-*t*-Bu-4-HOC_6H_2	tBu	114	—	925, 1023
3,5-di-*t*-Bu-2-HOC_6H_2	Ph	194	—	925
3-Dodecyl-4-HOC_6H_3	*p*-Dodecyl	—	—	1277
3-Octadecyl-4-HOC_6H_3	*p*-Dodecyl-C_6H_4	—	—	1277
3-*t*-Bu-4-HOC_6H_4	*p*-Dodecyl-C_6H_4	—	—	1277
3,5-di-*t*-Bu-4-HOC_6H_2	Me	174–175	—	1023
3,5-di-*t*-Bu-4-HOC_6H_2	*t*-Bu	113–114	—	1023
3,5-di*t*-Bu-4-HOC_6H_2	Ph	194	—	926 a, l, 1023, 1277
2-HO-5-(1,1,3,3-tetra-Mebutyl)C_6H_3	Me	160	—	925, 1023
2-HO-5-(1,1,3,3-tetra-Mebutyl)C_6H_3	t-Bu	129	—	925, 1023
2-HO-5-(1,1,3,3-tetra-Mebutyl)C_6H_3	Ph	160	—	925, 926, 1023, 1277
p-$MeOC_6H_4$	Me	127	—	243
o-$MeOC_6H_4$	$(CH_2)_{10}Me$	48–49	—	925, 1023
p-$MeOC_6H_4$	undecyl	60	—	925, 1023
o-$MeOC_6H_4$	*t*-Bu	—	—	925, 1023
m-$MeOC_6H_4$	*t*-Bu	149–151	—	1023
p-$MeOC_6H_4$	*t*-Bu	119	—	925, 1023
o-$MeOC_6H_4$	Ph	86	—	926 a, l, 1023
p-$MeOC_6H_4$	Ph	167	—	1121
p-$MeOC_6H_4$	*p*-*n*-DodecylC_6H_4	106	—	925, 1023, 1277
p-$MeOC_6H_4$	4-Antipyryl	169	—	8181
p-$MeOC_6H_4$	3-Coumarinyl	—	—	1276
m-$MeOC_6H_4$	2-Benzothiazolyl	169	—	833
p-$MeOC_6H_4$	2-Benzothiazolyl	174–176	—	833
p-$MeOC_6H_4$	6-Cl-2-Benzothiazolyl	162	—	833
p-$EtOC_6H_4$	Me	136	—	167
p-$EtOC_6H_4$	Ph	113	—	1121
p-$PrOC_6H_4$	Ph	115	—	1121
p-$C_6H_5OC_6H_4$	Me	111 167 (pic.)	—	11411
p-$H_2NSO_2C_6H_4$	Me	235	—	1038, 1082
p-$H_2NSO_2C_6H_4$	CH_2CO_2Et	220	—	1279
p-$H_2NSO_2C_6H_4$	Ph	230	—	12791
m-$As(OH)_2C_6H_4$	Me	>250	—	30
p-$(OH)_2OAsC_6H_4$	Me	>250	—	30
m-$As(SCH_2CO_2H)_2C_6H_4$	Me	205	—	30
p-$AcOHgC_6H_4$	*p*-FC_6H_4	143	—	454
p-$AcOHgC_6H_4$	2-F-4-MeC_6H_3	180	—	454

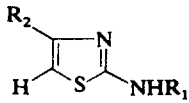
TABLE VI-25. (*Continued*)



R_1 = Aryl

R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
p-AcOHgC$_6$H$_4$	5-Me-2-FC$_6$H$_3$	167	—	454
2-Me-4-AcOHgC$_6$H$_3$	*p*-FC$_6$H$_4$	141	—	454
2-Me-4-AcOHgC$_6$H$_3$	2-Me-4-FC$_6$H$_3$	151	—	454
3-Cl-4-AcOHgC$_6$H$_3$	2-Me-4-FC$_6$H$_3$	240	—	454
3-Cl-4-AcOHgC$_6$H$_3$	2-F-5-MeC$_6$H$_3$	154	—	454
1-Naphthyl	Me	184	—	1270
2-Naphthyl	Me	133	—	1270
1-Naphthyl	$(CH_2)_{10}$Me	60	—	925, 1023
1-Naphthyl	tBu	90	—	925, 1023
1-Naphthyl	Ph	122 152 (ac.)	—	1274
2-Naphthyl	Ph	111 134 (ac.)	—	1274
1-Naphthyl	*p*-*t*-BuPh	215	—	1023, 1277
1-Naphthyl	3-Coumarinyl	—	—	1276
1-Naphthyl	2-Thienyl	122 256 (ac.)	—	455 l
2-Naphthyl	2-Thienyl	225 245 (ac.)	—	455 l
5-Acenaphthyl	*p*-*t*-BuC$_6$H$_4$	200	—	925, 1023, 1277
Ar	$(C_6H_4OC_6H_4)_n$	—	—	1108

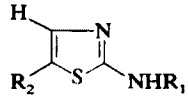
TABLE VI-26.



R_1 = Hetaryl

R_1	R_2	m.p. (°C)	Ref.
3-Pyridyl	Me	203–204	1142
3-Pyridyl	Ph	199	1142
3-Pyridyl	3-Pyridyl	204	1142
3-Pyridyl	4-Pyridyl	228–229	1142
3-Quinolyl	Me	199–200	1142
3-Quinolyl	Ph	216–217	1142
3-Quinolyl	4-Pyridyl	255	1142
3,7-Dichloro-9-acridinyl	Ph	270	1117
2-Me-6-Cl-9-acridinyl	Ph	264	1117
2-MeO-6-Cl-9-acridinyl	Ph	247	1117
2-O_2N-7-MeO-9-acridinyl	Ph	264	1117
2-Furyl	Ph	149	1121
5-O_2N-2-furyl	5-O_2N-2-furyl	274–276	440
2-Dihydrobenzofuryl	Ph	80	1121
2-Benzofuryl	Ph	169	1121
3,5-Dimethyl-4-isoxazolyl	Me	160–161	1280
4-Me-2-thiazolyl	Me	154	197, 1281
4-Me$(CH_2)_{10}$-2-thiazolyl	$(CH_2)_{10}$Me	81	925, 1023
4-*t*-Bu-2-thiazolyl	*t*-Bu	115	1023
4-Ph-2-thiazolyl	Ph	220, 133 (ac).	1122
4-(*p*-DodecylC_6H_4)2-thiazolyl	*p*-*n*-DodecylC_6H_4	105	925, 1023
4-(*p*-*t*-BuC_6H_4)2-thiazolyl	*p*-*t*-BuC_6H_4	257	925, 1023
4-(*p*-BrC_6H_4)2-thiazolyl	*p*-BrC_6H_4	225	496
2-(Pyrido[3,2-d]thiazolyl)	Ph	—	1667

TABLE VI-27.



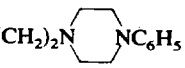
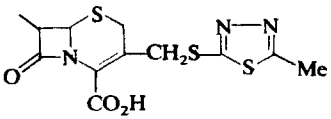
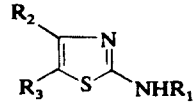
R_1	R_2	m.p. (°C)	Ref.
Et	NO_2	—	725
$(CH_2)_4Me$	NO_2	111–114	26
$(CH_2)_3CONH_2$	NO_2	198–200	192
$(CH_2)_3OMe$	NO_2	118–121	26
$(CH_2)_2NHMe$	NO_2	173–174	192
Allyl	CO_2Et	—	922
Allyl	NO_2	151–154	26
$CH_2CONHMe$	NO_2	239–240	192
$CH_2CONHCO_2Me$	NO_2	204–205	192
$CH_2CONHCO_2Et$	NO_2	190–191	192
$CH_2CONHCO_2Bu$	NO_2	155–156	192
$CH_2CONHNHCO_2Et$	NO_2	225–227	192
CH_2CONEt_2	NO_2	192–194	192, 725
CH_2CO_2H	NO_2	190–191	192
$CH_2(3,4\text{-}diClC_6H_3)$	NO_2	150	282
CH_2(2-NH_2-3,4-dihydro-4-oxo-6-pteridinyl)	$CONHCH(CO_2H)CH_2CH_2CO_2H$	—	2101
$CH_2C{=}CH$	NO_2	—	725
CH_2SO_2H	$p\text{-}HO_2SCH_2NHC_6H_4$	—	1295
i-Pr	Me	—	1296
i-Pr	CHMeEt	—	205
Cyclohexyl		—	1682
	ylglycyl	—	1262
Ph	Me	—	1297
Ph	$CH{=}NNHCSNH_2$	245	8091
Ph	CHO	222	8091
$2\text{-}HO_2CCH_2C_6H_4$	NO_2	166–168	26
$2\text{-}EtO_2CC_6H_4$	NO_2	145–147	26
$4\text{-}ClC_6H_4$	NO_2	230	26
$3,4\text{-}diClC_6H_3$	NO_2	190	26
$p\text{-}HOC_6H_4$	Pentyl	106	925
$o\text{-}HOC_6H_4$	Pentyl	92	925, 1023
$3\text{-}Et_2NCH_2\text{-}4\text{-}MeOC_6H_3$	NO_2	195	26
2-Pyridyl	CH_2NEt_2	144–145	132, 382
2-Pyridyl	2-Pyridyl	—	692, 694, 697
2-Pyridyl	Br	214–216	132, 382
2-Pyridyl	NO_2	285	132, 382
2-Pyridyl	SO_3H	172–175 260–265	132, 382
3-Me-2-pyridyl	2-Pyridyl	170	697
4-Me-2-pyridyl	2-Pyridyl	179	697
6-Me-2-pyridyl	2-Pyridyl	231	697

TABLE VI-27. (*Continued*)

R_1	R_2	m.p. (°C)	Ref.
2-MeO-5-pyridyl	NO_2	244	26
2-BuO-5-pyridyl	NO_2	132–134	26
S(*p*-$O_2NC_6H_4$)	Me	158	32
SO_2(*p*-$H_2NC_6H_4$)	Me	247	251; 1191, 1298
SO_2(*p*-$H_2NC_6H_4$)	Et	170	1160, 1299 l
SO_2(*p*-$H_2NC_6H_4$)	Bu	246	1160
SO_2(*p*-$H_2NC_6H_4$)	Pentyl	237	1160
SO_2(*p*-$H_2NC_6H_4$)	*i*-Pr	217	881, 1160, 1300
SO_2(*p*-$H_2NC_6H_4$)	$CONH_2$	—	882 l
SO_2(*p*-$H_2NC_6H_4$)	CO_2H	215	893, 1172, 1301, 1302 u, 1303
SO_2(*p*-$H_2NC_6H_4$)	CO_2Et	227	635, 893
SO_2(*p*-$H_2NC_6H_4$)	Cl	225	408
SO_2(*p*-$H_2NC_6H_4$)	Br	200	408 l
SO_2(*p*-$H_2NC_6H_4$)	SO_3H	258	389
SO_2(*p*-$AcNHC_6H_4$)	Me	240	251, 1191
SO_2(*p*-$O_2NC_6H_4$)	Me	255	1191
SO_2(*p*-$O_2NC_6H_4$)	CO_2Et	—	1304
SO_2(3,5-diBr-4-$H_2NC_6H_4$)	Br	—	1305
SO_3H	Me	292	407

TABLE VI-28.



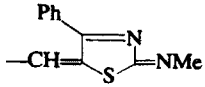
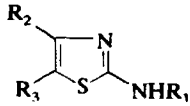
R_1	R_2	R_3	m.p. (°C)	b.p. (°C)	Ref.
Me	Me	Me	125 287 (HCl salt)	—	69 u
Me	Me	*i*-Pr	110	—	444
Me	Me	Cyclohexyl	125	—	444
Me	Me	CH(Me)Et	—	110/10	444
Me	Me	*t*-Bu	124	—	444
Me	Me	Ac	—	—	2701
Me	Me	$CONHCH_2Ph$	—	—	8661
Me	Me	CONHPh	—	—	8661
Me	Me	CONH(*p*-tolyl)	—	—	1306
Me	Me	CONH(1-naphthyl)	—	—	1306
Me	Me	CONH(2-thiazolyl)	—	—	1306
Me	Me	CON(Me)Ph	—	—	1306
Me	Me	CO_2Et	154	—	700
Me	Me	Br	—	—	713, 1180
Me	Me	I	140	—	713, 714, 1180
Me	Ph	Me	—	—	859
Me	Ph	CH_2(2-MeNH-4-Ph-5-thiazolyl)	226	—	237
Me	Ph		234	—	237
Me	*p*-MeC_6H_4	3-Me-4-$AcNHC_6H_3$	208	—	1219
Et	Me	*i*-Pr	—	113/4	445
Et	Me	*t*-Bu	79	125/3	445
Et	Me	$CONHCH_2Ph$	—	—	8661
Et	Me	CONHPh	—	—	8661
Et		$-CH_2CH_2N(Allyl)CH_2-$[a]	213	—	8711
Et	*p*-ClC_6H_4	CH_2CO_2Et	—	—	8371
Pr	Me	CO_2Et	—	—	1307
Pr	Me	2-benzimidazolyl	—	—	1307
Pr		$-CH_2C(Me)_2CH_2CO-$	—	—	1308
$(CH_2)_2CH_2$(1-piperidino)	Me	Me	—	—	1309
$(CH_2)_2NH$(4,5-diMe-2-thiazolyl)	Me	Me	219	—	69 u
$(CH_2)_2OH$		$-CH_2C(Me)_2CH_2CO-$	—	—	1308
$CH_2CH(Br)CH_2Br$	Me	Ac	—	—	77
$CH_2CH(Br)CH_2Br$	Me	$COCH_2Br$	—	—	77, 4331
$CH_2CH(Br)CH_2Br$	Me	2-H_2N-4-thiazolyl	288–290	—	433
$CH_2CH(Br)CH_2Br$	Me	2-Allyl-NH-4-thiazolyl	216–219	—	433
Allyl	Me	$CH_2CONHNH_2$	—	—	770
Allyl	Me	CH_2CO_2Et	—	—	770
Allyl	Me	Ac	—	—	77, 771, 13101
Allyl	Me	$CO(CH_2)_2$(1-piperidinyl)	210 (HCl)	—	1206
Allyl	Me	$CO(CH_2)_2$(1-morpholino)	218–219 (HCl)	—	1206

TABLE VI-28. (*Continued*)



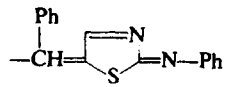
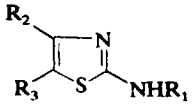
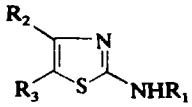
R_1	R_2	R_3	m.p. (°C)	b.p. (°C)	Ref.
Allyl	Me	$COCH_2Br$	—	—	77
Allyl	Me	CO_2Et	110	—	771, 928, 1215
Allyl	Me	2-H_2N-4-thiazolyl	260–263	—	433
Allyl	Me	2-Allyl-NH-4-thiazolyl	158–160	—	433
Allyl	Et	Me	—	—	13101
Allyl		$-CH_2CH_2CH_2-$	96	—	1311
Allyl		$-CH_2CH_2CH_2CH_2-$[a]	76	—	1311
Allyl	$CONHNH_2$	H	—	—	770
Allyl	CO_2H	H	—	—	770
Allyl	Ph	COPh	—	—	88
Allyl	Ph	CO_2Et	130–131	—	1134
Allyl		$-(2-C_6H_4)CO-$	—	—	1233, 1254
Allyl	5-O_2N-2-furyl	CH_2-piperidino	—	—	4351
CH_2Ph	Me	CO_2Et	112	—	700
CH_2OH	5-O_2N-2-furyl	CH_2-piperidino	—	—	4351
i-Pr	Me	Me	102	—	202, 1296
i-Pr	Me	*i*-Pr	—	—	205
i-Pr	Me	Cyclohexyl	—	—	205
i-Pr	Me	2-benzimidazolyl	—	—	1307
Cyclohexyl	Me	Me	—	123/3	202
Cyclohexyl	Me	Cyclohexyl	—	—	205
$CPh{=}NSO_2Ph$	Me	Me	—	—	262
$CPh{=}NSO_2$(*p*-tolyl)	Me	Me	—	—	262
$CPh{=}NSO_2$(*p*-ClC_6H_4)	Me	Me	—	—	262
CSNHPh	Ph	$N{=}N(4\text{-}ClC_6H_4)$	—	—	403
CSNHPh	Ph	$N{=}N(2,5\text{-}diClC_6H_4)$	—	—	403
Ph	Me	Me	108, 165, 150	—	1229, 1314, 1315 u, 1658
Ph	Me	Ac	153		711 i
Ph	Me	CO_2Et	142	—	1229, 1316
Ph	Me	Br	131	—	167, 432
Ph		$-CH_2CH_2CH_2CH_2-$	121	—	1229
Ph		$-CH_2C(Me)_2CH_2CO-$	—	—	1308
Ph		$-CH(CO_2Et)CH_2CH_2CH_2-$	—	—	868, 1237
Ph	Bz	Bz	—	—	1680
Ph	Ph	Ph	152	—	1151
Ph	Ph	CH_2(2-PhNH-4-Ph-5-thiazolyl)	228	—	237
Ph	Ph		271	—	237
Ph	Ph	COPh	195–197	—	88, 1052 i, 1330
Ph	Ph	N_2(3-Me-5-MeS-2-thiadiazolium)$^+I^-$	—	—	404
Ph	Ph	N_2(3-Me-6-$MeSO_2$-2-benzothiazolium)$^+SO_4Me$	—	—	404

TABLE VI-28. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	b.p. (°C)	Ref.
Ph	-(2-C_6H_4)CO-[a]		—	—	1233, 1254
Ph	3-Coumarinyl	H	—	—	1276
Ph	4-Antipyryl	Me	249	—	8181
Ph	4-Antipyryl	Et	226	—	8181
Ph	4-Antipyryl	*i*-Pr	258	—	8181
o-Tolyl	Me	Me	168	—	1314
p-Tolyl	Me	Me	221, 167	—	1229, 1314
o-Tolyl	Me	CO_2Et	156	—	1316
p-Tolyl	Me	CO_2Et	120	—	1229, 1316
p-Tolyl	Me	Br	142	—	166
p-Tolyl	-$CH_2CH_2CH_2CH_2$-		139	—	1229
p-Tolyl	Ph	CH_2Ph	174	—	1259
p-Tolyl	Ph	CH_2(2-p-tolylNH-4-Ph-5-thiazolyl)	234	—	237
p-Tolyl	Ph	CH=(2-p-tolylimino-4-Ph-5-thiazolyl)	257	—	237
o-Tolyl	Ph	COPh	193–194	—	1330
m-Tolyl	Ph	COPh	162–163	—	1330
p-Tolyl	Ph	Ph	178	—	1259
p-Tolyl	Ph	Br	134	—	1959
p-Tolyl	Ph	NO	184	—	1259
p-Tolyl	4-Antipyryl	Me	220	—	8181
p-Tolyl	4-Antipyryl	Et	209	—	8181
p-Tolyl	4-Antipyryl	Pr	199	—	8181
p-Tolyl	4-Antipyryl	*i*-Pr	223	—	8181
p-$EtC(Me)_2C_6H_4$	Me	Me	126	—	1315
o-$HO_2CC_6H_4$	Me	Me	215	—	1314
m-$HO_2CC_6H_4$	Me	Me	175	—	1314
p-$HO_2CC_6H_4$	Me	Me	225	—	1314, 1315
o-$HO_2CC_6H_4$	Me	CO_2Et	250	—	13161
m-$HO_2CC_6H_4$	Me	CO_2Et	>250	—	13161
p-$HO_2CC_6H_4$	Me	CO_2Et	218	—	13161
p-$EtO_2CC_6H_4$	Ph	COPh	177–178	—	1330
o-ClC_6H_4	Me	Me	120	—	1314
m-ClC_6H_4	Me	Me	176	—	1314
p-ClC_6H_4	Me	Me	104	—	1314
o-ClC_6H_4	Me	CO_2Et	105	—	13161
m-ClC_6H_4	Me	CO_2Et	142	—	13161
p-ClC_6H_4	Me	CO_2Et	130	—	13161
p-ClC_6H_4	Me	Br	127	—	167
p-ClC_6H_4	Ph	CH_2(2-p-ClC_6H_4NH-4-Ph-5-thiazolyl)	309	—	237
p-ClC_6H_4	Ph	CH=(2-p-ClC_6H_4imino-4-Ph-5-thiazolyl)	313	—	237
p-BrC_6H_4	Me	Br	137	—	167
o-$H_2NC_6H_4$	-$CH_2CH_2CH_2CH_2$-		184	—	925, 1023
m-$O_2NC_6H_4$	Me	Me	182	—	1314
p-$O_2NC_6H_4$	Me	Me	180	—	1314

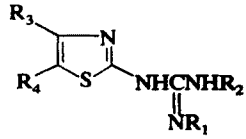
TABLE VI-28. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	b.p. (°C)	Ref.
m-$O_2NC_6H_4$	Me	CO_2Et	158	—	13161
p-$O_2NC_6H_4$	Me	CO_2Et	106	—	13161
p-$O_2NC_6H_4$	Me	Br	162	—	167
o-HOC_6H_4	Me	t-Bu	135	—	925, 1023
o-HOC_6H_4		$-CH_2CH_2CH_2CH_2-$	192	—	925
p-HOC_6H_4		$-CH_2CH_2CH_2CH_2-$[a]	165	—	925, 1023
3-HO_2C-4-HOC_6H_3	Me	Me	287	—	1315 u
p-$MeOC_6H_4$	Ph	CH_2(2-p-$MeOC_6H_4NH$-4-Ph-5-thiazolyl)	261	—	237
p-$MeOC_6H_4$	Ph	CH=(2-p-MeO-C_6H_4-imino-4-Ph-5-thiazolyl)	263	—	237
p-$MeOC_6H_4$	Ph	COPh	186–187	—	1330
p-$MeOC_6H_4$	4-Antipyryl	Me	201	—	8181
p-$MeOC_6H_4$	4-Antipyryl	Et	201	—	8181
p-$EtOC_6H_4$	Me	Br	137	—	167
p-$NH_2SO_2C_6H_4$	Me	CH_2CH_2OH	212	—	1082
p-$H_2NSO_2C_6H_4$	Me	CH_2CO_2Et	163	—	1279
p-$H_2NSO_2C_6H_4$	Me	CO_2Et	245	—	1279
1-Naphthyl	Me	Me	85	—	1314
1-Naphthyl	Me	CO_2Et	122	—	13161
1-Naphthyl		$-CH_2CH_2CH_2CH_2-$	189	—	925, 1023
2-Naphthyl	Me	Me	150	—	1314, 1375
2-Naphthyl	Me	CO_2Et	112	—	13161
2-Me-6-Cl-5-acridyl	Me	CH_2CH_2OH	254	—	1117
2,6-diCl-5-acridyl	Me	CH_2CH_2OH	273	—	1117
2-MeO-6-Cl-5-acridyl	Me	CH_2CH_2OH	256	—	1117
2-MeO-7-O_2N-5-acridyl	Me	CH_2CH_2OH	261	—	1117
3,5-diMe-4-isoxazolyl	Me	Me	171–172	—	1280
3,5-diMe-4-isoxazolyl		$-CH_2(CH_2)_2CH_2-$	172–173	—	1280
3,5-diMe-4-isoxazolyl		$-CH_2(CH_2)_3CH_2-$	186–187	—	1280
3,5-diMe-4-isoxazolyl	Ph	Ph	254–255	—	1280
NPh_2	Me	Me	—	—	521
N=NPh	Me	Me	—	—	399
N=N(p-MeC_6H_4)	Me	Me	—	—	399
N=N(p-$O_2NC_6H_4$)	Me	Me	—	—	399
N=N(p-$NaO_3SC_6H_4$)	Me	Me	—	—	399
NO_2		$-CH_2C(Me)_2CH_2CO-$	201	—	431
$PS(OEt)_2$	Me	Me	—	—	787
$PS(OEt)_2$	Me	CH_2Cl	—	—	787
$PS(OEt)_2$	Me	Ph	—	—	787
$PS(OEt)_2$	CO_2Et	Me	—	—	787
$PS(OEt)_2$	CO_2Et	Ph	—	—	787
$PS(OEt)_2$	4-ClC_6H_4S	Me	—	—	787

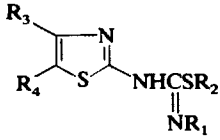
[a] Left bond means "bonded to 4-C"; right bond, "bonded to 5-C."

TABLE VI-29.



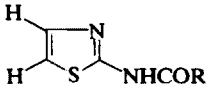
R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
H	H	Me	H	—	1317
H	H	Me	CO_2Et	—	1317
H	H	Ph	H	170	486, 1317
H	H	Ph	Ph	175	486
H	H	*p*-Tolyl	H	178	486
H	H	*p*-ClC_6H_4	H	180	486
H	H	*p*-BrC_6H_4	H	181	486
H	H	*p*-BrC_6H_4	Me	191	486
H	H	*p*-$MeOC_6H_4$	H	176	486
H	H	5-O_2N-2-furyl	H	247 (HCl salt)	739
H	Et	*p*-ClC_6H_4	H	256 (HCl salt)	1321
H	C(=NH)NH_2,HCl	H	H	238	295
H	C(=NH)NH_2,HCl	H	Me	126	295
H	C(=NH)NH_2,HCl	H	Et	220	295
H	Ph	Ph	H	178	486, 506
H	Ph	*p*-BrC_6H_4	H	212	486
H	*o*-Tolyl	Ph	H	141	497
H	*p*-Tolyl	*p*-Tolyl	H	134	497
H	*p*-ClC_6H_4	*p*-Tolyl	H	191	497
H	*p*-$EtOC_6H_4$	*p*-Tolyl	H	168	497
H	N=CH(*p*-$O_2NC_6H_4$)	Ph	H	224	486
H	N=CH(*p*-$O_2NC_6H_4$)	Ph	Ph	134	486
H	N=CH(*p*-$O_2NC_6H_4$)	*p*-ClC_6H_4	H	234	486
H	NH=C(Me)CH_2CO_2Et	Ph	H	129	486
H	NH=C(Me)CO_2Et	*p*-Tolyl	H	—	486
H	NH=C(Me)CO_2Et	*p*-ClC_6H_4	H	149	486
H	NH=C(Me)CO_2Et	*p*-BrC_6H_4	H	146	486
H	NH=C(Me)CO_2Et	*p*-$MeOC_6H_4$	H	118	486
Me	CH_2Ph	*p*-Tolyl	H	143	497
Me	*p*-Tolyl	*p*-Tolyl	H	143	497
Me	*p*-ClC_6H_4	*p*-Tolyl	H	180	497
Me	*p*-$EtOC_6H_4$	*p*-Tolyl	H	138	497
H	CH_2Ph	*p*-Tolyl	H	158	497

TABLE VI-30.



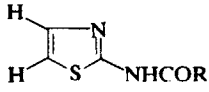
R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
H	CH_2Ph	Ph	H	107	496
H	CH_2Ph	*p*-Tolyl	H	132	496
H	CH_2Ph	*p*-$O_2NC_6H_4$	H	166	496
Ph	CH_2Ph	$-CH_2CH_2CH_2CH_2-$		95	299

TABLE VI-31.



R	m.p. (°C)	b.p. (°C)	Ref.
H	163	—	274 l, 314
Me	203–208	—	32, 84 u, 101, 130, 138 m, 251, 272, 409, 1043, 1264, 1318
Me (Ni, Cu, complexes)	—	—	690, 691
$(CH_2)_{16}Me$	—	—	233
$(CH_2)_2Cl$	175	—	108
$(CH_2)_2NH(CH_2)_2SSO_3H$	232	—	1331 l
$(CH_2)_2NHCHMe_2$	79–80	—	108
$(CH_2)_2NEt_2$	56–57	—	108
$CH_2CH(Me)Cl$	169	—	1319
$CH_2CH(Me)NH(CH_2)_2OH$	142	—	1331 l
$CH_2CH(Me)NH(CH_2)_2Cl$	222 (HCl salt)	—	1331 l
CH_2COPh	156	—	279
CH_2CONH(2-thiazolyl)	—	—	1264
CH_2CO_2H	186	—	1264
CH_2CO_2Et	149	—	1264
CH_2Ph	165	—	1320
CH_2(3-indolyl)	184–185	—	81
CH_2Cl	173, 159	—	84, 130, 1321, 1322
CH_2Br	148	—	820 l
CH_2NH(*p*-tolyl)	183	—	820 l
CH_2NH(*p*-ClC_6H_4)	211	—	820 l
CH_2NH(*p*-$MeOC_6H_4$)	179	—	820 l
CH_2O(*p*-ClC_6H_4)	—	—	254 l, 773 l, 774 l

TABLE VI-31. (*Continued*)



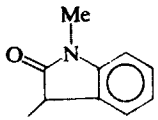
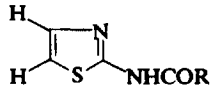
R	m.p. (°C)	b.p. (°C)	Ref.
$CH_2O(2\text{-Me-}4\text{-}ClC_6H_3)$	—	—	2541, 7731, 7741
$CH_2O(2,4\text{-di}ClC_6H_3)$	—	—	2541, 7731, 7741
$CH_2O(2,4,5\text{-tri}ClC_6H_2)$	—	—	2541, 7731, 7741
1-Adamantyl	198–199	—	282
CH(Me)COMe	96	—	279
CH(Et)COMe	91	—	279
$CH(Et)CO_2Et$	119	—	1264
$CHCl_2$	—	—	84
$CH(OMe)(p\text{-}ClC_6H_4)$	—	—	7731, 7741
CCl_3	176	—	84, 13231, 1683, 1687
$CH{=}CHCO_2H$	151–152	—	2701
CH=CHPh	245	—	1320
$CH{=}CH(5\text{-}O_2N\text{-}2\text{-furyl})$	175	—	260
CH=CHNHEt	—	—	7031
CH=CHNHBu	—	—	7031
CH=CH-piperidinyl	—	—	7031
CH=C(Ph)NH(2-thiazolyl)	220	—	279
C(Me)=C(Me)NH(2-thiazolyl)	210	—	279
C(Et)=C(Me)NH(2-thiazolyl)	181	—	279
Ph	152–155	—	84, 101, 130, 251, 268, 780, 1320, 1324,
$2\text{-(2-imidazolyl-CO)}C_6H_4$	192	—	1664
$p\text{-}EtO_2CC_6H_4$	167	—	1320
$p\text{-}H_2NC_6H_4$	258	—	1325
$p\text{-}O_2NC_6H_4$	298	—	1325
$o\text{-}HOC_6H_4$	254–257	—	1320, 13261
$2\text{-HO-}3,5\text{-di}ClC_6H_2$	275	—	7631
$2\text{-HO-}3,5\text{-di}BrC_6H_2$	260	—	7601
$2\text{-HO-}3,5\text{-di}IC_6H_2$	241	—	7651
$p\text{-}MeOC_6H_4$	209	—	1320
$2,4\text{-di}MeOC_6H_3$	167	—	1320
$3,4,5\text{-tri}MeOC_6H_2$	173	—	282
$o\text{-}AcOC_6H_4$	142	—	1320, 1327
3-HO-2-naphthyl	305	—	1320
	—	—	13281
3-(4-HO-quinolinyl)	—	—	1677

TABLE VI-31. (*Continued*)



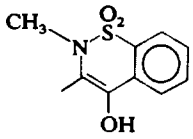
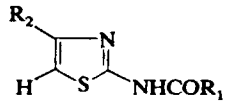
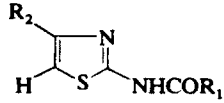
R	m.p. (°C)	b.p. (°C)	Ref.
 "Sudoxicam"	—	256	840 n, 841 l, 1329
NEt_2	—	—	1328
N(Me)CONHMe	—	—	2871
N(Pr)CONHPr	—	—	2871
$N(CH_2CH{=}CH_2)CONHCH_2CH{=}CH_2$	—	—	2871
N_3	194	—	2871

TABLE VI-32.



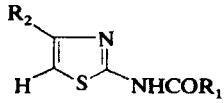
R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
H	Me	100, 161	—	2741, 8081
Me	Me	133–134	—	282, 464
Me	CH=CH(5-O_2N-2-furyl)	—	—	239
Me	C(Me)=CH(5-O_2N-2-furyl)	—	—	239
Me	C(Cl)=CH(5-O_2N-2-furyl)	—	—	239
Me	C(Me)=NH(5-O_2N-2-furyl)	255–256	—	257
Me	C(Cl)=NH(5-O_2N-2-furyl)	242–245	—	257
Me	CONHN=CHCH=CH-2(5-O_2N-2-furyl)	—	—	819
Me	CO_2Et	—	—	819
Me	Ph	213	—	97, 464, 473, 477
Me	*p*-MeC_6H_4	—	—	473
Me	*p*-NCC_6H_4	—	—	473
Me	*p*-BrC_6H_4	—	—	473
Me	*m*-$O_2NC_6H_4$	—	—	473
Me	*p*-$O_2NC_6H_4$	—	—	473
Me	2-Furyl	—	—	255
Me	5-O_2N-2-furyl	295–296	—	435, 440, 1313
Et	Me	109	—	808
Et	CH=CH(5-O_2N-2-furyl)	218–221	—	257
Et	C(Me)=CH(5-O_2N-2-furyl)	205–207	—	257
Et	CO_2Et	—	—	819
Et	Ph	160, 159–160	—	246, 477
Et	*p*-Tolyl	181	—	2461
Pr	Me	107	—	275, 8081
Pr	Ph	176, 175	—	2461, 477
Pr	*p*-Tolyl	177	—	2461
Bu	Me	63	—	8081
Amyl	Ph	164	—	2461
Amyl	*p*-Tolyl	172	—	2461
$(CH_2)_8$Me	Ph	139	—	2461
$(CH_2)_8$Me	*p*-Tolyl	143	—	2461
$(CH_2)_{10}$Me	Me	—	—	233
$(CH_2)_{10}$Me	Ph	138	—	2461
$(CH_2)_{10}$Me	*p*-Tolyl	138	—	2461
$(CH_2)_{12}$Me	Ph	135	—	2461
$(CH_2)_{12}$Me	*p*-Tolyl	134	—	2461
$(CH_2)_{14}$Me	Ph	134	—	2461
$(CH_2)_{14}$Me	*p*-Tolyl	130	—	2461
$(CH_2)_{14}$Me	5-O_2N-2-furyl	137	—	7381
$(CH_2)_{16}$Me	Ph	—	—	233
$(CH_2)_{16}$Me	5-O_2N-2-furyl	134	—	7381
$(CH_2)_3$Ph	Me	142	—	8081
$(CH_2)_3$Cl	Ph	169	—	97
$(CH_2)_2$Ph	Me	114	—	8081
$(CH_2)_2$Cl	Ph	171	—	97
$CH_2CH(CO_2H)NHBu$	Me	200–201	—	1332

TABLE VI-32. (*Continued*)



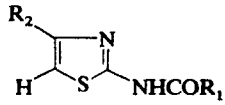
R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
CH_2Ac	Me	168	—	138, 278
CH_2Ac	CH_2CO_2Et	—	—	777
CH_2Ac	p-MeC_6H_4	213	—	279
CH_2Ac	p-ClC_6H_4	156	—	279
CH_2Ac	p-HOC_6H_4	191	—	279
CH_2Ac	p-$MeOC_6H_4$	148	—	279
CH_2Bz	Me	147	—	279
CH_2Bz	Ph	150	—	279
CH_2Bz	p-ClC_6H_4	211	—	279
$CH_2CO(2\text{-}C_{16}H_{33}OC_6H_4)$	CO_2H	110	—	1030
$CH_2CO(2\text{-}C_{12}H_{25}OC_6H_4)$	Ph	68–69	—	1030
CH_2CONH(4-Me-2-thiazolyl)	Me	270	—	278
CH_2CO_2Et	Me	137	—	278
CH_2Ph	Me	118	—	8081
CH_2(3-indolyl)	Me	183.5–184.5	—	81
CH_2Cl	CH_2CO_2Et	144	—	259
CH_2Cl	CH=CH(5-O_2N-2-furyl)	218–220	—	257
CH_2Cl	CONHN=CHCH=CH(5-O_2N-2-furyl)	—	—	819
CH_2Cl	CO_2Et	216	—	2581, 819
CH_2Cl	Ph	157, 150	—	97, 256, 477, 1124
CH_2Cl	p-Tolyl	150	—	256
CH_2Cl	p-ClC_6H_4	118	—	256
CH_2Cl	p-BrC_6H_4	145, 172		2561, 258
CH_2Cl	m-$O_2NC_6H_4$	203	—	2561
CH_2Cl	p-$MeOC_6H_4$	153	—	2561
CH_2Cl	1-Naphthyl	191	—	2561
CH_2Cl	2-Naphthyl	198	—	2561
CH_2Cl	2-Furyl	181	—	255
CH_2Cl	5-O_2N-2-furyl	200	—	440, 1313
CH_2Cl	2-$ClCH_2CONH$-4-thiazolyl	240	—	258
CH_2Br	CH_2CO_2Et	166	—	259
CH_2Br	CO_2Et	192	—	8201
CH_2Br	Ph	177	—	259
CH_2Br	p-Tolyl	185	—	257
CH_2NH_2	3-Pyridyl	—	—	920
CH_2NH-alkyl	3-Pyridyl	—	—	920
$CH_2NHAllyl$	3-Pyridyl	—	—	920
CH_2NHCH_2Ph	3-Pyridyl	—	—	920
CH_2NHPh	CO_2Et	159	—	8201
CH_2NHPh	3-Pyridyl	—	—	920
$CH_2NH(p\text{-tolyl})$	$CONHNH_2$	216	—	8201
$CH_2NH(p\text{-tolyl})$	CO_2Et	148	—	8201
$CH_2NH(p\text{-}EtO_2CC_6H_4)$	CO_2Et	192	—	8201
$CH_2NH(p\text{-}ClC_6H_4)$	CO_2Et	186	—	8201
$CH_2NH(p\text{-}BrC_6H_4)$	CO_2Et	187	—	8201
$CH_2NH(p\text{-}O_2NC_6H_4)$	CO_2Et	233	—	8201
$CH_2NH(p\text{-}MeOC_6H_4)$	$CONHNH_2$	213	—	8201

TABLE VI-32. (*Continued*)



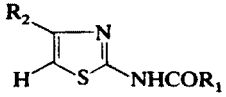
R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
$CH_2NH(p\text{-}MeOC_6H_4)$	CO_2Et	141	—	8201
$CH_2NH(p\text{-}EtOC_6H_4)$	CO_2Et	164	—	8201
$CH_2NH(p\text{-}PrOC_6H_4)$	CO_2Et	168	—	8201
$CH_2NH(p\text{-}BuOC_6H_4)$	CO_2Et	157	—	8201
$CH_2NH(p\text{-}H_2NSO_2C_6H_4)$	CO_2Et	239	—	8201
$CH_2N(Me)Ph$	Ph	142	—	2561
$CH_2N(Me)Ph$	*p*-tolyl	148	—	2561
$CH_2N(Me)Ph$	$p\text{-}ClC_6H_4$	149	—	2561
$CH_2N(Me)Ph$	$p\text{-}BrC_6H_4$	155	—	2561
$CH_2N(Me)Ph$	$m\text{-}O_2NC_6H_4$	161	—	2561
$CH_2N(Me)Ph$	1-Naphthyl	219	—	2561
$CH_2N(Me)Ph$	2-Naphthyl	131	—	2561
CH_2NEt_2	Ph	92	—	477
CH_2NEt_2	*p*-Tolyl	81	—	1124
CH_2NEt_2	$p\text{-}MeOC_6H_4$	>300	—	2561
CH_2NEt_2	$m\text{-}O_2NC_6H_4$	181	—	2561
CH_2NEt_2	1-Naphthyl	88	—	2561
CH_2NEt_2	2-Naphthyl	126	—	2561
CH_2-piperidino	*p*-Tolyl	142	—	11241
CH_2-piperidino	$m\text{-}O_2NC_6H_4$	148	—	2561
CH_2-piperidino	$p\text{-}MeOC_6H_4$	129	—	2561
CH_2-piperidino	1-Naphthyl	115	—	2561
CH_2-piperidino	2-Naphthyl	177	—	2561
CH_2-piperidino	3-Pyridyl	—	—	920
CH_2-piperidino	2-Furyl	118	—	255 u
CH_2(2,6-diPh-3-EtO_2C-1-piperidinyl)	Ph	125	—	9231
CH_2-piperazino	*p*-Tolyl	113	—	11241
CH_2-morpholino	Ph	105	—	11241
CH_2-morpholino	*p*-Tolyl	88	—	11241
CH_2-morpholino	$p\text{-}ClC_6H_4$	102	—	11241
CH_2-morpholino	$3\text{-}O_2NC_6H_4$	148	—	1124
CH_2-morpholino	$p\text{-}MeOC_6H_4$	132	—	2561
CH_2-morpholino	1-Naphthyl	90	—	2561
CH_2-morpholino	2-Naphthyl	146	—	2561
CH_2-morpholino	3-Pyridyl	—	—	920
CH_2-morpholino	2-Furyl	90	—	255 u
$CH_2N(Et)Ph$	Ph	150	—	2561
$CH_2N(Et)Ph$	*p*-Tolyl	120	—	2561
$CH_2N(Et)Ph$	$p\text{-}ClC_6H_4$	202	—	2561
$CH_2N(Et)Ph$	$p\text{-}BrC_6H_4$	99	—	2561
$CH_2N(Et)Ph$	$m\text{-}O_2NC_6H_4$	168	—	2561
$CH_2N(Et)Ph$	$p\text{-}MeOC_6H_4$	158	—	2561
$CH_2N(Et)Ph$	1-Naphthyl	211	—	2561
$CH_2N(Et)Ph$	2-Naphthyl	185	—	2561
$CH_2N(CH_2Ph)Ph$	Ph	139	—	2561
$CH_2N(CH_2Ph)Ph$	*p*-Tolyl	153	—	2561
$CH_2N(CH_2Ph)Ph$	$p\text{-}ClC_6H_4$	163	—	2561

TABLE VI-32. (*Continued*)



R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
$CH_2N(CH_2Ph)Ph$	p-BrC_6H_4	147	—	2561
$CH_2N(CH_2Ph)Ph$	m-$O_2NC_6H_4$	203	—	2561
$CH_2N(CH_2Ph)Ph$	p-$MeOC_6H_4$	149	—	2561
$CH_2N(CH_2Ph)Ph$	1-Naphthyl	>300	—	2561
$CH_2N(CH_2Ph)Ph$	2-Naphthyl	183	—	2561
CH_2(1-benzimidazolyl)	Ph	230	—	2561
CH_2(1-benzimidazolyl)	p-Tolyl	228	—	2561
CH_2(1-benzimidazolyl)	p-ClC_6H_4	250	—	2561
CH_2(1-benzimidazolyl)	p-BrC_6H_4	234	—	2561
CH_2(1-benzimidazolyl)	m-$O_2NC_6H_4$	183	—	2561
CH_2(1-benzimidazolyl)	p-$MeOC_6H_4$	128	—	2561
CH_2(1-benzimidazolyl)	1-Naphthyl	214	—	2561
CH_2(1-benzimidazolyl)	2-Naphthyl	196	—	2561
CH_2(2-Me-1-benzimidazolyl)	Ph	119	—	2561
CH_2(2-Me-1-benzimidazolyl)	p-Tolyl	137	—	2561
CH_2(2-Me-1-benzimidazolyl)	p-ClC_6H_4	261	—	2561
CH_2(2-Me-1-benzimidazolyl)	p-BrC_6H_4	281	—	2561
CH_2(2-Me-1-benzimidazolyl)	m-$O_2NC_6H_4$	195	—	2561
CH_2(2-Me-1-benzimidazolyl)	p-$MeOC_6H_4$	213	—	2561
CH_2(2-Me-1-benzimidazolyl)	1-Naphthyl	238	—	2561
CH_2(2-Me-1-benzimidazolyl)	2-Naphthyl	122	—	2561
$CH(Me)CH_2Ph$	Me	115	—	8081
CH(Me)Ac	Me	—	105/25	279
CH(Me)Ac	Ph	140	—	279
CH(Me)Ac	p-Tolyl	128	—	279
CH(Et)Ac	Me	—	127/33	279
CH(Et)Ac	Ph	125	—	279
CH(Et)Ac	p-$MeOC_6H_4$	166	—	279
$CH(CH_2Ph)_2$	Me	136	—	8081
$CH(Ph)CH_2Ph$	Me	104	—	8081
CH(Ph)CH(Ph)Me	Me	—	—	8101
2-Dihydrobenzofuryl	p-ClC_6H_4	205	—	250
2-Dihydrobenzofuryl	p-BrC_6H_4	200	—	250
$CHPh_2$	Me	175	—	8081
$CHCl_2$	CH_2CO_2Et	83	—	259
$CHCl_2$	CO_2Et	216	—	2581
$CHCl_2$	Ph	112	—	259
$CHCl_2$	p-$O_2NC_6H_4$	194	—	2481
$CHCl_2$	2-$Cl_2CHCONH$-4-thiazolyl	240	—	258
CCl_3	CONHN=CHCH=CH(5-O_2N-2-furyl)	—	—	819
CCl_3	CO_2Et	—	—	819
CCl_3	Ph	90	—	259
CCl_3	p-BrC_6H_4	143	—	258
CCl_3	2-Cl_3CCONH-4-thiazolyl	—	—	258
OEt	Me	—	—	78
OEt	Ph	—	—	78

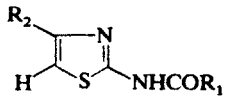
TABLE VI-33.



R_1 bounded by sp^2

R_1	R_2	m.p. (°C)	Ref.
CH=CHCO$_2$H	Me	123–124	270
CH=CHPh	Me	149	8081
CH=C(Me)NH(4-Me-2-thiazolyl)	Me	205	279
CH=C(Me)NH(4-Ph-2-thiazolyl)	Ph	252	279
CH=C(Me)NH(4-*p*-tolyl-2-thiazolyl)	*p*-MeC$_6$H$_4$	255	279
CH=C(Me)NH(4-*p*-ClC$_6$H$_4$-2-thiazolyl)	*p*-ClC$_6$H$_4$	247	279
CH=C(Me)NH(4-*p*-HOC$_6$H$_4$-2-thiazolyl)	*p*-HOC$_6$H$_4$	250	279
CH=C(Me)NH(4-*p*-MeOC$_6$H$_4$-2-thiazolyl)	*p*-MeOC$_6$H$_4$	270	279
CH=C(Ph)NH(4-Ph-2-thiazolyl)	Ph	255	279
C(Et)=C(Me)NH(2-thiazolyl)	Me	221	279
C(Et)=C(Me)NH(4-Ph-2-thiazolyl)	Ph	183	279
C(Et)=C(Me)NH(4-*p*-MeOC$_6$H$_4$-2-thiazolyl)	*p*-MeOC$_6$H$_4$	227	279
C(Ph)=CHPh	Me	141	8081
C(Ph)=CH(*p*-O$_2$NC$_6$H$_4$)	Me	200	8081
CSNMe$_2$	H	—	782
Ph	Me	149	101, 8081
Ph	Et	133	1073
Ph	Ph	125 135	706, 1333
Ph	CH$_2$OBz	178	28
Ph	CH$_2$SBz	148	1103
Ph	CONHN=CHCH=CH(5-O$_2$N-2-furyl)	—	819
Ph	CO$_2$Et	—	819
4-ClC$_6$H$_4$	CONHN=CHCH=CH(5-NO$_2$-2-furyl)	—	819
3-ClC$_6$H$_4$	Ph	146–148	282
2-H$_2$NC$_6$H$_4$	H	—	813
2-NH$_2$-3,4-diMeC$_6$H$_2$	H	—	813
2-NH$_2$-6-ClC$_6$H$_3$	H	—	813
p-O$_2$NC$_6$H$_4$	Me	—	140
p-O$_2$NC$_6$H$_4$	CO$_2$Et	—	819
p-O$_2$NC$_6$H$_4$	Ph	217	1334
p-MeOC$_6$H$_4$	Me	97	8081
p-MeOC$_6$H$_4$	*p*-ClC$_6$H$_4$	205	250
p-MeOC$_6$H$_4$	*p*-BrC$_6$H$_4$	178	250

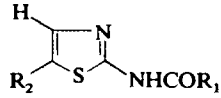
TABLE VI-33. (*Continued*)



R_1 bounded by sp^2

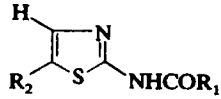
R_1	R_2	m.p. (°C)	Ref.
p-$MeOC_6H_4$	*p*-$MeOC_6H_4$	188	1121
p-$MeOC_6H_4$	*p*-$EtOC_6H_4$	202	1121
p-$MeOC_6H_4$	*p*-$PrOC_6H_4$	201	1121
p-$MeOC_6H_4$	*p*-$BuOC_6H_4$	191	1121
p-$MeOC_6H_4$	*p*-*i*-$PrOC_6H_4$	199	1121
p-$MeOC_6H_4$	*p*-*iso*-$BuOC_6H_4$	149	1121
p-$EtOC_6H_4$	*p*-BrC_6H_4	156	250
p-$EtOC_6H_4$	*p*-$MeOC_6H_4$	171	1121
p-$EtOC_6H_4$	*p*-$EtOC_6H_4$	183	1121
p-$EtOC_6H_4$	*p*-$PrOC_6H_4$	186	1121
p-$EtOC_6H_4$	*p*-$BuOC_6H_4$	174	1121
p-$EtOC_6H_4$	*p*-*i*-$PrOC_6H_4$	157	1121
p-$EtOC_6H_4$	*p*-*iso*-BuC_6H_4	151	1121
o-$H_2NC_6H_4$	Me	118	1335
o-HOC_6H_4	Me	243	1320, 1336
o-HOC_6H_4	*p*-ClC_6H_4	—	7461
2-HO-3,5-diClC_6H_2	Me	274	761
2-HO-3,5-diIC_6H_2	Me	226	764
o-$AcOC_6H_4$	Me	—	1320
2-Furyl	*p*-ClC_6H_4	153	250
2-Furyl	*p*-BrC_6H_4	168	250
2-Furyl	*p*-$MeOC_6H_4$	136	1121
2-Furyl	*p*-$EtOC_6H_4$	146	1121
2-Furyl	*p*-$PrOC_6H_4$	151	1121
2-Furyl	*p*-$BuOC_6H_4$	145	1121
2-Furyl	*p*-*i*-$PrOC_6H_4$	152	1121
2-Furyl	*p*-*i*-$BuOC_6H_4$	142	1121
5-O_2N-2-furyl	2-Furyl	—	255
5-O_2N-2-furyl	5-O_2N-2-furyl	274	440
2-Benzofuryl	*p*-ClC_6H_4	198	250
2-Benzofuryl	*p*-BrC_6H_4	107	250
2-Benzofuryl	*p*-$MeOC_6H_4$	171	1121
2-Benzofuryl	*p*-$EtOC_6H_4$	186	1121
2-Benzofuryl	*p*-$PrOC_6H_4$	171	1121
2-Benzofuryl	*p*-$BuOC_6H_4$	130	1121
2-Benzofuryl	*p*-*i*-$PrOC_6H_4$	162	1121
2-Benzofuryl	*p*-*i*-$BuOC_6H_4$	183	1121
2-Pyridyl	Me	178	1066
2-Pyridyl	Ph	224	1066
NHPh	Ph	282	1334
NH(4-(3-indolyl)-2-thiazolyl)	3-Indolyl	174	1144
O-Cholesteryl	Me	238	1228

TABLE VI-34.



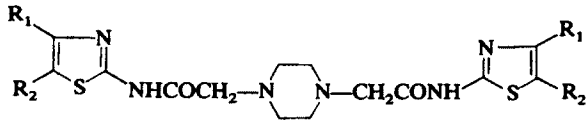
R_1	R_2	m.p. (°C)	Ref.
Me	Me	224	407
Me	$CH_2CH(CO_2Et)_2$	150	231
Me	$CH_2C(CO_2Et)_2NHAc$	225	231
Me	CH_2(2-AcNH-5-thiazolyl)	320	1104
Me	CH_2NMe_2	249 (HCl salt)	231, 233
Me	CH_2-piperidino	249 (HCl salt)	231
Me	CH_2SCH_2(2-AcNH-4-thiazolyl)	228	1103
Me	$CHOHCH_3$	—	1166
Me	2-Acetylimino-3-Ac-4-thiazolidinyl	236	128 r
Me	Ac	—	1166
Me	$COCH_2Br$	—	1166
Me	CO_2Et	212	475
Me	CN	295	430
Me	p-$O_2NC_6H_4$	275	32, 456, 1337
Me	2-HO-4-Me-5-thiazolyl	>335	1149
Me	Cl	208	409
Me	Br	225	417, 430, 1176
Me	I	230	426, 451
Me	NO_2	—	917, 1686
Me	SO_2Cl	220	395, 430
Me	SO_2NH_2	273	395, 430
Me	SO_3H	—	395
Et	Cl	—	757 l
Pr	Cl	—	779 l
Pr	Br	—	779 l
Amyl	CO_2H	260	811 l
Heptyl	CO_2H	240	811 l
$(CH_2)_{10}Me$	Me	—	233
Nonyl	CO_2H	238	811 l
$(CH_2)_{10}CH_3$	NO_2	128	1338
tridecyl	CO_2H	234	811 l
$(CH_2)_{16}Me$	CH_2Cl	—	233
$(CH_2)_{16}Me$	CH_2-morpholino	—	233
CH_2Ph	$CH{=}NNHCSNH_2$	280	809 l
CH_2Cl	CO_2Et	—	922
CH_2Cl	Cl	203–204	921
CH_2Cl	Br	214	921
CH_2Br	CO_2Et	155	820 l
CH_2Br	Br	—	138
CH_2NH(p-tolyl)	CO_2Et	213	820 l
$CH_2NH(p\text{-}CO_2EtC_6H_4)$	CO_2Et	243	820 l

TABLE VI-34. (*Continued*)



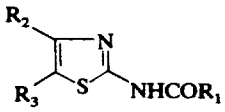
R_1	R_2	m.p. (°C)	Ref.
$CH_2NH(p\text{-}ClC_6H_4)$	CO_2Et	205	8201
$CH_2NH(p\text{-}MeOC_6H_4)$	CO_2Et	185	8201
$CH_2NH(p\text{-}EtOC_6H_4$	CO_2Et	184	8201
$CHCl_2$	CO_2Et	—	922
$CHCl_2$	Cl	183–184	921
$CHCl_2$	Br	197 194–195	921, 1339
CCl_3	CO_2Et	—	922
$CONH_2$	NO_2	270	261
CONHMe	NO_2	275	261, 783
$CONMe_2$	NO_2	222–224	261, 783, 848
Ph	CH_2NMe_2	—	233
Ph	$CHOHCH_3$	—	1166
Ph	$CH{=}NNHCSNH_2$	>360	8091
Ph	Ac	—	1166
Ph	$COCH_2Br$	—	1166
Ph	Br	—	7791
Ph	Cl	—	7791
$(p\text{-}O_2NC_6H_4)$	Ac	—	1166
$(p\text{-}O_2NC_6H_4)$	$COCH_2Br$	—	1166
$(p\text{-}MeOC_6H_4)$	$CHOHCH_3$	—	1166
$(p\text{-}MeOC_6H_4)$	Ac	—	1166
$(p\text{-}MeOC_6H_4)$	$COCH_2Br$	—	1166
$(m\text{-}O_2NC_6H_4)$	Ac	—	1166
$(m\text{-}O_2NC_6H_4)$	$COCH_2Br$	—	1166
2-HO-1-naphthyl	Me	284	1340
2-OH-3-N=NPh-1-naphthyl	Me	267	1340
3-Pyridyl	CO_2Et	192	1341
2-Pyridyl	NO_2	—	189
4-Pyridyl	NO_2	—	189

TABLE VI-35.



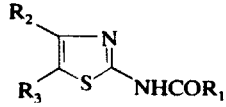
R_1	R_2	m.p. (°C)	Ref.
Me	CO_2Me	245	2561
Me	CO_2Et	166	2561
Ph	H	215	2561
p-MeC_6H_4	H	244	2561
p-ClC_6H_4	H	128	2561
p-BrC_6H_4	H	132	2561
m-$O_2NC_6H_4$	H	145	2561
1-Naphthyl	H	71	2561
2-Naphthyl	H	99	2561

TABLE VI-36.



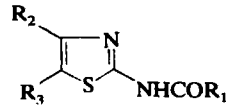
R_1	R_2	R_3	m.p. (°C)	Ref.
H	Me	CO_2Et	—	12141
Me	Me	CH(OH)Me	175	84, 476
Me	Me	$CH(OH)CH_2$(1-morpholino)	141	476
Me	Me	$C(NNHPh)(CH_2)_2NMe_2$	208	1206
Me	Me	$C(NNHPh)(CH_2)_2NEt_2$	218–219	1206
Me	Me	$C(NNHPh)(CH_2)_2$-(1-piperidino)	207	1206
Me	Me	$C(NNHPh)(CH_2)_2$-(1-morpholino)	220	1206
Me	Me	Ac	—	84, 476, 1206, 1208–1210
Me	Me	$CO(CH_2)_2NMe_2$	257 (HCl)	1206
Me	Me	$CO(CH_2)_2NEt_2$	250–251 (HCl)	1206
Me	Me	$CO(CH_2)_2$(1-piperidinyl)	233 (HCl)	1206
Me	Me	$CO(CH_2)_2$(1-morpholino)	242–244 (HCl)	1206
Me	Me	$CO(CH_2)_2N(i\text{-}Pr)_2$	175–176 HCl)	1206
Me	Me	$COCH_2Br$	161–162	1208
Me	Me	$COCH_2I$	154–155	1208
Me	Me	$COCH_2$(1-morpholino)	—	476
Me	Me	$COCHBrCH_2NMe_2$	241–242 (HCl)	1206
Me	Me	$COCHBrCH_2NEt_2$	208 (HCl)	1206
Me	Me	$COCHBrCH_2$(1-piperidino)	183 (HCl)	1206

TABLE VI-36. (*Continued*)



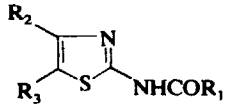
R_1	R_2	R_3	m.p. (°C)	Ref.
Me	Me	$COCHBrCH_2$(1-morpholino)	199–201 (HCl)	1206
Me	Me	CO_2Et	—	12141
Me	Me	Cl	—	12211
Me	CH=CH(2-furyl)	NO_2	—	239
Me	CH=CH(5-Me-2-furyl)	NO_2	—	239
Me	–CH=CH–CH=CH–[a]		—	101
Me	CH=CH(5-O_2N-2-furyl)	NO_2	—	239
Me	Ph	 NCH_2(5-(4-Ph-2-AcNHthiazolyl))	—	1575
Me	Ph	COPh	241–242	88, 89
Me	2-furyl	SCN	—	255
Me	5-O_2N-2-furyl	Br	—	435
Me	5-O_2N-2-furyl	NO_2	—	435
Et	H	SEt	153–155	1502
Et	H	SO_2Et	210–213	1502
Et	Me	SMe	—	1502
Et	Me	SO_2Me	—	1502
Et	Me	Cl	—	7571, 12211
Et	Me	SMe	—	1342
Et	–$CH_2C(Me)_2CH_2CO$–		212	431
Pr	Me	Cl	182	4121
$(CH_2)_{10}CH_3$	Me	NO_2	113–115	1338
$(CH_2)_{10}Me$	2-HO-5-tOctyl-C_6H_3	Ph	108	1023
$(CH_2)_{16}Me$	Me	CH_2NMe_2	—	233
$(CH_2)_{16}Me$	Ph	CH_2NMe_2	—	233
$(CH_2)_2CH(Me)$-cholesteryl	Me	Me	—	472
Allyl	Me	Ac	—	1206
CH_2(1-benzimidazolyl)	Me	CO_2Me	222	256
CH_2(1-benzimidazolyl)	Me	CO_2Et	253	256
CH_2(2-Me-1-benzimidazolyl)	Me	CO_2Me	147	256
CH_2(2-Me-1-benzimidazolyl)	Me	CO_2Et	117	256
CH_2Cl	Me	Me	145	13431
CH_2Cl	Me	CH_2CO_2Et	138	259
CH_2Cl	Me	Ac	185	84, 1206, 1208
CH_2Cl	Me	$CO(CH_2)_2NMe$	231–233 (HCl)	1206
CH_2Cl	Me	$CO(CH_2)_2NEt_2$	195–197 (HCl)	1206
CH_2Cl	Me	$CO(CH_2)_2$(1-piperidino)	211–213 (HCl)	1206
CH_2Cl	Me	$CO(CH_2)_2$(1-morpholino)	240 (HCl)	1206
CH_2Cl	Me	$CO(CH_2)_2N(iPr)_2$	186 (HCl)	1206
CH_2Cl	Me	$COCH_2Br$	155	1208

TABLE VI-36. (*Continued*)



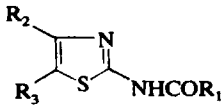
R_1	R_2	R_3	m.p. (°C)	Ref.
CH_2Cl	Me	$COCHBrCH_2NMe_2$	218 (HCl)	1206
CH_2Cl	Me	$COCHBrCH_2NEt_2$	196 (HCl)	1206
CH_2Cl	Me	$COCHBrCH_2$(1-morpholino)	192 (HCl)	1206
CH_2Cl	Me	CO_2Me	177	256
CH_2Cl	Me	CO_2Et	181 160	2561, 928, 1214, 1215, 1344
CH_2Cl	Me	Br	147–148	921
CH_2Cl	CH_2CO_2Et	CO_2Et	106	259
CH_2Cl	Ph	CO_2Et	150	259
CH_2Br	Me	CH_2CO_2Et	151	259
CH_2Br	Me	CO_2Et	—	1344
CH_2Br	CH_2CO_2Et	CO_2Et	110	259
CH_2Br	Ph	CO_2Et	151	259
CH_2I	Me	Ac	169	1208
CH_2I	Me	$COCH_2I$	—	1208
CH_2NEt_2	Me	CO_2Me	197	256
CH_2NEt_2	Me	CO_2Et	139	256
CH_2-piperidino	Me	CO_2Me	198	256
CH_2-piperidino	Me	CO_2Et	66	256
CH_2-morpholino	Me	CO_2Me	76	256
CH_2-morpholino	Me	CO_2Et	137	256
$CH_2N(Me)Ph$	Me	CO_2Me	164	256
$CH_2N(Me)Ph$	Me	CO_2Et	130	256
$CH_2N(Et)Ph$	Me	CO_2Me	143	256
$CH_2N(Et)Ph$	Me	CO_2Et	121	256
$CH_2N(CH_2Ph)Ph$	Me	CO_2Me	173	256
$CH_2N(CH_2Ph)Ph$	Me	CO_2Et	178	256
$CH_2O(4\text{-}FC_6H_4)$	H	NO_2	—	253
$CH_2O(4\text{-}ClC_6H_4)$	H	NO_2	—	253
$CH_2O(3,5\text{-}diF_3CC_6H_3)$	H	NO_2	—	253
CH_2SR	Alkyl	Alkyl	—	1032
$CHCl_2$	Me	Ac	171	84, 1206, 1208
$CHCl_2$	Me	$CO(CH_2)_2NMe_2$	214–215 (HCl)	1206
$CHCl_2$	Me	$CO(CH_2)_2NEt_2$	159–161 (HCl)	1206
$CHCl_2$	Me	$CO(CH_2)_2$(1-piperidino)	189–191 (HCl)	1206
$CHCl_2$	Me	$CO(CH_2)_2$(1-morpholino)	210–211 (HCl)	1206
$CHCl_2$	Me	$COCH_2Br$	—	1208
$CHCl_2$	Me	$COCHBrCH_2NMe_2$	212–214 (HCl)	1206
$CHCl_2$	Me	$COCHBrCH_2$(1-morpholino)	191–193 (HCl)	1206
$CHCl_2$	Me	CO_2Et	133	928, 1215, 1344
$CHCl_2$	Ph	CO_2Et	139	259
$CHCl_2$	*o*-Tolyl	Me	111	9191
$CHCl_2$	*m*-Tolyl	Me	121	9191
$CHCl_2$	*p*-Tolyl	Me	161	9191
$CHCl_2$	$m\text{-}O_2NC_6H_4$	Me	203	9191

TABLE VI-36. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
$CHCl_2$	p-$O_2NC_6H_4$	Me	217	9191
$CHCl_2$	p-$O_2NC_6H_4$	CO_2Et	142	2481
CCl_3	Me	CH_2CO_2Et	166	259
CCl_3	Me	Ac	—	84
CCl_3	Me	CO_2Et	135	928, 1215, 1344
Ph	H	NO_2	—	252
Ph	Me	Me	149	1073
Ph	Me	CHOHMe	150	84, 476
Ph	Me	$CHOHCH_2$(1-morpholino)	92–93	476
Ph	Me	$CHOHCH_2Br$	173	476
Ph	Me	t-Bu	104	446
Ph	Me	$C(NNHPh)(CH_2)_2NMe_2$	173–175	1206
Ph	Me	$C(NNHPh)(CH_2)_2NEt_2$	165	1206
Ph	Me	$C(NNHPh)(CH_2)_2$-(1-piperidino)	187–188	1206
Ph	Me	$C(NNHPh)(CH_2)_2$-(1-morpholino)	169	1206
Ph	Me	Ac	203	84, 476, 1206, 1208
Ph	Me	$CO(CH_2)_2NMe_2$	218–220 (HCl)	1206
Ph	Me	$CO(CH_2)_2NEt_2$	193–194 (HCl)	1206
Ph	Me	$CO(CH_2)_2$(1-piperidinyl)	208–209 (HCl)	1206
Ph	Me	$CO(CH_2)_2$(1-morpholino)	173–174 (HCl)	1206
Ph	Me	$COCH_2Br$	190–192	476, 1208
Ph	Me	$COCH_2$(1-morpholino)	—	476
Ph	Me	$COCHBrCH_2NMe_2$	202 (HCl)	1206
Ph	Me	$COCHBrCH_2NEt_2$	200 (HCl)	1206
Ph	Me	$COCHBrCH_2$(1-piperidino)	158 (HCl)	1206
Ph	Me	$COCHBrCH_2$(1-morpholino)	174 (HCl)	1206
Ph	Me	CO_2Et	209	1345
Ph		–CH=CH–CH=CH–[a]		101
Ph	Bz	Ph	133	1334
m-$O_2NC_6H_4$	Me	CHOHMe	181	84, 476
p-$O_2NC_6H_4$	Me	CHOHMe	211	84, 476
m-$O_2NC_6H_4$	Me	$CHOHCH_2Br$	133–135	476
p-$O_2NC_6H_4$	Me	$CHOHCH_2Br$	198	476
m-$O_2NC_6H_4$	Me	$CHOHCH_2$(1-morpholino)	103–106	476
p-$O_2NC_6H_4$	Me	$CHOHCH_2$(1-morpholino)	213–214	476
m-$O_2NC_6H_4$	Me	t-Bu	165	446
p-$O_2NC_6H_4$	Me	t-Bu	188	446
m-$O_2NC_6H_4$	Me	Ac	—	84, 476, 1206
p-$O_2NC_6H_4$	Me	Ac	—	84, 476
m-$O_2NC_6H_4$	Me	$CO(CH_2)_2NMe_2$	224 (HCl)	1206
m-$O_2NC_6H_4$	Me	$CO(CH_2)_2NEt_2$	179 (HCl)	1206
m-$O_2NC_6H_4$	Me	$CO(CH_2)_2$(1-piperidino)	181 (HCl)	1206
m-$O_2NC_6H_4$	Me	$CO(CH_2)_2$(1-morpholino)	163 (HCl)	1206

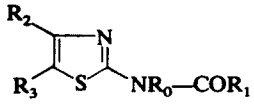
TABLE VI-36. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
m-$O_2NC_6H_4$	Me	$CO(CH_2)_2N(i\text{-}Pr)_2$	126–128 (HCl)	1206
m-$O_2NC_6H_4$	Me	$COCH_2Br$	—	476
p-$O_2NC_6H_4$	Me	$COCH_2Br$	—	476
p-$O_2NC_6H_4$	Me	$COCH_2$(1-morpholino)	—	476
p-$MeOC_6H_4$	Me	CHOHMe	195	476
p-$MeOC_6H_4$	Me	Ac	—	84, 476
p-$MeOC_6H_4$	Me	CO_2H	266	249
p-$MeOC_6H_4$	Me	CO_2Et	211	249
p-$EtOC_6H_4$	Me	CO_2H	283	249
p-$EtOC_6H_4$	Me	CO_2Et	283	249
p-$PrOC_6H_4$	Me	CO_2H	278	249
p-$PrOC_6H_4$	Me	CO_2Et	193	249
p-$BuOC_6H_4$	Me	CO_2H	260	249
p-$BuOC_6H_4$	Me	CO_2Et	163	249
p-Amyl-OC_6H_4	Me	CO_2H	260	249
p-Amyl-OC_6H_4	Me	CO_2Et	147	249
p-*i*-$PrOC_6H_4$	Me	CO_2H	289	249
p-*i*-$PrOC_6H_4$	Me	CO_2Et	190	249
p-*iso*-$BuOC_6H_4$	Me	CO_2H	230	249
p-*i*-$BuOC_6H_4$	Me	CO_2Et	185	249
p-*i*-$AmOC_6H_4$	Me	CO_2H	258	249
p-*i*-$AmOC_6H_4$	Me	CO_2Et	167	249
3,5-diMe-4-isoxazolyl		$-CH_2(CH_2)_2CH_2-$	175–178	1346
3,5-diMe-4-isoxazolyl		-CH=CH-CH=CH-[a]	208–210	1346

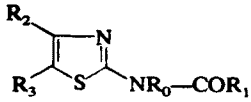
[a] Left bond means "bonded to 4-C"; right bond, "bonded to 5-C."

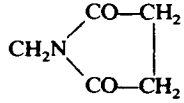
TABLE VI-37.



R_0	R_1	R_2	R_3	m.p. (°C)	Ref.
$(CH_2)CONH_2$	H	H	NO_2	210–211	21
$(CH_2)_2CONH_2$	Me	H	NO_2	180–182	21
$(CH_2)_2CONH_2$	Et	H	NO_2	158–160	21
$(CH_2)_2CONH_2$	Pr	H	NO_2	160–162	21
$(CH_2)_2CONH_2$	Bu	H	NO_2	161–163	21
$(CH_2)_2CONH_2$	$(CH_2)_4CH_3$	H	NO_2	138–140	21
$(CH_2)_2CONH_2$	$(CH_2)_6CH_3$	H	NO_2	121–123	21
$(CH_2)_2CONH_2$	$(CH_2)_8CH_3$	H	NO_2	136–138	21
$(CH_2)_2CONH_2$	$(CH_2)_{14}CH_3$	H	NO_2	123–125	21
$(CH_2)_2CONH_2$	$(CH_2)_2CO_2Me$	H	NO_2	146–147.5	21
$(CH_2)_2CONH_2$	$(CH_2)_2CO_2Et$	H	NO_2	141–143	21
$(CH_2)_2CONH_2$	CH_2Cl	H	NO_2	184	21
$(CH_2)_2CONH_2$	*i*-Pr	H	NO_2	164–167	21
$(CH_2)_2CONH_2$	*i*-Bu	H	NO_2	159–161	21
$(CH_2)_2CONH_2$	*c*-C_3H_5	H	NO_2	193–194	21
$(CH_2)_2CONH_2$	*c*-C_4H_7	H	NO_2	153–155	21
$(CH_2)_2CONH_2$	*c*-C_5H_9	H	NO_2	151–153	21
$(CH_2)_2CONH_2$	4-Pyridyl	H	NO_2	220–221	21
$(CH_2)_2CONH_2$	2-Furyl	H	NO_2	202–204	21
$(CH_2)_2CONH_2$	$CH_2N(-COCH_2CH_2CO-)$	H	NO_2	214–216	21
$(CH_2)_2CN$	Me	H	NO_2	182–184	21
$(CH_2)_2CN$	Et	H	NO_2	166–168	21
$(CH_2)_2CN$	Pr	H	NO_2	107–109	21
$(CH_2)_2CN$	Bu	H	NO_2	126–129	21
$(CH_2)_2CN$	$(CH_2)_4CH_3$	H	NO_2	107–110	21
$(CH_2)_2CN$	$(CH_2)_6CH_3$	H	NO_2	102–104	21
$(CH_2)_2CN$	$(CH_2)_8CH_3$	H	NO_2	84–86	21
$(CH_2)_2CN$	$(CH_2)_{14}CH_3$	H	NO_2	102–104	21
$(CH_2)_2CN$	$(CH_2)_2CO_2Me$	H	NO_2	115–117	21
$(CH_2)_2CN$	$(CH_2)_2CO_2Et$	H	NO_2	77–79	21
$(CH_2)_2CN$	CH_2Cl	H	NO_2	175	21
$(CH_2)_2CN$	*i*-Pr	H	NO_2	203–205	21
$(CH_2)_2CN$	*i*-Bu	H	NO_2	89–90.5	21
$(CH_2)_2CN$	*c*-C_3H_5	H	NO_2	151–154	21
$(CH_2)_2CN$	*c*-C_4H_7	H	NO_2	199–202	21
$(CH_2)_2CN$	*c*-C_5H_9	H	NO_2	126–129	21
$(CH_2)_2CN$	4-Pyridyl	H	NO_2	235–237	21
$(CH_2)_2CN$	2-Furyl	H	NO_2	171–173	21
	$-(CH_2)_2NH-$	H	NO_2	—	784
Allyl	Me	Me	Ac	—	1206
CH_2CONH_2	NHEt	H	NO_2	206	190
$CH_2CONHMe$	Me	H	NO_2	229–230	192
$CH_2CONHMe$	NHEt	H	NO_2	181–182	190
$CH_2CONHPr$	NHEt	H	NO_2	184–185	190

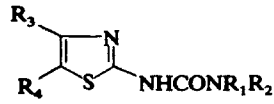
TABLE VI-37. (*Continued*)



R_0	R_1	R_2	R_3	m.p. (°C)	Ref.
$CH_2CONHCH_2Ph$	NHEt	H	NO_2	184–185	190
$CH_2CONHCO_2Me$	Me	H	NO_2	219–220	192
$CH_2CONHCO_2Me$	$cycloC_3H_5$	H	NO_2	198–199	192
$CH_2CONHCO_2Et$	Me	H	NO_2	177	192
$CH_2CONHCO_2Et$	Et	H	NO_2	145–146	192
$CH_2CONHCO_2Et$	$(CH_2)_2CO_2Et$	H	NO_2	200–201	192
$CH_2CONHCO_2Et$		H	NO_2	243–244	192
$CH_2CONHCO_2Et$	$cycloC_3H_5$	H	NO_2	170–171	192
$CH_2CONHCO_2Et$	$CH{=}CHCO_2Et$	H	NO_2	180–182	192
$CH_2CONHCO_2Et$	Ph	H	NO_2	146–147	192
$CH_2CONHCO_2Et$	2-Furyl	H	NO_2	195–196	192
$CH_2CONHCO_2Et$	2-Thienyl	H	NO_2	181–182	192
CH_2CONMe_2	NHEt	H	NO_2	168.5–169.5	190
CH_2CONEt_2	Me	H	NO_2	141–142	192
CH_2CONEt_2	NHEt	H	NO_2	182–183	190
CH_2CONPr_2	NHEt	H	NO_2	175–176	190
$CH_2CONBut_2$	NHEt	H	NO_2	154–155	190
$-CH_2N(Me)CH_2N(Me)-$[a]		H	Cl	—	788
$-CH_2N((CH_2)_4Me)CH_2N(Me)-$		Me	Cl	—	788
$-CH_2N((CH_2)_{11}CH_3)CH_2N(Me)-$		Me	Cl	—	788
$-CH_2N(CH_2CH_2Cl)CH_2N(Me)-$		Me	Cl	—	788
$-CH_2N(CH_2CH_2OEt)CH_2N(Me)-$		Me	Cl	—	788
$-CH_2N(CH_2CO_2Et)CH_2N(Me)-$		Me	Cl	—	788
$-CH_2N(CHMe_2)CH_2N(Me)-$		Me	Cl	—	788
$-CH_2N(allyl)CH_2N(Me)-$		Me	Cl	—	788
$-CH_2N(CH_2Ph)CH_2N(Me)-$		Me	Cl	—	788
CH_2CO_2Et	OEt	H	NO_2	76–76.5	200
$-CH(OH)CH(OH)N(Me)-$		Me	Cl	—	788
$-CH(OH)CH(OMe)N(Me)-$		Me	Cl	—	788
$-CH(OH)CH(OEt)N(Me)-$		Me	Cl	—	788
$-CH(OH)CH(OCH_2CH_2Cl)N(Me)-$		Me	Cl	—	788
$-CH(OH)CH(OCH_2CH_2OH)N(Me)-$		Me	Cl	—	788
$-CH(OH)CH(OCHMe_2)N(Me)-$		Me	Cl	—	788
$-CO$(2-cyclohexyl)$-$		Ph	COPh	200–201	89
$-CO(2\text{-}C_6H_4)-$		Me	Me	—	1666
Ph	Me	Me	Ac	175	711 i
Ph	Me	Ph	COPh	198	88, 711 i
$4\text{-}MeC_6H_4$	Me	Ph	Br	142	1259
$4\text{-}MeC_6H_4$	Me	Ph	NO	163	1259

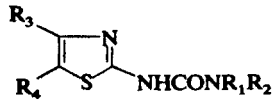
[a] Left bond bonded to exocyclic nitrogen; right, linked to sp^2-C.

TABLE VI-38.



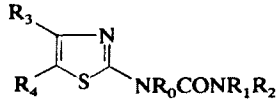
R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
H	H	H	H	190	487
H	H	Me	H	195–197	487
H	H	Me	Me	272–274	487
H	H	Ph	H	226	486
H	H	p-ClC_6H_4	H	292	486
H	H	2-Pyridyl	H	—	490
H	Me	H	H	218 210	487, 790
H	Me	H	Cl	271	790, 845
H	Me	Me	H	212 205	487, 790
H	Me	Me	Me	185 180.5	487, 790
H	Me	Me	CONHPh	—	4831
H	Me	Me	CONH(2-Me-4-ClC_6H_3)	—	4831
H	Me	Me	CO_2Me	—	4831
H	Me	Me	Cl	237	790
H	Me	CF_3	H	254	790
H	Me	Ph	H	—	803, 806
H	Me	p-ClC_6H_4	H	233	790, 804, 806
H	Me	3,4-diClC_6H_3	H	—	806
H	Me	4-$MeOC_6H_4$	H	—	804, 806
H	Me	3,4-di$MeOC_6H_3$	H	—	806
H	Me	2-Me-4,5-di$MeOC_6H_3$	H	—	804
H	Me	2-Pyridyl	H	—	490
H	Me	2-Pyridyl	Me	—	490
H	Et	H	Br	197–199	481
H	Et	H	NO_2	—	88
H	Bu	Ph	H	—	803, 806
H	Bu	3,4-diClC_6H_3	H	—	803
H	Bu	2,4,5-triClC_6H_2	H	—	806
H	Pentyl	H	H	111.5	487
H	Pentyl	Me	H	65.5	487
H	$C_{11}H_{23}$	H	H	100	487
H	$C_{11}H_{23}$	Me	H	82	487
H	$C_{15}H_{31}$	H	H	106–107	487
H	$C_{15}H_{31}$	Me	H	87–89	487
H	CH_2CH_2Cl	H	H	143	1284
H	CH_2CH_2Cl	H	NO_2	—	848
H	Allyl	Ph	H	—	803, 806
H	Allyl	3,4-diClC_6H_3	H	—	803
H	Allyl	4-$MeOC_6H_4$	H	—	804
H	Allyl	2-Pyridyl	H	—	490
H	CH_2Ph	2-Pyridyl	H	—	490
H	$CH(Me)_2$	2-Pyridyl	H	—	490
H	COMe	5-O_2N-2-furyl	H	278–279	485
H	COEt	H	Br	209–210	196
H	COEt	H	SO_2(4-$AcNHC_6H_4$)	278–280	196

TABLE VI-38. (*Continued*)



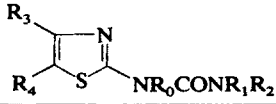
R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
H	COEt	5-O_2N-2-furyl	H	>300	485
H	$CO(CH_2)_2Br$	H	$CONH_2$	>210	196
H	$CO(CH_2)_2Br$	H	CO_2Me	225	196
H	$CO(CH_2)_2Br$	H	Br	191	196
H	$CO(CH_2)_2Br$	H	I	179–180	196
H	$CO(CH_2)_2Br$	H	$N_2(4\text{-}H_2NSO_2C_6H_4)$	246–250	196
H	$CO(CH_2)_2Br$	H	$SO_2(4\text{-}AcNHC_6H_4)$	230–231	196
H	$CO(CH_2)_2Br$	H	$SO_2(4\text{-}Br(CH_2)_2\text{-}(CONH)_2C_6H_4)$	233–234	196
H	$CO(CH_2)_2Br$	5-O_2N-2-furyl	H	228	485
H	$CO(CH_2)_2Br$	5-O_2N-2-furyl	NO_2	200–201	485
H	$COCH_2(o\text{-}MeC_6H_4)$	H	H	—	484 r,u,i
H	$COCH_2O(p\text{-}ClC_6H_4)$	H	H	—	484 r,u,i
H	$COCH_2F$	H	H	—	484 r,u,i
H	$COCH_2Cl$	H	CO_2Me	192–193	196
H	$COCH_2Cl$	H	I	197	196
H	$COCH_2Cl$	5-O_2N-2-furyl	H	227–228	485
H	$COCH_2Cl$	H	$SO_2(4\text{-}AcNHC_6H_4)$	225–226	196
H	$COCH_2Br$	5-O_2N-2-furyl	H	213	485
H	$COCH_2O(2,4\text{-}DiClC_6H_3)$	H	H	—	484 r,u,i
H	$COCH_2O(p\text{-}O_2NC_6H_4)$	H	H	—	484 r,u,i
H	COCH(Me)Br	5-O_2N-2-furyl	H	236–237	485
H	COCH(Et)Br	5-O_2N-2-furyl	H	227	485
H	$COCHCl_2$	H	Br	157–158	196
H	$COCHCl_2$	H	$N_2(4\text{-}H_2NSO_2C_6H_4)$	>360	196
H	$COCHCl_2$	H	$SO_2(4\text{-}AcNHC_6H_4)$	233–235	196
H	$COCHCl_2$	5-O_2N-2-furyl	H	231–232	485
H	$COC(Br)Me_2$	5-O_2N-2-furyl	H	249–251	485
H	COPh	H	H	—	484 r,u,i
H	COPh	5-O_2N-2-furyl	H	311–313	485
H	Ph	H	H	173 166	492, 790
H	Ph	H	Me	196	790
H	Ph	Me	Cl	252	790
H	Ph	Ph	H	—	806
H	Ph	1-Naphthyl	H	—	806
H	Ph	2-Pyridyl	H	—	490
H	$4\text{-}MeC_6H_4$	$4\text{-}MeOC_6H_4$	H	—	804, 806
H	$3\text{-}ClC_6H_4$	Ph	H	—	803, 806
H	$4\text{-}ClC_6H_4$	Ph	H	—	806
H	$4\text{-}ClC_6H_4$	$4\text{-}MeOC_6H_4$	H	—	804, 806
H	$4\text{-}ClC_6H_4$	1-Naphthyl	H	—	806
H	2-Pyridyl	4-Me-2-thiazolyl	H	—	488
H	4-Me-2-thiazolyl	Me	H	270	488
Me	Me	H	Cl	—	8451
Me	Me	Me	Cl	163	790
Me	Ph	Me	Cl	—	8451
Me	$p\text{-}F_3CC_6H_4$	Me	Cl	—	8451
Et	$p\text{-}ClC_6H_4$	Me	Cl	—	8451

TABLE VI-39.



R_0	R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
Me	H	Me	Ph	H	—	803, 806
Me	H	Me	4-ClC_6H_4	H	—	804, 806
Me	H	Me	2-Me-4, 5-di$MeOC_6H_2$	H	—	806
Me	H	Me	Cl	Cl	—	789
Me	H	Et	H	NO_2	—	848
Me	H	Bu	Ph	H	—	803, 806
Me	H	Allyl	Ph	H	—	806
Me	H	3-ClC_6H_4	Ph	H	—	803
Me	H	4-ClC_6H_4	Ph	H	—	803
Me	H	4-ClC_6H_4	2-Me-4, 5-di$MeOC_6H_2$	H	—	804
Me	Me	Me	Cl	Cl	—	789
Me	Me	Et	Cl	Cl	—	789
Bu	H	Me	Ph	H	—	472, 806
Bu	H	Bu	Ph	H	—	803, 806
Bu	H	Allyl	Ph	H	—	806
Bu	H	3-ClC_6H_4	Ph	H	—	803
Bu	H	4-ClC_6H_4	Ph	H	—	803
$-CH_2CH_2-$[a]		H	H	H	—	833
$-CH_2CH_2-$		H	H	Cl	—	833
$-CH_2CH_2-$		H	H	NO_2	—	833, 850
$-CH_2CH_2-$		H	H	$N_2(4\text{-}ClC_6H_4)$	—	833
$-CH_2CH_2-$		H	H	SO_2NH_2	—	833
$-CH_2CH_2-$		H	Alkyl	NO_2	—	833
$-CH_2CH_2-$		CH_2CH_2Cl	H	NO_2	—	828
$-CH_2CH_2-$		CH_2CH_2Cl	Me	NO_2	—	828
$-CH_2CH_2-$		CH_2CH_2Br	H	NO_2	—	828
$-CH_2CH_2CH_2-$		H	H	NO_2	—	828
$-CH_2CH_2CO-$		H	H	$CONH_2$	333–334	196
$-CH_2CH_2CO-$		H	H	CO_2Me	302–304	196
$-CH_2CH_2CO-$		H	H	Br	241	196
$-CH_2CH_2CO-$		H	H	I	251–252	196
$-CH_2CH_2CO-$		H	H	$SO_2(4\text{-}AcNHC_6H_4)$	291–293	196
$-CH_2CH_2CO-$		H	5-O_2N-2-furyl	H	298	485
$-CH_2CH_2CO-$		H	5-O_2N-2-furyl	NO_2	308–309	485
$-(CH_2)_2CO-$		Me	5-NO_2-2-furyl	H	276–277	485
$-(CH_2)_2CO-$		Et	5-O_2N-2-furyl	H	238–240	485
$-(CH_2)_2CO-$		Pr	5-O_2N-2-furyl	H	210–212	485
$(CH_2)_2CONH_2$	H	H	H	NO_2	201–202	21
$(CH_2)_2CONH_2$	H	Et	H	NO_2	185–186	21
$(CH_2)_2CONH_2$	H	Ac	H	NO_2	196–198	21
$(CH_2)_2CONH_2$	H	COEt	H	NO_2	180–182	21
$(CH_2)_2CONH_2$	H	$CO(CH_2)_2Br$	H	NO_2	173–174	21
$(CH_2)_2CONH_2$	H	$COCH_2Cl$	H	NO_2	173–175	21
$(CH_2)_2CONH_2$	H	$COCHCl_2$	H	NO_2	165–166	21
$(CH_2)_2CO_2H$	H	COEt	H	NO_2	146–147	21
$(CH_2)_2CO_2H$	H	$CO(CH_2)_2Br$	H	NO_2	148–149	21

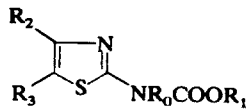
TABLE VI-39. (*Continued*)



R_0	R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
$(CH_2)_2CO_2Et$	H	$CO(CH_2)_2Br$	H	NO_2	129–131	21
$(CH_2)_2CN$	H	H	H	NO_2	187–189	21
$(CH_2)_2CN$	H	Et	H	NO_2	170–172	21
$(CH_2)_2CN$	H	Ac	H	NO_2	158–159	21
$(CH_2)_2CN$	H	COEt	H	NO_2	148–150	21
$(CH_2)_2CN$	H	$CO(CH_2)_2Br$	H	NO_2	157–158	21
$(CH_2)_2CN$	H	$COCH_2Br$	H	NO_2	153–155	21
$-CH_2CO-$		H	5-O_2N-2-furyl	H	278–280	485
$-CH_2CO-$[a]		Me	5-O_2N-2-furyl	H	234–236	485
$-CH_2CO-$		Et	5-O_2N-2-furyl	H	236–239	485
$-CH_2CO-$		Allyl	5-O_2N-2-furyl	H	161–163	485
$-CH_2CO-$		CH_2CONH_2	5-O_2N-2-furyl	H	291–293	485
$-CH_2CO-$		CH_2CONMe_2	5-O_2N-2-furyl	H	261–263	485
$-CH_2CO-$		CH_2CONEt_2	5-O_2N-2-furyl	H	237–239	485
$-CH_2CO-$		CH_2CO_2Et	5-O_2N-2-furyl	H	176–178	485
$-CH_2CO-$		$CH_2C{\equiv}CH$	5-O_2N-2-furyl	H	219–221	485
$-CH(Et)CO-$		H	5-O_2N-2-furyl	H	238–239	485
$-C(Me)_2CO-$		H	5-O_2N-2-furyl	H	295–296	485

[a] Left bond linked to the N bearing R_0; right linked to the N bearing R_1.

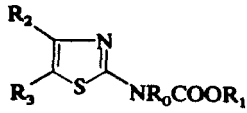
TABLE VI-40.



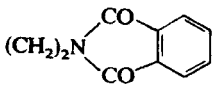
Thiazolyl carbamate

R_0	R_1	R_2	R_3	m.p. (°C)	Ref.
H	Me	H	H	—	264
H	Me	4-ClC_6H_4	H	—	806
H	Me	3,4-diClC_6H_3	H	—	806
H	Me	4-BrC_6H_4	H	—	806
H	Et	H	H	129 153	78, 2631, 2741
H	Et	H	Me	—	78
H	Et	H	Et	—	78
H	Et	H	Pr	—	78
H	Et	H	Bu	—	78
H	Et	H	Ph	—	78
H	Et	H	Br	—	78
H	Et	H	NCS	—	78
H	Et	H	NO_2	193	78, 1338

TABLE VI-40. (*Continued*)

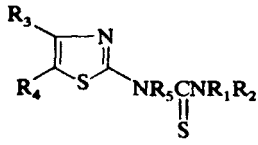


Thiazolyl carbamate

R_0	R_1	R_2	R_3	m.p. (°C)	Ref.
H	Et	Me	H	102 110	78, 2631 274, 488
H	Et	Me	Me	—	78
H	Et	Me	Et	—	78
H	Et	Me	Bu	—	78
H	Et	Me	CO_2Et	—	12141, 1347
H	Et	Me	NO_2	194–195	1338
H	Et	Ph	H	115	2631, 803, 806
H	Et	Ph	Me	—	78
H	Et	*p*-Tolyl	H	130	2631
H	Et	4-ClC_6H_4	H	—	806
H	Et	3,4-diClC_6H_3	H	—	806
H	Et	4-BrC_6H_4	H	—	806
H	Et	4-$MeOC_6H_4$	H	—	806
H	Pr	H	H	—	264
H	Bu	H	H	—	264
H	*i*-Pr	H	H	—	264
H	*i*-But	H	H	—	264
H	Cholesteryl	H	H	334	502
H	Cholesteryl	Me	CH_2CO_2Et	201	502
H	Cholesteryl	Me	CO_2Et	254	502
H	Cholesteryl	$-CH_2CH_2CH_2CH_2-$[a]		246	1228
H	Cholesteryl	CH_2CO_2Et	H	179	502
H	Cholesteryl	CH_2CO_2Et	CH_2CO_2Et	—	502
H	Cholesteryl	CH_2CO_2Et	CO_2Et	171	502
H	Cholesteryl	CO_2Et	H	135	502
H	Cholesteryl	Ph	H	238	502
H	Cholesteryl	Ph	CO_2Et	183	502
H	Ph	H	H	—	264
	Et	H	H	150–152	131

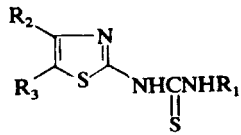
[a] Left bond means "bonded to 4-C"; right bond, "bonded to 5-C."

TABLE VI-41.



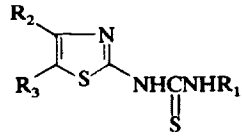
R_1	R_2	R_3	R_4	R_5	m.p. (°C)	Ref.
H	Me	Me	H	Me	56–57	303
H	Me	Ph	H	Me	—	803
H	Bu	Ph	H	Me	—	803
Me	Me	H	H	H	156.5	498, 8171
Me	Me	Me	H	H	163 196 (HCl salt) 188–189	491, 498
Me	Me	Me	Me	H	204 203–204	491, 498
Et	Et	H	H	H	146	491, 498, 8171
Et	Et	Me	H	H	117 166–170	491, 498

TABLE VI-42.



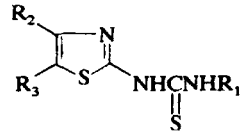
R_1	R_2	R_3	m.p. (°C)	Ref.
H	H	H	175 174–175	491, 498, 1685
H	Me	H	209 (HCl salt) 184 184–185	491, 498, 7371
H	Me	Me	213–215	491, 498
H	Ph	H	212	486, 496 7361
H	Ph	Ph	231	496
H	*p*-Tolyl	H	217	486, 496
H	*p*-ClC_6H_4	H	236 216	496, 11321
H	*p*-BrC_6H_4	H	239	496
H	*p*-BrC_6H_4	Me	234	496
H	*p*-$O_2NC_6H_4$	H	232	496
H	*p*-$MeOC_6H_4$	H	213	496
H	2-Furyl	H	164	255

TABLE VI-42. (*Continued*)



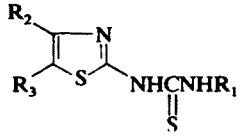
R_1	R_2	R_3	m.p. (°C)	Ref.
H	2-Benzofuryl	H	255	3061
H	5-Me-3-isoxazolyl	H	200	3061
Me	H	H	170 170–171 171–172	491, 493, 498, 1338
Me	H	Me	148	100
Me	H	Et	116.5	100
Me	H	Bu	108	100
Me	H	Cl	199	790
Me	Me	H	225	491, 498, 1338
Me	Me	Me	208 236	100, 498
Me	Me	Cl	169–170	498
Me	Me	CO_2Et	211	299
Me	Me	NO_2	209–210	1338
Me	Et	H	178–180	498
Me	Bu	H	138	100
Me	$-CH_2CH_2CH_2CH_2-$[a]		214	299
Me	Ph	H	210	498, 803
Me	2-Furyl	H	227	306
Me	5-Me-3-isoxazolyl	H	227	306
Et	H	H	136–137 132–132.5	481, 498
Et	H	Me	149–152	100
Et	H	Et	137	100
Et	H	Bu	104	100
Et	H	Br	162–163	481
Et	Me	H	217.5	498
Et	Me	Me	185	100
Et	Bu	H	127–129	100
Et	2-Furyl	H	214	306
Et	2-Benzofuryl	H	270	3061
Bu	2-Furyl	H	192	306
Bu	2-Benzofuryl	H	237	3061
Bu	5-Me-3-isoxazolyl	H	188	3061
$(CH_2)_3NMe_2$	Me	H	145	498
$CH_2CH_2NEt_2$	5-Me-3-isoxazolyl	H	168	306
Allyl	H	H	129	498
Allyl	Me	H	178	498, 1333
Allyl	2-Furyl	H	221	306
Allyl	2-Benzofuryl	H	255	306

TABLE VI-42. (Continued)



R_1	R_2	R_3	m.p. (°C)	Ref.
Allyl	5-Me-3-isoxazolyl	H	196	306
i-Pr	H	H	156.5	493, 498
i-Pr	H	Me	159–161	100
i-Pr	H	Et	—	100
i-Pr	H	Bu	123	100
i-Pr	Me	H	171.5	498
i-Pr	Bu	H	137	100
CO_2Me	H	H	—	102, 264, 3091
CO_2Me	Me	H	—	3091
CO_2Me	Et	H	—	3091
CO_2Et	H	H	—	78, 102, 481, 1349
CO_2Et	H	Me	—	78, 102
CO_2Et	H	Et	—	78, 102
CO_2Et	H	Pr	—	78, 102
CO_2Et	H	Bu	—	78
CO_2Et	H	Ph	—	78, 102
CO_2Et	H	Br	—	78, 102
CO_2Et	H	NCS	—	78
CO_2Et	H	NO_2	—	78
CO_2Et	Me	H	—	102, 3091
CO_2Et	Me	Me	—	78
CO_2Et	Ph	H	—	78, 102
CO_2Pr	H	H	—	102, 264
CO_2Pr	Me	H	—	309
CO_2Bu	H	H	—	102, 264
CO_2-*i*-Pr	H	H	—	264
CO_2-*i*-Bu	H	H	—	264
Ph	H	H	174–175	492, 493, 498
Ph	Me	H	172	498, 1333
Ph	Me	CO_2Et	182	299
Ph	$-CH_2CH_2CH_2CH_2-$[a]		191	299
Ph	Ph	H	213	1350
Ph	Ph	Ph	—	1656
Ph	Ph	$N_2(2,5\text{-diMeOC}_6H_3)$	—	586
Ph	2-Furyl	H	186	255 u, 306, 1330
Ph	2-Benzofuryl	H	173	3061
Ph	5-Me-3-isoxazolyl	H	175	3061
2-MeC_6H_4	H	H	195	492

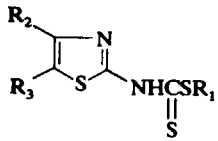
TABLE VI-42. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
4-MeC_6H_4	H	H	190	492
4-ClC_6H_4	H	H	180	498
4-ClC_6H_4	Me	H	175–175.5	498
4-BrC_6H_4	H	H	201	492
4-IC_6H_4	H	H	195	492
2-$MeOC_6H_4$	H	H	174	492
2-$EtOC_6H_4$	H	H	183	492
4$EtOC_6H_4$	H	H	189	492
p-(2-pyridyl)-$NHSO_2C_6H_4$	H	H	170	1351
1-Naphthyl	H	H	194	492

[a] Left bond means "bonded to 4-C"; right bond, "bonded to 5-C."

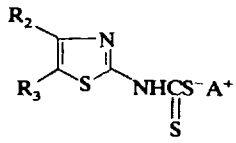
TABLE VI-43.



N(2-thiazolyl)dithiocarbamic acids and esters

R_1	R_2	R_3	m.p. (°C)	Ref.
Et	H	H	160	3051
$CH_2CONHMe$	H	H	171	3051
CH_2CO_2H	H	H	190	3051
CH_2CO_2Et	H	H	165	3051
CH_2CO_2Bu	H	H	134	3051
CH_2CO_2Na	H	H	275	3051
CSNH(4-(2-thiazolyl)-2-thiazolyl)	2-Thiazolyl	H	—	306
CSNH(4-(4-Me-2-thiazolyl)-2-thiazolyl)	4-Me-2-thiazolyl	H	—	306
K^+	H	H	237	3051
$^+NHEt_3$	H	H	130	5051

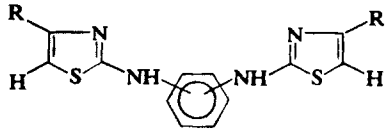
TABLE VI-44.



M(2-Thiazolyl)dithiocarbamic salts

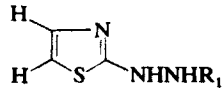
A^+	R_2	R_3	Ref.
NR_4	H	H	1037
$N(CH_2Ph)R_3$	H	H	1037
1-R-pyridinium	H	H	1037
1-R-picolinium	H	H	1037
1-$PhCH_2$-pyridinium	H	H	1037
1-$PhCH_2$-picolinium	H	H	1037

TABLE VI-45.



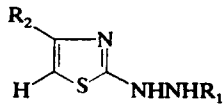
Position	R	m.p. (°C)	Ref.
o	Me	168	925, 1023
p	Me	229	925, 1023
p	tBu	202	925
o	Ph	135	925, 1023
p	Ph	229	925
p	*p*-*t*-BuC_6H_4	253	925, 1023

TABLE VI-46.



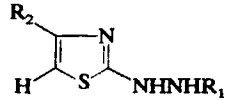
R_1	m.p. (°C)	Ref.
C(=NH)SMe	208 (2HCl salt)	1352
Ac	181	960 a
Bz	194 (HCl salt)	1353 l
CO(*p*-HOC_6H_4)	220 (HCl salt)	1353 l
CO(*p*-$MeOC_6H_4$)	205 (HCl salt)	1353 l
CO(*p*-$EtOC_6H_4$)	207 (HCl salt)	1353 l
CO(*p*-$PrOC_6H_4$)	200 (HCl salt)	1353 l
CO(*p*-$BuOC_6H_4$)	181 (HCl salt)	1353 l
CO(*p*-$AmOC_6H_4$)	200 (HCl salt)	1353 l
CO(*p*-hexyl-OC_6H_4)	179 (HCl salt)	1353 l
CO(*p*-*i*-BuC_6H_4)	191 (HCl salt)	1353 l
CO(*p*-*sec*-$BuOC_6H_4$)	184 (HCl salt)	1353 l
CO(*p*-benzyl-OC_6H_4)	209 (HCl salt)	1353 l
CO(*p*-*i*-$PrOC_6H_4$	209 (HCl salt)	1353 l
CO(*p*-$O_2NC_6H_4$)	202 (HCl salt)	1353 l
CO(4-pyridyl)	207 (HCl salt)	1353 l
$CONH_2$	171	542
	193 (HCl salt)	
CONHMe	181–182	529
Ph	146	523
p-$H_2NSO_2C_6H_4$	190	539
2-Thiazolyl	—	515

TABLE VI-47.



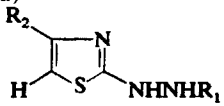
R_1	R_2	m.p. (°C)	Ref.
C(=NH)SMe	Me	235 (2HCl)	541
C(=NH)SMe	Ph	209	1352
CHO	Me	—	951
CHO	2-Furyl	—	951
CHO	5-O_2N-2-furyl	—	951
Ac	Me	210	531, 547
Ac	CO_2Et	129–130	1354
Ac	$CONHNH_2$	245–246	1354

TABLE VI-47. (*Continued*)



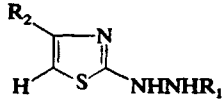
R_1	R_2	m.p. (°C)	Ref.
Ac	Ph	202 232 (HBr salt)	514 l, 531, 547, 1267
Ac	*p*-Tolyl	195	1355
Ac	*p*-BrC_6H_4	233	1355
Ac	*p*-$O_2NC_6H_4$	250	514 l
Ac	2,3,4-triHOC_6H_2	—	1669
Ac	*p*-$MeOC_6H_4$	184	1355
$CO(CH_2)_2Cl$	Ph	212	534
$CO(CH_2)_2Cl$	4-MeC_6H_4	219	534
$CO(CH_2)_2Cl$	4-EtC_6H_4	226	534
$CO(CH_2)_2Cl$	4-ClC_6H_4	218	534
$CO(CH_2)_2Cl$	4-BrC_6H_4	222	534
$CO(CH_2)_2Cl$	4-$MeOC_6H_4$	209	534
COPh	Me	217 190 (HCl salt)	514, 548, 1353 l
COPh	Et	223 (HCl salt)	1353 l
COPh	Pr	204 (HCl salt)	1353 l
COPh	Bu	222 (HCl salt)	1353 l
COPh	Amyl	220 (HCl salt)	1353 l
CO(*p*-HOC_6H_4)	Me	208 (HCl salt)	1353 l
CO(*p*-HOC_6H_4)	Et	231 (HCl salt)	1353 l
CO(*p*-HOC_6H_4)	Pr	214 (HCl salt)	1353 l
CO(*p*-HOC_6H_4)	Bu	128 (HCl salt)	1353 l
CO(*p*-HOC_6H_4)	Amyl	140 (HCl salt)	1353 l
CO(*p*-$MeOC_6H_4$)	Me	224 (HCl salt)	1353 l
CO(*p*-$MeOC_6H_4$)	Et	214 (HCl salt)	1353 l
CO(*p*-$MeOC_6H_4$)	Pr	205 (HCl salt)	1353 l
CO(*p*-$MeOC_6H_4$)	Bu	221 (HCl salt)	1353 l
CO(*p*-$MeOC_6H_4$)	Amyl	221 (HCl salt)	1353 l
CO(*p*-$EtOC_6H_4$)	Me	215 (HCl salt)	1353 l
CO(*p*-$EtOC_6H_4$)	Et	208 (HCl salt)	1353 l
CO(*p*-$EtOC_6H_4$)	Pr	198 (HCl salt)	1353 l
CO(*p*-$EtOC_6H_4$)	Bu	218 (HCl salt)	1353 l
CO(*p*-$EtOC_6H_4$)	Amyl	219 (HCl salt)	1353 l
CO(*p*-$PrOC_6H_4$)	Me	223 (HCl salt)	1353 l
CO(*p*-$PrOC_6H_4$)	Et	204 (HCl salt)	1353 l
CO(*p*-$PrOC_6H_4$)	Pr	219 (HCl salt)	1353 l
CO(*p*-$PrOC_6H_4$)	Bu	218 (HCl salt)	1353 l
CO(*p*-$PrOC_6H_4$)	Amyl	218 (HCl salt)	1353 l
CO(*p*-$BuOC_6H_4$)	Me	211 (HCl salt)	1353 l
CO(*p*-$BuOC_6H_4$)	Et	196 (HCl salt)	1353 l
CO(*p*-$BuOC_6H_4$)	Pr	211 (HCl salt)	1353 l
CO(*p*-$BuOC_6H_4$)	Bu	215 (HCl salt)	1353 l

TABLE VI-47. (*Continued*)



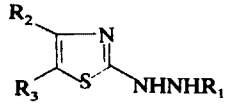
R_1	R_2	m.p. (°C)	Ref.
CO(*p*-BuOC$_6$H$_4$)	Amyl	235 (HCl salt)	13531
CO(*p*-AmOC$_6$H$_4$)	Me	207 (HCl salt)	13531
CO(*p*-AmOC$_6$H$_4$)	Et	200 (HCl salt)	13531
CO(*p*-AmOC$_6$H$_4$)	Pr	207 (HCl salt)	13531
CO(*p*-AmOC$_6$H$_4$)	Bu	215 (HCl salt)	13531
CO(*p*-amyl-OC$_6$H$_4$)	Amyl	221 (HCl salt)	13531
CO(*p*-hexyl-OC$_6$H$_4$)	Me	209 (HCl salt)	13531
CO(*p*-hexyl-OC$_6$H$_4$)	Et	195 (HCl salt)	13531
CO(*p*-hexyl-OC$_6$H$_4$)	Pr	212 (HCl salt)	13531
CO(*p*-hexyl-OC$_6$H$_4$)	Amyl	222 (HCl salt)	13531
CO(*p*-*sec*-BuOC$_6$H$_4$)	Me	194 (HCl salt)	13531
CO(*p*-*sec*-BuOC$_6$H$_4$)	Et	177 (HCl salt)	13531
CO(*p*-*sec*-BuOC$_6$H$_4$)	Amyl	213 (HCl salt)	13531
CO(*p*-benzyl-OC$_6$H$_4$)	Me	228 (HCl salt)	13531
CO(*p*-benzyl-OC$_6$H$_4$)	Et	215 (HCl salt)	13531
CO(*p*-benzyl-OC$_6$H$_4$)	Pr	218 (HCl salt)	13531
CO(*p*-benzyl-OC$_6$H$_4$)	Bu	226 (HCl salt)	13531
CO(*p*-benzyl-OC$_6$H$_4$)	Amyl	201 (HCl salt)	13531
CO(*p*-*i*-PrOC$_6$H$_4$)	Me	210 (HCl salt)	13531
CO(*p*-*i*-PrOC$_6$H$_4$)	Et	208 (HCl salt)	13531
CO(*p*-*i*-PrOC$_6$H$_4$)	Pr	217 (HCl salt)	13531
CO(*p*-*i*-PrOC$_6$H$_4$)	Amyl	220 (HCl salt)	13531
CO(*p*-O$_2$NC$_6$H$_4$)	Me	232 (HCl salt)	13531
CO(*p*-O$_2$NC$_6$H$_4$)	Et	240 (HCl salt)	13531
CO(*p*-O$_2$NC$_6$H$_4$)	Pr	231 (HCl salt)	13531
CO(*p*-O$_2$NC$_6$H$_4$)	Amyl	231 (HCl salt)	13531
CO(2-pyridyl)	Me	—	548
CO(3-pyridyl)	Me	145–146	517, 530, 548, 727
CO(4-pyridyl)	Me	262 (HCl salt)	548, 13531
CO(4-pyridyl)	Et	97 (HCl salt)	13531
CO(4-pyridyl)	Pr	108 (HCl salt)	13531
CO(4-pyridyl)	Amyl	218 (HCl salt)	13531
CO(3-pyridyl)	Ph	199–201	517, 530, 548, 727
CO(3-pyridyl)	*p*-MeOC$_6$H$_4$	—	517
CO(3-pyridyl)	4-MeOC$_6$H$_4$	—	548, 727
CONH$_2$	Me	211 213 (HCl salt)	542
CONH$_2$	Ph	207 220 (HCl salt)	542
CONH$_2$	*p*-O$_2$NC$_6$H$_4$	203	542
CO$_2$CH$_2$Ph	Me	156	531

TABLE VI-47. (*Continued*)



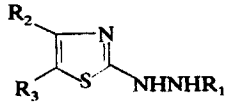
R_1	R_2	m.p. (°C)	Ref.
Ph	Me	179	1218
Ph	Ph	191	1218
Ph	*p*-MeC_6H_4	186	1218, 1219
m-MeC_6H_4	Me	135	1219
o-MeC_6H_4	Me	162	1219
o-MeC_6H_4	Ph	180	1219
o-MeC_6H_4	*p*-MeC_6H_4	179	1219
o-MeC_6H_4	*p*-MeC_6H_4	191	1219
m-$O_2NC_6H_4$	Me	—	1220
m-$O_2NC_6H_4$	Ph	192	1220
m-$O_2NC_6H_4$	*p*-MeC_6H_4	189	1220
1-Naphthyl	Me	150	1220
1-Naphthyl	Ph	174	1220
1-Naphthyl	*p*-MeC_6H_4	180	1220
6-MeO-8-quinolyl	Ph	124	1356
2-Thiazolyl	Me	174 150 (diac.)	546
4-Me-2-thiazolyl	Me	—	546
3-EtO_2C-2-thiazolyl	CO_2Et	—	538
4-Ph-2-thiazolyl	Ph	218 222 (diac.)	541, 546

TABLE VI-48.



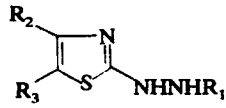
R_1	R_2	R_3	m.p. (°C)	Ref.
H	H	H	148 104 (HCl salt)	529, 531, 539
H	H	Me	178 (HCl salt)	344
H	Me	H	105 167 (HCl salt)	513, 517, 531, 547, 1357
H	Me	Me	132	344
H	Me	CO_2Et	—	335
H	Ph	H	169 113 (HCl salt) 162	514, 531, 535, 547, 960 a
H	*p*-Tolyl	H	174 176–177	519 l, 535, 1355
H	*p*-EtC_6H_4	H	166–167	535
H	*p*-ClC_6H_4	H	164	535
H	*p*-BrC_6H_4	H	199 190	535, 1355
H	*p*-$H_2NC_6H_4$	H	180 235 (IH salt)	514, 519, 9521
H	*p*-$O_2NC_6H_4$	H	202	514 l, 9521
H	*p*-$MeOC_6H_4$	H	186 173–174	535, 1355
H	2-Furyl	H	—	951
Ac	Me	Me	205 216 (HCl salt)	344
Ac	Me	$(CH_2)_2OH$	135	514 l
Ac	Me	$(CH_2)_2OAc$	130	514 l
Ac	Me	CO_2Et	224	514 l
Ac	Ph	Ph	236	531
Bz	Me	CO_2Et	206 (HCl salt)	1358 l
Bz	$-CH_2CH_2CH_2CH_2-$[a]		228 (HCl salt)	1353 l
CO(*p*-HOC_6H_4)	Me	CO_2Et	209 (HCl salt)	1358 l
CO(*p*-HOC_6H_4)	$-CH_2CH_2CH_2CH_2-$		224	1353 l
CO(*p*-$MeOC_6H_4$)	Me	CO_2Et	206 (HCl salt)	1358 l
CO(*p*-$MeOC_6H_4$)	$-CH_2CH_2CH_2CH_2-$		223 (HCl salt)	1353 l
CO(*p*-$EtOC_6H_4$)	Me	CO_2Et	211 (HCl salt)	1358 l
CO(*p*-$EtOC_6H_4$)	$-CH_2CH_2CH_2CH_2-$		224 (HCl salt)	1353 l
CO(*p*-$PrOC_6H_4$)	Me	CO_2Et	200 (HCl salt)	1358 l
CO(*p*-$PrOC_6H_4$)	$-CH_2CH_2CH_2CH_2-$		187 (HCl salt)	1353 l
CO(*p*-$BuOC_6H_4$)	Me	CO_2Et	198 (HCl salt)	1358 l
CO(*p*-$BuOC_6H_4$)	$-CH_2CH_2CH_2CH_2-$		187 (HCl salt)	1353 l
CO(*p*-amyl-OC_6H_4)	Me	CO_2Et	172 (HCl salt)	1358 l
CO(*p*-amyl-OC_6H_4)	$-CH_2CH_2CH_2CH_2-$		177 (HCl salt)	1353 l
CO(*p*-hexyl-OC_6H_4)	Me	CO_2Et	183 (HCl salt)	1358 l

TABLE VI-48. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref
CO(*p*-hexyl-OC_6H_4)	$-CH_2CH_2CH_2CH_2-$[a]		169 (HCl salt)	1353 l
CO(*p*-*sec*-$BuOC_6H_4$)	Me	CO_2Et	204 (HCl salt)	1358 l
CO(*p*-benzyl-OC_6H_4)	Me	CO_2Et	194 (HCl salt)	1358 l
CO(*p*-benzyl-OC_6H_4)	$-CH_2CH_2CH_2CH_2-$		217 (HCl salt)	1353 l
CO(*p*-*i*-$PrOC_6H_4$)	$-CH_2CH_2CH_2CH_2-$		170 (HCl salt)	1353 l
CO(*p*-$O_2NC_6H_4$)	$-CH_2CH_2CH_2CH_2-$		233	1353 l
CO(3-pyridyl)	Me	H	—	517
CO(4-pyridyl)	$-CH_2CH_2CH_2CH_2-$		227	1353 l
CO(3-pyridyl)	Ph	H	—	517
CO(3-pyridyl)	4-$MeOC_6H_4$	H	—	517
$CONH_2$	H	H	170–171	542
$CONH_2$	Me	H	210–211	542
$CONH_2$	Me	CO_2Et	239	542
CONHMe	H	H	—	529
C(=NH)SMe	CO_2Et	Me	191 (2HCl salt)	1352
C(=NH)SMe	Ph	Ph	172 (2HCl salt)	1352
Ph	Me	CO_2Et	196 118 (diac.)	523
Ph	Ph	CO_2Et	184	523
Ph	Ph	Ph	179 155 (HCl salt)	523
p-MeC_6H_4	2-Me-4-H_2N-C_6H_3	H	175	1219
4-$H_2NSO_2C_6H_4$	H	H	180	540
4-$H_2NSO_2C_6H_4$	Me	H	181	540
2-Thiazolyl	H	H	—	1359
5-Me-2-thiazolyl	H	Me	148–149	612
4-Me-2-thiazolyl	Me	CO_2Et	178	544
5-Me-2-thiazolyl	Me	CO_2Et	167	544
4,5-diMe-2-thiazolyl	Me	Me	152	521
4,5-diMe-2-thiazolyl	Me	CO_2Et	173	544
4-Et-2-thiazolyl	Me	CO_2Et	174	544
4-EtO_2C-2-thiazolyl	CO_2Et	H	227–228	538
4-Me-5-EtO_2CCH_2-2-thiazolyl	Me	CH_2CO_2Et	—	538
4-Me-5-EtO_2C-2-thiazolyl	Me	CO_2Et	246 248 (diac.)	544, 1360
4,5-diEtO_2C-2-thiazolyl	CO_2Et	CO_2Et	212	538
4-Ph-2-thiazolyl	Me	CO_2Et	164	544
4-Ph-5-EtO_2C-2-thiazolyl	Ph	CO_2Et	250	1360
4,5-diPh-2-thiazolyl	Ph	Ph	196	1360
SO_2CH_2Ph	Me	CO_2Et	169 (HCl salt)	1358 l
SO_2Ph	Me	CO_2Et	200	1358 l

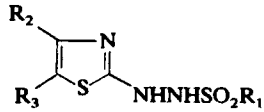
TABLE VI-48. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
$SO_2(p\text{-}MeOC_6H_4)$	Me	CO_2Et	197	13581
$SO_2(p\text{-}EtOC_6H_4)$	Me	CO_2Et	174	13581
$SO_2(p\text{-}PrOC_6H_4)$	Me	CO_2Et	187	13581
$SO_2(p\text{-}BuOC_6H_4)$	Me	CO_2Et	148	13581
$SO_2(p\text{-amyl-}OC_6H_4)$	Me	CO_2Et	156	13581
$SO_2(p\text{-hexyl-}OC_6H_4)$	Me	CO_2Et	169	13581

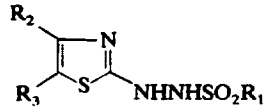
[a] Left bond means "bonded to 4-C"; right bond, "bonded to 5-C".

TABLE VI-49.



R_1	R_2	R_3	m.p. (°C)	Ref.
CH_2Ph	H	H	190 (HCl salt)	5181
CH_2Ph	Me	H	169 (HCl salt)	5181
CH_2Ph	Pr	H	164 (HCl salt)	5181
CH_2Ph	Amyl	H	179 (HCl salt)	5181
CH_2Ph	$-CH_2CH_2CH_2CH_2-$		171 (HCl salt)	5181
Ph	H	H	173 (HCl salt)	5181
Ph	Me	H	181 (HCl salt)	5181
Ph	Et	H	168 (HCl salt)	5181
Ph	Pr	H	182 (HCl salt)	5181
Ph	Bu	H	162 (HCl salt)	5181
Ph	Amyl	H	170 (HCl salt)	5181
Ph	$-CH_2CH_2CH_2CH_2-$		164 (HCl salt)	5181
$p\text{-}MeOC_6H_4$	H	H	123 (HCl salt)	5181
$p\text{-}MeOC_6H_4$	Me	H	191 (HCl salt)	5181
$p\text{-}MeOC_6H_4$	Et	H	191 (HCl salt)	5181
$p\text{-}MeOC_6H_4$	Pr	H	190 (HCl salt)	5181
$p\text{-}MeOC_6H_4$	Bu	H	166 (HCl salt)	5181
$p\text{-}MeOC_6H_4$	Amyl	H	161 (HCl salt)	5181
$p\text{-}MeOC_6H_4$	$-CH_2CH_2CH_2CH_2-$		169 (HCl salt)	5181
$p\text{-}EtOC_6H_4$	H	H	179 (HCl salt)	5181
$p\text{-}EtOC_6H_4$	Me	H	193 (HCl salt)	5181
$p\text{-}EtOC_6H_4$	Et	H	187 (HCl salt)	5181
$p\text{-}EtOC_6H_4$	Pr	H	192 (HCl salt)	5181
$p\text{-}EtOC_6H_4$	Bu	H	168 (HCl salt)	5181

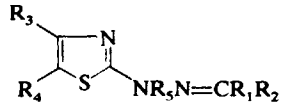
TABLE VI-49. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
p-$EtOC_6H_4$	Amyl	H	163 (HCl salt)	5181
p-$EtOC_6H_4$	$-CH_2CH_2CH_2CH_2-$[a]		175 (HCl salt)	5181
p-$PrOC_6H_4$	H	H	183 (HCl salt)	5181
p-$PrOC_6H_4$	Me	H	194 (HCl salt)	5181
p-$PrOC_6H_4$	Et	H	170 (HCl salt)	5181
p-$PrOC_6H_4$	Pr	H	171 (HCl salt)	5181
p-$PrOC_6H_4$	Bu	H	182 (HCl salt)	5181
p-$PrOC_6H_4$	Amyl	H	173 (HCl salt)	5181
p-$PrOC_6H_4$	$-CH_2CH_2CH_2CH_2-$		178 (HCl salt)	5181
p-$BuOC_6H_4$	H	H	176 (HCl salt)	5181
p-$BuOC_6H_4$	Me	H	183 (HCl salt)	5181
p-$BuOC_6H_4$	Et	H	168 (HCl salt)	5181
p-$BuOC_6H_4$	Pr	H	176 (HCl salt)	5181
p-$BuOC_6H_4$	Bu	H	176 (HCl salt)	5181
p-$BuOC_6H_4$	Amyl	H	174 (HCl salt)	5181
p-$BuOC_6H_4$	$-CH_2CH_2CH_2CH_2-$		167 (HCl salt)	5181
p-Amyl-OC_6H_4	H	H	179 (HCl salt)	5181
p-Amyl-OC_6H_4	Me	H	188 (HCl salt)	5181
p-Amyl-OC_6H_4	Et	H	162 (HCl salt)	5181
p-Amyl-OC_6H_4	Pr	H	168 (HCl salt)	5181
p-Amyl-OC_6H_4	Bu	H	173 (HCl salt)	5181
p-Amyl-OC_6H_4	Amyl	H	177 (HCl salt)	5181
p-Amyl-OC_6H_4	$-CH_2CH_2CH_2CH_2-$		177 (HCl salt)	5181
p-Hexyl-OC_6H_4	H	H	188 (HCl salt)	5181
p-Hexyl-OC_6H_4	Me	H	176 (HCl salt)	5481
p-Hexyl-OC_6H_4	Et	H	164 (HCl salt)	5481
p-Hexyl-OC_6H_4	Pr	H	170 (HCl salt)	5181
p-Hexyl-OC_6H_4	Bu	H	161 (HCl salt)	5181
p-Hexyl-OC_6H_4	Am	H	169 (HCl salt)	5181
p-Hexyl-OC_6H_4	$-CH_2CH_2CH_2CH_2-$		169 (HCl salt)	5181

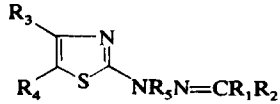
[a] Left bond means "bonded to 4-C"; right bond, "bonded to 5-C".

TABLE VI-50.



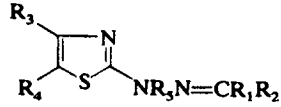
R_1	R_2	R_3	R_4	R_5	m.p. (°C)	Ref.
H	Et	$-CH_2CH_2CH_2CH_2-$		H	133	7911
H	Et	$-CH_2CH_2CH_2-$		H	163	7911
H	Cyclohexyl	$-CH_2CH_2CH_2CH_2-$[a]		H	173	7911
H	$CH(CHOH)_4CH_2OH$	Ph	H	H	184	525
H	$CH(CHOAc)CH_2OH$	Ph	H	H	188	525
H	CH=CHPh	$-CH_2CH_2CH_2-$		H	233	7911
H	CH=CHPh	$-CH_2CH_2CH_2CH_2-$		H	207	7911
H	Ph	H	H	H	172 151 (ac.)	531
H	Ph	H	Me	H	206	344
H	Ph	Me	H	H	—	549
H	Ph	Me	H	Ac	62–63	543, 549
H	Ph	Me	Me	H	195	344
H	Ph	Me	CO_2Et	H	196–197	527
H	Ph	Me	CO_2Et	Ac	118	527
H	Ph	$-CH_2CH_2CH_2-$		H	242	7911
H	Ph	$-CH_2CH_2CH_2CH_2-$		H	192	791
H	Ph	CH_2CO_2Et	H	H	137–138 118–120 (HBr)	549, 1361
H	Ph	$CH_2CONHNHAc$	H	Ac	218	549
H	Ph	CH_2CO_2Et	H	Ac	72–73	549
H	Ph	CH_2CO_2Et	Br	Ac	91–92	549
H	Ph	CH_2Cl	H	H	—	98
H	Ph	CH_2Cl	H	Ac	94–95	543
H	Ph	CH_2Cl	Br	Ac	121–123	543
H	Ph	CH_2OH	Br	Ac	148–150	543
H	Ph	Ph	H	H	191 219 (HCl salt)	514
H	Ph	Ph	Ph	H	245	531
H	Ph	*p*-Tolyl	H	H	197	1355
H	Ph	*p*-BrC_6H_4	H	H	213	1355
H	Ph	*p*-$MeOC_6H_4$	H	H	194	1355
H	Ph	5-O_2N-2-furyl	H	H	224–226	440
H	*p*-AcC_6H_4	H	H	H	244	531
H	4-$HO_2CC_6H_4$	H	H	H	—	1362
H	4-$HO_2CC_6H_4$	Me	H	H	282	1362
H	4-$HO_2CC_6H_4$	Ph	H	H	296	1362
H	*p*-ClC_6H_4	Me	CO_2Et	H	205–206	527
H	*p*-ClC_6H_4	Me	CO_2Et	Ac	162–163	527
H	*p*-ClC_6H_4	$-CH_2CH_2CH_2-$		H	248	7911
H	*p*-ClC_6H_4	$-CH_2CH_2CH_2CH_2-$		H	215	7911
H	4-ClC_6H_4	CH_2CO_2Et	H	H	178–179 188–189 (HBr)	549
H	4-ClC_6H_4	CH_2CO_2Et	H	Ac	84–85	549
H	2-$H_2NC_6H_4$	3-Coumarinyl	H	H	254–256	1363
H	4-$AcNHC_6H_4$	CH_2Cl	H	Ac	135–136	543
H	*p*-$Me_2NC_6H_4$	Me	CO_2Et	H	224–225	527
H	*p*-$Me_2NC_6H_4$	Me	CO_2Et	Ac	129–130	527

TABLE VI-50. (*Continued*)



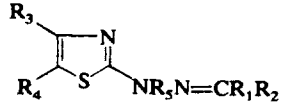
R_1	R_2	R_3	R_4	R_5	m.p. (°C)	Ref.
H	p-$Me_2NC_6H_4$	$-CH_2CH_2CH_2-$		H	241	7911
H	p-$Me_2NC_6H_4$	$-CH_2CH_2CH_2CH_2-$		H	220	7911
H	4-$Me_2NC_6H_4$	CH_2CO_2Et	H	H	166–167 177–178 (HBr)	549
H	4-$Me_2NC_6H_4$	CH_2CO_2Et	H	Ac	63–64	549
H	4-$Me_2NC_6H_4$	CH_2CO_2Et	Br	Ac	92	549
H	p-$AcNHC_6H_4$	Me	H	H	247	5141
H	p-$AcNHC_6H_4$	4-Antipyryl	H	H	222	8181
H	4-$AcNHC_6H_4$	3-Coumarinyl	H	H	272–275	1363
H	4-$O_2NC_6H_4$	H	H	H	252	1362
H	4-$O_2NC_6H_4$	Me	H	H	249–250	1362
H	p-$O_2NC_6H_4$	$-CH(CO_2Et)(CH_2)_2CH_2-$		H	—	1237
H	4-$O_2NC_6H_4$	Ph	H	H	252	1362
H	p-$O_2NC_6H_4$	p-Tolyl	H	H	229	1355
H	p-$O_2NC_6H_4$	p-BrC_6H_4	H	H	248	1355
H	p-$O_2NC_6H_4$	p-$MeOC_6H_4$	H	H	226	1355
H	2-$O_2NC_6H_4$	3-Coumarinyl	H	H	—	1364
H	4-$O_2NC_6H_4$	3-Coumarinyl	H	H	—	1364
H	o-HOC_6H_4	Me	CO_2Et	H	198–199	527
H	o-HOC_6H_4	Me	CO_2Et	Ac	145–146	527
H	o-HOC_6H_4	$-CH_2CH_2CH_2-$		H	245	7911
H	o-HOC_6H_4	$-CH_2CH_2CH_2CH_2-$		H	215	7911
H	p-HOC_6H_4	$-CH_2CH_2CH_2CH_2-$		H	192	7911
H	2-HOC_6H_4	CH_2CO_2Et	H	H	168–169 177–178 (HBr)	549
H	2-HOC_6H_4	CH_2CO_2Et	H	Ac	100–102	549
H	2-HOC_6H_4	CH_2CO_2Et	Br	Ac	136–137	549
H	2-HOC_6H_4	CH_2Cl	Br	Ac	166–168	543
H	o-HOC_6H_4	4-Antipyryl	H	H	207	8181
H	3,4-diHOC_6H_3	3-Coumarinyl	H	H	265–268	1363
H	4-$MeOC_6H_4$	H	H	H	206	1362
H	p-$MeOC_6H_4$	Me	H	H	181–185 205 (HCl salt)	531, 1362
H	p-$MeOC_6H_4$	$-CH_2CH_2CH_2-$		H	223	7911
H	p-$MeOC_6H_4$	$-CH_2CH_2CH_2CH_2-$		H	185	7911
H	4-$MeOC_6H_4$	Ph	H	H	191	1362
H	2-$AcOC_6H_4$	CH_2Cl	H	Ac	135	543
H	6-Me-2-pyridyl	Ph	Ph	H	—	1031 a
H	1,2-diMe-3-Ph-5-oxo-4-Δ4-pyrazolinyl	Ph	H	H	247	1365
H	1,2-diMe-3-Ph-5-oxo-4-Δ4-pyrazolinyl	p-ClC_6H_4	H	H	202	1365
H	1,2-diMe-3-Ph-5-oxo-4-Δ4-pyrazolinyl	p-$O_2NC_6H_4$	H	H	253	1365
H	1-Ph-3-Me-5-oxo-4-Δ4-pyrazolinyl	p-ClC_6H_4	H	H	212	1365
H	1-Ph-3-Me-5-oxo-4-Δ4-pyrazolinyl	p-$O_2NC_6H_4$	H	H	236	1365

TABLE VI-50. (*Continued*)



R_1	R_2	R_3	R_4	R_5	m.p. (°C)	Ref.
H	1-Ph-2,3-diMe-5-oxo-4-Δ4-pyrazolinyl	Ph	H	H	157	1365
H	1-Ph-2,3-diMe-5-oxo-4-Δ4-pyrazolinyl	*p*-ClC_6H_4	H	H	230	1365
H	1-Ph-2,3-diMe-5-oxo-4-Δ4-pyrazolinyl	*p*-BrC_6H_4	H	H	260	1365
H	1-Ph-2,3-diMe-5-oxo-4-Δ4-pyrazolinyl	*p*-$O_2NC_6H_4$	H	H	250	1365
H	2-Furyl	$-CH_2CH_2CH_2-$		H	217	7911
H	2-Furyl	$-CH_2CH_2CH_2CH_2-$		H	160	7911
H	2-Furyl	*p*-$O_2NC_6H_4$	H	H	222	1365
H	2-Furyl	5-O_2N-2-furyl	H	H	234–236	440
H	5-O_2N-2-furyl	Ph	H	H	219	1365
H	5-O_2N-2-furyl	*p*-$O_2NC_6H_4$	H	H	230	1365
H	5-O_2N-2-furyl	4-Antipyryl	H	H	227	8181
H	4-Antipyryl	CO_2Et	Me	H	277	8181
H	4-Antipyryl	Ph	H	H	235	8181
H	4-Antipyryl	Me	H	H	240	8181
H	2-Ph-4-thiazolyl	CH_2Cl	Br	Ac	142–143	543
H	4-Ph-2-thiazolyl	Ph	H	H	222	1366
Me	Me	H	H	H	—	529
Me	Me	H	H	CONHMe	—	529
Me	Me	H	CO_2Et	H	176	388
Me	Me	$-CH_2CH_2CH_2CH_2-$		H	127	7911
Me	Me	$-CH(CO_2Et)CH_2CH_2CH_2-$		H	—	1237
Me	CH=CHPh	H	H	H	184	1362
Me	CH=CHPh	Me	H	H	160	1362
Me	CH=CHPh	Ph	H	H	195	1362
Me	C(Me)=N(2-thiazolyl)	H	H	H	157	1367
Me	Ph	H	H	H	155 93 (ac.)	531
Me	Ph	H	Me	H	186 143 (ac.)	344
Me	Ph	$-CH_2CH_2CH_2-$		H	193	7911
Me	Ph	$-CH_2CH_2CH_2CH_2-$		H	134	7911
Me	Ph	Ph	H	H	137	1368
Me	Ph	4-RC_6H_4	H	H	—	1368
Me	Ph	2-thienyl	H	H	120	1368
Me	4-MeC_6H_4	Ph	H	H	205	1368
Me	4-ClC_6H_4	Ph	H	H	182	1368
Me	4-ClC_6H_4	4-RC_6H_4	H	H	—	1368
Me	4-$MeOC_6H_4$	Ph	H	H	65	1368
Me	1-Ph-2-Me-5-oxo-4-pyrazolyl	Me	H	H	205	8181
Me	1-Ph-2-Me-5-oxo-4-pyrazolyl	Ph	H	H	280	8181
Me	4-Antipyryl	Ph	H	H	217	8181
Me	2-Furyl	5-O_2N-2-furyl	H	H	177–179	440

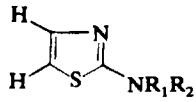
TABLE VI-50. (*Continued*)



R_1	R_2	R_3	R_4	R_5	m.p. (°C)	Ref.
Me	2-Thienyl	Ph	H	H	132	1386
	$-CH_2CH_2CH_2CH_2CH_2-$	$-CH_2CH_2CH_2-$		H	183	7911
	$-CH_2CH_2CH_2CH_2CH_2-$	$-CH_2CH_2CH_2CH_2-$		H	155	7911
	$-CH_2CH(CO_2H)CH(Me)-$	Me	H	H	208	1369
	$-CH_2CH(CO_2H)CH(Me)-$	Ph	H	H	226	1369
	$-CH_2CH(CO_2H)CH(Me)-$	p-$O_2NC_6H_4$	H	H	243	1369
	$-CH_2CH(CO_2H)CH(Et)-$	Ph	H	H	220	13691
	$-CH_2CH(CO_2H)CH(Et)-$	p-$O_2NC_6H_4$	H	H	240	1369
CO_2Et	CO_2Et	2-$HO_2CC_6H_4$	CO_2Et	H	—	1003
CO_2Et	CO_2Et	2-$HO_2CC_6H_4$	Ph	H	—	1003

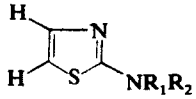
[a] Left bond means "bonded to 4-C"; right bond, "bonded to 5-C".

TABLE VI-51.



R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
Me	Me	—	—	116 i, 126 r, 384
Me	Pr	—	126/16	158 k
Me	Bu	—	162/23	158 k
Me	Allyl	—	115/8	158 k
Me	CH=N(2-pyridyl)	—	—	283
Me	CH=N(3-pyridyl)	—	—	283
Me	2,4,6-$(O_2N)_3C_6H_2$	208	—	288
Me	NO_2	269	—	194
Et	Et	—	105/12	125 r, 391, 816
Et	CH_2Ph	—	113/0.04	46
Et	Ph	—	—	404
Pr	Pr	—	—	116 i, 158
Bu	Bu	—	154/20	158
	$-CH_2(CH_2)_3CH_2-$ [a]	—	140/18	158, 384
CH_2CH_2Cl	CH_2CH_2Cl	—	—	1370
	$-(CH_2)_2NH(CH_2)_2-$	—	—	930
	$-(CH_2)_2N$(5-benzothiophenylCH_2)$(CH_2)_2-$	—	—	930
$(CH_2)_2NMe_2$	CH_2Ph	—	121/0.08	46
$(CH_2)_2NEt_2$	CH_2Ph	—	134/0.08	46
	$-CH_2CH_2N(CHO)CH_2CH_2-$	139	—	251
	$-CH_2CH_2N(Ac)CH_2CH_2-$	79	—	251
	$-(CH_2)_2O(CH_2)_2-$	—	—	384, 404
	$-CH_2CH(CH_2OCH_2C{\equiv}CH)OCO-$	—	—	1371

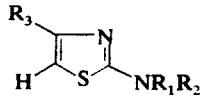
TABLE VI-51. (*Continued*)



R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
Allyl	$CH_2O(p\text{-}ClC_6H_4)$	—	—	773
Allyl	Allyl	—	—	116 i, 158
Allyl	$CH(p\text{-}ClC_6H_4)OMe$	—	—	7731
Allyl	CH=N(2-pyridyl)	—	—	283
Allyl	CH=N(4-pyridyl)	—	—	283
CH_2CO_2H	CH_2CO_2H	251	—	193 a
CH_2Ph	CH_2Ph	—	154/0.04	46
CH_2Ph	CH=N(4-pyridyl)	—	—	283
CH_2Ph	$COCH_2Ph$	—	—	778
	$-COCH_2CH_2CO-$	194	—	268
	$-COC(Me)=C(Me)CO-$	—	—	1372
	$-CONHCH_2CH_2-$	—	—	1373
Ph	SO_3H	285	—	390
$SO_2(p\text{-}AcNHC_6H_4)$	$SO_2(p\text{-}AcNHC_6H_4)$	129	—	355, 1374

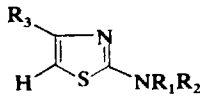
[a] Left and right bonded carbons linked to the same nitrogen.

TABLE VI-52.



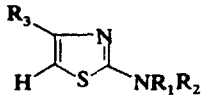
R_1	R_2	R_3	m.p. (°C)	b.p. (°C)	Ref.
Me	Me	Me	80 (HCl salt)		45, 96, 1180, 1337
Me	Me	$CH_2CH(NH_2)CO_2H$	—	—	1386
Me	Me	$CH_2C(NHAc)(CO_2Et)_2$	98	—	1386
Me	Me	CH_2Cl	52	—	1386
me	Me	Ph	—	—	96 u, 126 r, 144, 404
Me	Me	$p\text{-}MeOC_6H_4$	—	—	96 u
Me	Me	CH_2(2-Me-5-O_2N-1-imidazolyl)	—	—	821
Me	Me	5-Et-3-indolyl	—	—	9151
Me	Me	5-MeO-3-indolyl	—	—	9151
Me	Me	5-MeO-7-Me-3-indolyl	—	—	9151
Me	Me	5-O_2N-2-furyl	168	—	739
Me	Ac	Ph	150	—	706
Me	$COCH_2O(p\text{-}ClC_6H_4)$	Me	—	—	7731
Me	$COCH_2O$-(2,4-diClC_6H_3)	Me	—	—	7731

TABLE VI-52. (*Continued*)



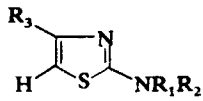
R_1	R_2	R_3	m.p. (°C)	b.p. (°C)	Ref.
Me	Bz	Ph	191	—	706
Me	Ph	Me	114	—	167
Me	Ph	OMe	—	—	404
Me	*p*-MeC_6H_4	Me	60	—	712
Me	*p*-$H_2NC_6H_4$	*p*-*t*-BuC_6H_4	162	—	1023, 1277
Me	SO_2(*p*-$H_2NC_6H_4$)	Me	206	—	1298
Et	Et	Me	—	103/10	391, 816
Et	Et	5-MeO-3-indolyl	—	—	915
Pr	Pr	Me	—	125/16	158
Bu	Bu	Me	—	162/23	158
Hexyl	*p*-$H_2NC_6H_4$	*p*-*t*-BuC_6H_4	121	—	1277
–$CH_2CH_2CH_2CH_2CH_2$–		Me	36	—	407
–$CH_2CH_2OCH_2CH_2$–[a]		Ph	79	—	31
Allyl	H	CH=CH(5-O_2N-2-furyl)	121–122	—	257
Allyl	H	CH=C(Me)(5-O_2N-2-furyl)	120–121	—	257
Allyl	H	CH=C(Cl)(5-O_2N-2-furyl)	108–110	—	257
Allyl	Allyl	Me	—	114/8	158
Allyl	Ac	Me	37	—	536
Allyl	COEt	CO_2Et	71	—	258
Allyl	COEt	*p*-BrC_6H_4	129	—	258
Allyl	COEt	*p*-$NO_2C_6H_4$	120	—	258
Allyl	COEt	2-EtCON(Allyl)-4-thiazolyl	190	—	258
Allyl	$COCH_2Cl$	CO_2Et	97	—	258
Allyl	$COCH_2Cl$	*p*-BrC_6H_4	118	—	258
Allyl	$COCH_2Cl$	*p*-$NO_2C_6H_4$	122	—	258
Allyl	$COCH_2Cl$	2-$ClCH_2CON$(Allyl)-4-thiazolyl	174	—	258
Allyl	$COCHCl_2$	*p*-BrC_6H_4	104	—	258
Allyl	$COCHCl_2$	*p*-$NO_2C_6H_4$	107	—	258
Allyl	$COCHCl_2$	2-Cl_2CHCON(Allyl)-4-thiazolyl	161	—	258
Allyl	$COCCl_3$	2-Cl_3CCON(Allyl)-4-thiazolyl	160	—	258
CH_2Ph	CH_2Ph	Me	59	—	1112
CH_2Ph	CH_2Ph	Ph	106	—	1375
CH_2Ph	*o*-MeC_6H_4	Ph	125	—	1259
CH_2Ph	SO_2(*p*-$H_2NC_6H_4$)	Me	216	—	1298
–C(Ph)=C(Ph)N=C(NH_2)–		Me	—	—	1317
–C(Ph)=C(Ph)N=C(NH_2)–		Ph	—	—	1317
Ac	H	CH=C(Me)(5-O_2N-2-furyl)	255–256	—	257
Ac	H	CH=CCl(5-O_2N-2-furyl)	242–245	—	257

TABLE VI-52. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	b.p. (°C)	Ref.
Ac	Me	Me	110	—	121, 197, 1043, 1376
Ac	Ac	tBu	191	—	1073
Ac	Ph	Me	114	—	390, 536
Ac	Ph	CH_2Cl	116–117	—	120
Ac	4-MeC_6H_4	CH_2Cl	124–125	—	120
Ac	*p*-ClC_6H_4	CH_2Cl	141	—	1278
Ac	*p*-ClC_6H_4	$CH{=}CHCO_2H$	234	—	1278
Ac	*p*-ClC_6H_4	$CH{=}CHNO_2$	212	—	1278
Ac	p-ClC_6H_4	CHO	209	—	1278
Ac	*p*-BrC_6H_4	CH_2Cl	158	—	120
Ac	SO_2Cl	Me	157	—	398
Ac	SO_2NH_2	Me	226	—	398
Ac	SO_2NHPh	Me	197	—	398
Ac	SO_2NH(2-pyridyl)	Me	226	—	398
COEt	H	CH=CH(5-O_2N-2-furyl)	218–221	—	257
COEt	H	CH=C(Me)(5-O_2N-2-furyl)	205–207	—	257
COEt	Ph	Me	103	—	243 u
–$COCH_2C(Me)N$–		Me	—	—	1377
$COCH_2Cl$	H	CH=CH(5-O_2N-2-furyl)	218–220	—	257
$COCH_2NH$-(*p*-tolyl)	NH_2	CO_2Et	150	—	8201
$COCH_2NH$-(*p*-$MeOC_6H_4$)	NH_2	CO_2Et	117	—	8201
–COCH=CHCO–		CO_2H	—	—	269
Bz	Bz	Me	110	—	1333
Bz	*p*-MeC_6H_4	Ph	207	—	1259
CO(*o*-HOC_6H_4)	CO(*o*-HOC_6H_4)	Me	143	—	1320
CONHPh	*p*-MeC_6H_4	Ph	196	—	1259
–CSN(Ph)$COCH_2CO$–		Ph	—	—	3021
Ph	H	CH=CH(5-O_2N-2-furyl)	150–153	—	257
Ph	H	CH=C(Me)-(5-O_2N-2-furyl)	146–147	—	257
Ph	H	CH=CCl-(5-O_2N-2-furyl)	180–182	—	257
Ph	PhNH(4-(*p*-*t*-BuC_6H_4)-2-thiazolyl)	*p*-*t*-BuC_6H_4	220	—	925
Ph	*p*-HOC_6H_4	tBu	162	—	1023
Ph	*p*-HOC_6H_4	Ph	153	—	925, 1023
Ph	SO_3H	Me	235	—	390, 1378
p-$H_2NC_6H_4$	Me	*p*-*t*-BuC_6H_4	161–162	—	1023
p-HOC_6H_4	Ph	*t*-Bu	161–162.5	—	1023
p-HOC_6H_4	Ph	Ph	152–153	—	1023

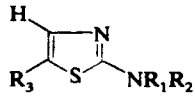
TABLE VI-52. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	b.p. (°C)	Ref.
2-HO-5-ter-octylC$_6$H$_4$	Lauroyl	Ph	106.5–108	—	1023
SO_2Ph	SO_2Ph	Me	148	—	889
	–Guanidyl–	CH_2(2-Me-5-O_2N-1-imidazolyl)	—	—	821
	–Succinimido–	CH_2(2-Me-5-O_2N-1-imidazolyl)	—	—	821
	–Morpholino–	CH_2(2-Me-5-O_2N-1-imidazolyl)	—	—	821

[a] Left and right bonded carbons linked to the same nitrogen.

TABLE VI-53.



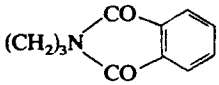
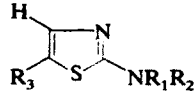
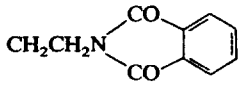
R_1	R_2	R_3	m.p. (°C)	b.p. (°C)	Ref.
Me	Me	CO_2H	—	—	384
Me	$(CH_2)_2CN$	NO_2	99–101	—	26
Et	Et	Me	—	120/5	391, 816
Et	Et	SO_3H	270	—	816
Et	SO_2(*p*-$H_2NC_6H_4$)	Me	194	—	1298
	–$CH_2CH_2CH_2CH_2$–[a]	Me	33	—	407
	–$CH_2CH_2CH_2CH_2$–	NO_2	162–165	—	26
	–$CH_2(CH_2)_4CH_2$–	NO_2	92–93	—	26
$(CH_2)_3CN$	CHO	NO_2	105–107	—	192
	CHO	NO_2	210–212	—	192
	–$CH_2CH_2CH_2O$–	NO_2	86–88	—	26
	–$CH_2CH_2CH(OH)CH_2CH_2$–	NO_2	135–137	—	26
	–$CH_2CH_2C(OCH_2CH_2O)CH_2CH_2$–	NO_2	155–157	—	26
	–$CH_2CH_2CONHCH_2$–	NO_2	—	—	847, 849, 851–853
	–CH_2CH_2NHCS–	NO_2	—	—	855

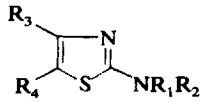
TABLE VI-53. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	b.p. (°C)	Ref.
$CH_2CH_2N(Me)CHO$	CHO	NO_2	175–177	—	192
$-CH_2CH_2N(COCH_2Cl)CH_2CH_2-$		NO_2	174–175	—	26
$-CH_2CH_2N(CONHCOCH_2Cl)CH_2CH_2-$		NO_2	227–228	—	26
	CHO	NO_2	209–212	—	192
$-CH_2CH_2OCH_2CH_2-$		NO_2	145–147	—	26
$-CH_2CH(Me)OCH(Me)CH_2-$		NO_2	—	—	848
$-CH_2CH(Me)SCH(Me)CH_2-$		NO_2	—	—	848
$-CH_2CH{=}CHCH_2-$		NO_2	174–176	—	26
$CH_2CH{=}CHCH_2N(CHO)$	CHO	NO_2	241–243	—	192
2-(5-O_2N thiazolyl)					
$CH_2C(Me){=}CCl_2$	CHO	NO_2	92–94	—	192
CH_2CONH_2	CHO	NO_2	203	—	192
$CH_2CONHMe$	CHO	NO_2	214–215	—	192
$CH_2CONH(CH_2)_2CN$	CHO	NO_2	176–177	—	192
$CH_2CONHCH_2Ph$	CHO	NO_2	185–186	—	192
$CH_2CONHCOMe$	CHO	NO_2	189–193	—	192
$CH_2CONHCONH_2$	CHO	NO_2	220–222	—	192
$CH_2CONHCO_2Me$	CHO	NO_2	199–200	—	192
$CH_2CONHCO_2Et$	CHO	NO_2	174–176	—	192
$CH_2CONHCO_2Bu$	CHO	NO_2	154–155	—	192
$CH_2CONHNHCO_2Et$	CHO	NO_2	181–182	—	192
CH_2CONMe_2	CHO	NO_2	178–179	—	192
CH_2CONEt_2	CHO	NO_2	140–141	—	192
CH_2CONPr_2	CHO	NO_2	111–112	—	192
CH_2CONBu_2	CHO	NO_2	106–107	—	192
$CH_2CON(Me)CO_2Et$	CHO	NO_2	170–172	—	192
$CH_2CON(CH_2Ph)CO_2Et$	CHO	NO_2	131–132	—	192
CH_2CO_2Et	CHO	NO_2	119–120	—	192
Ac	$(CH_2)_3CONH_2$	NO_2	144–145	—	200
Ac	$(CH_2)_3CN$	NO_2	146–147	—	200
Ac	CH_2CO_2Et	NO_2	109–111	—	200
COEt	CH_2CO_2Et	NO_2	88-89	—	200
$-CONHCH_2CH_2-$		NO_2	260–261	—	511, 1373
$-CONHCH(Et)CH_2-$		NO_2	255	—	511
$-CON(Me)COCH_2-$		NO_2	—	—	831
$-CON(Et)COCH_2-$		NO_2	—	—	831
$-CON(CH_2CH_2Cl)COCH_2-$		NO_2	—	—	831

[a] Left and right bonded carbons linked to the same nitrogen.

TABLE VI-54.



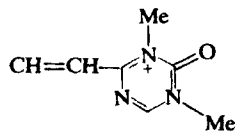
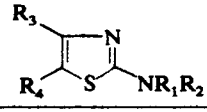
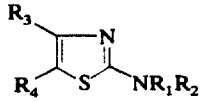
R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
H	Bu	p-$MeOC_6H_4$	p-$MeOC_6H_4$	155–158	838
H	Decyl	p-$MeOC_6H_4$	p-$MeOC_6H_4$	79–82	838
H	Allyl	p-$MeOC_6H_4$	p-$MeOC_6H_4$	128–131	838
H	p-$ClC_6H_4CH_2$	p-$MeOC_6H_4$	p-$MeOC_6H_4$	182–185	838
H	Ac	5-Br-2-furyl	Br	225–226	434
H	Ph	p-$MeOC_6H_4$	p-$MeOC_6H_4$	175–178	838
H	p-$MeOC_6H_4$	p-$MeOC_6H_4$	p-$MeOC_6H_4$	182–185.5	838
H	p-$EtO_2CC_6H_4$	p-$MeOC_6H_4$	p-$MeOC_6H_4$	144–148	838
Me	Me	Me	Me	—	1180
Me	Me	Me	Br	—	1180
Me	Me	Me	I	—	1177
Me	Me	$CH_2CH(NH_2)CO_2H$	NO_2	200 (HCl)	1386
Me	Me	$CH_2C(NHAc)(CO_2Et)_2$	NO_2	164	1386
Me	Me	CH_2Cl	NO_2	—	1386
Me	Me	CH=CH(2-Me_2N-5-O_2N-4-thiazolyl)	NO_2	—	1386
Me	Me	Ph	(1,3-diMe-2-1,3,4-triazolium)$^+N_2$, $ZnCl_3^-$	—	404
Me	Me	Ph	(3,4-diMe-2-thiazolium)$^+N_2$, SO_4Me^-	—	404
Me	Me	Ph	(4-Ph-3-Me-2-thiazolium)$^+N_2$, SO_4Me^-	—	404
Me	Me	Ph	(3-Me-6-MeO-2-benzothiazolium)$^+N_2$, $MeSO_4^-$	—	404
Me	Me	Ph	(3-Me-2-benzothiazolium)$^+N_2$, I^-	—	404
Me	Ph	Me		—	1002 a
Me	Ph	Ph	$CH=C(CN)_2$	—	1001
Me	Ph	Ph	COPh	118 136–138	88, 1379
Me	Ph	Ph	COMe	—	1379
Me	Ph	Ph	$CONH_2$	179	1379
Me	Ph	Ph	CO_2Et	—	1379
Me	Ph	Ph	(1,3-diMe-2-1,3,4-triazolium)$^+N_2$,Zn,Cl_3^-	—	404
Me	Ph	Ph	(3-Me-2-benzothiazolium)$^+N_2$, I^-	—	404
Me	Ph	4-HOC_6H_4	(3-Et-2-thiazolium)$^+N_2$, SO_4Et^-	—	404
Me	NO	Me	CONHMe	—	8661
Me	NO	Me	CONHPh	—	8661

TABLE VI-54. (*Continued*)



R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
Et	Et	Me	CONHPh	—	8361
Et	Et		$-CH_2C(Me)_2CH_2CO-$[a]	—	1308
Et	Et	CH_2Cl	NO_2	250	1386, 1570
Et	Et	CHBrCHBr(2-Et_2N-5-O_2N-4-thiazolyl)	NO_2	—	1386
Et	Et	CH=CH(2-Et_2N-5-O_2N-4-thiazolyl)	NO_2	—	1386
Et	Et	$CONHNH_2$	$CONHNH_2$	—	8361
Et	Et	*p*-ClC_6H_4	CH_2CO_2Et	—	8371
Et	Ph		$-CH_2C(Me)_2CH_2CO-$	—	1308
Et	Ph	Ph	CH_2CO_2Et	—	8371
Et	Ph	Ph	COPh	127–128	88, 1676
Et	SO_2(*p*-ClC_6H_4)	Me	iPr	95	445
Et	SO_2(*p*-ClC_6H_4)	Me	tBu	83	445
Bu	Bu	Ph	(1,3-diMe-2-1,3,4-triazolium)$^+N_2$, $ZnCl_3^-$	—	404
Bu	Bu	Ph	(3-Me-6-CN-2-benzothiazolium)$^+N_2$,$MeSO_4^-$	—	404
$-CH_2CH_2CH_2CH_2-$[b]		Me	Br	158	407
$-(CH_2)_2CH_2(CH_2)_2-$			$-CH_2C(Me)_2CH_2CO-$	—	1308
$(CH_2)_2Cl$	$(CH_2)_2Cl$	Me	CH=C(CO_2H)NHBz	160	201
$(CH_2)_2Cl$	$(CH_2)_2Cl$	Me	$COCHMeNH_2$	179	201
$(CH_2)_2Cl$	$(CH_2)_2Cl$	Me	$CONHN(CH_2CH_2OH)_2$	224	201
CH_2CH_2Cl	CH_2CH_2Cl	Me	CO_2Et	237	201
$-(CH_2)_2NH(CH_2)_2-$			$-CH_2(CH_2)_3CH_2-$	—	872
$(CH_2)_2N(Me)(CH_2)_2-$			$-CH_2(CH_2)_3CH_2-$	—	872
$(CH_2)_2N(CH_2Ph)(CH_2)_2-$			$-CH_2(CH_2)_3CH_2-$	—	872
$-(CH_2)_2N(Ac)(CH_2)_2-$			$-CH_2(CH_2)_3CH_2-$	—	872
$-(CH_2)_2N(CO_2Et)(CH_2)_2-$			$-CH_2(CH_2)_3CH_2-$	—	872
$(CH_2)_2OH$	$(CH_2)_2OH$	Me	CH=C(CO_2H)NHBz	>250	201
$(CH_2)_2OH$	$(CH_2)_2OH$	Me	$CONHN(CH_2CH_2OH)_2$	237	201
$(CH_2)_2OH$	$(CH_2)_2OH$	Me	CO_2Et	>250	201
$(CH_2)_2OH$	$(CH_2)_2OH$		$-CH_2C(Me)_2CH_2CO-$	—	1308
$-(CH_2)_2O(CH_2)_2-$			$-CH_2C(Me)_2CH_2CO-$	—	1308
$-(CH_2)_2O(CH_2)_2-$		Ph	Ac	150–153	1379, 1678
$-(CH_2)_2O(CH_2)_2-$		Ph	COPh	140–141	1379
$-(CH_2)_2O(CH_2)_2-$		Ph	CO(4-PhC_6H_4)	148–149	1379
$-(CH_2)_2O(CH_2)_2-$		Ph	CO(4-BrC_6H_4)	167–168	1379
$-(CH_2)_2O(CH_2)_2-$		Ph	CO_2Et	117–118	1379
$-(CH_2)_2O(CH_2)_2-$		Ph	*p*-NHC_6H_4	215	1379
$-(CH_2)_2O(CH_2)_2-$		Ph	CN	126–128	1379
Allyl	Ac	Me	CO_2Et	95	928
Allyl	COEt	Me	CO_2Et	70	928
Allyl	$COCH_2Cl$	Me	CO_2Et	93	928
Allyl	$COCHCl_2$	Me	CO_2Et	73	928
Allyl	$COCCl_3$	Me	CO_2Et	59	928
CH_2Ph	*p*-tolyl	Ph	CH_2Ph	144	1259
$-CH=C(CO_2R)CH=CHCO-$		Substituted aryl	CH_2CO_2R	—	873

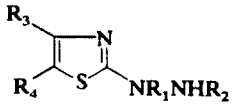
TABLE VI-54. (*Continued*)



R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
-C(Ph)=C(Ph)N=C(NH_2)-		Me	CO_2Et	—	1317
Ph	Ph	Me	$CONH_2$	190–191	1379
Ph	Ph	Me	CN	—	1379
Ph	Ph	Ph	COPh	214–215	88
p-Tolyl	CH_2Ph	Ph	CH_2Ph	125	1259
p-Tolyl	NO	Ph	Br	220	1259
o-HOC_6H_4	H	Me	tBu	133–135	1023
SO_2(*p*-Ac-NHC_6H_4)	SO_2(*p*-Ac-NHC_6H_4)	Me	Me	175	903

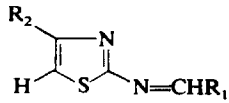
[a] Left bond means "bonded to 4-C"; right bond, "bonded to 5-C".
[b] Left and right bonded carbons linked to the same nitrogen.

TABLE VI-55.



R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
Ac	H	Me	H	154–155	543
Ac	H	$CH_2CONHNHAc$	H	210	549
Ac	H	CH_2CO_2Et	H	113–114	549
Ac	H	CH_2CO_2Et	Br	128–130	549
Ac	H	CH_2Cl	H	146–147	543
Ac	H	CH_2Cl	Br	158–159	543
Ac	Ac	CH_2CO_2Et	H	78–79	549
Ac	Ac	CH_2CO_2Et	Br	78–79	549
Ac	Ac	Ph	H	141	514
Ph	H	Me	H	—	1039
Ph	H	Ph	H	—	1039
4-Me-5-EtO_2CCH_2-2-thiazolyl	Me	CH_2CO_2Et	H	198 (2HBr salt) 131 (diac.)	538
4-EtO_2CCH_2-5-EtO_2C-2-thiazolyl	CH_2CO_2Et	CO_2Et	H	186 72 (diac.)	538
4,5-diEtO_2C-2-thiazolyl	CO_2Et	CO_2Et	H	212 103 (diac.)	538

TABLE VI-56.



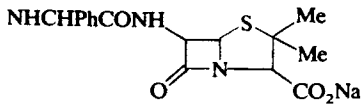
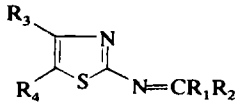
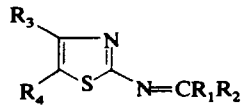
R_1	R_2	m.p. (°C)	Ref.
CCl_3	H	146–147	793
CCl_3	Me	165–166	793
CH=CH(2-furyl)	Me	181	520
CH=CH(5-O_2N-2-furyl)	Me	230	520
Ph	H	—	1286
Ph	CO_2H	—	269
Ph	Ph	175	208, 2091
Ph	1-Naphthyl	261	615
2-Thiazolyl-$NCHC_6H_4$	H	—	215
4-$OHCC_6H_4$	1,5-diMe-2-Ph-3-oxo-4-pyrazolyl	—	1380
p-FC_6H_4	Ph	—	2091
3,4-diClC_6H_3	Ph	—	2091
p-$Me_2NC_6H_4$	Ph	153	208
m-$O_2NC_6H_4$	Ph	182	208
p-$O_2NC_6H_4$	Ph	194	208
p-$MeOC_6H_4$	Ph	126	208
2,3-di$MeOC_6H_3$	Ph	—	2091
2,4-di$MeOC_6H_3$	Ph	—	2091
3,4-di$MeOC_6H_3$	Ph	—	2091
3,4,5-tri$MeOC_6H_2$	Ph	—	2091
1-Naphthyl	Ph	167	208
2-HO-1-naphthyl	H	161	38
2-HO-1-naphthyl	Ph	157	38
2-HO-1-naphthyl	4-MeC_6H_4	165	38
1-Furyl	Ph	162	208
5-O_2N-2-Furyl	Ph	187	208
2-Thiophenyl	Ph	95	208
	H	—	13811
NMe_2	H	170 (HCl salt)	5071
1-Morpholino	Me	—	1382

TABLE VI-57.



R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
H	CCl_3	H	NO_2	187–188	793
H	p-$O_2NC_6H_4$	Ph	H	156	235
H	1,4-diHO,-3-Me-2-naphthenyl	H	H	218	1383
H	2-furyl	Me	H	182	520
H	5-O_2N-2-furyl	H	H	124	825 l, 826, 1384
H	5-O_2N-2-furyl	Me	H	229	520
H	2-Thienyl	H	H	109	1286
H	NMe_2	H	H	38	508, 1385
H	NMe_2	H	NO_2	157 190 (HCl salt)	507 l, 508 l, 1385
H	NMe_2	CH=CBr(5-O_2N-2-furyl)	H	—	802
H	NEt_2	H	NO_2	—	1385
H	NEt_2	CH=CBr(5-O_2N-2-furyl)	H	—	802
H	N(Me)Ph	H	NO_2	165	508 l, 1385
H	1-Thiomorpholinyl	H	NO_2	—	792 l
H	2,6-Dimethyl-thiomorpholinyl	H	NO_2	—	792 l
Cl	Cl	R	NO_2	—	824
NH_2	NMe_2	H	NO_2	—	823
NH_2	NEt_2	H	NO_2	—	823
NHMe	NMe_2	H	NO_2	—	823
-$NHCH_2CH_2NH$-		H	NO_2	273	307
-$NHCH_2CH_2N(Me)$-[a]		H	NO_2	209–211	307
-$NHCH_2CH_2N(Et)$-		H	NO_2	174–175	307
-$NHCH_2CH_2N(CH_2CH_2OH)$-		H	NO_2	152–153	307
$NHCH_2CH_2OH$	SMe	H	NO_2	156–158	829
-$NHCH_2CH_2O$-		H	NO_2	215	829
-$NHCH_2CH(Me)N(Me)$-		H	NO_2	201–202	307
-$NHCH_2CH(Pr)O$-		H	NO_2	—	827
-$NHCH_2CH(OH)CH_2NH$-		H	NO_2	240–241	307
-$NHCH_2C(Me)_2N(Me)$-		H	NO_2	192	307
-$NHCH(Me)CH_2NH$-		H	NO_2	234–235	307
-$NHCH(Me)CH_2N(Me)$-		H	NO_2	200	307
-$NHCH(Me)CH_2O$-		H	NO_2	212–214	307
-$NHCH(Me)C(Me)_2O$-		H	NO_2	230–232	307

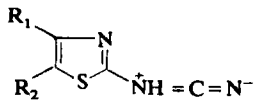
TABLE VI-57. (*Continued*)



R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
-NHCH(Et)CH(Me)O-		H	NO_2	—	827
-NHC(Me)$_2$CH$_2$O-		H	NO_2	—	827
-NHC(Me)$_2$C(Me)$_2$O-		H	NO_2	206–209	827, 307
-NHCOCH$_2$S-		α-Furyl	H	—	499
NMe_2	NMe_2	H	NO_2	—	823
-N(Me)CH$_2$CH$_2$N(Me)-		H	NO_2	114–116	307
-N(Me)CH$_2$CH$_2$O-		H	NO_2	281	829
-N(Me)CH$_2$CH(OH)CH$_2$N(Me)-		H	NO_2	170–171	307
-N(CH$_2$CH$_2$CH$_2$OH)CH$_2$CH$_2$O-		H	NO_2	151–153	829
-N(CH$_2$CH$_2$OH)CH$_2$CH$_2$O-		H	NO_2	—	307
-N(CH$_2$CH$_2$OH)CH$_2$CH$_2$O-		Me	NO_2	192	829
-N(CH$_2$CHOHMe)CH$_2$CH$_2$O-		H	NO_2	148–150	829
SMe	SMe	H	NO_2	163	511
SMe	SMe	R	NO_2	—	824
-S(CH$_2$)$_2$N(CH$_2$CH$_2$OH)-		H	NO_2	179–180	307
-S(CH$_2$)$_2$N(AcOCH$_2$CH$_2$)-		H	NO_2	139–140	307
SCH_2Ph	SCH_2Ph	R	NO_2	—	824

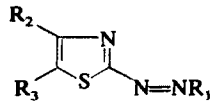
[a] Bonded atoms linked to the same carbon.

TABLE VI-58.



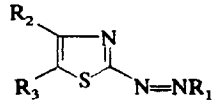
R_1	R_2	m.p. (°C)	Ref.
Ph	H	172	496
Ph	Ph	176	496
p-Tolyl	H	176	496
p-ClC$_6$H$_4$	H	181	496, 11321
p-BrC$_6$H$_4$	H	179	486, 496
p-BrC$_6$H$_4$	Me	202	496
p-MeOC$_6$H$_4$	H	163	496

TABLE VI-59.



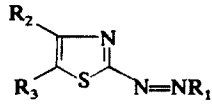
R_1	R_2	R_3	m.p. (°C)[a]	Ref.
C(Ac)=C(Me)OH	H	H	120	79
CONHMe	H	H	160	529
Ph	H	H	126	523
Ph	Me	H	120	1219
Ph	Me	CO_2Et	176	402
Ph	Ph	H	117	521, 1219
Ph	Ph	CO_2Et	110	523
Ph	Ph	Ph	—	402
Ph	p-MeC_6H_4	H	161	1219
Ph	1-Naphthyl	H	190	615
o-MeC_6H_4	Ph	H	110	1219
o-MeC_6H_4	p-MeC_6H_4	H	148	1219
p-AcC_6H_4	Me	$CH_2N{=}N(p\text{-}AcC_6H_4)$	250	1387 u
4-$H_2NC_6H_4$	CH_2OH	CO_2Me	—	1042
p-$H_2NC_6H_4$	CO_2H	H	—	1391
4-$H_2NC_6H_4$	2-$HO_2CC_6H_4$	CO_2Et	—	1003
2-Me-4-$H_2NC_6H_3$	CH_2OH	CO_2Me	—	1042
m-$PhNHC_6H_4$	Me	CH_2-morpholino	Blue	234
p-$Me_2NC_6H_4$	H	H	—	1562
p-$Me_2NC_6H_4$	H	Me	207	344
p-$Me_2NC_6H_4$	H	CH_2-morpholino	Violet	234 a
p-$Me_2NC_6H_4$	Me	Me	219	344
p-$Me_2NC_6H_4$	$-CH_2C(Me)_2CH_2CH_2-$[b]		120–123	80
p-$Me_2NC_6H_4$	CH_2NMe_2	H	Violet	234
p-$Me_2NC_6H_4$	CH_2OH	CO_2Me	165	550, 1042
p-$Me_2NC_6H_4$	CO_2H	H	—	1022
p-$Me_2NC_6H_4$	2-$HO_2CC_6H_4$	CO_2Et	—	1003
p-$Et_2NC_6H_4$	H	H	—	1004 a
4-$NCCH_2CHCHN(Et)C_6H_4$	H	H	—	1654
4-Et_2N-2-$Cl(CH_2)_2CONHC_6H_3$	H	NO_2	—	1009
4-$(NCCH_2CH_2)_2NC_6H_4$	H	H	160–162	553
2-Me-4-$Et(CNCH_2CH_2)NC_6H_3$	H	CH_2-piperidino	Violet	234 a
2-Me-4-$(NCCH_2CH_2)_2NC_6H_3$	H	H	158–160	553
4-$(HOCH_2CH_2)_2NC_6H_4$	H	H	172	553
2-Me-4-$(HOCH_2CH_2)_2NC_6H_3$	H	H	145–147	553, 1388
4-$(NCSCH_2CH_2)_2NC_6H_4$	Me	NO_2	Bluish	614 a
2-Me-4-Et-$(CH_2{=}CHSO_2CH_2CH_2)$-NC_6H_3	H	Br	Pink	616 a
2-Me-4-Et $(CH_2{=}CHSO_2CH_2CH_2)$-NC_6H_3	H	NO_2	Blue	616 a
2-Me-4-(CH_2OH) $(CH_2{=}CHO_2SCH_2CH_2)$ NC_6H_3	H	NO_2	Red violet	616
4-$HOCH_2CH(OH)CH_2$ (Et)N-2-MeC_6H_3	NO_2	H	Reddish blue	552
p-$AcOCH_2CH_2N(CH_2Ph)C_6H_4$	H	H	—	1006 a

TABLE VI-59. (*Continued*)



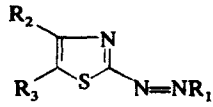
R_1	R_2	R_3	m.p. (°C)[a]	Ref.
2-Me-4-$AcOCH_2CH_2N$				
$(PhCH_2)C_6H_3$	H	H	—	1006 a
p-$N_3C_6H_4$	Ph	H	—	1033
p-HOC_6H_4	H	H	—	563
p-HOC_6H_4		$-CH_2C(Me)_2CH_2CH_2-$	245–247	80
p-HOC_6H_4		$-CH_2C(Me)_2CH_2CO-$	245	431
p-HOC_6H_4	CH_2OH	CO_2Me	201	1042
p-HOC_6H_4	Ph	COPh	250–251	89
p-HOC_6H_4		$-(2\text{-}C_6H_4)CO-$	—	1254
p-HOC_6H_4	2-$HO_2CC_6H_4$	Ph	—	1003
2-HO-4-MeC_6H_3	H	H	—	572, 986
2-HO-5-MeC_6H_3	H	H	—	572, 986
2-Me-4-HOC_6H_3	$-CH_2C(Me)_2CH_2CO-$		—	980
3-Me-4-HOC_6H_3	$-CH_2C(Me)_2CH_2CO-$		—	980
5-Et-2-HOC_6H_3	H	H	—	983
2-Et-4-HOC_6H_3		$-CH_2C(Me)_2CH_2CO-$	—	980
3-Et-4-HOC_6H_3		$-CH_2C(Me)_2CH_2CO-$	—	980
2-HO-4,5-diMeC_6H_2		$-CH_2C(Me)_2CH_2CO-$	—	980
4-HO-2,5-diMeC_6H_2		$-CH_2C(Me)_2CH_2CO-$	—	980
4-HO-2,6-diMeC_6H_2		$-CH_2C(Me)_2CH_2CO-$	—	980
2-HO-5-ClC_6H_3	H	H	—	986
2-HO-4-$Me_2NC_6H_3$	H	H	—	577–579, 580 a, 983
2-HO-4-$Et_2NC_6H_3$	H	H	—	563, 959, 982, 985
2-HO-4-$Et_2NC_6H_3$	H	NO_2	—	563
2-HO-4-$Et_2NC_6H_3$		$-CH_2(CH_2)_2CH_2-$	—	984
2,4-diHOC_6H_3	H	H	—	563, 961, 966, 986, 1043
2,5-diHOC_6H_3	H	H	—	968
3,4-diHOC_6H_3	H	H	—	560, 977
3,4-diHOC_6H_3	H	Br	—	609
2,4-diHOC_6H_3		$-CH_2(CH_2)_2CH_2-$	—	975, 1389
3,4-diHOC_6H_3		$-CH_2(CH_2)_2CH_2-$	—	971, 973, 976
2,4-diHOC_6H_3		$-CH_2C(Me)_2CH_2CH_2-$	223	80
3,4-diHOC_6H_3	CH_2Cl	CO_2Me	—	560
3,4-diHOC_6H_3	CH_2OH	H	—	559
2,4-diHOC_6H_3	CH_2OH	CO_2Me	210	550, 962
3,4-diHOC_6H_3	CH_2OH	CO_2Et	—	607 a
3,4-diHOC_6H_3	CH_2OH	CO_2Me	220	550, 560, 1390
2,4-diHOC_6H_3	CO_2H	H	—	979
2,4-diHOC_6H_3	Ph	COPh	—	967, 970, 974
3,4-diHOC_6H_3	Ph	COPh	—	969, 972
2,4-diHOC_6H_3		$-(2\text{-}C_6H_4)CO-$	—	1254
2,4-diHOC_6H_3	2-$HO_2CC_6H_4$	Ph	—	1003
3,4-diHOC_6H_3	2-$HO_2CC_6H_4$	Ph	—	1003
2,5-diHO-4-$Et_2NC_6H_2$	H	H	—	985
4-$MeOC_6H_4$	CO_2H	H	—	1391
2-HO-5-$MeOC_6H_3$	H	H	—	981

TABLE VI-59. *(Continued)*



R_1	R_2	R_3	m.p. (°C)[a]	Ref.
2-HO-5-$MeOC_6H_3$	Me	H	—	575a, 986
3-MeO-4-HOC_6H_3		$-CH_2C(Me)_2CH_2CO-$	—	980
p-$HOSO_2C_6H_4$	2-Naphthyl	H	263	615
4-$H_2NSO_2C_6H_4$	H	H	189, 211	539, 540
4-$H_2NSO_2C_6H_4$	H	Me	223–224	540
4-$H_2NSO_2C_6H_4$	Me	H	193–194	540
2-Naphthyl(Ni complex)	H	H	—	581
2-Naphthyl	H	NO_2	Red orange	339
2-H_2N-1-naphthyl	H	H	140	79
2-HO-1-naphthyl	H	H	105	79, 556, 557 x, 563, 565, 569, 582, 583, 964, 986
4-HO-1-naphthyl	H	H	189 Red brown	605 a
2-HO-1-naphthyl(Co complex)	H	H	—	567
2-HO-1-naphthyl(Pd complex)	H	H	—	583
2-HO-1-naphthyl	Me	H	—	987
2-HO-1-naphthyl	Me	4-(2-HO-1-naphthylN_2)-2-thiazolyl	—	612
4-HO-1-naphthyl		$-CH_2CH_2CH_2CH_2-$ Red brown	224 Red brown	605 a
2-HO-1-naphthyl	CO_2H	H	—	1392
4-HO-1-naphthyl	Ph	H	214 Red brown	605 a
2-HO-1-naphthyl		$-(2-C_6H_4)CO-$	—	1254
4-HO-1-naphthyl		$-(2-C_6H_4)CO-$	—	1254
2-HO-1-naphthyl	2-$HO_2CC_6H_4$	CO_2Et	—	1003
4-HO-1-naphthyl	2-$HO_2CC_6H_4$	Ph	—	1003
2-HO-3-HO_2C-1-naphthyl	H	H	—	564, 986
4-MeO-1-naphthyl	H	H	188 Orange	605 a
2-HO-6-HO_3S-1-naphthyl	H	H	—	986
3,6-diHO_3S-2-naphthyl	H	H	—	988
2-HO-3,6-diHO_3S-1-naphthyl	H	H	—	992, 1040
2-HO-3,7-diHO_3S-1-naphthyl	H	H	—	572, 573
2,6-diH_2N-5-pyridyl	H	H	—	996
2,6-diHO-5-pyridyl	H	H	—	997
2,6-diHO-3-CN-4-Me-5-pyridyl	H	H	—	610
1-R-3-Me-5-H_2N-4-pyrazolyl	H	NO_2	—	611
8-HO-5-quinolyl		$-CH_2(CH_2)_2CH_2-$	—	994, 995
8-HO-5-quinolyl	CO_2Me	CH_2OH	—	1042
1-Me-2-Ph-3-indolyl	H	H	—	585, 1014
2-Thiazolyl	H	H	175	541, 1360
5-Me-2-thiazolyl	H	Me	193–194	344, 612
4-Me-2-thiazolyl	Me	H	185	541, 960 a, 1359
4-Me-5-EtO_2CCH_2-2-thiazolyl	Me	CH_2CO_2Et	180	538
4-EtO_2C-2-thiazolyl	CO_2Et	H	245	538
4-Me-5-EtO_2C-2-thiazolyl	Me	CO_2Et	180, 196–198	402, 1360

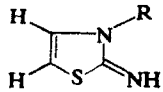
TABLE VI-59. (*Continued*)



R_1	R_2	R_3	m.p. (°C)[a]	Ref.
4-EtO_2CCH_2-5-EtO_2C-2-thiazolyl	CH_2CO_2Et	CO_2Et	110	538
4,5-diEtO_2C-2-thiazolyl	CO_2Et	CO_2Et	120	538
4-Ph-2-thiazolyl	Ph	H	245	402, 541
4-Ph-5-EtO_2C-2-thiazolyl	Ph	CO_2Et	226	1360
4,5-diPh-2-thiazolyl	Ph	Ph	252	1360
NHBu	Ph	H	88	620
NHPh	Ph	H	160	620
OH	H	H	140	1282
OH	Ph	H	—	336
=N	H	Me	102	597 i, u
=N	Me	Me	143	597 i, u, 1393
=N	Ph	H	98	597 i, u, 598

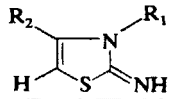
[a] When a color characterizes the product, it is given in this column.
[b] Left bond means "bonded to 4-C"; right bond, "bonded to 5-C."

TABLE VI-60.



R	m.p. (°C)	Ref.
H	—	1684
Et	111 (IH salt)	46
$CH_2CH_2NHCO_2Et$	202–205 (HCl)	131, 1283
$CH_2CH_2NEt_2$	245 (diHCl salt)	46, 108
CH_2CH_2ONH-*i*-Pr	—	108
$CH_2CH(OH)(m\text{-}BrC_6H_4)$	247	1731
$CH_2CO(p\text{-}FC_6H_4)$	238	1731
$CH_2CO(m\text{-}ClC_6H_4)$	215 (HBr salt)	1731
$CH_2CO(m\text{-}BrC_6H_4)$	205	1731
$CH_2CO(m\text{-}O_2NC_6H_4)$	245–309	1731
CH_2CO(2-furyl)	199	1731
CH_2CO(2-thienyl)	118	1731
CH_2CO_2H	—	710
CH_2CO_2R	—	710
CH_2Ph	53, 183 (HCl salt)	37, 46
NH_2	108–109	101
$NHCHMe_2$	72–75	108
NEt_2	—	108

TABLE VI-61.



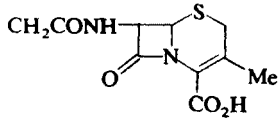
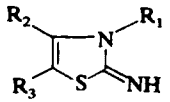
R_1	R_2	m.p. (°C)	Ref.
H		—	1681
Me	Me	200 (pic.)	96 u, 121, 700
Me	Ph	274	96 u, 700
CH_2Ph	Ph	243 (HBr salt)	700
Ac	Ph	208	706 u
Ph	Me	86	711 i
Ph	Ph	—	711 i, 1394
2-MeC_6H_4	Ph	296	1395
4-MeC_6H_4	Ph	285	1395
4-ClC_6H_4	Me	114	243 u
2-$H_2NC_6H_4$	Ph	153–154	718
4-Me-2-$H_2NC_6H_3$	Ph	142	718
6-Me-2-$H_2NC_6H_3$	Ph	176	718
2-$O_2NC_6H_4$	Ph	162–163 289 (HCl)	718, 1395
3-$O_2NC_6H_4$	Ph	308 (HCl)	1395
4-$O_2NC_6H_4$	Ph	277 (HCl)	1395
6-Me-2-$O_2NC_6H_3$	Ph	275	718
4-Me-2-$O_2NC_6H_3$	Ph	285, 292 (HCl)	718, 1395
2-Me-4-$NO_2C_6H_3$	Ph	280	1395
4-$MeOC_6H_4$	Me	147	243 u, 1026
4-MeO-2-$H_2NC_6H_3$	Ph	150	718
4-MeO-2-$O_2NC_6H_3$	Ph	251, 265 (HCl)	718, 1395
4-$EtOC_6H_4$	Ph	96 251 (HCl salt)	712
1-H_2N-2-naphthyl	Ph	120	719
1-O_2N-2-naphthyl	Ph	312 (HBr)	719
NH(4-MeC_6H_4)	Me	169	1219
NH(4-MeC_6H_4)	Ph	193	1219
NH(4-MeC_6H_4)	4-MeC_6H_4	184	1219
N=CH(3-pyridyl)	Me	121	1396
N=N—Ph	Me	185	325
OH	Me	—	731

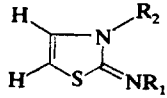
TABLE VI-62.



R_1	R_2	R_3	m.p. (°C)	Ref.
Me	Me	Me	127	700
Me		$-CH_2CH_2CH_2CH_2-$	266 (HCl salt)	700
Et	H	NO_2	—	725
CH_2CONEt_2	H	NO_2	181–183 (HBr)	725
CH_2Ph	Me	Me	251 (HBr salt)	700
CH_2Ph		$-CH_2CH_2CH_2CH_2-$	87	700
Ph		$-CH_2CH_2CH_2CH_2-$	118, 204 (pic.)	711 i, 1229
Ph	NH_2	CO_2Et	—	1577
Ph	$NHCSNH_2$	CN	—	1668
p-Tolyl		$-CH_2CH_2CH_2CH_2-$	193	1229
Tolyl	NH_2	$CONH_2$	—	276
2-MeC_6H_4	NH_2	CO_2Et	—	296
4-MeC_6H_4	NH_2	CO_2Et	—	296
Tolyl	NHAc	$CONH_2$	—	276
2-MeC_6H_4	$NHCOCH_2Cl$	CO_2Et	—	296
2-$HO_2CC_6H_4$		$-CH_2(CH_2)_2CH_2-$	—	702
ClC_6H_4	NH_2	$CONH_2$	—	276
2-ClC_6H_4	NH_2	CO_2Et	—	296
ClC_6H_4	NHAc	$CONH_2$	—	276
2-ClC_6H_4	$NHCOCH_2Cl$	CO_2Et	—	296
p-HOC_6H_4	Me	Ph	—	1026
5-Benzothiazolyl	Me	COMe	213	1397
5-Benzothiazolyl	Me	CO_2Et	197	1397
5-Benzothiazolyl	CH_2CO_2Et	CO_2Et	175	1397
NH_2	H	Me	110, 196 (triac.)	344
NH_2	Me	Me	90	344
NH_2		$-CH=CH-CH=CH-$[a]	132–134	101
OH	Me	Me	—	731

[a] Left bond means "bonded to 4-C"; right bond, "bonded to 5-C."

TABLE VI-63.



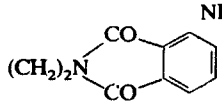
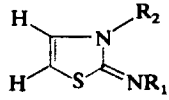
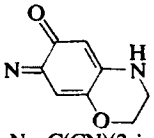
R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
H	Et	—	—	125 r
Me	*i*-Pr	—	—	204 l
Me	CH(Me)Et	—	—	204 l
Me	*t*-Bu	—	—	204 l
Me	NO	—	—	314
Et	Et	—	—	125 r
Et	CH_2Ph	—	113/0.07	46
CH_2Ph	Et	—	124/0.06	46
CH_2Ph	CH_2Ph	218 (HCl salt)	170/0.2	46
	$-CH(Ph)CH_2-$	184 (oxalate)	—	173 l
	$-CH(m\text{-}iPrC_6H_4)CH_2-$[a]	—	—	795
	$-CH(p\text{-}FC_6H_4)CH_2-$	173 (oxalate)	—	173 l
	$-CH(m\text{-}ClC_6H_4)CH_2-$	157 (oxalate)	—	173 l
	$-CH(m\text{-}BrC_6H_4)CH_2-$	155 (oxalate)	—	173 l, 946 l
	$-CH(3\text{-}H_2N\text{-}4\text{-}ClC_6H_3)CH_2-$	—	—	794 l
	$-CH(m\text{-}i\text{-}PrCONHC_6H_4)CH_2-$	—	—	795
	$-CH(m\text{-}t\text{-}BuCONHC_6H_4)CH_2-$	—	—	795
	$-CH(m\text{-}O_2NC_6H_4)CH_2-$	195 (oxalate)	—	173 l
	$-CH(p\text{-}O_2NC_6H_4)CH_2-$	158 (oxalate)	—	173 l
	$-CH(3\text{-}Me_2N\text{-}4\text{-}O_2NC_6H_3)CH_2-$	—	—	794 l
	$-CH(2\text{-furyl})CH_2-$	173 (oxalate)	—	173 l
	$-CH(2\text{-thienyl})CH_2-$	193 (oxalate)	—	173 l
	$-C(2\text{-furyl})=CH-$	102–103 160–165 (HCl)	—	220
	$-C(5\text{-}O_2N\text{-}2\text{-furyl})=CH-$	215–218	—	220
	$-C(Me)=N-$	49–51	—	101
	$-C(Ph)=N-$	118–119	—	101
	$-C(Ph)=NCS-$	262–264	—	310, 312
	$-C(4\text{-}O_2NC_6H_4)=NCS-$	—	—	312
COMe	NHCOMe	—	—	101
CO_2Et		212–213	—	131
C(SMe)=N(3-Me-2-thiazolyl)$^+X^-$	Me	—	—	708 l
CS_2H	Allyl	—	—	708 l
CS_2H	Me	—	—	708 l
3-Cl-C_6H_4	3-Cl-C_6H_4	—	—	494
4-Ph-2-thiazolyl	Ph	227	—	1275
4-(1-naphthyl)-2-thiazolyl	2-Naphthyl	242	—	1275
$N=C(Me)_2$	CONHMe	101–103	—	529
$N=C(Me)_2$	CONHPr	76–77	—	529

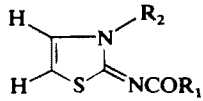
TABLE VI-63. (*Continued*)



R_1	R_2	m.p. (°C)	b.p. (°C)	Ref.
	Me	—	—	733 a
N=C(CN)(2-indolyl)	H	—	—	1000
SO_2(*p*-tolyl)	SO_2(*p*-tolyl)	151	—	765
SO_2(*p*-$H_2NC_6H_4$)	$(CH_2)_2NEt_2$	145	—	6711
SO_2(*p*-$AcNHC_6H_4$)	SO_2(*p*-$AcNHC_6H_4$)	—	—	1398

[a] Left bonded atom linked to exocyclic nitrogen; right bonded atom linked to ring N.

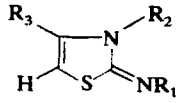
TABLE VI-64.



R_1	R_2	m.p (°C)	Ref.
Me	$CH_2CH(OH)Ph$	159	1731
Me	$CH_2CH(p\text{-}FC_6H_4)OH$	203 (HBr salt)	1731
Me	$CH_2CH(m\text{-}ClC_6H_4)OH$	141	1731
Me	$CH(m\text{-}BrC_6H_4)OH$	152	1731
Me	$CH_2CH(m\text{-}O_2NC_6H_4)OH$	154	1731
Me	$CH_2CH(p\text{-}O_2NC_6H_4)OH$	246	1731
Me	CH_2CHOH(2-furyl)	116	1731
Me	CH_2CHOH(2-thienyl)	133	1731
Me	$CH_2CH(m\text{-}BrC_6H_4)OAc$	149 (HCl salt)	1731
Me	CH_2COPh	154 (HCl salt)	1731
Me	$CH_2CO(m\text{-}ClC_6H_4)$	147 (HCl salt)	1731
Me	$CH_2CO(m\text{-}BrC_6H_4)$	—	1731
Me	$CH_2CO(m\text{-}O_2NC_6H_4)$	152 (HCl salt)	1731
Me	CH_2CO(2-furyl)	144 (HCl salt)	1731
Me	CH_2CO(2-thienyl)	148 (HCl salt)	1731
Me	CH_2Ph	102	37
CH_2Cl	Me	—	7071
CH_2Cl	Allyl	—	7071
CH_2Cl	$CH_2CH_2CONHMe$	—	7071
$CH_2SP(S)EtOEt$	Me	—	7071
$CH_2SPS(OEt)_2$	Me	—	7071
$CH_2SPS(OEt)_2$	Allyl	—	7071
	$-C(=CHR)-$[a]	—	710
Ph	CH_2Ph	101	37

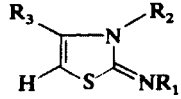
[a] Left bonded atom linked to exocyclic nitrogen; right bonded atom linked to ring N.

TABLE VI-65.



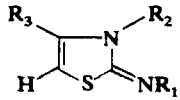
R_1	R_2	R_3	m.p. (°C)	Ref.
H	N=CH(4-pyridyl)	Me	129–131	729
Me	Me	Me	—	96 u
Me	Me	Ph	—	96 u, 126 r, 1399
Me	Ac	Ph	87	706 u
Me	NH_2	Me	—	701
Me	N=CH(3-pyridyl)	Me	93–95	701
Me	N=CH(4-pyridyl)	Me	95–97	729
Pr	Pr	Ph	97	706 u
	$-CH_2CH_2-$	CH_2CO_2Et	—	1096
	$-CH_2CH_2CH_2CH_2-$[a]	m-$F_3CC_6H_4$	—	8751
	$-CH_2CH_2CH_2CH_2-$	p-PhC_6H_4	—	8751
	$-CH_2CH_2CH_2CH_2-$	p-ClC_6H_4	—	8751
	$-CH_2(2\text{-}C_6H_4)CH_2-$	Substituted phenyl	—	929
	$-CH_2(2\text{-}C_6H_4)CH_2-$	Substituted thienyl	—	929
	$-CH_2NH(2\text{-}C_6H_4)-$	Ph	216	720
	$-CH_2NH(3\text{-Me-}2\text{-}C_6H_3)-$	Ph	211	720
	$-CH_2NH(5\text{-Me-}2\text{-}C_6H_3)-$	Ph	201	720
	$-CH_2NH(5\text{-MeO-}2\text{-}C_6H_3)-$	Ph	208	720
	$-CH(Me)(4,5\text{-diMeO-}2\text{-}C_6H_2)-$	R	—	228
	-C(2-furyl)=CH-	Me	111–113	220
	-CH=N-	H	—	314
	-CH=N-	Me	—	314
	$-CH=N(2\text{-}C_6H_4)-$	Ph	245	721
	$-CH=N(3\text{-Me-}2\text{-}C_6H_3)-$	Ph	176	721
	$-CH=N(5\text{-Me-}2\text{-}C_6H_3)-$	Ph	236	721
	$-CH=N(5\text{-MeO-}2\text{-}C_6H_3)-$	Ph	214	721
	-C(Me)=N-	H	—	314
	-C(Me)=N-	Me	—	314
	$-C(Me)=N(2\text{-}C_6H_3)-$	Ph	241	721
	$-C(Me)=N(3\text{-Me-}2\text{-}C_6H_3)-$	Ph	175	721
	$-C(Me)=N(5\text{-Me-}2\text{-}C_6H_3)-$	Ph	234	721
	$-C(Me)=N(5\text{-MeO-}2\text{-}C_6H_3)-$	Ph	216	721
	$-C(Et)=N(2\text{-}C_6H_4)$	Ph	238	721
	$-C(Et)=N(3\text{-Me-}2\text{-}C_6H_3)-$	Ph	172	721
	$-C(Et)=N(5\text{-Me-}2\text{-}C_6H_3)-$	Ph	230	721
	$-C(Et)=N(5\text{-MeO-}2\text{-}C_6H_3)-$	Ph	212	721
	-C(Ph)=N-	H	—	314
	-C(Ph)=N-	Me	125–126	101, 314
	$-C(SH)=N(2\text{-}C_6H_4)-$	Ph	195	720
	$-C(SH)=N(3\text{-Me-}2\text{-}C_6H_3)-$	Ph	193	720
	$-C(SH)=N(5\text{-Me-}2\text{-}C_6H_3)-$	Ph	199	720
	$-C(SH)=N(5\text{-MeO-}2\text{-}C_6H_3)-$	Ph	190	720
C(SMe)=N(2-thiazolyl)	Me	Me	—	7081
C(SMe)=N(2-thiazolyl)	CH_2Ph	Me	—	7081
C(SMe)=N(3-Me-2-thiazolynium)$^+X^-$	CH_2Ph	Me	—	7081

TABLE VI-65. (*Continued*)



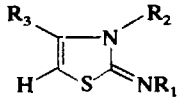
R_1	R_2	R_3	m.p. (°C)	Ref.
C(SMe)=N(3,4-diMe-2-thiazolynium)$^+X^-$	Me	Me	—	7081
CSN=(3-Me-2-thiazolyl)	Me	Me	—	7081
Ph	$CH_2CH(p\text{-}O_2NC_6H_4)OH$	Ph	230 (HBr salt)	1400
Ph	Ph	Me	141	711 i, 1401, 1402
Ph	Ph	Ph	177	705, 1125, 1403, 1404
Ph	Ph	$p\text{-}ClC_6H_4$	—	1403, 1404
Ph	Ph	$3,4\text{-diHOC}_6H_3$	253	1405
Ph	Ph	$p\text{-MeOC}_6H_4$	—	1403
Ph	Ph	$3,4\text{-diMeOC}_6H_3$	—	1403
Ph	$p\text{-HO}_2CC_6H_4$	Me	210	1401
Ph	NH_2	Me	—	701
Ph	NHCO(3-pyridyl)	Me	—	1406, 1665
Ph	NHCO(4-pyridyl)	Ph	194–196	99
Ph	$NHPOPh_2$	Me	185–186	1159
Ph	$NHPOPh_2$	Ph	215–218	1159
Ph	$NHPOPh_2$	$p\text{-BrC}_6H_4$	228–230	1159
Ph	N=CH(2-pyridyl)	Me	173–175	728, 830
Ph	N=CH(3-pyridyl)	Me	126	701
Ph	N=CH(4-pyridyl)	Me	155–157	729, 830
Ph	N=CH(2-pyridyl)	Ph	137–139	728
Ph	N=CH(4-pyridyl)	Ph	157–159	729
p-Tolyl	$CH_2CH(p\text{-}O_2NC_6H_4)OH$	Ph	148 (HBr salt)	1400 i, u
o-Tolyl	COCONHPh	Ph	165–167	1407, 1408
p-Tolyl	COCONHPh	Ph	210–212	1407, 1408
p-Tolyl	*p*-Tolyl	Me	113	711 i
p-Tolyl	*p*-Tolyl	Ph	—	1403
p-Tolyl	*p*-Tolyl	$p\text{-ClC}_6H_4$	—	1403
o-Tolyl	*o*-Tolyl	$3,4\text{-diHOC}_6H_3$	130	1405
m-Tolyl	*m*-Tolyl	$3,4\text{-diHOC}_6H_3$	227	1405
p-Tolyl	*p*-Tolyl	$3,4\text{-diHOC}_6H_3$	280	1405
p-Tolyl	*p*-Tolyl	$p\text{-MeOC}_6H_4$	—	1403
p-Tolyl	*p*-Tolyl	$3,4\text{-diMeOC}_6H_3$	—	1403
$m\text{-MeC}_6H_4$	$NHPOPh_2$	$p\text{-BrC}_6H_4$	227–230	1159
$p\text{-EtC}_6H_4$	$CH_2CH(p\text{-}O_2NC_6H_4)OH$	Ph	210 (HBr salt)	1400 i, u
$p\text{-HO}_2CC_6H_4$	Ph	Me	248	1401
$p\text{-EtCO}_2C_6H_4$	$CH_2CH(p\text{-}O_2NC_6H_4)OH$	Ph	170 (HBr salt)	1400 i, u
$p\text{-ClC}_6H_4$	$CH_2CH(p\text{-}O_2NC_6H_4)OH$	Ph	135 (HBr salt)	1400 i, u
$p\text{-ClC}_6H_4$	$COCONH(p\text{-ClC}_6H_4)$	Ph	218–220	1407, 1408
$p\text{-ClC}_6H_4$	$p\text{-ClC}_6H_4$	$p\text{-MeOC}_6H_4$	—	1403
$p\text{-ClC}_6H_4$	$p\text{-ClC}_6H_4$	$3,4\text{-diMeOC}_6H_3$	—	1403
$p\text{-BrC}_6H_4$	$p\text{-BrC}_6H_4$	Ph	—	1403
$p\text{-BrC}_6H_4$	$p\text{-BrC}_6H_4$	$p\text{-ClC}_6H_4$	—	1403
$p\text{-Me}_2NC_6H_4$	$p\text{-Me}_2NC_6H_4$	Me	207	1026
$p\text{-HOC}_6H_4$	$p\text{-HOC}_6H_4$	Me	246	1026
$p\text{-HOC}_6H_4$	$p\text{-HOC}_6H_4$	$3,4\text{-diHOC}_6H_3$	200 (HCl salt)	1405

TABLE VI-65. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
p-$MeOC_6H_4$	p-$MeOC_6H_4$	Me	148	1026
p-$MeOC_6H_4$	p-$MeOC_6H_4$	Ph	—	1403
p-$MeOC_6H_4$	p-$MeOC_6H_4$	p-ClC_6H_4	—	1403
p-$MeOC_6H_4$	p-$MeOC_6H_4$	p-$MeOC_6H_4$	—	1403
p-$MeOC_6H_4$	p-$MeOC_6H_4$	3,4-di$MeOC_6H_3$	—	1403
p-$EtOC_6H_4$	p-$EtOC_6H_4$	Me	163	711 i
p-$HO_3SC_6H_4$	Ph	Me	320	1401
2-Naphthyl	2-Naphthyl	Ph	—	1403
2-Naphthyl	2-Naphthyl	p-ClC_6H_4	—	1403
2-Naphthyl	2-Naphthyl	p-$MeOC_6H_4$	—	1403
2-Naphthyl	2-Naphthyl	3,4-di$MeOC_6H_3$	—	1403
1-Me-5-oxo-3-pyrazolyl	CO_2Et	p-n-$C_{16}H_{33}OC_6H_4$	80	1016 a
1-Ph-5-oxo-3-Δ2-pyrazolyl	CO_2Et	p-$C_{16}H_{32}OC_6H_4$	—	1034
-$NHCO(CH_2)_2$-		Ph	173	534
-$NHCO(CH_2)_2$-		4-MeC_6H_4	149	534
-$NHCO(CH_2)_2$-		4-EtC_6H_4	162	534
-$NHCO(CH_2)_2$-		4-ClC_6H_4	155	534
-$NHCO(CH_2)_2$-		4-BrC_6H_4	170	534
-$NHCO(CH_2)_2$-		4-$MeOC_6H_4$	146	534
NHCOPh	Ph	Me	—	730
NHCOPh	Ph	Ph	—	730
NHCO(4-pyridyl)	Ph	Ph	190–192	99
-$NH(2-C_6H_4)$-		Ph	190	718
-$NH(3-Me-6-C_6H_3)$-		Ph	211	718
-$NH(5-Me-6-C_6H_3)$-		Ph	220	718
-$NH(3-MeO-6-C_6H_3)$-		Ph	201	718
-NH(1-(2-naphthyl))-		Ph	232	719
$NHPOPh_2$	CH_2Ph	Me	207–209	1159
$NHPOPh_2$	i-Pr	p-ClC_6H_4	195–196	1159
$NHPOPh_2$	Allyl	Me	171–173	1159
$NHPOPh_2$	Allyl	Ph	148–150	1159
$NHPOPh_2$	i-Pr	p-BrC_6H_4	193–195	1159
$NHPOPh_2$	Ph	Me	—	1159
$NHPOPh_2$	Ph	Ph	179–181	1159
$NHPOPh_2$	Ph	p-BrC_6H_4	189–190	1159
$NHPOPh_2$	m-MeC_6H_4	p-BrC_6H_4	181–184	1159
$NHPO(OPh)_2$	Me	Ph	—	1159
$NHPO(OPh)_2$	CH_2Ph	Ph	—	1159
$NHPO(OPh)_2$	Cyclohexyl	Ph	—	1159
$NHPO(OPh)_2$	Ph	Ph	—	1159
N=CHPh	4-Antipyrinyl	Me	212	1409
N=CH(4-$Me_2NC_6H_4$)	Ph	C(Cl)=NNHPh	114–115	1389
N=CH(4-$Me_2NC_6H_4$)	Ph	C(Cl)=NNH(4-ClC_6H_4)	155–156	1389
N=CH(4-$Me_2NC_6H_4$)	Ph	C(Cl)=NNH(4-$O_2NC_6H_4$)	160–161	1389
N=CH(4-$O_2NC_6H_4$)	Ph	C(Cl)=NNHPh	166–167	1389

TABLE VI-65. (*Continued*)



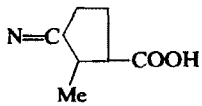
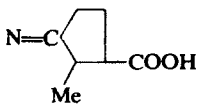
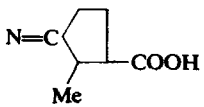
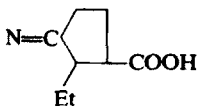
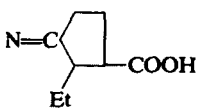
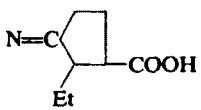
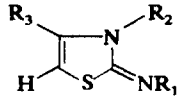
R_1	R_2	R_3	m.p. (°C)	Ref.
N=CH(4-$O_2NC_6H_4$)	Ph	C(Cl)=NNH (4-MeC_6H_4)	209–210	1389
N=CH(*o*-HOC_6H_4)	4-Antipyrinyl	Me	212	1409
N=CH(3,4-$CH_2O_2C_6H_3$)	4-Antipyryl	Me	194	1409
N=CH(2-pyridyl)	Me	Me	129–131	728, 830
N=CH(3-pyridyl)	Me	Me	197	701
N=CH(4-pyridyl)	Me	Me	173–175	729, 830
N=CH(2-pyridyl)	Me	Ph	118–120	728, 830
N=CH(3-pyridyl)	Me	Ph	146	701
N=CH(4-pyridyl)	Me	Ph	161–162	729, 830
N=CH(2-pyridyl)	Ph	Me	142–144	728, 830
N=CH(3-pyridyl)	Ph	Me	122	701
N=CH(4-pyridyl)	Ph	Me	152–154	729, 830
N=CH(2-pyridyl)	Ph	Ph	230–232	728, 830
N=CH(3-pyridyl)	Ph	Ph	176	701
N=CH(4-pyridyl)	Ph	Ph	204–206	729, 830
	Ph	Me	122	1369
	Ph	Ph	212	1369
	Ph	*p*-$O_2NC_6H_4$	200	1369
	Ph	Me	165	1369
	Ph	Ph	202	1369
	Ph	*p*-$O_2NC_6H_4$	182	1369

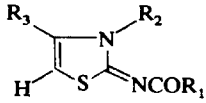
TABLE VI-65. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
	-N=C(Me)CH=C(Me)-	Ph	108–109	535
	-N=C(Me)CH=C(Me)-	4-MeC_6H_4	102–103	535
	-N=C(Me)CH=C(Me)-	4-EtC_6H_4	101	535
	-N=C(Me)CH=C(Me)-	4-ClC_6H_4	146	535
	-N=C(Me)CH=C(Me)-	4-BrC_6H_4	148	535
	-N=C(Me)CH=C(Me)-	4-$MeOC_6H_4$	132	535
SO_2(*p*-$NHAcC_6H_4$)	N=CH(*p*-$O_2NC_6H_4$)	Me	254	342
SO_2(*p*-$NHAcC_6H_4$)	NH_2	Me	—	342

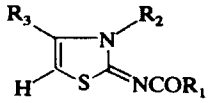
[a] Left bonded atom linked to exocyclic nitrogen; right bonded atom linked to ring N.

TABLE VI-66.



R_1	R_2	R_3	m.p. (°C)	Ref.
H	2-$CONHC_6H_4$	Ph	203	721
H	4-Me-2-$HCONHC_6H_3$	Ph	191	721
H	6-Me-2-$HCONHC_6H_3$	Ph	205	721
H	4-MeO-2-$HCONHC_6H_3$	Ph	184	721
Me	Me	Me	113	121
Me	Me	Ph	121	706 u
Me	Ac	Ph	293	477 u, 706 u
Me	Ph	Me	183	711 i
Me	*p*-$MeOC_6H_4$	Me	210	1026
Me	*p*-$EtOC_6H_4$	Ph	148	712
Me	*p*-$EtOC_6H_4$	*p*-$Me_2NC_6H_4$	154	712
Me	*p*-$EtOC_6H_4$	4-Pyridyl	179	712
Et	COEt	Ph	188	477 u, 706 u
Pr	COPr	Ph	178	477 u
Me	2-$HCONHC_6H_4$	Ph	223	721
Me	4-Me-2-$HCONHC_6H_3$	Ph	220	721
Me	6-Me-2-$HCONHC_6H_3$	Ph	228	721
Me	4-MeO-2-$HCONHC_6H_3$	Ph	212	721
Et	2-$HCONHC_6H_4$	Ph	213	721
Et	4-Me-2-$HCONHC_6H_3$	Ph	215	721
Et	6-Me-2-$HCONHC_6H_3$	Ph	232	721
Et	4-MeO-2-$HCONHC_6H_3$	Ph	206	721
$(CH_2)_2Cl$	H	Ph	258	97
	-CH=CH-[a]	Me	—	273

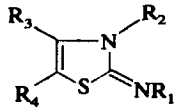
TABLE VI-66. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
Ph	Ph	Me	158	711 i
Ph	Ph	Ph	204	711 i
Ph	p-$EtOC_6H_4$	Ph	195	712
Ph	p-$EtOC_6H_4$	p-$Me_2NC_6H_4$	203	712
Ph	p-$EtOC_6H_4$	4-Pyridyl	205	712
p-$O_2NC_6H_4$	Ph	Me	183	711 i
OEt	CO_2Et	Me	134	2631

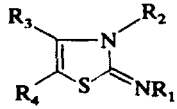
[a] Left bonded atom linked to exocyclic nitrogen; right bonded atom linked to ring N.

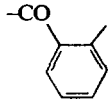
TABLE VI-67.



R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
Me	Me	$-CH_2CH_2CH_2CH_2-$[a]		67	72
Me	Me	Ph	4-ClC_6H_4S	—	861
Me	Me	4-BrC_6H_4	H	—	861
Me	i-Pr	H	N=N(p-$O_2NC_6H_4$)	—	2041
Me	t-Bu	H	N=N(p-$O_2NC_6H_4$)	—	2041
$-CH_2CH_2-$[b]		Me	Me	—	1410
$-CH_2CH_2-$		Me	CO_2Et	72	1096
$-CH_2CH_2-$		$-CH_2CHCH_2CH_2CHCH_2-$ (with CH_2 bridge)		—	14111
$-CH_2CH_2-$		Ph	Me	—	1410
$-CH_2CH_2-$		Ph	Ph	—	1410
$-CH_2CH_2CH_2-$		Me	H	—	1412
$-CH_2CH_2CH_2-$		Me	Me	—	1412
$-CH_2CH_2CH_2-$		Ph	H	—	1412
$-CH_2CCH_2-$ (with CH_2CH_2, CH_2CH_2, N, Bu)		H	2-Naphthyl	—	14131

TABLE VI-67. (*Continued*)



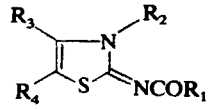
R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
R		[c]	CO_2R	—	1414
	$-CH(Me)CH_2-$	Ph	Me	—	1410
	$-CH(Me)N-$		$-CH{=}CH-CH{=}CH-$	78–80	101
	$-CH(Ph)N-$		$-CH{=}CH-CH{=}CH-$	176–177	101
Ph	Ph	H	$CH{=}NNHCSNH_2$	207	8091
Ph	Ph	Me	CO_2Et	112	7481
Ph	*p*-$AcOHgC_6H_4$	Me	CO_2Et	188	7481
p-Tolyl	*p*-$AcOHgC_6H_4$	Me	CO_2Et	>250	7481
	$-(2\text{-}C_6H_4)CO-$		$-CH_2(CH_2)_2CH_2-$	140	702
	$-(4\text{-Me-}2\text{-}C_6H_3)CO-$		$-CH_2(CH_2)_2CH_2-$	161	702
p-$HO_2CC_6H_4$	*p*-$AcOHgC_6H_4$	Me	CO_2Et	250	7481
p-ClC_6H_4	*p*-$AcOHgC_6H_4$	Me	CO_2Et	176	7481
	$-(4\text{-Cl-}2\text{-}C_6H_3)CO-$		$-CH_2(CH_2)_2CH_2-$	215	702
p-BrC_6H_4	*p*-$AcOHgC_6H_4$	Me	CO_2Et	170	7481
	$-(4\text{-Br-}2\text{-}C_6H_3)CO-$		$-CH_2(CH_2)_2CH_2-$	202	702
p-$O_2NC_6H_4$	*p*-$AcOHgC_6H_4$	Me	CO_2Et	243	7481
p-HOC_6H_4	*p*-HOC_6H_4	Me	Ph	—	1026
m-$O_2NC_6H_4$	*p*-$AcOHgC_6H_4$	Me	CO_2Et	>250	7481
o-ClC_6H_4	*p*-$AcOHgC_6H_4$	Me	CO_2Et	>250	7481
1-Naphthyl	*p*-$AcOHgC_6H_4$	Me	CO_2Et	>250	7481
2-Naphthyl	*p*-$AcOHgC_6H_4$	Me	CO_2Et	206	7481
$NHSO_2$(*p*-$MeOC_6H_4$)	Ph	Me	CO_2Et	—	1415
$NHSO_2$(*p*-$MeOC_6H_4$)	Ph	Ph	H	—	8711, 1415
NO_2	NO_2	Me	NO_2	98	87
NO_2	NO_2	NO_2	NO_2	123	87

[a] Left bond means "bonded to 4-C"; right bond, bonded to 5-C."

[b] Left bonded atom linked to exocyclic nitrogen; right bonded atom linked to ring N.

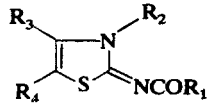
[c] Left bonded C linked to N; right bonded C linked to 4-C.

TABLE VI-68.



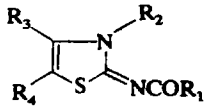
R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
Me	Me	H	SCN	210–211	1416
Me	$(CH_2)_3CONH_2$	H	NO_2	148–150	200
Me	$(CH_2)_3CN$	H	NO_2	83–84	200
Me	CH_2CONH_2	H	NO_2	255, 211–213	1781, 200
Me	$CH_2CONHMe$	H	NO_2	207, 206–207	1781, 200
Me	$CH_2CONHoctyl$	H	NO_2	162–163	200
Me	$CH_2CONHCH_2Ph$	H	NO_2	190, 189–190	1781, 200
Me	CH_2CONMe_2	H	NO_2	139, 137–139	1781, 200
Me	CH_2CONEt_2	H	NO_2	141, 140–141	1781, 200
Me	CH_2CONPr_2	H	NO_2	128, 127–128	1781, 200
Me	CH_2CO(1-pyrrolidinyl)	H	NO_2	163, 161–163	1781, 200
Me	CH_2CO(1-piperidino)	H	NO_2	166, 165–166	1781, 200
Me	CH_2CO(1-morpholino)	H	NO_2	176 174.5–175.5	1781, 200
Me	$CH(Me)CONH_2$	H	NO_2	225	200
Me	Ph	Me	SCN	137–138	1416
Me	Ph	$-CH_2CH_2CH_2CH_2-$[a]		146	711i
Me	Ph	Ph	SCN	200–201	1416
Me	NHCOMe	$-CH=CH-CH=CH-$		—	101
Et	$CH_2CONHPr$	H	NO_2	186–187	200
Et	$CH_2CONHCH_2Ph$	H	NO_2	197–199	200
Et	CH_2CONMe_2	H	NO_2	163–164	200
Et	CH_2CONEt_2	H	NO_2	151–153	200
Et	CH_2CONBu_2	H	NO_2	197–198	200
Et	CH_2CO-pyrrolidinyl	H	NO_2	146–147	200
Et	CH_2CO-piperidino	H	NO_2	159–160	200
Et	CH_2CO-morpholino	H	NO_2	126–127	200
Et	CH_2CO_2Et	H	NO_2	145–146	200
Et	Cyclopentyl	H	NO_2	80–81	191
Pr	$CH_2CONHCH_2Ph$	H	NO_2	199	1781
Pr	CH_2CONMe_2	H	NO_2	143	1781
Pr	CH_2CONEt_2	H	NO_2	153	1781
Pr	CH_2CONBu_2	H	NO_2	98	1781
Pr	CH_2CO(1-pyrrolidinyl)	H	NO_2	147	1781
Pr	CH_2CO-piperidino	H	NO_2	160	1781
Pr	CH_2CO-morpholino	H	NO_2	127	1781
Pr	CH_2CO_2Et	H	NO_2	156	1781
Bu	$C(Me)_2CHMe_2$	H	NO_2	39–40.5	191
Bu	$C(Me)_2CH_2Cl$	H	NO_2	68–70	191
Bu	1-Ph-cyclopropyl	H	NO_2	117–119	191
Bu	1-Ph-cyclobutyl	H	NO_2	70–72	191
Bu	$CHCl_2$	H	NO_2	65–67	191
$(CH_2)_2OMe$	1-Me-cyclopropyl	H	NO_2	109.5–110.5	191
$(CH_2)_2OMe$	Cyclobutyl	H	NO_2	70–72	191
$(CH_2)_2OMe$	Adamantyl	H	NO_2	116–118	191
Allyl	$CH(Me)CMe_3$	H	NO_2	56–58	191
CH_2Cl	Me	Me	Me	—	7071

TABLE VI-68. (*Continued*)



R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
CH_2Cl	Pr	Me	Me	—	7071
CH_2Cl	$(CH_2)_2CONHMe$	Me	Me	—	7071
CH_2Cl	Allyl	Me	Me	—	7071
CH_2Cl	CH_2Ph	Me	Me	—	7071
CH_2Cl	$CH_2C{\equiv}CH$	Me	Me	—	7071
$CH_2SP(S)EtOEt$	Me	Me	Me	—	7071
$CH_2SPS(OEt)_2$	Me	Me	Me	—	7071
Cyclopropyl	Et	H	NO_2	140–142	191
Cyclopropyl	Hexyl	H	NO_2	54–56	191
Cyclopropyl	$(CH_2)_2CH(Me)Me$	H	NO_2	100–102	191
Cyclopropyl	$(CH_2)_2C(Me)_2Me$	H	NO_2	147.5–149.5	191
Cyclopropyl	$(CH_2)_2OH$	H	NO_2	169–171	191
Cyclopropyl	$(CH_2)_2OEt$	H	NO_2	112–114	191
Cyclopropyl	CH_2CHMe_2	H	NO_2	110–112	191
Cyclopropyl	CH_2CONMe_2	H	NO_2	174, 172–174	1781, 200
Cyclopropyl	CH_2CONEt_2	H	NO_2	158, 154–157	1781, 200
Cyclopropyl	CH_2CONBu_2	H	NO_2	105, 99–102	1781, 200
Cyclopropyl	CH_2CO-piperidino	H	NO_2	191–194	200
Cyclopropyl	CH_2CO_2Et	H	NO_2	112, 110–112	1781, 200
Cyclopropyl	$CH(OMe)CPh_3$	H	NO_2	202–204	191
Cyclobutyl	$CH_2CONHPr$	H	NO_2	237–239	200
t-Bu	Et	H	NO_2	62–63.5	191
t-Bu	Bu	H	NO_2	74–75	191
t-Bu	$(CH_2)_2CMe_3$	H	NO_2	77–78	191
t-Bu	$(CH_2)_2CONH_2$	H	NO_2	183–185	200
t-Bu	$(CH_2)_2CONEt_2$	H	NO_2	114–115	200
t-Bu	$(CH_2)_2OH$	H	NO_2	138–140	191
t-Bu	$(CH_2)_2OMe$	H	NO_2	99–101.5	191
t-Bu	$(CH_2)_2SMe$	H	NO_2	74.5–76	191
t-Bu	$CH_2CH(OH)Me$	H	NO_2	155.5–158	191
t-Bu	$CH_2CH(OMe)_2$	H	NO_2	92–94	191
t-Bu	CH_2CONH_2	H	NO_2	199–201	200
t-Bu	CH_2CONMe_2	H	NO_2	139–141	200
t-Bu	Propargyl	H	NO_2	100–102	191
t-Bu	CH_2OMe	H	NO_2	75–77	191
CCl_3	$(CH_2)_2CONH_2$	H	NO_2	162–165	200
CCl_3	CH_2CONMe_2	H	NO_2	124–126	200
Ph	CH_2CONH_2	H	NO_2	266, 264–266	1781, 200
Ph	CH_2CONMe_2	H	NO_2	222–224	200
Ph	CH_2CONEt_2	H	NO_2	209	7181
Ph	CH_2CONPr_2	H	NO_2	188–190	200
Ph	Ph	$-CH_2CH_2CH_2CH_2-$		205	711i
	$-(2\text{-}C_6H_4)-$[b]	Me	CO_2Et	166–168	877
	$-(2\text{-}C_6H_4)-$	$-CH_2(CH_2)_2CH_2-$		271	702
	$-(5\text{-Me-}2\text{-}C_6H_3)-$	$-CH_2(CH_2)_2CH_2-$		170	702
	$-(5\text{-Cl-}2\text{-}C_6H_3)-$	$-CH_2(CH_2)_2CH_2-$		220	702
	$-(5\text{-Br-}2\text{-}C_6H_3)-$	$-CH_2(CH_2)_2CH_2-$		210	702
	$-o\text{-}C_6H_4-$	Ph	CN	—	1417

TABLE VI-68. (*Continued*)

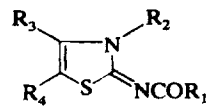


R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
2-Furyl	$(CH_2)_3CONH_2$	H	NO_2	208–210	190
2-Furyl	$(CH_2)_3CN$	H	NO_2	217–218	190
2-Furyl	CH_2CONH_2	H	NO_2	266	189, 190
2-Furyl	CH_2CONHalkyl	H	NO_2	—	189
2-Furyl	$CH_2CONHMe$	H	NO_2	297–298	190
2-Furyl	$CH_2CONHPr$	H	NO_2	283–284	190
2-Furyl	CH_2CONMe_2	H	NO_2	218.5–220	190
2-Furyl	CH_2CONEt_2	H	NO_2	217–220	190
2-Furyl	CH_2CONPr_2	H	NO_2	187–190	190
2-Furyl	CH_2CO_2Et	H	NO_2	237–240	190
2-Thienyl	CH_2CONH_2	H	NO_2	270–271	189, 190
2-Thienyl	CH_2CONH-alkyl	H	NO_2	—	189
2-Thienyl	CH_2CONMe_2	H	NO_2	250–251	190
2-Thienyl	CH_2CONEt_2	H	NO_2	210–202	190
2-Thienyl	CH_2CONPr_2	H	NO_2	194–195	190
2-Thienyl	CH_2CONBu_2	H	NO_2	190–191	190
2-Pyridyl	CH_2CONMe_2	H	NO_2	234–236	190
3-Pyridyl	CH_2CONMe_2	H	NO_2	246–247	190
4-Pyridyl	CH_2CONMe_2	H	NO_2	243–245	190
4-Pyridyl	CH_2CONEt_2	H	NO_2	194–196	190
4-Pyridyl	CH_2CONPr_2	H	NO_2	188–190	190
4-Pyridyl	CH_2CONBu_2	H	NO_2	209–211	190
4-Pyridyl	$CH_2CONH(p\text{-}O_2NC_6H_4)$	H	NO_2	263–264	190

[a] Left bond means "bonded to 4-C"; right bond, "bonded to 5-C."

[b] Left bonded atom linked to exocyclic nitrogen; right bonded atom linked to ring N.

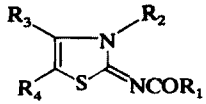
TABLE VI-69.



$R_1 = NRR'$, OR, SO_2R.

R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
NH_2	Et	H	NO_2	231–233	482
NHMe	Et	H	NO_2	244–245	482
NHMe	$CH_2CH{=}CHCl$	H	NO_2	155–158	482
NHMe	$CH_2C(Me)Cl_2$	H	NO_2	160–162	482
NHMe	$CH_2C(Me){=}CH_2$	H	NO_2	135–136	482
NHMe	CH_2Ph	H	NO_2	188–190	482
NHMe	$CH{=}C{=}CH_2$	H	NO_2	201–202	482
NHEt	Me	H	NO_2	188–190	482
NHEt	Et	H	NO_2	176–178	482, 832
NHEt	Pr	H	NO_2	156–158	482

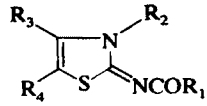
TABLE VI-69. (*Continued*)



R = NRR', OR, SO_2R.

R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
NHEt	Bu	H	NO_2	137–139	482
NHEt	$(CH_2)_4Me$	H	NO_2	114–117	482
NHEt	$(CH_2)_6Me$	H	NO_2	99–101	482
NHEt	$(CH_2)_7Me$	H	NO_2	97–100	482
NHEt	$(CH_2)_3OPh$	H	NO_2	135.5–138	482
NHEt	$(CH_2)_2$cyclohexyl	H	NO_2	160–163	182
NHEt	$(CH_2)_2CH{=}CH$	H	NO_2	156–158	482
NHEt	$(CH_2)_2Ph$	H	NO_2	135.5–138	482
NHEt	$(CH_2)_2OH$	H	NO_2	241–243	482
NHEt	$(CH_2)_2OEt$	H	NO_2	131.5–135	482
NHEt	$(CH_2)_2O(CH_2)_2OPh$	H	NO_2	152.5–154	482
NHEt	$(CH_2)_2OCH_2Ph$	H	NO_2	117–120.5	482
NHEt	$(CH_2)_2SMe$	H	NO_2	144–146	482
NHEt	$(CH_2)_2SEt$	H	NO_2	114–116	482
NHEt	CH_2CHMe_2	H	NO_2	148–151	482
NHEt	CH_2-cyclohexyl	H	NO_2	201–202	482
NHEt	$CH_2CHBrCH_2Br$	H	NO_2	—	482
NHEt	Allyl	H	NO_2	151–153	482
NHEt	$CH_2CH{=}CHCl$	H	NO_2	134–138	482
NHEt	$CH_2C(Me){=}CH_2$	H	NO_2	163–165	482
NHEt	$CH_2C(Me){=}CCl_2$	H	NO_2	156–159	482
NHEt	CH_2CONH_2	H	NO_2	236	190
NHEt	$CH_2CONHCH_2Ph$	H	NO_2	207–208	190
NHEt	$CH_2CONHCONH_2$	H	NO_2	239–241	190
NHEt	$CH_2CONHCO_2Et$	H	NO_2	219–220	190
NHEt	CH_2CONEt_2	H	NO_2	202–203	190
NHEt	CH_2CONPr_2	H	NO_2	175	190
NHEt	CH_2CONBu_2	H	NO_2	174	190
NHEt	CH_2CON-cycloC_4H_8	H	NO_2	264	190
NHEt	CH_2CON-cycloC_5H_{10}	H	NO_2	241–242	190
NHEt	CH_2CO(1-morpholyl)	H	NO_2	259–260	190
NHEt	$CH_2CON(Me)CO_2Et$	H	NO_2	124–126	190
NHEt	$CH{=}C{=}CH_2$	H	NO_2	171–173	482
NHEt	CH_2Ph	H	NO_2	148–150	482
NHEt	CH_2(2-MeC_6H_4)	H	NO_2	183–185	482
NHEt	CH_2(3-MeC_6H_4)	H	NO_2	128–131	482
NHEt	CH_2(4-MeC_6H_4)	H	NO_2	169–171	482
NHEt	CH_2(2,4-diMeC_6H_3)	H	NO_2	156–159	482
NHEt	CH_2(3,4-diMeC_6H_3)	H	NO_2	156–158	482
NHEt	CH_2(2,3,4-triMeC_6H_2)	H	NO_2	226–230	482
NHEt	CH_2(3-$MeO_2CC_6H_4$)	H	NO_2	209–211	482
NHEt	CH_2(2-NCC_6H_4)	H	NO_2	175–178	482

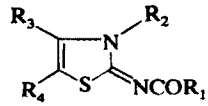
TABLE VI-69. (*Continued*)



R = NRR', OR, SO_2R.

R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
NHEt	$CH_2(3\text{-}NCC_6H_4)$	H	NO_2	195–198	482
NHEt	$CH_2(4\text{-}NCC_6H_4)$	H	NO_2	178–182	482
NHEt	$CH_2(3\text{-}FC_6H_4)$	H	NO_2	161–163	482
NHEt	$CH_2(2\text{-}ClC_6H_4)$	H	NO_2	126–127	482
NHEt	$CH_2(3\text{-}ClC_6H_4)$	H	NO_2	158–161	482
NHEt	$CH_2(4\text{-}ClC_6H_4)$	H	NO_2	142–143	482
NHEt	$CH_2(2,4\text{-}diClC_6H_3)$	H	NO_2	156–159	482
NHEt	$CH_2(2,6\text{-}diClC_6H_3)$	H	NO_2	190–191	482
NHEt	$CH_2(3,4\text{-}diClC_6H_3)$	H	NO_2	202–206	482
NHEt	$CH_2(3\text{-}BrC_6H_4)$	H	NO_2	156–159	482
NHEt	$CH_2(4\text{-}BrC_6H_4)$	H	NO_2	164–166	482
NHEt	$CH_2(4\text{-}O_2NC_6H_4)$	H	NO_2	171–172	482
NHEt	$CH_2(2\text{-}HO\text{-}4\text{-}O_2NC_6H_3)$	H	NO_2	195–197	482
NHEt	$CH_2(4\text{-}MeOC_6H_4)$	H	NO_2	175–178	482
NHEt	$CH_2(4\text{-}PhCH_2OC_6H_4)$	H	NO_2	144–145	482
NHEt	$CH_2(3\text{-}i\text{-}PrOC_6H_4)$	H	NO_2	170–173	482
NHEt	CH_2(1-naphthyl)	H	NO_2	170–174	482
NHEt	CH_2SMe	H	NO_2	151–153	482
NHEt	$CHMe_2$	H	NO_2	167–170	482
NHEt	CH(Me)Ph	H	NO_2	179–181	482
NHBu	Et	H	NO_2	111–115	482
NHBu	$CH_2(4\text{-}O_2NC_6H_4)$	H	NO_2	122–125	482
$NH(CH_2)_5Me$	CH_2Ph	H	NO_2	104–105	482
$NH(CH_2)_7Me$	Et	H	NO_2	111–113	482
$NH(CH_2)_{11}Me$	CH_2Ph	H	NO_2	85–86	482
$NH(CH_2)_3OMe$	CH_2Ph	H	NO_2	139–141	482
$NH(CH_2)_3SMe$	CH_2Ph	H	NO_2	135–137	482
$NH(CH_2)_2Ph$	CH_2Ph	H	NO_2	183–185	482
$NHCH_2CHBrCH_2Br$	CH_2Ph	H	NO_2	136–138	482
$NHCH_2CH(OH)CH_2OH$	CH_2Ph	H	NO_2	145–149	482
NH-allyl	Et	H	NO_2	141–143	482
NH-allyl	$(CH_2)_2OH$	H	NO_2	186–187	482
NH-allyl	$(CH_2)_2OMe$	H	NO_2	103–105	482
NH-allyl	Allyl	H	NO_2	118–121	482
NH-allyl	$CH_2CH{=}CHCl$	H	NO_2	141–143	482
NH-allyl	$CH_2C(Me){=}CH_2$	H	NO_2	112–115	482
NH-allyl	$CH_2C(Me){=}CCl_2$	H	NO_2	141–143	482
NH-allyl	$CH_2CONHCONH_2$	H	NO_2	217–219	190
NH-allyl	$CH_2CONHCO_2Me$	H	NO_2	212–214	190
NH-allyl	$CH_2CONHCO_2Et$	H	NO_2	202–203	190
NH-allyl	$CH_2C{\equiv}CH$	H	NO_2	144–147	482
NH-allyl	CH_2Ph	H	NO_2	115–117	482

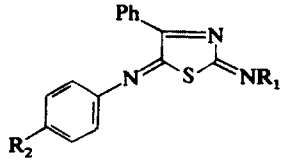
TABLE VI-69. (*Continued*)



R = NRR', OR, SO_2R.

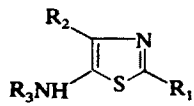
R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
NH-allyl	$CH_2(2\text{-}ClC_6H_4)$	H	NO_2	94–96	482
NH-allyl	$CH_2(3\text{-}ClC_6H_4)$	H	NO_2	99–102	482
NH-allyl	$CH_2(4\text{-}ClC_6H_4)$	H	NO_2	165–167	482
NH-allyl	$CH_2(2\text{-}O_2NC_6H_4)$	H	NO_2	114–115	482
NH-allyl	$CH_2(3\text{-}O_2NC_6H_4)$	H	NO_2	163–164	482
NH-allyl	$CH_2(4\text{-}O_2NC_6H_4)$	H	NO_2	148–150	482
$NHCH_2CO_2Ph$	CH_2Ph	H	NO_2	133–136	482
$NHCH_2Ph$	CH_2Ph	H	NO_2	124–127	482
$NHCHMe_2$	Et	H	NO_2	163–166	482
$NHCHMe_2$	CH_2Ph	H	NO_2	167–169	482
NH-cyclohexyl	Et	H	NO_2	158–160	482
NH-cyclohexyl	CH_2Ph	H	NO_2	203–206	482
$NHCMe_3$	Et	H	NO_2	134–136	482
$NHCMe_3$	CH_2Ph	H	NO_2	186	482
NHPh	Et	H	NO_2	179–180	482
NHPh	CH_2Ph	H	NO_2	210–212	482
OEt	CH_2CONH_2	H	NO_2	217–218	178 l, 200
OEt	$CH_2CONHMe$	H	NO_2	215–217	178, 200
OEt	CH_2CONMe_2	H	NO_2	135–137	178, 200
OEt	CH_2CONEt_2	H	NO_2	132–134	178 l, 200
OEt	CH_2CONPr_2	H	NO_2	137–139	178 l, 200
OEt	CH_2CONBu_2	H	NO_2	130–132	178 l, 200
OEt	CH_2CO_2Et	H	NO_2	127–129	178 l, 200
OPh	CH_2CONMe_2	H	NO_2	169–172	178 l, 200
OPh	CH_2CONEt_2	H	NO_2	153–155	200
OPh	CH_2CONPr_2	H	NO_2	135–137	200
OPh	CH_2CONBu_2	H	NO_2	97–99	200
OPh	CH_2CO-piperidino	H	NO_2	148–149	200
OPh	CH_2CO_2Et	H	NO_2	129–131	178 l, 200
$SO_2(4\text{-}MeC_6H_4)$	CH_2Ph	H	NO_2	232–233	482

TABLE VI-70.



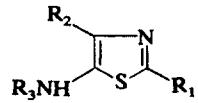
R_1	R_2	m.p. (°C)	Ref.
NHCOMe	H	211	1418
NHCOMe	NMe_2	195	1418
NHCOMe	NEt_2	177–178	1418
NPh_2	H	180	1418
NPh_2	NMe_2	194–195 175–177 (HCl)	1418
NPh_2	NEt_2	189	1418
N=CHPh	H	200	1418
N=CHPh	NMe_2	175–176	1418
N=CHPh	NEt_2	140–141	1418
N=CH(2,4-diClC_6H_3)	NEt_2	175–177	1418
N=CH(2-$O_2NC_6H_4$)	NEt_2	189–191	1418
N=C(Me)Ph	H	132	1418
N=C(Me)Ph	NMe_2	176–177	1418
N=C(Me)Ph	NEt_2	123–125	1418

TABLE VI-71.



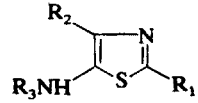
R_1	R_2	R_3	m.p. (°C)	Ref.
H	H	H	79–84	61, 1419–1421
H	H	Ac	162	60, 61, 1421
H	H	CO_2Et	161	388
H	H	CSMe	155, 208 (ac.)	1422
H	H	$SO_2(p\text{-}H_2NC_6H_4)$	185	57, 630
H	Me	Ac	87	58
H	$CONH_2$	H	141–142	1419, 1421, 1423, 1661, 1662
H	$CONH_2$	CHO	—	1424
H	$CONH_2$	Ac	210	1421, 1425
H	CONHMe	Ac	193	1421, 1425
H	$CONMe_2$	Ac	117	1421
H	CO_2Et	H	163–165, 245	628 u, 1419, 1421, 1426

TABLE VI-71. (*Continued*)



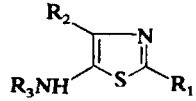
R_1	R_2	R_3	m.p. (°C)	Ref.
H	CO_2Et	Ac	123	628
H	Ph	H	135	628
H	Ph	Ac	145–148	170, 628, 1426
H	Br	NHAc	121	388
Me	H	H	250 (HCl salt) 148 (ac.)	402, 1425
Me	H	Ac	—	1421
Me	Me	CONH(2,4-diMe-5-thiazolyl)	248	58
Me	$CONH_2$	H	300, 228 (ac.) 175–176, 182	402, 1419, 1421, 1425, 1662
Me	$CONH_2$	CHO	—	1424
Me	$CONH_2$	Ac	228	1421
Me	$CONH_2$	CO_2Et	197	1421
Me	CONHMe	Ac	180	1421, 1425
Me	CONHAc	Ac	178	402
Me		-CONHCO-	221	402
Me		-CONHCONAc-[a]	300	402
Me	$CONMe_2$	Ac	163	1421
Me	CO_2H	H	200	334, 402
Me	CO_2H	$CONH_2$	—	402
Me	CO_2Et	H	158–159	1419, 1420
Me	Ph	H	—	753
Me	Ph	Me	—	1427
Ph	Ph	Ac	181–182	170
Me	Ph	Ac	128–131	1427
Me	Ph	COEt	118–120	1427
Me	Ph	Bz	181–182	170, 1427
NH_2	Ph	Ac	217–220	170
Me	Ph	CO_2Me	94–96	1427
Me	Ph	CO_2Et	85–86	1427
SMe	Ph	Ac	166–167	170
Me	Ph	SO_2Me	153–155	1427
Me	CN	Ac	285	402
CH_2Ph	H	Ac	121	628 u
CH_2Ph	H	NH_2	87	628
CH_2Ph	H	N=(5-imino-2-$PhCH_2$-4-oxazolidinyl)	139	628 u
CH_2Ph	H	N=(5-oxo-2-$PhCH_2$-4-oxazolidinyl)	114	628 u
CH_2Ph	H	$SO_2(p\text{-}H_2NC_6H_4)$	182	628 u
CH_2Ph	H	$SO_2(p\text{-}NHAcC_6H_4)$	189	628 u
CH_2Ph	CO_2H	NH_2	169	628 u
CH_2Ph	CO_2Et	NH_2	157	628
CH_2Ph	$N{=}N(p\text{-}O_2NC_6H_4)$	Ac	176	628
$C(=NOH)NH_2$	H	H	166	1430
$C(=NOH)NH_2$	H	Ac	218	1430
C(=NOH)NHAc	H	Ac	—	1430

TABLE VI-71. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
C(=NOAc)NHAc	H	Ac	—	1430
$CONH_2$	H	H	156–157	57, 814, 1428
$CONH_2$	H	Ac	250	419
$CONH_2$	H	$SO_2(4\text{-}AcNHC_6H_4)$	253	57, 1429
CO_2H	H	H	185	57
CO_2H	H	Ac	166	388, 419
CO_2H	H	$SO_2(p\text{-}O_2NC_6H_4)$	—	57
CO_2Me	H	Ac	178	419
CO_2Et	H	Ac	191	388
CSMe	H	H	216, 250 (ac.)	1422
$CSNH_2$	H	H	180, 204	57, 388, 1123, 1431–1433
$CSNH_2$	H	CHO	210	419
$CSNH_2$	H	Ac	—	388
$CSNH_2$	H	COPh	212	419
$CSNH_2$	H	CONHCOEt	260–261	196
$CSNH_2$	H	$CONHCO(CH_2)_2Br$	345–349	196
$CSNH_2$	H	$CONHCOCH_2Cl$	>360	196
$CSNH_2$	H	Ph	183	1287, 1430
$CSNH_2$	H	$4\text{-}i\text{-}PrC_6H_4$	118	1430
$CSNH_2$	H	$2\text{-}HOC_6H_4$	187	1430
$CSNH_2$	H	2-Furyl	—	1430
$CSNH_2$	H	NH_2	—	60
$CSNH_2$	H	NHAc	180	60, 419, 1430
$CSNH_2$	H	$SO_2(p\text{-}NHAcC_6H_4)$	237	57, 1428
$CSNH_2$	H	$SO_2(p\text{-}O_2NC_6H_4)$	185	57, 1428
Ph	$CONH_2$	H	197–198	1419
Ph	CO_2Et	H	139–140	1419
Ph	Ph	H	—	510, 1434
NH_2	Ph	Bz	175–176	170
$NHCH_2CHCH_2$	$CONH_2$	H	—	1581
4-Me-5-AcNH-2-thiazolyl	H	Ac	195	388
4-Bu-5-AcNH-2-thiazolyl	H	Ac	149	388
4-Am-5-AcNH-2-thiazolyl	H	Ac	135	388
4-Hexyl-5-AcNH-2-thiazolyl	H	Ac	129	388
4-Heptyl-5-AcNH-2-thiazolyl	H	Ac	127	388
4-Heptadecyl-5-AcNH-2-thiazolyl	H	Ac	108	388
$4\text{-}HO_2C\text{-}5\text{-}AcNH$-2-thiazolyl	H	Ac	210	388
4-Ph-5-AcNH-2-thiazolyl	H	Ac	250	388
CN	H	H	145 or 103	57, 419, 1123

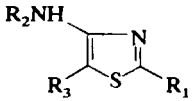
TABLE VI-71. *(Continued)*



R_1	R_2	R_3	m.p. (°C)	Ref.
CN	H	Ph	141	57
CN	H	NHAc	189	1430
CN	H	$SO_2(p\text{-}AcNHC_6H_4)$	—	630
CN	H	$SO_2(p\text{-}O_2NC_6H_4)$	148	57, 1428
Cl	Me	Ac	197	58
Br	Ph	Bz	138	1435
Br	Br	Ac	—	387
Br	Br	NHAc	149	388
NO_2	NO_2	NHAc	199	388
Ph	Ph	CO_2Me	163–164	170
SMe	Ph	CO_2Me	78–80	170
Me	Ph	CO_2CH_2Ph	107–108	170
Ph	Ph	CO_2CH_2Ph	134–135	170
SMe	Ph	H	—	170, 1426

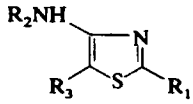
[a] Left bonded C linked to 4-C; right bonded C linked to exocyclic N.

TABLE VI-72.



R_1	R_2	R_3	m.p. (°C)	Ref.
H	H	H	—	1436
H	H	Glyoxaline carboxamide	214 (pic.)	1423
H	Ac	H	176, 186	47, 753
H	OMe	H	—	870
Me	H	$C(S^-)=C(CN)_2$	—	297
Me	H	C(S)OEt	143	297
Me		$-C(NH_2)=C(CN)CS-$ [a]	—	297
CH_2Ph	H	N=N(5-$PhCH_2$-2-thiazolyl)	213	628
CH(CN)COMe	H	H	—	1660
Ph	H	COPh	147–149 165–166	50, 51
Ph	H	$CO(4\text{-}ClC_6H_4)$	179–180	50
Ph	H	$CO(4\text{-}O_2NC_6H_4)$	249–251	50
Ph	Ac	Bz	153–155	49
Ph	Bz	Bz	194–195	49
$4\text{-}Me_2NC_6H_4$	H	Bz	232–233	50, 51
$4\text{-}Me_2NC_6H_4$	H	$CO(4\text{-}ClC_6H_4)$	243–244	50

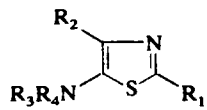
TABLE VI-72. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
4-$Me_2NC_6H_4$	H	CO(4-$O_2NC_6H_4$)	292–294	50
4-$Me_2NC_6H_4$	Ac	Bz	217–219	49
4-$Me_2NC_6H_4$	Bz	Bz	221–224	49
4-$O_2NC_6H_4$	H	COPh	175–177	50
4-$MeOC_6H_4$	H	COPh	165–166	50
4-$MeOC_6H_4$	H	CO(4-ClC_6H_4)	197–198	50
4-$MeOC_6H_4$	H	CO(4-$O_2NC_6H_4$)	242–244	50
4-$MeOC_6H_4$	Ac	Bz	154–156	49
4-$MeOC_6H_4$	Bz	Bz	191–193	49
Cl	Ac	H	151	47
Br	Ac	H	164	7531
Br	Ac	Pr	109	7531
Br	Ac	Ph	163	7531
Br	Ac	2-ClC_6H_4	121	7531, 10071
Br	Ac	2,4-diClC_6H_3	136	7531
Br	Ac	2-$MeOC_6H_4$	—	7531
Br	COPr	2,4-diClC_6H_3	—	7531
Br	Bz	Pr	—	7531
Br	NH_2	H	—	7531
SMe	H	COMe	—	1659
SMe	H	$COCH_2SC(SMe)NCN$	183	1657

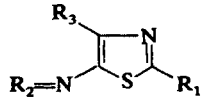
[a] Left bonded C linked to exocyclic N; right bonded C linked to 5-C.

TABLE VI-73.



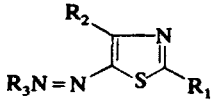
R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
H	H		–CO(2-C_6H_4)CO–	145	61
Me	Ph	Me	Ac	92–93	1427
i-Amyl	H	Ph	*p*-$NHAcC_6H_4$	—	11691
Ph		–N(Me)CS–	Me	—	1437
4-$O_2NC_6H_4$		–N(Me)CS–	Me	—	1437
4-$MeOC_6H_4$		–N(Me)CS–	Me	240–243	1437

TABLE VI-74.



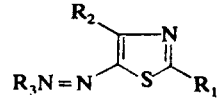
R_1	R_2	R_3	Ref.
CH=CHPh	CHCH=CHPh	Ph	510
Ph	CHPh	Ph	510
4-MeC_6H_4	CH(4-MeC_6H_4)	Ph	510
4-$O_2NC_6H_4$	CH(4-$O_2NC_6H_4$)	Ph	510
4-$MeOC_6H_4$	CH(4-$MeOC_6H_4$)	Ph	510

TABLE VI-75.



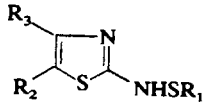
R_1	R_2	R_3	m.p. (°C)	Ref.
NH_2	H	*p*-Tolyl	205	402
NH_2	H	*p*-$H_2NSO_2C_6H_4$	223	402
NH_2	Me	Ph	184	402
NH_2	Me	*p*-Tolyl	190	402
NH_2	Me	*p*-$O_2NC_6H_4$	192	402
NH_2	Me	*p*-$H_2NSO_2C_6H_4$	202	402
NH_2	$(CH_2)_2Ph$	*p*-$H_2NSO_2C_6H_4$	178	400
NH_2	CH_2CH_2(*p*-$MeOC_6H_4$)	*p*-$H_2NSO_2C_6H_4$	185	400
NH_2	Ph	Ph	195	402
NH_2	Ph	*p*-$O_2NC_6H_4$	254	402
NH_2	Ph	2,5-di$MeOC_6H_3$	—	301 i
NH_2	Ph	*p*-$H_2NSO_2C_6H_4$	215, 255	400, 402
NH_2	*p*-Tolyl	*p*-$H_2NSO_2C_6H_4$	181	400
NH_2	2,5-diMeC_6H_3	*p*-$H_2NSO_2C_6H_4$	122	400
NH_2	3,4-diMeC_6H_3	*p*-$H_2NSO_2C_6H_4$	217	400
NH_2	*p*-EtC_6H_4	*p*-$H_2NSO_2C_6H_4$	207	400
NH_2	*p*-ClC_6H_4	*p*-$H_2NSO_2C_6H_4$	194	400
NH_2	*p*-BrC_6H_4	*p*-$H_2NSO_2C_6H_4$	350	400
NH_2	*m*-$H_2NC_6H_4$	*p*-$H_2NSO_2C_6H_4$	230	400
NH_2	*o*-HOC_6H_4	*p*-$H_2NSO_2C_6H_4$	203	400
NH_2	*p*-HOC_6H_4	*p*-$H_2NSO_2C_6H_4$	115	400
NH_2	*p*-$MeOC_6H_4$	*p*-$H_2NSO_2C_6H_4$	183	400
NH_2	*p*-$EtOC_6H_4$	*p*-$H_2NSO_2C_6H_4$	184	400
NH_2	1-Naphthyl	*p*-$H_2NSO_2C_6H_4$	103	400
NH_2	2-Naphthyl	*p*-$H_2NSO_2C_6H_4$	197	400
NHCSNHPh	Ph	2,5-di$MeOC_6H_3$	—	301 i
NHPh	2-Thienyl	*p*-$H_2NSO_2C_6H_4$	181	455 l

TABLE VI-75. (*Continued*)



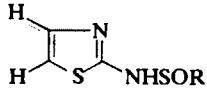
R_1	R_2	R_3	m.p. (°C)	Ref.
NH(*o*-tolyl)	2-Thienyl	*p*-$H_2NSO_2C_6H_4$	148	455 l
NH(*m*-tolyl)	2-Thienyl	*p*-$H_2NSO_2C_6H_4$	168	455 l
NH(*p*-tolyl)	2-Thienyl	*p*-$H_2NSO_2C_6H_4$	144	455 l
NH(*o*-$HO_2CC_6H_4$)	2-Thienyl	*p*-$H_2NSO_2C_6H_4$	112	455 l
NH(*m*-$HO_2CC_6H_4$)	2-Thienyl	*p*-$H_2NSO_2C_6H_4$	261	455 l
NH(*p*-$HO_2CC_6H_4$)	2-Thienyl	*p*-$H_2NSO_2C_6H_4$	249	455 l
NH(*o*-ClC_6H_4)	2-Thienyl	*p*-$H_2NSO_2C_6H_4$	111	455 l
NH(*m*-ClC_6H_4)	2-Thienyl	*p*-$H_2NSO_2C_6H_4$	104	455 l
NH(*p*-ClC_6H_4)	2-Thienyl	*p*-$H_2NSO_2C_6H_4$	135	455 l
NH(1-naphthyl)	2-Thienyl	*p*-$H_2NSO_2C_6H_4$	158	455 l
NH(2-naphthyl)	2-Thienyl	*p*-$H_2NSO_2C_6H_4$	184	455 l
NEt_2	Me	*p*-$O_2NC_6H_4$	152	391

TABLE VI-76.



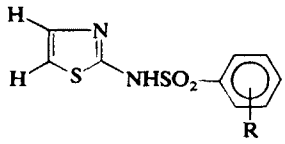
R_1	R_2	R_3	m.p. (°C)	Ref.
$(CH_2)_2CONHCHOHC(Me)_2CH_2OH$	H	H	—	1438
p-MeC_6H_4	H	H	120	32
p-MeC_6H_4	H	Me	224	1439
p-ClC_6H_4	H	H	139	32
p-ClC_6H_4	H	Me	221	32
p-$O_2NC_6H_4$	H	H	164–166	32, 624
p-$O_2NC_6H_4$	H	Me	181–183	457, 624
p-$O_2NC_6H_4$	Me	H	158	32
p-$O_2NC_6H_4$	Me	Me	166	32

TABLE VI-77.



R	m.p. (°C)	Ref.
p-$O_2NC_6H_4$	119	621

TABLE VI-78.



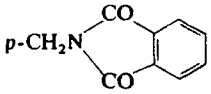
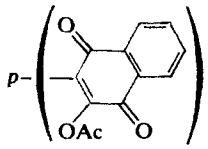
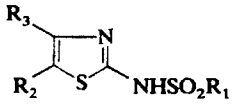
R	m.p. (°C)	Ref.
H	166–168	637, 1441, 1442, 1460
p-Me	215	251
p-CH_2NH_2	277	1443
p-CH_2NHAc	170	1443
p- 	208	1443
p-CH_2NAcPh	—	1444
p- 	220	1445
p-Br	215	251
m-NH_2	192	1289
p-NH_2	200	33, 85 u, 251, 355, 621, 631, 632, 641, 643, 644, 653, 1075 u, 1446–1448, 1449 u, 1450 d
m-NO_2	242	1289
p-NO_2	260	195, 251, 621, 624
p-AsO	—	1451
p-$AsO(OH)_2$	—	1451, 1452

TABLE VI-79.



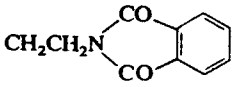
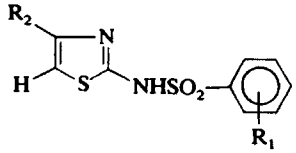
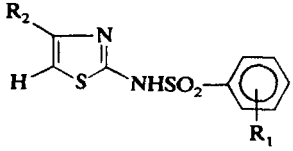
R_1	R_2	R_3	m.p. (°C)	b.p. (°C)	Ref.
$CH_2CH_2NH_2$	H	H	193–195 (HCl salt)	—	846, 1438, 1453
CH_2CH_2NHCO(3-pyridyl)	H	H	—	—	7941
	H	H	227–231	—	1438, 1454
CH_2Ph	H	H	175	—	653
$CH(SO_3H)Et$	Me	Me	—	—	1478
$CH{=}CHPh$	SO_2NH_2	Me	239–240	—	1456
3,4-$OCONHC_6H_3$	H	H	—	—	1457
2-Naphthyl	H	H	—	—	1287
3-Pyridyl	H	H	—	—	1458
2-H_2N-5-thiazolyl	H	H	—	235–236	394, 395
2-AcNH-5-thiazolyl	H	H	284	—	394
2-AcNH-4-Me-5-thiazolyl	H	Me	235–237	—	393, 398
NH_2	H	Me	169	—	398
NHPh	H	Me	139–140	—	398
NH-2-pyridyl	H	Me	209–210	—	398
NH-2-thiazolyl	H	Me	215–216	—	398
OH	H	H	—	—	381, 892
OH	H	Me	256	—	390
OH	H	Ph	218	—	390
OH	H	*m*-$O_2NC_6H_4$	270	—	390

TABLE VI-80.



R_1	R_2	m.p. (°C)	Ref.
H	Me	161–162	889
H	CO_2H	264–265	893
H	CO_2Et	124–125	893
H	Ph	207–208	1121
H	*p*-ClC_6H_4	234–235	250
p-Me	H	222, 215	251, 1459

TABLE VI-80. (*Continued*)



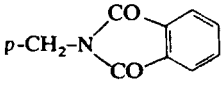
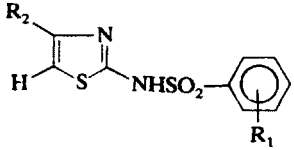
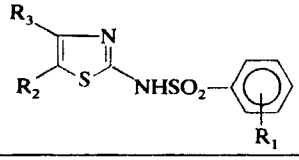
R_1	R_2	m.p. (°C)	Ref.
p-Me	Me	174–175	1460
p-Me	Ph	150	1333
2,5-diMe	CH=CH(*p*-$MeOC_6H_4$)	120	1107
2,5-diMe	Ph	204	1107
2,5-diMe	*p*-MeC_6H_4	170–175	1107
2,5-diMe	*p*-ClC_6H_4	95–98	1107
2,5-diMe	*p*-$MeOC_6H_4$	100	1107
2,5-diMe	*p*-$EtOC_6H_4$	174–176	1107
4-CH_2NH_2	H	276–277 (HCl)	1443
p-CH_2NHPh	H	188–190	1461
p-CH_2NHAc	H	169–170	1443
p-$CH_2N(Ac)Ph$	H	197–198	1461
	H	207–208	1443, 1467
p-$C(=NH)NH_2$	H	254, 317	1462, 1463
p-$C(=NH)NH_2$	Me	220 (HCl)	1464
p-C(=NH)OEt	H	190	1464
p-$C(=NOH)NH_2$	H	223	1464
p-CN	H	215–216	1462, 1464
p-CN	Me	184–185	1464
p-F	CH=CH(*p*-$MeOC_6H_4$)	168–170	1107
p-F	Ph	148–150	1107
p-F	*p*-MeC_6H_4	108	1107
p-F	*p*-ClC_6H_4	215	1107
p-F	*p*-$EtOC_6H_4$	173	1107
p-Cl	H	198–199	1441, 1465
p-Cl	Me	—	1465, 1466
p-Br	H	215	251
p-Br	Me	165–166	1191, 1455, 1466
m-NH_2	H	190–192	1289
o-NH_2	Me	—	1468
2-Me-3-NH_2	H	—	1469
3-NH_2-4-Me	H	—	1469
4-NH_2-3,5-diBr	Me	175	1470
3-Me-4-$NHCO(CH_2)_2CO_2H$	H	217–218	1469
2-Me-3-$NHCH_2SO_3H$-4-Ac	H	—	1089
2-SCN-4-NHAc	Me	237–238	1471
m-NO_2	H	241–242	1289

TABLE VI-80. (*Continued*)



R_1	R_2	m.p. (°C)	Ref.
p-NO_2	H	254–260, 270	251, 621, 624, 655, 893, 1465
o-NO_2	Me	189–190	889, 1468
p-NO_2	Me	193–200	624, 641, 655, 885, 889, 1442, 1465, 1472, 1473
p-NO_2	Et	193	1075
m-NO_2	CO_2H	278–281	893
m-NO_2	CO_2H	281	893
p-NO_2	CO_2H	268–270	893
p-NO_2	CO_2H	270	893
m-NO_2	CO_2Et	127	893
p-NO_2	CO_2Et	162–164	893
p-NO_2	CO_2Et	164	893
m-NO_2	3-Me-4-MeO-5-Cl-C_6H_2	—	936
m-NO_2	3-Me-4-RO-5-Cl-C_6H_2	—	936
p-N=CH(2-HOC_6H_4)	H	—	1474
p-OH	H	225	677
3-OH-4-NH_2	H	—	1292
2-OH-5-NH_2	H	230	1289
2-OH-5-NHAc	H	265–270	1289
2-Me-4-NH_2-5-OMe	H	—	1475
2-OAc-5-NHAc	H	—	1289
3-NHAc-6-OAc	H	—	1289
p-SCN	H	239–242	1476
p-AsO	H	—	1451
p-$AsO(OH)_2$	H	—	1451

TABLE VI-81.



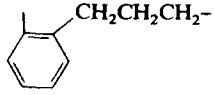
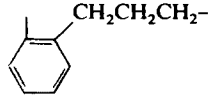
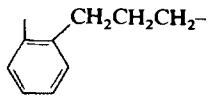
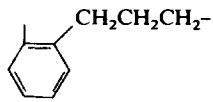
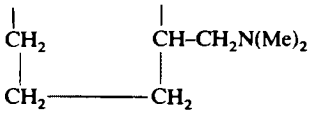
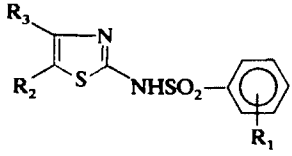
R_1	R_2	R_3	m.p. (°C)	Ref.
H	*t*-Bu	H	146–147	446
H	*t*-Bu	Me	191.5–192.5	446
H	CO_2H	Me	209–210	893
H	CO_2Et	Me	186–187	893
H		[a]	202.5–203.5	1477
H	2-$PhSO_2NH$-4-Ph-5-thiazolyl	Ph	—	546
H	SO_2NH_2	Me	201–203	1456
p-Me	*t*-Bu	H	176–177	446
p-Me	*t*-Bu	Me	166	446
p-Me			208–209	1477
p-Me	NO_2	H	230	674
p-$CH(SO_3H)Et$	Me	Me	—	1478
p-CO_2H	SO_2NH_2	H	297–298	1456
p-CO_2H	SO_2NH_2	Me	298–300	1456
p-Cl	*t*-Bu	Me	208–209	446
p-Cl			225–225.5	1477
4-NH_2-3,5-diBr	Br	H	235	1470, 1305
p-NHAc			245–246	1477
p-NO_2	Me	H	255	1191
p-NO_2	Me	Me	232	1191
p-NO_2			237–238	894
m-NO_2	CH_2CO_2Et	Me	171–172	893

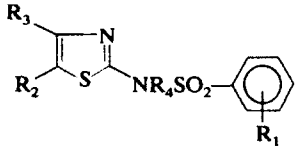
TABLE VI-81. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
p-NO_2	CH_2CO_2Et	Me	184–187	893, 1200
m-NO_2	CO_2H	CO_2H	190–195	893
p-NO_2	CO_2H	CO_2H	220 (hydrat.)	893
p-NO_2	CO_2Et	H	—	1304
m-NO_2	CO_2Et	CO_2Et	116	893
p-NO_2	CO_2Et	CO_2Et	129–130	893

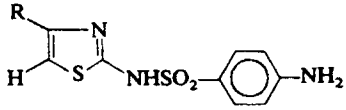
[a] Left bonded C linked to 5-C; right bonded C linked to 4-C.

TABLE VI-82.



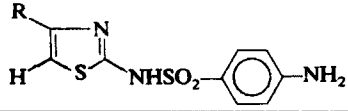
R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
H	H	H	Me	—	85, 195
H	H	H	HgOH	—	1479
p-Cl	*i*-Pr	Me	Et	94–95	445
p-Cl	*t*-Bu	Me	Et	82.5	445
p-NH_2	H	H	Me	108–111	85, 195, 251, 650, 669, 1480
p-NH_2	H	Me	Me	206	1461
p-NHAc	H	H	Me	103–105	1480
p-NHAc	H	Me	Me	172–173	650, 669, 889, 1480
p-NHAc	H	H	$SO_2(AcNHC_6H_4)$	129	1481, 1482
p-NO_2	H	H	Me	108–109	85, 195, 650

TABLE VI-83.



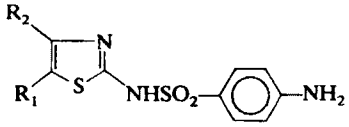
R	m.p. (°C)	Ref.
H	173–175 194–203	621, 632–634, 639, 641 643, 647, 648, 653, 657, 887, 889, 891, 1024, 1448, 1461, 1483–1489, 1491, 1492, 1493
Me	233–244	251, 634, 635, 644, 878, 885, 889, 890, 893, 902, 903, 1075 u, 1191, 1227, 1461, 1487, 1490, 1494–1501
Et	149–153	903, 1075, 1231, 1303, 1487, 1494
Pentyl	163–164	636
Heptyl	136–137	636 l
Nonyl	135–136	636 l
Hendecyl	144–145	636 l
Bidecyl	143–144	636 l
Pentadecyl	144–145	636 l
$(CH_2)_3CO_2H$	204–206	1081
$(CH_2)_2CO_2H$	143–145	1081
$(CH_2)_2NMe_2$	179–180	894
CH_2CO_2H	182–185	251, 635, 893, 1303
CH_2Cl	120	1494
CH_2(1-piperidinyl)	209–210	894
CH_2OH	200–201	894, 1494
CH_2SMe	138–139	894
CH_2SEt	149–150	894
$CH_2SCH_2CO_2H$	158–160	894
$CH_2SCH_2CO_2Et$	114–115	894
$CH(CO_2H)(CH_2)_3Me$	157–158	635
t-Bu	205–207	903
$C(Me)_2CO_2H$	174	635
$CH{=}CH(4\text{-}MeOC_6H_4)$	195	1107
CO_2H	238	893, 903
CO_2Et	192–193	893
Ph	190–197	251, 634, 644, 889, 903, 1107, 1191, 1461
p-MeC_6H_4	124	1107
p-PhC_6H_4	216–217	878
p-ClC_6H_4	144	1107
p-$H_2NC_6H_4$	102–103	1494

TABLE VI-83. (*Continued*)



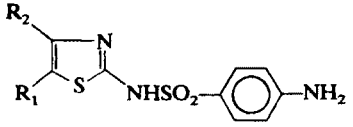
R	m.p. (°C)	Ref.
2-HO-4-MeC_6H_3	189	1503
2-HO-3-Cl-4-MeC_6H_2	148	1503
p-$MeOC_6H_4$	196	1107
3,4-di$MeOC_6H_3$	203–205	645
p-$EtOC_6H_4$	210	1107
3,4-di$EtOC_6H_3$	134–137	645
NH_2	145–147 198	1504, 1505
OH	235–237 224–225	900, 1494, 1504, 1506

TABLE VI-84.



R_1	R_2	m.p. (°C)	Ref.
Me	H	243–247	251, 1440, 1461
Me	Me	243–255	251, 627, 635, 901, 903, 1191, 1455, 1461, 1494
Me	Et	199–200	889
Me	Ac	213–214	9001
Me	Ph	213–215	645
Me	*p*-ClC_6H_4	162	1503
Et	H	170	1160
Et	Me	193–194	1160
$(CH_2)_2Me$	Me	197–198	1160
$-CH_2CH_2CH_2-$[a]		—	12261
Bu	H	246	1160
$(CH_2)_3Me$	Me	192–193	1160
$-CH_2(CH_2)_2CH_2-$		249–256 150–154 (HCl)	644, 889, 900, 1227, 1228, 1494
Amyl	H	237	1160
Amyl	Me	188	1160
Isoamyl	Me	204	1160
Hexyl	Me	192	1160
$-(CH_2)_2CH_2CH(CH_2NMe_2)-$		158 (hydrat.)	894
$-CH_2(CH_2)_2CH(CH_2$-1-pyridinyl$)-$		189–190	894

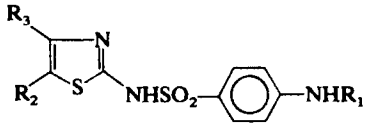
TABLE VI-84. (*Continued*)



R_1	R_2	m.p. (°C)	Ref.
$(CH_2)_2CH(Me)_2$	Me	202–204	1160
CH_2CH_2OH	Me	174–181	251, 904, 1172, 1191, 1444
CH_2CO_2H	Me	246–247	893, 1200, 1507
CH_2CO_2Et	Me	183–185	635, 893, 1200, 1507
$CH(Me)_2$	H	217–218	1160
Ac	Ac	213–214	1494
$CONH_2$	H	276	397, 882, 1508 l
CO_2H	H	213–214	397, 1172, 1301, 1490
CO_2H	Me	168–183 and 237–242 or 190–200	635, 640, 893, 1191, 1461, 1484, 1494
CO_2H	CH_2CO_2H	184–186 and 236–237	893
CO_2H	CO_2H	251	893, 932 l
CO_2Et	H	201–203 and 227–228	635, 893
CO_2Et	Me	230–231 and 194–196	889, 1191, 1494
CO_2Et	CO_2Et	155–156	893
CO_2Et	OH	138–139	900
Ph	Me	140	1191, 1455, 1461
p-$H_2NC_6H_4$	H	102–103	1494
Cl	H	223–225	408
Cl	Me	260	408, 1494, 1509
Br	H	190–200	408, 898
Br	Me	205–210	408
NO_2	H	217	629, 674
SO_3H	H	258	389

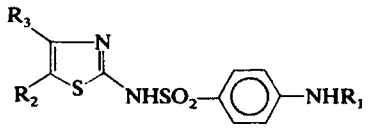
[a] Left bonded C linked to 5-C; right bonded C linked to 4-C.

TABLE VI-85.



R_1	R_2	R_3	m.p. (°C)	Ref.
Undecanoyl	H	H	157–159	1510
Dodecanoyl	H	H	166	1510
Tetradecanoyl	H	H	160	1510
Hexadecanoyl	H	H	149	1510
CH_2Ph	H	H	—	1511
$CH_2S(CH_2)_2CO_2H$	H	H	165–166	1513
$CH_2SCH_2CO_2H$	H	H	159–160	1512, 1513
$CH_2SCH(Me)CO_2H$	H	H	96–97	1513
CH_2SO_3H	H	Me	—	1475
$CH(Me)SCH_2CO_2H$	H	H	—	1512
$CH(Me)SO_3H$	H	H	—	1465, 1478
$CH(Me)SO_3H$	H	Me	—	1478
$CH(Me)SO_3H$	H	Et	—	1478
$CH(Et)SO_3H$	H	H	—	1478
$CH(Et)SO_3H$	H	Me	—	1478
$CH(Et)SO_3H$	H	Et	—	1478
$CH(CH{=}CHPh)SCH_2CO_2H$	H	H	—	1512
$CH(COPh)SCH_2CO_2H$	H	H	100	1512, 1513
$CH(CO_2H)SEt$	H	H	180	1512, 1513
$CH(CO_2H)SCH_2CO_2H$	H	H	191	1512, 1513
$CH(CO_2H)SCH(Me)CO_2H$	H	H	—	1512
$CH(CO_2H)SCOMe$	H	H	144	1512, 1513
$CH(2\text{-furyl})SO_3H$	H	H	—	1514
$CH(CO_2Et)SCH_2CO_2H$	H	H	—	1512
$CH(OH)CO_2H$	H	H	—	1513
$CH(SCH_2CO_2Et)(2,4\text{-di}HO_3SC_6H_3)$	H	H	—	1512
$CH(SO_3H)CH_2CH(Me)SO_3H$	H	H	—	1478
$CH(SO_3H)CH_2CH(Ph)SO_3H$	H	H	—	1478
$CH(SO_3H)(CHOH)_4CH_2OH$	H	H	—	1515
$CH(SO_3H)(CHOH)_4CH_2OH$	H	Me	—	1515
$C(Me)(CO_2H)SCH_2CO_2H$	H	H	—	1512
$C(Me)(CO_2H)SPh$	H	H	100	1512
$C(Me)(CO_2Et)SCH_2CO_2H$	H	H	—	1512
CPh_3	H	H	160–161	33
$C(=NH)NHC(=NH)NHPh$	H	H	225 (HCl)	1516
$C(=NH)NHC(=NH)NH(MeC_6H_4)$	H	H	189–190 (HCl)	1516
$C(=NH)NHC(=NH)NH(p\text{-}ClC_6H_4)$	H	H	219 (HCl)	1516
$C(=NH)NHC(=NH)NH(BrC_6H_4)$	H	H	197 (HCl)	1516
$C(=NH)NHC(=NH)NH(NO_2C_6H_4)$	H	H	267 (HCl)	1516
$C(=NH)NHC(=NH)NH(MeOC_6H_4)$	H	H	276 (HCl)	1516
$C(=NH)NHC_6H_4SO_2NH(2\text{-thiazolyl})$	H	H	205–210	1516

TABLE VI-85. (*Continued*)



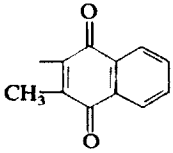
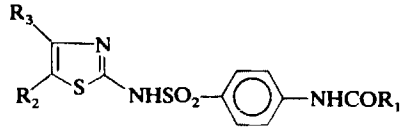
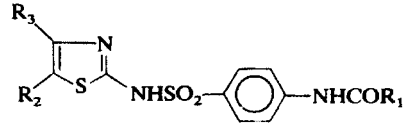
R_1	R_2	R_3	m.p. (°C)	Ref.
Ac	H	H	246–251 and 258–260	640, 1398, 1459, 1460
D-Glucosyl	H	H	172–174	678
$CSNHCH_2(3\text{-}MeC_6H_4)$	H	H	233	675
$CSNHCH_2(3,4\text{-}diMeC_6H_3)$	H	H	210	675
$CSNHCH_2(2\text{-}ClC_6H_4)$	H	H	218	675
$CSNHCH_2(4\text{-}ClC_6H_4)$	H	H	232	675
$CSNHCH_2(3\text{-}BrC_6H_4)$	H	H	226	675
$CSNHCH_2(4\text{-}BrC_6H_4)$	H	H	221	675
$CSNHCH_2(3\text{-}IC_6H_4)$	H	H	232	675
$CSNHCH_2(4\text{-}IC_6H_4)$	H	H	226	675
$CSNHCH_2(4\text{-}MeOC_6H_4)$	H	H	257	675
$CSNHCH_2(4\text{-}BuOC_6H_4)$	H	H	155	675
$CSNH(HO_2CC_6H_4)$	H	H	185	1476
	H	H	—	1517
2-MeO-6-Cl-9-anthracenyl	H	H	246–247	1518
OH	H	H	240–250	883
OH	H	Me	—	883, 884
OH	CO_2Et	H	—	884
$SO_2CH_2SO_2NH(4\text{-}(2\text{-thiazolylNHSO}_2)C_6H_4$	H	H	210	1521
SO_2Ph	H	H	189	1520
$SO_2(p\text{-}MeC_6H_4)$	H	H	172	1520
$SO_2(p\text{-}H_2NC_6H_4)$	H	H	163–164	1279
$SO_2(p\text{-}AcNHC_6H_4)$	H	H	128–130	1520
$SO_2(HO_2CCH_2CH_2CONHC_6H_4)$	H	H	233	1522
$SO_2(2\text{-}HO_2CC_6H_4CONHC_6H_4)$	H	H	—	1522
$SO_2(HONHC_6H_4SO_2NHC_6H_4)$	H	H	—	883
$SO_2(O_2NC_6H_4SO_2NHC_6H_4)$	H	H	—	883
$SO_2(m\text{-}O_2NC_6H_4)$	H	H	175	1520

TABLE VI-86.



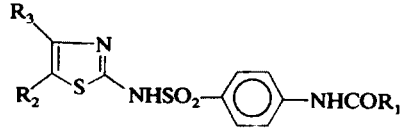
R_1	R_2	R_3	m.p. (°C)	Ref.
Me	H	H	256–264	251, 1448, 1487, 1523–1525
Me	H	Me	252–260	251, 634, 641, 644, 889, 902, 1090, 1191, 1227, 1231, 1442, 1460, 1494, 1498, 1526–1528
Me	H	Et	230–235	903, 1075, 1494
Me	H	Pr	182–183	1079
Me	H	Pentyl	163–164 and 184	636, 1079
Me	H	Heptyl	166–168	636, 1079
Me	H	Nonyl	169	636
Me	H	Hundecyl	175	636
Me	H	Tridecyl	175	636
Me	H	Pentadecyl	176	636
Me	H	$(CH_2)_{11}CO_2H$	98–100	1081
Me	H	$(CH_2)_2NMe_2$	—	894
Me	H	CH_2CO_2Et	168–173	251, 635, 893, 1303
Me	H	CH_2Cl	—	894, 1494
Me	H	CH_2(1-piperidinyl)	253–255 (HCl)	894
Me	H	CH_2SMe	216–218	894
Me	H	CH_2SEt	208–210	894
Me	H	$CH_2SCH_2CO_2H$	208–210	894
Me	H	*t*-Bu	217–218	903
Me	H	$C(Me)_2CO_2Et$	169–170	635
Me	H	CH=CH(*p*-$MeOC_6H_4$)	185–190	1107
Me	H	CO_2Et	176–178	893
Me	H	Ph	227–236	251, 634, 644, 903, 1107
Me	H	*p*-MeC_6H_4	168–170	1107
Me	H	*p*-ClC_6H_4	155	1107
Me	H	*p*-$H_2NC_6H_4$	173–175	1494
Me	H	2-HO-4-MeC_6H_3	250	1503
Me	H	2-HO-4-MeC_6H_3	200	1503
Me	H	2-HO-4-EtC_6H_3	152	1503
Me	H	2-HO-3-ClC_6H_3	195	1503
Me	H	2-HO-3-Cl-4-MeC_6H_2	165	1503
Me	H	2-HO-3,5-diClC_6H_2	93	1503
Me	H	*p*-$MeOC_6H_4$	174–176	1107
Me	H	3,4-di$MeOC_6H_3$	247–249	645
Me	H	*p*-$EtOC_6H_4$	236	1107
Me	H	3,4-di$EtOC_6H_3$	166–169	645
Me	H	4-Me-6-Et-8-coumarinyl	—	935
Me	H	4-Me-7-HO-8-coumarinyl	—	935

TABLE VI-86. (*Continued*)



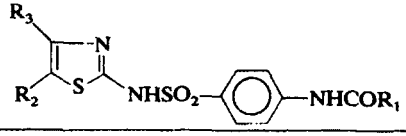
R_1	R_2	R_3	m.p. (°C)	Ref.
Me	H	4,7-diMe-5-HO-6-coumarinyl	—	935
Me	H	NH_2	201	1504
Me	H	OH	258–259 265–267	900, 1504, 1506
Me	Me	H	240	251
Me	Me	Me	285–286 290	251, 903, 1494
Me	Me	Et	230–231	889
Me	Me	Ac	>280	900
Me	Me	Ph	284–285	645
Me	Me	*p*-Cl-C_6H_4	295	1503
Me	Et	H	241–242	1160
Me	Pr	Me	236–238	1160
Me	Bu	H	211–212	1160
Me	$-CH_2(CH_2)_2CH_2-$[a]		265–280 180 (H_2O)	644, 889, 900, 1227, 1494
Me	Pentyl	H	229	1160
Me	Hexyl	Me	216–218	1160
Me	$-CH_2(CH_2)_2CH(CH_2NMe_2)-$		226–228	894
Me	$-CH_2(CH_2)_2CH(CH_2$-1-piperidinyl$)-$		—	894
Me	$(CH_2)_2CH(Me)_2$	Me	234–236	1160
Me	CH_2CH_2OH	Me	264	1172
Me	CH_2CO_2H	Me	228	1200
Me	CH_2CO_2Et	Me	203–204	1507 I
Me	$CH(Me)_2$	H	200–201	1160
Me	$CH{=}NNHCSNH_2$	H	218–220	809
Me	CHO	H	240	809
Me	Ac	Me	280	1494
Me	CO_2H	CO_2H	187–189	932
Me	CO_2Et	H	225–229	635, 893, 1172, 1303, 1490
Me	CO_2Et	Me	152–154 and 246–258	251, 640, 889, 903, 1191, 1303, 1490
Me	CO_2Et	CH_2CO_2Et	245–246	893
Me	CO_2Et	CO_2Et	187–189	893
Me	CO_2Et	OH	165–166	900, 1506
Me	Ph	Me	—	1191
Me	*p*-$AcNHC_6H_4$	H	280–281	1494
Me	Cl	H	240	408
Me	Cl	Me	217 and 223	408, 1494
Me	Br	H	—	408
Me	Br	Me	223	408
Me	NO_2	H	252–253	674
Me	OH	H	258–259	1494

TABLE VI-86. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
Me	SO_3H	H	—	389
Et	H	H	245	1523
Pr	H	H	244–250	1523, 1529, 1530
Pentyl	H	H	198–199	1529, 1530
Hexyl	H	H	202–203	1529, 1530
Heptyl	H	H	214	1523
Octyl	H	H	189	1523
Pentadecyl	H	H	140–147	1529, 1530
Heptadecyl	H	H	148–150	1529, 1530
$(CH_2)_6CONHC_6H_4SO_2$-NH(2-thiazolyl)	H	H	—	933
$(CH_2)_6CO_2H$	H	H	171–172	933
$(CH_2)_5CONHC_6H_4SO_2$-NH(2-thiazolyl)	H	H	—	933
$(CH_2)_4CONHC_6H_4SO_2$-NH(2-thiazolyl)	H	H	—	933
$(CH_2)_4CO_2H$	H	H	196–197	933
$(CH_2)_3CONHC_6H_4SO_2$-NH(2-thiazolyl)	H	H	251–254	933
$(CH_2)_3CO_2H$	H	H	196–197	933
$(CH_2)_2CONH_2$	H	H	—	882
$(CH_2)_2CONHC_6H_4SO_2$-NH(2-thiazolyl)	H	H	277–279	933
$(CH_2)_2CONH$(2-thiazolyl)	H	H	—	933, 1531
$(CH_2)_2CO_2H$	H	H	183–187 192–195	673, 933, 1531–1534
$(CH_2)_2CO_2H$	NO_2	H	220	674
$(CH_2)_2SO_3H$	H	H	—	1535
$CH_2CH(Me)_2$	H	H	190	1523
$CH_2CONHC_6H_4SO_2$-NH(2-thiazolyl)	H	H	—	933
CH_2CO_2H	H	H	240–250	673, 933
CH_2CO_2Et	H	H	193–194	933
CH_2Ph	H	H	143–145	1538
CH_2Cl	H	H	237	1540
CH_2Cl	H	Ph	229	1541
CH_2Cl	H	4-$O_2NC_6H_4$	300	1541
CH_2Cl	CO_2Et	Me	225	1541
CH_2Br	H	Ph	204	1541
CH_2Br	H	4-$O_2NC_6H_4$	254	1541
CH_2Br	CO_2Et	Me	222	1541
CH_2I	H	Ph	193	1541
CH_2I	CO_2Et	Me	227	1541
CH_2SH	H	H	196 202–204	1536, 1542
CH_2SH	H	Me	—	1542
CH_2SH	H	Ph	—	1542

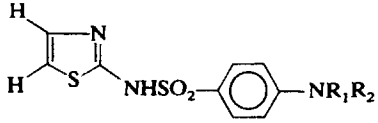
TABLE VI-86. (*Continued*)



R_1	R_2	R_3	m.p. (°C)	Ref.
CH_2SCONH_2	H	H	192–194	1543
$CH_2S_2CH_2CONHC_6H_4SO_2$-NH(2-thiazolyl)	H	H	236	1536
Cyclohexyl	H	H	222–223	1529, 1530
$CH(Me)SO_3H$	Me	Me	—	1478
$CHCl_2$	H	Ph	210	1541
$CHCl_2$	H	4-$O_2NC_6H_4$	260	1541
$CHCl_2$	CO_2Et	Me	208	1541
$(CHOH)_2CO_2H$	H	H	170	1535
$(CHOAc)_2CO_2H$	H	H	115	1535
CF_3	H	Ph	249	1541
CF_3	H	4-$O_2NC_6H_4$	269	1541
CF_3	CO_2Et	Me	140	1541
CCl_3	H	Ph	208	1541
CCl_3	H	4-$O_2NC_6H_4$	273	1541
CCl_3	CO_2Et	Me	212	1541
$CH{=}CHCO_2H$	H	H	215–216	673, 933
$CH{=}CHPh$	H	H	253	1538
CO_2H	H	H	207–208	933
CO_2Et	H	H	233–234	933
Ph	H	H	250–251	1538
Tolyl	H	H	265	1538
2-$HO_2CC_6H_4$	H	H	260–263	670, 673, 1544, 1545
2-$HO_2CC_6H_4$	NO_2	H	273–275	674
p-ClC_6H_4	H	H	240	1538
p-BrC_6H_4	H	H	263	1538
p-$H_2NC_6H_4$	H	H	265	892
p-$AcNHC_6H_4$	H	H	314	892
p-$O_2NC_6H_4$	H	H	265 and 281–282	892, 1538
$MeOC_6H_4$	H	H	185–186	1538
2-$HO_3SC_6H_4$	H	H	—	1535
3-Pyridyl	H	H	—	410
3-Pyridyl	H	Me	230–232	1546
2-Furyl	H	H	>240	1530
Halogeno	Me	H	—	1541
Halogeno	Ph	CO_2Et	—	1541
NH_2	H	H	—	1457
NH_2	H	Me	—	1457
$NHC_6H_4SO_2NH$(2-thiazolyl)	H	H	—	1457
$NHC_6H_4SO_2NH$(4-Me-2-thiazolyl)	H	Me	—	1457
OH	Me	Me	—	883, 1304
OMe	H	Me	255–256	1498
Cholesteryl	H	H	255	1547
Cholesteryl	H	Me	249–250	1228

[a] Left bonded C linked to 5-C; right bonded C linked to 4-C.

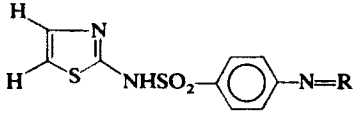
TABLE VI-87.



R_1	R_2	m.p. (°C)	Ref.
$CH(SO_3H)(CHOH)_3CH_2OH$	Et	—	1515
$CH(SO_3H)(CHOH)_4CH_2OH$	$(CH_3)_2CH$	—	1515
$CH(SO_3H)(CHOH)_2CH$-$[O(CHOH)_5CH_2OH]$-$[(CHOH)(CH_2OH)]$	$CH(Me)_2$	—	1515
-C(Me)=CHCH=C(Me)-[a]		300	1548
$-COCH_2CH_2CO-$		266–270	933, 1524, 1531
$-COCH_2SCH_2-$		—	1549
$-CO(2-C_6H_4)CO-$		269–270	1544
OH	Me	—	883
OH	Ac	—	883

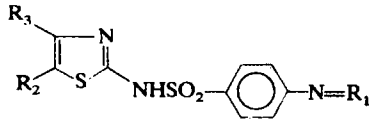
[a] Left and right bonded carbons linked to the same nitrogen.

TABLE VI-88.



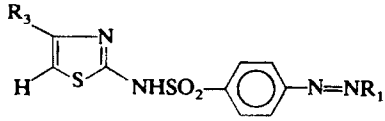
R	m.p. (°C)	Ref.
CHMe	—	1512
$CHCH_2Ph$	164	1538, 1551
CHCH=CHPh	260	1512, 1538, 1551
CHPh	202	1538, 1551
$CH(2-HO_2CC_6H_4)$	—	1552
$CH(3-ClC_6H_4)$	124	1538, 1551
$CH(2-O_2NC_6H_4)$	—	1553
$CH(3-O_2NC_6H_4)$	231	1538, 1551, 1553
$CH(4-MeOC_6H_4)$	160	1538, 1551
$CH(3-HO-4-MeOC_6H_3)$	245	1538, 1551
$CH(3,4-diMeOC_6H_3)$	138	1538, 1551
$CH(2-HO_2C-3,4-diMeOC_6H_2)$	240–241	1554
$CH(3-HO_3SC_6H_4)$	—	1552
$CH(2,4-diHO_3SC_6H_3)$	—	1552
$CH(8-HO_2C-naphthyl)$	—	1552
CH(1,4-di-HO-3-Me-2-naphthyl)	—	303
CH(2-furyl)	210	1538, 1551
CS	239–242	1476

TABLE VI-89.



R_1	R_2	R_3	m.p. (°C)	Ref.
$CH(CH{=}CH)_2N(Me)Ph$	H	Me	—	1496
$CH(2\text{-}HO_2CC_6H_4)$	H	Me	—	1552
$CH(4\text{-}HO_2CC_6H_4)$	H	Me	—	1552
$CH(2,4\text{-}diHO_3SC_6H_3)$	H	Me	—	1552
$CHNHC_6H_4SO_2NH$(2-thiazolyl)	H	H	236	1555

TABLE VI-90.

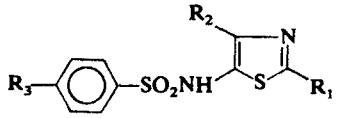


R_1	R_2	R_3	m.p. (°C)	Ref.
Ph	H	Me	208	1191
$3\text{-}HO_2C\text{-}4\text{-}H_2NC_6H_3$	H	H	—	1556
$2,4\text{-}di\text{-}H_2NC_6H_3$	H	H	199	1547, 1556
$Me_2NC_6H_4$	H	H	—	1556
$p\text{-}Me_2NC_6H_4$	H	Me	—	1465, 1472
$Et_2NC_6H_4$	H	H	—	655
HOC_6H_4	H	H	—	1556
$5\text{-}Me\text{-}2\text{-}HOC_6H_3$	H	H	—	1556
$3,6\text{-}di\text{-}Me\text{-}4\text{-}HOC_6H_2$	H	H	—	1556
$3\text{-}HO_2C\text{-}4\text{-}HOC_6H_3$	H	Me	220	1537
$2,4\text{-}di\text{-}HOC_6H_3$	H	H	—	1556, 1558
$3\text{-}MeO\text{-}2\text{-}HOC_6H_3$	H	H	—	1556
$3\text{-}HO_2C\text{-}4\text{-}HOC_6H_3$	H	H	200	1556
$3\text{-}HO_2C\text{-}4\text{-}AcOC_6H_3$	H	H	—	879
$2\text{-}H_2N\text{-}1\text{-}naphthyl$	H	H	—	1556
$3\text{-}Me\text{-}4\text{-}H_2N\text{-}1\text{-}naphthyl$	H	H	218	1559–1561
3-Me-4-AcNH-1-naphthyl	H	H	160–161	1559
2-HO-1-naphthyl	H	H	—	1556
4-HO-1-naphthyl	H	H	—	1556
3-Me-4-HO-1-naphthyl	H	H	224	1559
3-Me-4-AcO-1-naphthyl	H	H	207	1559
$1\text{-}H_2N\text{-}4\text{-}HO_3S\text{-}2\text{-}naphthyl$	H	H	—	1556
$1\text{-}HO\text{-}3,6\text{-}di\text{-}HO_3S\text{-}7\text{-}AcNH\text{-}2\text{-}naphthyl$	H	H	—	1496
$6\text{-}H_2N\text{-}4\text{-}HO\text{-}2\text{-}HS\text{-}5\text{-}pyrimidinyl$	H	H	—	1279
4-(3,5-diMe-pyrazolyl)	H	H	—	1670
$C_6H_4SO_2NH$(2-thiazolyl)	H	H	228–229	1557

TABLE VI-91.

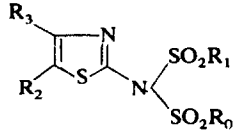
R_1	m.p. (°C)	Ref.
CH_2SO_2NH(2-thiazolyl-$NHSO_2C_6H_4$)	—	1521
Ph	—	1520
Tolyl	—	1520
$H_2NC_6H_4$	—	1279
$AcNHC_6H_4$	—	1520
$HO_2C(CH_2)_2CONHC_6H_4$	—	1522
$HO_2CC(Me)=CHCONHC_6H_4$	—	1522
2-$HO_2CC_6H_4CONHC_6H_4$	—	1522
(2-$HO_2CC_6H_4$)-2-$C_6H_4CONHC_6H_4$	—	1522
(3,4-di-MeO-2-HO_2C-C_6H_2)$CONHC_6H_4$	—	1522
m-$O_2NC_6H_4$	—	1520

TABLE VI-92.



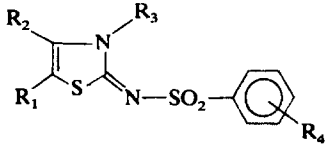
R_1	R_2	R_3	m.p. (°C)	Ref.
H	H	NH_2	185	57, 630
Me	Me	NH_2	183	627, 629, 901
Me	Me	NHAc	220 and 175	629, 901
CH_2Ph	H	NH_2	182	628
CH_2Ph	H	NHAc	189	628
$CONH_2$	H	NHAc	253–255	57, 1428
CO_2H	H	*p*-NO_2	—	57
$CSNH_2$	H	NHAc	237	57, 630
$CSNH_2$	H	*p*-NO_2	185	57, 630
CN	H	NHAc	—	630
CN	H	*p*-NO_2	148	57, 630
OH	Me	NHAc	—	58

TABLE VI-93.



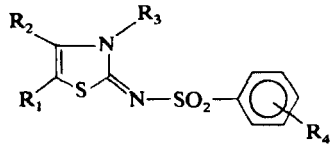
R_0	R_1	R_2	R_3	m.p. (°C)	Ref.
Ph	Ph	H	Me	147–148	889
p-$AcNHC_6H_4$	p-$AcNHC_6H_4$	H	H	128–129	335, 647, 1539
p-$AcNHC_6H_4$	p-$AcNHC_6H_4$	H	Me	145–147	889
p-$AcNHC_6H_4$	p-$AcNHC_6H_4$	Me	Me	175	903

TABLE VI-94.



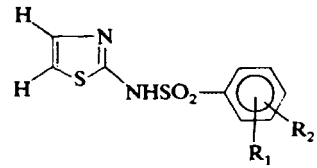
R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
H	H	Me	NHAc	264–265	1550
H	H	Me	NO_2	197–198	357
H	H	$(CH_2)_2NEt_2$	NH_2	144–145	671
H	H	CH_2Ph	NHAc	201	1459
H	H	NHAc	Me	168–169 (110–111) dimorphism	357
H	H	NO_2	Me	167	357
H	H	SO_2Ph	H	135 and 143	65, 1460
H	H	SO_2Ph	p-NHAc	168–170 and 155–159	65, 1441, 1460
H	H	SO_2Ph	p-NO_2	165–167	65
H	H	$SO_2(p\text{-}MeC_6H_4)$	p-Me	150–154	361, 1459
H	H	$SO_2(MeC_6H_4)$	NH_2	181	1459
H	H	$SO_2(p\text{-}MeC_6H_4)$	NHAc	105 (175) 150 (176–178) dimorphism	65, 357, 1459
H	H	$SO_2(p\text{-}MeC_6H_4)$	p-NO_2	167	65
H	H	$SO_2(p\text{-}ClC_6H_4)$	p-Cl	207–208	65
H	H	$SO_2(p\text{-}ClC_6H_4)$	NHAc	162–164	65, 1441
H	H	$SO_2(p\text{-}AcNHC_6H_4)$	H	155–163 100 (160) dimorphism	65, 1441, 1460
H	H	$SO_2(p\text{-}AcNHC_6H_4)$	p-Cl	160 (120) dimorphism 164–166	65, 1441

TABLE VI-94. (*Continued*)



R_1	R_2	R_3	R_4	m.p. (°C)	Ref.
H	H	SO_2(*p*-AcNHC$_6$H$_4$)	*p*-NHAc	(233–240) (146–147) dimorphism 190–240	65, 1459
H	H	SO_2(*p*-AcNHC$_6$H$_4$)	*p*-OMe	149–150	65
H	H	SO_2(*p*-O$_2$NC$_6$H$_4$)	H	152–157	65
H	H	SO_2(*p*-O$_2$NC$_6$H$_4$)	*p*-Me	197–198	65
H	H	SO_2(*p*-MeOC$_6$H$_4$)	*p*-NHAc	107–109	65
H	Me	SO_2Ph	*p*-Me	155–157	1460
H	Me	SO_2Ph	*p*-NHAc	149	1460
H	Me	SO_2(*p*-tolyl)	*p*-Me	196–197 182–187 dimorphism	357, 1460
H	Me	SO_2(*p*-tolyl)	*p*-NHAc	192	1460
H	Ph	Me	*p*-Me	216	357

TABLE VI-95.



R_1	R_2	m.p. (°C)	Ref.
3-OH	4-NH_2	—	1292
2-OH	5-NH_2	230	1289
2-OH	5-NHAc	270	1289
2-OAc	5-NHAc	—	1289
–3-OCONH-4–		—	1292

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VII

Mercaptothiazoles, Hydroxythiazoles and their Derivatives

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Each of the possible hydroxy- and mercaptothiazoles has been described. Seleno-2-thiazole has been used for silver halide emulsions in photographic processes (1), but little is known of its properties (2). Since the 2-substituted compounds have been studied more extensively, they are considered first.

I. 2-SUBSTITUTED THIAZOLES

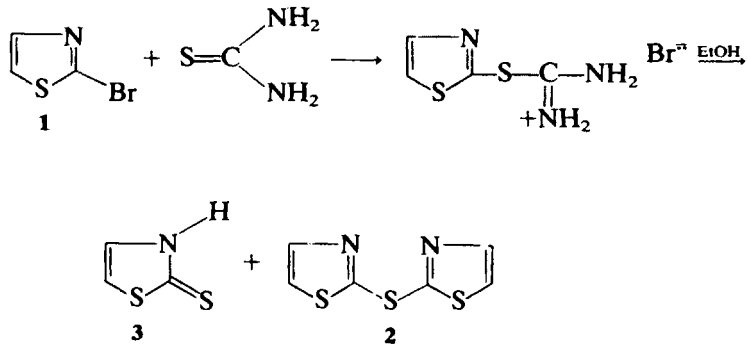
1. Δ-4-Thiazoline-2-thiones and Δ-4-Thiazoline-2-ones

A. *Preparations (except Heterocyclization Methods)*

Δ-4-Thiazoline-2-thiones and their ring-substituted derivatives are usually obtained by the heterocyclization methods described in Chapter II. Starting materials where the thiazole ring is already formed or is an other heterocycle (3) may be useful, however, for identification purposes or isotopic labeling.

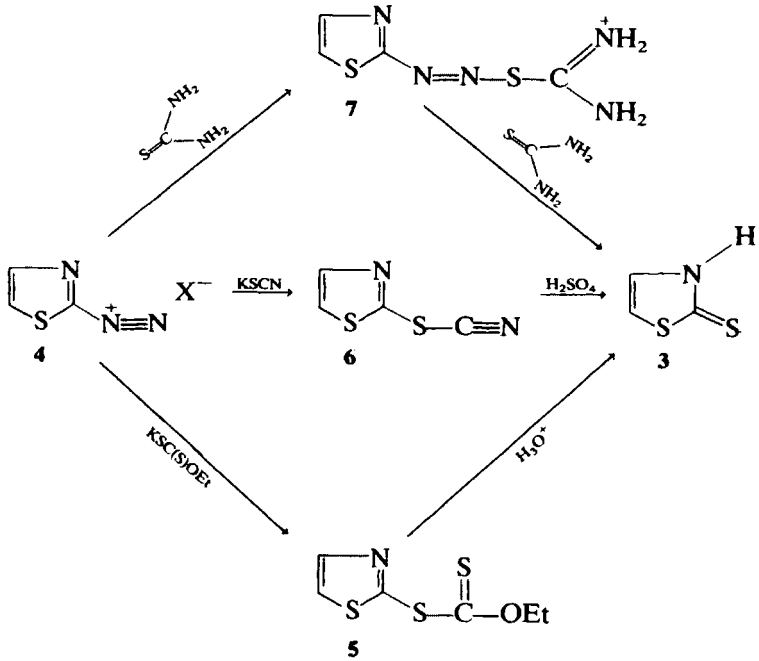
2-Bromothiazole (**1**) reacts with thiourea in alcohol to yield a mixture of dithiazolyl monosulfide (**2**) and Δ-4-thiazoline-2-thione (**3**) (Scheme 1) (4–6). Treatment of 2-bromo-4-methylthiazole with potassium hydrogen sulfide in alcohol is reported to result in the formation of bis(4-methyl-2-thiazolyl)sulfide (7), which probably results from the reaction between the initially formed 2-mercaptothiazole and the initial 2-bromo-4-methylthiazole.

Diazotized 2-aminothiazole (**4**) with potassium ethylxanthate yields ethylthiazolyl-2-xanthate (**5**), which is then hydrolyzed to 2-mercaptothiazole (**3**) (8). Similarly, treatment of (**4**) by potassium thiocyanate gives the 2-thiocyano derivative (**6**), which is hydrolyzed to 2-mercaptothiazole (**3**) by 50% sulfuric acid (Scheme 2) (9).

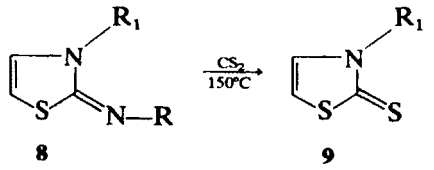


Scheme 1

Δ-4-Thiazoline-2-thiones can be obtained directly from 2-thiazolyldiazonium tetrafluoroborate by reaction with an excess of thiourea (9). When 1:1 stoichiometry is used, the adduct (**7**) can be isolated. Further treatment of **7** with an excess of thiourea leads to the 2-mercaptothiazole (**3**) (9). 2-Iminothiazoles (**8**) when heated at 150°C with CS_2 give N-substituted Δ-4-thiazoline-2-thiones (**9**) (Scheme 3)



Scheme 2

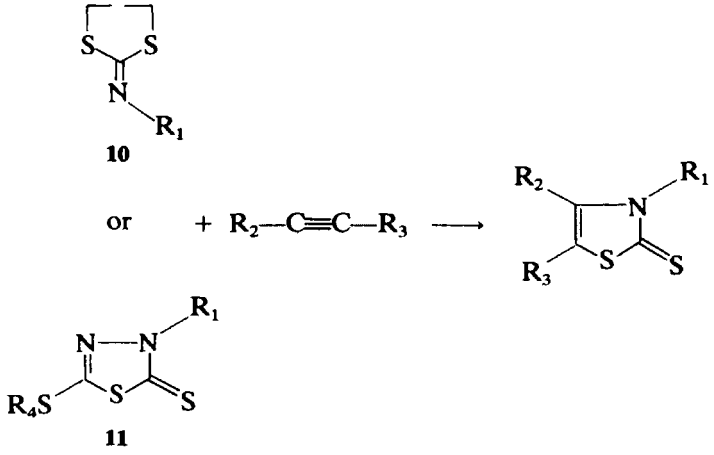


8 **9**

Scheme 3

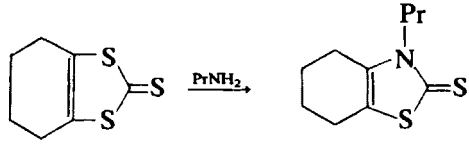
(10–12). The quaternary salts of 2-iminothiazoles (**8**) can also be used, in which case the H_2S is the reagent (13).

An original method has recently been developed starting either from 1,3-dithiolane (**10**) or from 1,3,4-thiadiazole-2-thione derivatives (**11**) (Scheme 4) (3). This method does not work when R_1 is an aryl group.



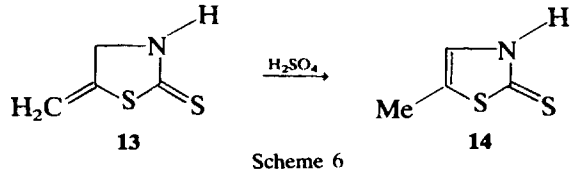
Scheme 4

Recently, it has been reported that 1,3-dithiole-2-thione (**12**) reacts with primary amine to give the corresponding thiourea and Δ-4-thiazoline-2-thione (Scheme 5) (14). 5-Methylenethiazolidine-2-thione (**13**) obtained from the reaction of propargyl amine and carbon disulfide



12

Scheme 5

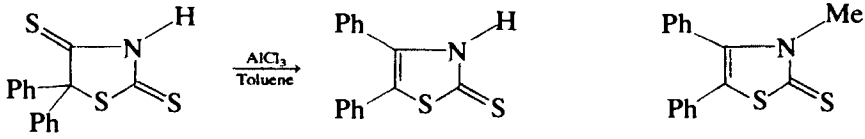


13 14

Scheme 6

yields 5-methyl-Δ-4-thiazoline-2-thione (**14**) under treatment with sulfuric acid (Scheme 6) (15, 16).

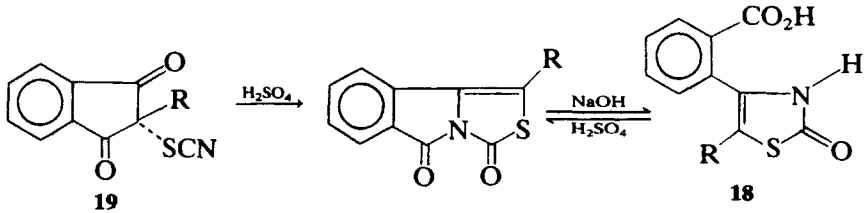
Recently, Koltai et al. (17) have shown that 5,5-diphenyl-2,4-thiazolidinedithione (**15**) with aluminum chloride in refluxing toluene gives 4,5-diphenyl-Δ-4-thiazoline-2-thione (**16**) (Scheme 7). 3-Methyl-4,5-diphenyl (**17**) and 4,5-diphenyl-Δ-4-thiazoline-2-thiones (**16**) are obtained in very low yields (1 to 5%) as by-products of the reaction between deoxybenzoin, benzoin, 1,2-diphenyl-1,2-ethanediol, 1,2-diphenylethanol, or benzil, and S_8 in hexamethylphosphoamide (18). The transformation of Δ-4-thiazoline-2-ones to the corresponding thiones by P_2S_5 (19) is of little synthetic value since the latter are more easily prepared.



15 16 17

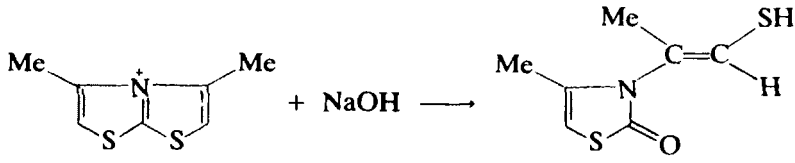
Scheme 7

Δ-4-Thiazoline-2-ones and ring substituted derivatives are usually prepared by the general ring-closure methods described in Chapter II. Some special methods where the thiazole ring is already formed have been used, however. An original synthesis of 4-(2-carboxyphenyl)-Δ-4-thiazoline-2-one (**18**) starting from 2-thiocyanato-2-halophenyl-1-3-indandione (**19**) has been proposed (Scheme 8) (20, 21). Reaction of bicyclic quaternary salts (**20**) may provide 3-substituted Δ-4-thiazoline-2-one derivatives (**21**) (Scheme 9) (22). Sykes et al. (23) report the formation of Δ-4-thiazoline-2-ones (**24**) by treatment of 2-bromo (**22**) or 2-dimethylaminothiazole (**23**) quaternary salts with base (Scheme 10).



19 18

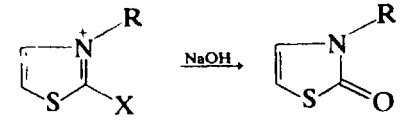
Scheme 8



20 **21**

Scheme 9

Other quaternary salts may provide the starting material (24, 25). Δ-4-Thiazoline-2-thiones (**25**) may be used as starting material; they react



22 X = Br
23 X = $(Me)_2N$

24

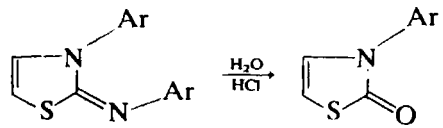
Scheme 10

with mercuric acetate (3) or with hydrogen peroxide (26) to yield the corresponding Δ-4-thiazoline-2-ones (**26**) (Scheme 11)

25 **26**

Scheme 11

2-Imino-Δ-4-thiazolines (**27**) when treated with hydrochloric acid give the Δ-4-thiazoline-2-ones (**28**) (Scheme 12). This reaction has been used as a structural proof of the parent compounds (19, 27, 28).

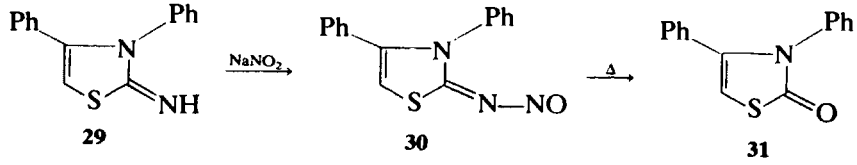


27 **28**

Scheme 12

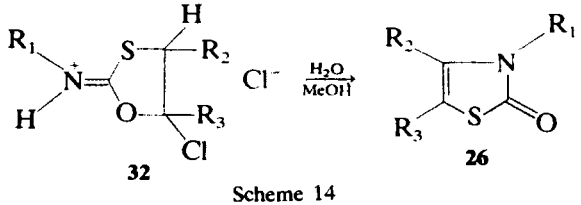
2-Imino-Δ-4-thiazoline (**29**) reacts with sodium nitrite to give a nitroso derivative (**30**) that decomposes on heating to the Δ-4-thiazoline-2-one (**31**) (Scheme 13) (29).

Ring opening and further ring closure of 2-imino-oxythiolan-1,3 derivatives (**32**) by water and/or methanol lead to the corresponding Δ-4-thiazoline-2-one (**26**) (Scheme 14) (30–32).



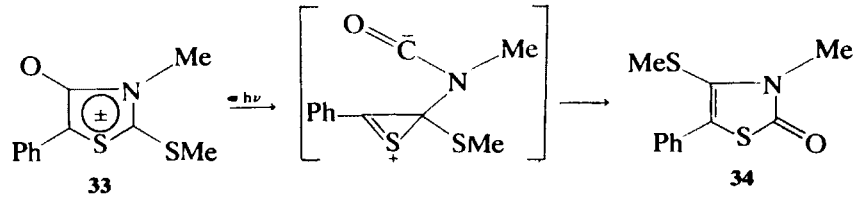
Scheme 13

The photochemical rearrangement of the mesoionic thiazole (**33**) provides an original synthesis of the 4-methylthio-derivatives of the Δ-4-thiazoline-2-one (**34**) (Scheme 15) (33).



Scheme 14

Decomposition of diazonium salts obtained from 2-aminothiazole (**4**) (29, 34, 35) could be an interesting reaction to introduce ^{18}O in Δ-4-thiazoline-2-one. Acidic hydrolysis of ethers (36, 37), oxidative hydrolysis

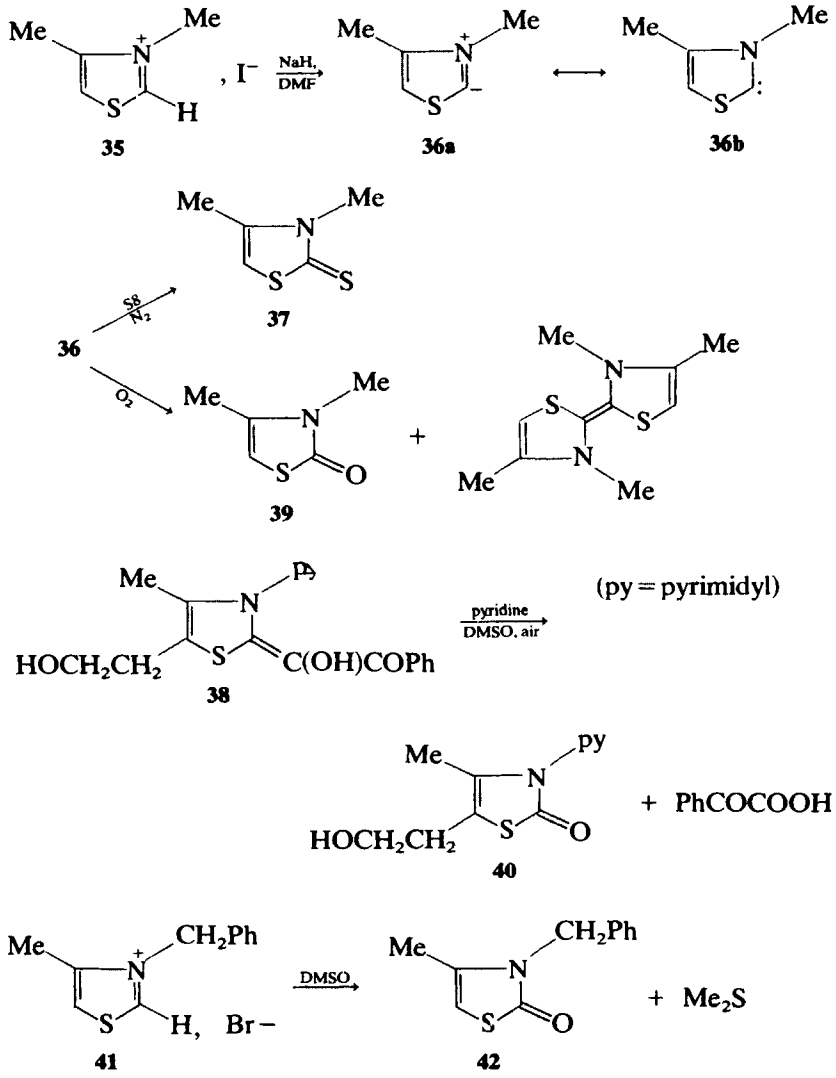


Scheme 15

in alkaline media (38), and acidic hydrolysis of 2-sulfonic acid (39) are other possible synthetic pathways to Δ-4-thiazoline-2-ones.

Perfused rat liver rapidly converts 4-methyl-5-β-chloroethylthiazole to 2-hydroxy-4-methylthiazol-5-yl acetic acid (40, 41). Finally, two new human metabolites of chlormethiazole have been isolated and identified by mass spectra as 2-hydroxy-4-methyl-5-β-chloroethylthiazole and 2-hydroxy-4-methyl-5-ethylthiazole (42).

Thiazolium derivatives unsubstituted at the 2-position (**35**) are potentially interesting precursors of Δ-4-thiazoline-2-thiones and Δ-4-thiazoline-2-ones. Compound **35** in basic medium undergoes proton abstraction leading to the very active nucleophilic species **36a** and **36b** (Scheme 16) (43–46). Special interest has been focused upon the reactivity of **36a** and **36b** because they are considered as the reactive species of the thiamine action in some biochemical reaction, and as catalysts for several condensation reactions (47–50).



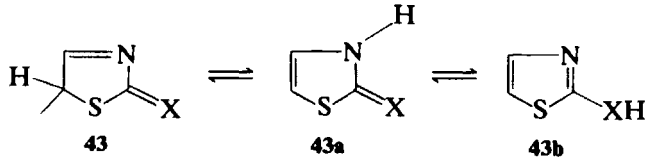
Scheme 16

Compound **36** when treated by sulfur under nitrogen, leads to the thiazoline-2-thione (**37**) (Scheme 16) (43). Oxidation by O_2 or air of **36** (43) or **38** (45, 46) leads to the corresponding thiazoline (**39** or **40**). Consequently, condensation reactions using catalysts like **36** must be run in strictly oxygen-free atmosphere (47–50). The isolation of traces of 3-benzyl-4-methyl-Δ-4-thiazoline-2-one (**42**) as a product of the oxidation of

the thiazolium salt (**41**) by dimethylsulfoxide (DMSO) in the absence of oxygen has been taken as evidence for carbene intermediates (**36b**) in this reaction (51).

B. *Physical Properties, Structure, and Analysis*

Both 2-hydroxythiazole and 2-mercaptothiazole have been studied to determine the position of the protomeric equilibrium: **43** ⇌ **43a** ⇌ **43b** (Scheme 17). Most studies indicate that form **43a** is largely predominant in neutral solution for X = O and X = S (52–56, 887, 891). The basic principle is to compare a physical property of the investigated product with that of a model representative of each protomeric form. The similarity of physicochemical properties between the product and one of the model compounds is taken as evidence for the position of the protomeric equilibrium. The limits of such an approach have been discussed in detail elsewhere (57).

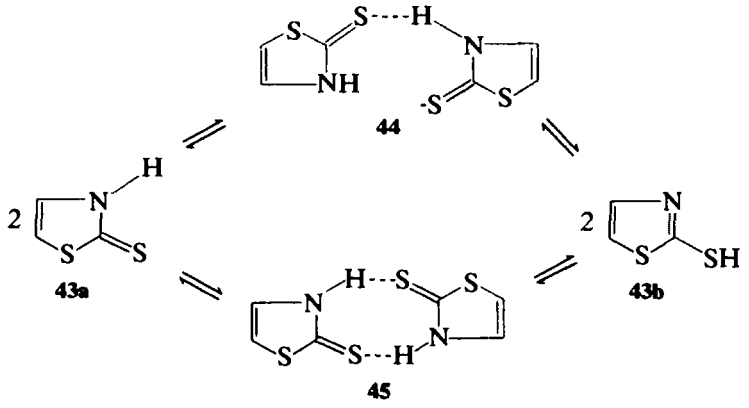


43 **43a** **43b**

Scheme 17

Spectroscopic features of compounds of fixed structure when compared with 2-mercaptothiazole (Table VII-1) show the predominance of form **43a**. The only weak evidence for a small amount of protomer **43b** has been obtained by infrared studies of various 2-mercaptothiazoles in KBr disks (56). These compounds are strongly associated in dilute hexane and in carbon tetrachloride solutions (58–60), and even stronger association occurs in the solid state; some of the Δ-4-thiazoline-2-thiones may give infrared spectra similar to those expected for 2-mercaptothiazole. The protomeric equilibrium (Scheme 18), therefore, is part of a more complex system, which involves species such as **44** and **45**. The hydrogen bonding abilities of various Δ-4-thiazoline-2-thiones toward dimethylsulfoxide and 4-chlorophenol have been studies quantitatively in CCl_4 by infrared spectroscopy (895).

Sandström et al. (65) evaluated the K_T value for 4,5-dimethyl-Δ-4-thiazoline-2-thione (**46**) in water (Scheme 19): $K_T = 10^6$. Δ-4-Thiazoline-2-thiones are less basic in the first excited state (61) than in the ground state, so application of Forster's cycle suggests that the thione form is even more favored in the first excited state. Hückel molecular orbital (HMO) calculations suggest that electronic effects due to substitution in



Scheme 18

the 4- or 5-position would be unable to reverse the position of this protomeric equilibrium (see General Introduction, p. 3). Nevertheless Stern studied the ultraviolet spectra of 4-phenyl-5-amino-Δ-4-thiazoline-2-thione (66) and stated that the stabilizing effect of a 4-phenyl substituent shifts the equilibrium towards the mercapto form **43b**. Later NMR and ultraviolet spectroscopy studies on 4-phenyl-Δ-4-thiazoline-2-thione,

TABLE VII-1. COMPARISON OF TYPICAL PHYSICOCHEMICAL PROPERTIES OF Δ-4-THIAZOLINE-2-THIONE (I) WITH THOSE OF 2-(METHYLTHIO)THIAZOLE (II) AND 3-METHYL-Δ-4-THIAZOLINE-2-THIONE (III)[a]

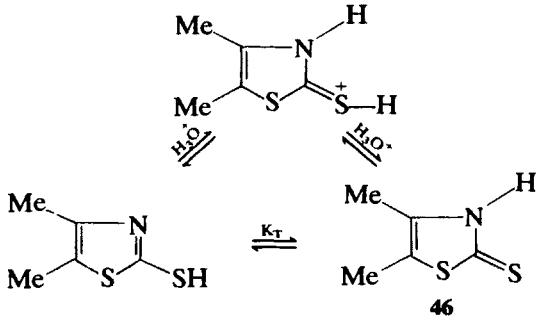
Compounds							
			Ultraviolet Absorption Bands[b]				
I	202		232 (6500)		254 (sh)		320 (13,700)
II	—		215 (3000)		274 (9000)		—
III	—		—		—		315 (10,000)
			Infrared Frequencies[c]				
I	3045	3100	1545	1450	1328	1040	840
II	2998	2900	—	1475	1380	1300	962
III	—	—	1545	—	1332	1080	812
			NMR Signals[d]				
I	N-H 3.32		C4-H 7.3 (4.6)		C5-H 6.95 (4.6)		
II	CH_3 2.67		C4-H 7.7 (3.5)		C5-H 7.41 (3.5)		
III	CH_3 3.7		C4-H 7.45 (4.6)		C5-H 6.90 (4.6)		

[a] From Refs. 56 and 61–63.

[b] Cyclohexane.

[c] KBr disk; for interpretation of the infrared bands see Refs. 56 and 59.

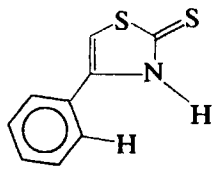
[d] Deuteroacetone, internal tetramethylsilane. Values in deuterochloroform can be found in Ref. 64.



Scheme 19

however, do not reveal such a trend (56), and Bryan (60) interprets the spectrum in terms of the 5-amino substituent.

Solvent effects do not reverse the thione preference; because of the higher dipole moment of the thione form (67, 68) apolar aprotic solvents such as cyclohexane should favor the mercapto form (**43b**). This effect, if present, is not sufficient to be observed spectroscopically at ambient temperature (56). As shown recently by Lipunova et al. (891), temperature effects on dipole moments of 5-nitro- or 5-bromo-Δ-4-thiazoline-2-thione in dioxane or benzene depend on intermolecular interaction and not on the thiol–thione tautomerism. A larger effect on K_T is expected when protic and aprotic solvents are compared. Protic solvents stabilize more the form giving the stronger hydrogen bond: so the mercapto protomer (**43b**) should become apparent in the ultraviolet spectra recorded in ethanol; this is not observed. Basic aprotic solvents, when used for NMR studies of the thiol–thione protomerism may give misleading results. Nuclear magnetic resonance studies of 4-phenyl-Δ-4-thiazoline-2-thione (**47**) in dimethylsulfoxide led the authors to state that the mercapto form is favored in this solution Scheme 20 (69). Later ultraviolet spectroscopy studies in this solvent are not in accord with this statement (70, 71). The reason for this discrepancy lies in the fact that the angle between the thiazole and phenyl rings is important both for the shape of the phenyl signal and for the shift of the C-5 proton. This angle depends on the size of the substituent on nitrogen. So, in 3-methyl-4-phenyl-Δ-4-thiazoline-2-thione (**48**), the methyl group, as well as fixing the thione form, increases the mean inter-ring angle (64). Replacing the methyl group by a hydrogen atom results in the mean inter-ring angle being decreased, and the expected NMR spectrum approaches that of the 2-methylthio-4-phenylthiazole. This similarity becomes more pronounced when a basic solvent "diminishes the mean size of the proton" on nitrogen; ^{13}C spectroscopy, where anisotropy effects are less important, would be a more valuable tool for studying this equilibrium.



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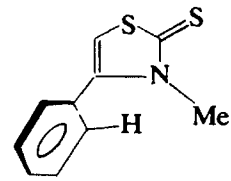

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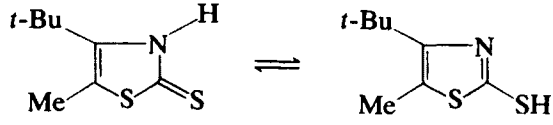
Scheme 20

Steric effects of the substituents in positions 4 and 5 cannot shift the protomeric equilibrium sufficiently to permit spectroscopic observation of the thiol form (**43b**): ultraviolet spectra of 4-*tert*-butyl-5-methyl-Δ-4-thiazoline-2-thione (**49a**) in neutral solvents do not reveal any trace of the thiol protomer (**49b**) (Scheme 21) (70).



49a **49b**

Scheme 21

Electronic transitions of 2-methylthiothiazole and Δ-4-thiazoline-2-thione were calculated using Pariser–Parr–Pople and Complete Neglect of Differential Overlap approximations (61, 72). The major improvements afforded by the CNDO model are the calculation of the $n \rightarrow \pi$ transition and the interpretation of the 254-nm band as an $n \rightarrow \sigma^*$ transition. Observed and calculated bands and their location in the ring are shown in Table VII-2.

TABLE VII-2. CALCULATED (CNDO/S) AND OBSERVED ELECTRONIC TRANSITIONS FOR Δ-4-THIAZOLINE-2-THIONE[a]

Transition	Calc.[b]	Ob.[c]
$n \rightarrow \pi^*$	503	350[d]
$\pi \rightarrow \pi^*$	324	317 (13,500)
$n \rightarrow \sigma^*$	260	254 (shoulder)
$\pi \rightarrow \pi^*$	244	232 (6500)
$\pi \rightarrow \pi^*$	208	202

[a] From Refs. 62 and 63.

[b] In nanometers.

[c] Cyclohexane as solvent (61).

[d] This band is not seen in normal ultraviolet spectra but can be measured for circular dichroism of 3-R-Δ-4-thiazoline-2-thione, where R possesses an asymmetric center (74). Representative ultraviolet data are also given in Refs. 15 and 75.

A bathochromic shift of about 5 nm results for the 320-nm band when a methyl substituent is introduced either in the 4- or 5-position. The reverse is observed when the methyl is attached to nitrogen (56). Solvent effects on this 320-nm band suggest that in the first excited state Δ-4-thiazoline-2-thione is less basic than in the ground state (61). Ultraviolet spectra of a large series of Δ-4-thiazoline-2-thiones have been reported (60, 73).

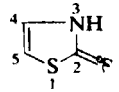
The auto-association of Δ-4-thiazoline-2-thione is clearly indicated by the hypsochromic shift (5 nm) of the 315-nm band when the spectrum is first recorded at 50°C and then at −25°C (10^{-5} *M* in cyclohexane). In the same temperature range the spectrum of 3-methyl-Δ-4-thiazoline-2-thione remains unchanged (61).

Steric overcrowding associated with the interaction between the thiocarbonyl group and a bulky alkyl group gives a bathochromic shift. This has been interpretated as evidence for a "smaller thiocarbonyl group" in the first excited state (73).

Ultraviolet spectra of Δ-4-thiazoline-2-thione in 10 *N* HCl show that protonation occurs on the exocyclic sulfur rather than on the cyclic nitrogen or on the enamine-like C5-position (56).

A recent report (62), using CNDO approximations, describes and interprets the photoelectronic spectra of Δ-4-thiazoline-2-thione and other thiocarbonyl heterocycles. The results are given in Table VII-3. The major feature is the clean separation between the two highest MOs and the others. The highest MO of π symmetry (7.74 eV) is essentially localized on the dithiocarbamic part of the structure. The second one (8.12 eV) is highly localized on the exocyclic sulfur atom. This peculiarity

TABLE VII-3. PHOTOELECTRON SPECTRA OF Δ-4-THIAZOLINE-2-THIONE[a]



Obs[b]	Calc.[c]	Contribution of atomic orbital[d]	Symmetry
7.74	9.45	S1: 14, S6: 60, N3: 12, C4: 4, C5: 7	π
8.12	9.64	S6: 94	n
10.32	11.98	S1: 21, S6: 18, C2: 18, C4: 25, C5: 18	π
10.82	12.70	S6: 63, N3: 28, C5: 19	π
12.48	13.61	S6: 35, C2: 26, S1: 24	σ

[a] From Ref. 62.
[b] In electron volts.
[c] CNDO/S method.
[d] Coefficients of atomic orbital in the considered MO.

TABLE VII-4. INTERPRETATION OF INFRARED AND RAMAN FREQUENCIES OF Δ-4-THIAZOLINE-2-THIONE[a]

Δ-4-Thiazoline-2-thione						D-3-Δ-4-Thiazoline-2-thione							
Infrared				Raman		Infrared				Raman		Interpretation	
Solid state		*Solution*		*Solid state*		*Solid state*		*Solution*		*Solid state*			
ν (cm^{-1})	I^b	ν (cm^{-1})	I	ν (cm^{-1})	I	ν (cm^{-1})	I	ν (cm^{-1})	I	ν (cm^{-1})	I		
3200	sh	3417	40					2536	88			(A′)	$\nu_{N(H\text{ or }D)}$
3105	s	3120	159	3117	2	3108	vw	3112	125			(A′)	$\nu_{(C_5H)}$
		3100	154			3090	w	3095	100			(A′)	$\nu_{(C_4H)}$
3060	s	3055	218										
2975		2980	194										
2880	vs	2880	254										
2778	w	2790	17										
2695	m	2700	75										
1548	s	1555	100	1555	1	1532	m	1540	31	1537	6	(A′)	ω_1: $\nu_{(C=C)}+\delta_{NH}$
1460	vs	1466	280	1463	4	932	w	938	13	937	3	(A′)	$\delta_{N(H\text{ or }D)}+\nu_{(C-N)}$
		1435	220										
1420	w							1378 sh					$2\gamma_{C_5H}$
1380	w												
1332	s	1330	213	1332	4	1368	vs	1365	375	1361	10	(A′)	ω_2: $\nu_{(C-N)}+\delta_{NH}$
		1324 sh											

1240	s	1239	219	1249	6	1238	m	1236	143			(A′)	ω_3: $\nu_{(C-N)\ Thioamide\ II}$
1198	vw	1190	27					1185	50				
1139	s	1136	122	1132	1	1135	m	1134	125			(A′)	$\delta_{(C_4H)}$
1135	s	1132 sh											
1068	s	1066	108	1068	1	1092	m	1092	38	1085	1	(A′)	ω_4: Thioamide III
1064	s	1058 sh		1062	1								
1048	vs	1044	540	1038	$\frac{1}{2}$	1044	vs	1044	528	1036	$\frac{5}{2}$	(A′)	$\delta_{(C_5H)}$
		1039 sh						1036 sh					
880	w					~877	sh			875	$\frac{1}{2}$	(A″)	$\gamma_{(C_4H)}$
872	w					872	w						
845	vs	847	310	848	1	841	vs	841	340			(A′)	ω_5: $\nu_{(C-S)}$
795	vs	610	41	783	$\frac{1}{2}$	545	w	545	13			(A″)	$\gamma_{N(H\ or\ D)}$
730	m	725	108	729	$\frac{3}{2}$	724	m	725	75	724	$\frac{3}{2}$	(A′)	ω_6: (Angular)
690	vs	689	324			690	s	688	263			(A″)	$\gamma_{(C_5H)}$
649	m	645	108	647	10	641	m	637	63	637	9	(A″)	ω_7: (Angular)
579	m	576	41			578	w	575	13			(A″)	Γ_1
492	s	493	115	496	1	489	s	492	75	490	1	(A″)	Γ_2
467	s	465	108	464	5	461	s	460	63	455	6	(A′)	ω_8: $(\nu_{(C-S)} + \nu_{C=S})$
296	m			275	8	293	m			269	6	(A′)	$\delta_{C=S}$
102	m											(A″)	$\gamma_{C=S}$?

[a] From Ref. 59; representative infrared spectra are given in Refs. 55 and 53.

[b] *I* = intensities; sh = shoulder, s = strong, vs = very strong, w = weak, m = medium, vw = very weak.

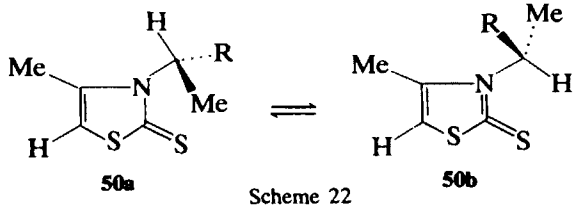
[c] For the meaning of ν, ω, and δ see Chapter I, Section 11.4.A.

allowed the first correlation between *n* orbital energy and the sulfur nucleophilicity (76).

Infrared and Raman spectra of Δ-4-thiazoline-2-thione and of isotopically labeled derivatives (56, 59) were interpretated completely. (Table VII-4).

Auto-association of Δ-4-thiazoline-2-thione and 4-alkyl derivatives has been deduced from infrared spectra of diluted solutions in carbon tetrachloride (58, 77). Results are interpretated (77) in terms of an equilibrium between monomer and cyclic dimer. The association constants are strongly dependent on the electronic and steric effects of the alkyl substituents in the 4- and 5-positions, respectively. This behavior is well shown if one compares the results for the unsubstituted compound ($K = 1200\ M^{-1}$), 4-methyl-Δ-4-thiazoline-2-thione ($K = 2200\ M^{-1}$), and 5-methyl-4-*t*-butyl-Δ-4-thiazoline-2-thione ($K = 120\ M^{-1}$) (58).

Proton NMR spectra of Δ-4-thiazoline-2-thione and all mono-, di-, and trimethyl derivatives have been studied (56). Representative data are reported in Table VII-5. Here again, methyl substitution on the nitrogen exerts the opposite effect to the one associated with 4- or 5- methyl substitution. The important magnetic anisotropy of the thiocarbonyl group led to the discovery of a new kind of conformational transmission: the "gear effect" (78–80). The N-isopropyl group of the 3-*i*-Pr-4-Me-Δ-4-thiazoline-2-thione may take up two stable conformations: **50a** and **50b** (Scheme 22). The position of the equilibrium, **50a** ⇌ **50b**, is strongly dependent on the substitution in positions 4 and 5 of the heterocyclic ring.



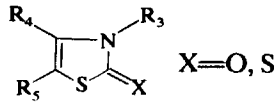
50a **50b**

Scheme 22

The barriers to rotation about the N–C bond have been determined by dynamic nuclear magnetic resonance for *N*-isopropyl (80, 81), propanoic acid (74), *N*-ethyl (82), *N*-benzyl, and *N*-neopentyl substituents (82). Selected values of these barriers are given in Tables VII-6 and VII-7.

Recently, Fourier transform technique allowed the determination in natural abundance of ^{13}C chemical shifts for some 4-thiazoline-2-thiones. Substituent chemical shifts for methyl and phenyl groups have been collected and discussed (874). For the overcrowded polyalkyl-Δ-4-thiazoline-2-thiones, the evolution of these chemical shifts furnishes

TABLE VII-5. REPRESENTATIVE PROTON NMR DATA FOR Δ-4-THIAZOLINE-2-THIONES AND Δ-4-THIAZOLINE-2-ONES[a]



				Proton NMR data[b]				
R_3	R_4	R_5	X	R_3	R_4	R_5	Solvent	Ref.[g]
H	H	H	S	3.32 s	7.3 d (4.6)	6.95 d (4.6)	—[c]	56
Me	H	H	S	3.7 s	7.45 d (4.6)	6.90 d (4.6)	—[c]	56
H	Me	H	S	3.32 s	2.30 d (1.6)	6.50 q (1.6)	—[c]	56
H	H	Me	S	3.12 s	7.00 q (1.5)	2.20 d (1.5)	—[c]	56
H	H	H	O	11.14 m	6.78 q (2.5; 5.3)	6.3 q (1.1; 5.3)	—[d]	85
H	H	H	O	10.4 s	6.92 d (5.2)	6.52 d (5.2)	—[e]	85
H	Me	H	O	11.0 m	1.98 d (1.4)	5.86 q (1.4; 1.4)	—[d]	85
Me	H	CO_2Me	O	3.43 s	7.58 s	3.87 s	—[f]	3
Ph	Me	Me	O	7.35 m	1.76 q (1.0)	2.12 q (1.0)	—	30

[a] TMS internal reference.
[b] Data given in the order: shift, shape (s, singulet; d, doublet; q, quadruplet; m, multiplet). Coupling constants in hertz.
[c] Hexadeuteroaceton.
[d] Hexadeuterodimethyl sulfoxide.
[e] Trifluoroacetic acid.
[f] Deuterochloroform.
[g] For other examples with X = O, see Refs. 31, 86, and 87; with X = S see Refs. 64 and 87.

information on angular deformation in the ring (81). Representative data are given in Table VII-8.

Accurate low-temperature (−150°C) X-ray determinations have been performed on a set of polyalkyl-Δ-4-thiazoline-2-thiones in order to determine ring geometry and deformations related to steric overcrowding (83, 84). The geometry of the ring is given in Fig. VII-1.

Charge diagrams obtained using the PPP approximation (61) and CNDO/S (72) are given in Tables VII-9 and VII-10. The perturbation of the charge repartition associated with methyl substituents in the 3-, 4-, or 5-position of the Δ-4-thiazoline-2-thione ring fits well with experimental data (electronic transitions, reactivity) except for the substitution on nitrogen, where calculated and observed perturbations disagree. Negative charge on the exocyclic sulfur atom and positive charge on the nitrogen atom are consistent with the site of protonation and nucleophilic reactivity.

Δ-4-Thiazoline-2-thione and derivatives can be determined in mixtures as a result of systematic studies on thin-layer-chromatography R_f values

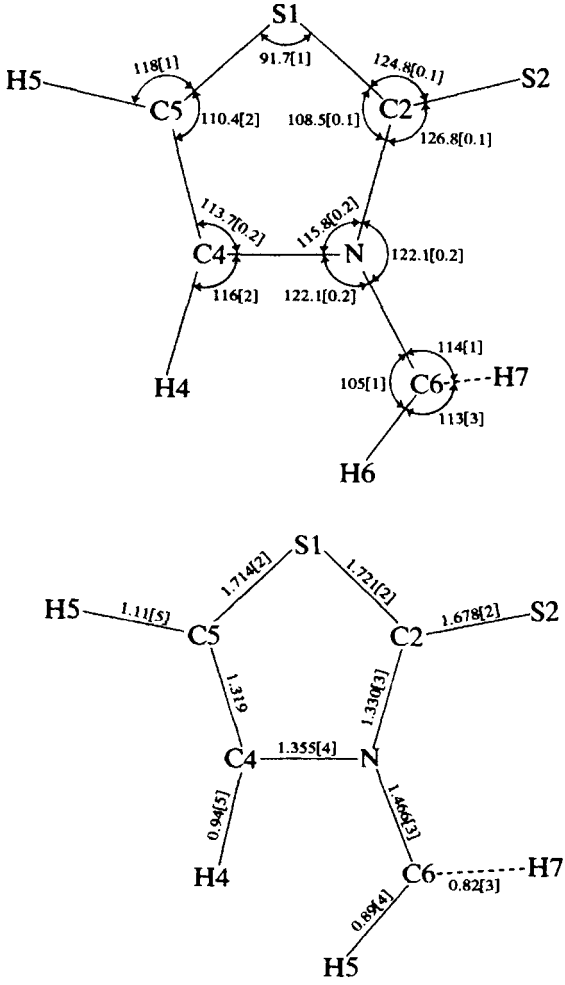
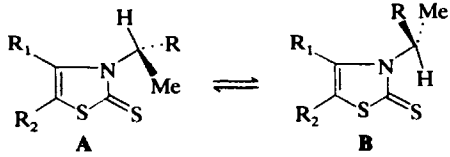


Fig. VII-1. X-ray geometry of 3-Me-Δ-4-thiazoline-2-thione (83, 84).

(90–93). Quantitative determination is also possible by ultraviolet spectroscopy with the intense absorption at 320 nm (94). They may also be characterized electrochemically with a mercury electrode (95).

The preparation of a series of transition metal complexes (Co, Ni, Pd, Pt, Ir, Au, Cu, Ag) with ambident anion (**70**) and phosphines as ligands has been reported recently (885). According to the infrared and NMR spectra the thiazoline-2-thione anion is bounded through the exocyclic sulfur atom to the metal. The copper and silver complexes have been found to be dimeric.

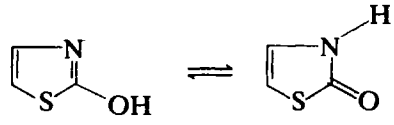
TABLE VII-6. BARRIERS TO ROTATION AROUND sp^2–sp^3 BOND FOR VARIOUS 3-SUBSTITUENTS IN THE Δ-4-THIAZOLINE-2-THIONE SERIES OBTAINED BY DYNAMIC NUCLEAR MAGNETIC RESONANCE.



R	R_1	R_2	T (°K)	$\Delta G^a_{298°}$	$\Delta H^{\neq b}$	$\Delta S^{\neq c}$	Solvent	PA[d]	Ref.
Me	Me	H	302.2	15.1	—	—	$CDCl_3$	0.15	81, 80
Me	Et	H	270.1	14.7	—	—	$CDCl_3$	0.35	80
Me	*i*-Pr	H	300.0	15.4	14.3	−4.4	$CDCl_3$	0.50	556
Me	Me	Me	272.1	15.0	—	—	$CDCl_3$	0.13	80
—	—	—	263.1	14.6	—	—	$(CD_3)_2CO$	0.30	80
Me	Et	Me	291.3	15.1	—	—	$CDCl_3$	0.47	80
Me	*i*-Pr	Me	299.1	16.5	—	—	$CDCl_3$	0.18	80
CO_2H	Me	H	253	13.2	10.8	−7.9	$(CD_3)_2CO$	0.46	74
CO_2H	Et	H	273	13.9	11.8	−7.2	$(CD_3)_2CO$	0.57	74
CO_2H	*i*-Pr	H	288	14.6	15.5	3.0	$(CD_3)_2CO$	0.75	74
CO_2H	Me	Me	258	13.1	12.7	−1.4	$(CD_3)_2CO$	0.44	74
CO_2H	Et	Me	285	14.7	11.2	−11.9	$(CD_3)_2CO$	0.76	74
CO_2H	*i*-Pr	Me	293	14.7	12.6	−7.0	$(CD_3)_2CO$	0.18	74

[a] The given values are in kcal/mole for the interconversion **B** → **A** for 3-*i*-Pr derivatives and the interconversion **A** → **B** for the 3-(2-propanoic) derivatives. (R = CO_2H).
[b] kcalories/mole.
[c] Entropy units.
[d] Population in conformer **A**.

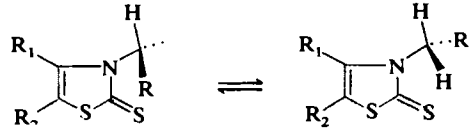
Physical properties of Δ-4-thiazoline-2-one and derivatives have received less attention than those of Δ-4-thiazoline-2-thiones. For the protomeric equilibrium, data obtained by infrared spectroscopy favors form **51a** in chloroform (55, 96, 887) and in the solid state (36, 97, 98) (Scheme 23). The same structural preference is suggested by the ultraviolet spectroscopy studies of Sheinker (98), despite the fact that previous studies in methanol (36) suggested the presence of both **51a** and **51b**.



51b **51a**

Scheme 23

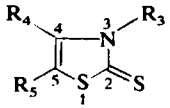
TABLE VII-7. BARRIERS TO ROTATION AROUND sp^2–sp^3 BOND FOR VARIOUS 3-CH_2R DERIVATIVES IN Δ-4-THIAZOLINE-2-THIONE SERIES OBTAINED BY DYNAMIC NUCLEAR MAGNETIC RESONANCE



R	R_1	R_2	T_C(°C)[a]	$\Delta G^{\neq}(T_C)$	Solvent	Ref.
Me	Me	H	−122	6.9	Freon 22–toluene	82
Me	*t*-Bu	H	−34	11.2	Toluene	82
Me	*t*-Bu	Me	−16	12.1	Toluene	82
Ph	*t*-Bu	H	−13	12.3	$CDCl_3$	89
4-MeO-C_6H_4	*t*-Bu	H	−22	11.8	$CDCl_3$	89
Ph	Me	H	−117	7.2	Genetron–toluene	82
t-Bu	Me	H	+15	13.7	CD_3COCD_3	82
t-Bu	Me	H	+25	13.8[b]	Toluene	82

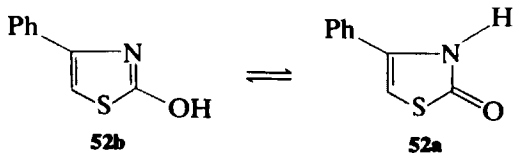
[a] T_C = Coalescence temperature. 100 MHz.
[b] For this compound $\Delta H^{\neq} = 12$ kcal/mole and $\Delta S^{\neq} = -6.0$ e.u.

TABLE VII-8. ^{13}C NMR DATA FOR Δ-4-THIAZOLINE-2-THIONES[a]



R_3	R_4	R_5	Chemical shifts[b]					
H	H	H	188.4	128.9	114.0			
Me	H	H	187.1	132.6	110.9	32.1		
Me	Me	H	187.5	140.1	105.9	34.1	15.7	
Me	H	Me	186.5	129.0	124.0	37.2	12.6	
Me	Me	Me	185.0	134.9	117.0	34.8	13.1	11.6
Me	Ph	H	188.1	144.8	108.4	36.2		
Ph	Ph	H	190.1	144.8	109.0			
Me	Ph	Ph	186.1	138.9	124.8	36.5		
i-Pr[c]	Me	H	186.3	139.7	107.5	52.3;	17.2[d]	15.7
i-Pr[e]	Me	H	186.3	139.7	106.9	50.2;	19.5[d]	16.1

[a] From Refs. 81 and 874.
[b] Given in the order: C_2, C_4, C_5, R_3, R_4, and R_5 in ppm; internal tetramethylsilane; solvent, $HCCl_3$.
[c] Conformer with the two methyls of the 3-*i*-Pr group framing the thiocarbonyl group.
[e] Conformer with the two methyls of the 3-*i*-Pr group framing the C_4 methyl group.
[d] Given in the order: secondary carbon, primary carbon for alkyl groups.

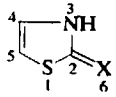


Scheme 24

Recently, dipole moments have been used to ascribe the enol form (**52b**) in benzene for 4-phenyl-thiazolin-2-one (68). It is worth noting that insufficient experimental data are given in the report to give clear evidence for this form. Zaionts et al. (887) found a pK_T value of 3.92 ± 0.08 for the tautomeric equilibrium **51b/51a** from the pK_a of the models, 3,4-dimethyl-Δ-4-thiazoline-2-one and 2-methoxy-4-methyl-thiazole. As with Δ-4-thiazoline-2-thiones, tautomeric Δ-4-thiazoline-2-ones are strongly associated in solution (98). Basic medium shifts the equilibrium towards the enolate form, the metal in the salt being nearer the oxygen than the nitrogen (103). Basic aprotic solvents such as dimethylsulfoxide are reported to favor the enol form: NMR spectra of 4-phenyl-Δ-4-thiazoline-2-one (104) favor the enol form (**52b**) (80%) in this solvent, whereas in acetone both **52b** and **52a** are present. 3,4-Dimethyl-Δ-4-thiazoline-2-one is a weak base, not undergoing protonation in media of acidity up to $H_0 = -3.99$ (105).

Δ-4-Thiazoline-2-one and its derivatives absorb in the region of 247 nm [244 nm in cyclohexane (106)]. This band involves a $\pi \rightarrow \pi^*$ transition (30, 102). From PPP calculations, the first excited state of

TABLE VII-9. CHARGE DIAGRAMS OF Δ-4-THIAZOLINE-2-ONE AND Δ-4-THIAZOLINE-2-THIONE

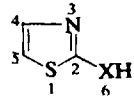


X = O, S

Method	X	1	2	3	4	5	6
PPP[a]	O	0.076	0.263	0.150	−0.047	−0.034	−0.405
PPP[a]	S	0.182	0.184	0.188	−0.066	−0.036	−0.439
CNDO[b]	S[c]	1.84	0.734	1.62	0.99	1.08	1.635
—	S[d]	5.99	3.80	5.07	3.90	4.06	6.35

[a] From Ref. 99.
[b] From Ref. 100.
[c] Π charges.
[d] Total charges.

TABLE VII-10. CHARGE DIAGRAMS OF 2-MERCAPTOTHIAZOLE AND 2-HYDROXYTHIAZOLE



X=O, S

Method	X	1	2	3	4	5	6
PPP[a]	O	0.133	0.085	−0.314	0.047	−0.095	0.046
PPP[a]	S	0.186	0.162	−0.329	0.051	−0.113	0.044
PPP[b]	S	−0.138	0.159	−0.217	0.108	−0.137	−0.636

[a] From Ref. 99.
[b] Ambident anion (101).

Δ-4-thiazoline-2-one is expected to be far more basic than the ground state.

The infrared spectra of Δ-4-thiazoline-2-ones are characterized by a strong absorption around 1650 cm^{-1} (55, 86, 103, 107, 870). For the N–H derivatives, the whole range 2700 to 3200 cm^{-1} is covered by a strong absorption related to the dimeric and oligomeric states of the hydrogen-bonded structures (85, 86).

Some representative NMR data of Δ-4-thiazoline-2-ones are reported in Table VII-5. The spectrum of Δ-4-thiazoline-2-one in DMSO (85) displays some interesting features: the coupling constant between protons in positions 4 and 5 (5.3 Hz) is the largest of all reported values for a thiazole derivative, and the coupling constants between ring protons and nitrogen proton are clearly seen ($J_{3-4} = 2.5$ Hz; $J_{3-5} = 1.1$ Hz). 3,4-Diisopropyl-5-methyl-Δ-4-thiazoline-2-one exhibits the gear-conformational behavior already mentioned for the thione homolog (88, 108). In this compound, the rotation of the two isopropyl groups has been studied by dynamic NMR spectroscopy and the barriers to rotation determined for each isopropyl group (88, 109). ^{13}C NMR data have appeared recently for 3-methyl-Δ-4-thiazoline-2-one: C-2, 172.2; C-4, 125.4; C-5, 101.1 (874).

The charge diagram of Δ-4-thiazoline-2-one is summarized in Table VII-9. This diagram and the one obtained by a HMO treatment (105) are consistent with the easy acetylation occurring in position 5 of the ring. However, PPP calculations indicate that this electrophilic substitution could also have occurred in position 4, which is not observed.

Very small amounts of 2-hydroxy-4-methylthiazole-5-acetic acid were determined by chromatographic techniques in bacterial culture medium (41).

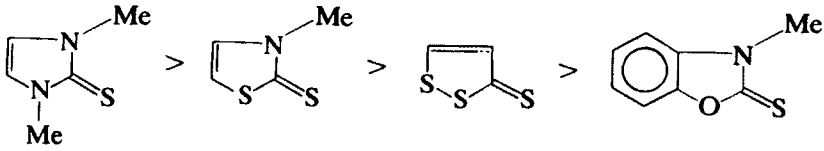
C. *Reactivity*

a. Δ-4-THIAZOLINE-2-THIONES AND DERIVATIVES

Reactivity of Δ-4-thiazoline-2-thiones and derivatives involves four main possibilities: nucleophilic reactivity of exocyclic sulfur atom or ring nitrogen, electrophilic reactivity of carbon 2 and electrophilic substitution on carbon 5.

Nucleophilic reactivity of the sulfur atom has received most attention. When neutral or very acidic medium is used, the nucleophilic reactivity occurs through the exocyclic sulfur atom. Kinetic studies (110) measure this nucleophilicity towards methyl iodide for various 3-methyl-Δ-4-thiazoline-2-thiones. Rate constants are 200 times greater for these compounds than for the isomeric 2-(methylthio)thiazole. Thus 3-(2-pyridyl)-Δ-4-thiazoline-2-thione reacts at sulfur with methyl iodide (111). Methyl substitution on the ring doubles the rate constant. This high reactivity at sulfur means that, even when an amino (112, 113) or imino group (114) occupies the 5-position of the ring, alkylation takes place on sulfur. For the same reason, 2-acetonyl derivatives are sometimes observed as by-products in the heterocyclization reaction of dithiocarbamates with α-haloketones (115, 116).

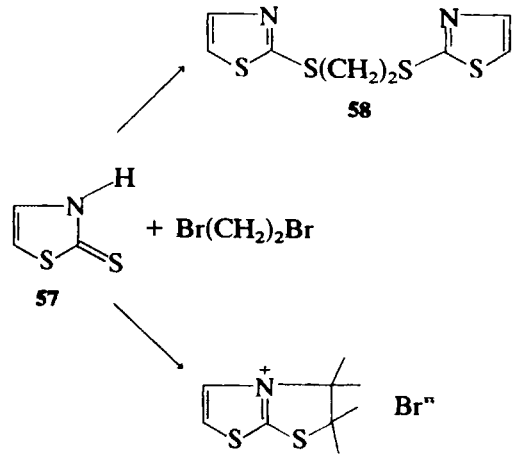
Compared to other heterocyclic thiones (**53, 55, 56**), Δ-4-thiazoline-2-thione (**54**) is among the most reactive (43, 117). The observed order of reactivity is $k_{53} > k_{54} > k_{55} > k_{56}$ (Scheme 25).



53 **54** **55** **56**

Reaction of **57** with dihalogenoalkanes is reported to give not only the expected dithioether (**58**) but also the bicyclic salt (**59**) (Scheme 26) (118). This reaction should depend on the alkyl chain length.

Curiously enough, bulky substituents on nitrogen increase this reactivity towards methyl iodide (119). This has been related to a steric decompression of the thiocarbonyl group in the transition state. Furthermore, knowledge of the ratio of conformers in the starting 4-alkyl-3-*i*-Pr-Δ-4-thiazoline-2-thiones and in the resulting 4-alkyl-3-*i*-Pr-2-methylthiothiazolium iodides combined with a Winstein–Holness treatment of the kinetic data indicates that in the transition state, the thiocarbonyl bond is approximately 65% along the reaction coordinate from the initial state



Scheme 26

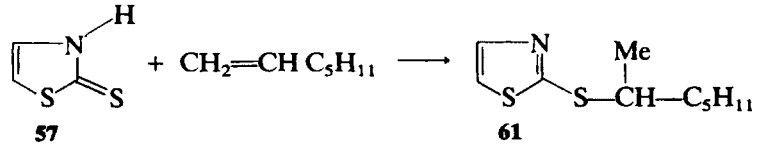
(119, 120). The sensitivity of the reaction to ortho steric hindrance shows that the transition state is probably angular (894).

The effect of an ω-phenyl group as a function of the alkyl chain length has been studied in 3-(ω-phenylalkyl)-4-methyl-Δ-4-thiazoline-2-thiones (121). No through-space interaction has been evidenced on the reactivity of the thiocarbonyl group.

The nucleophilic reactivity in neutral medium has been used extensively to prepare various thioethers of thiazole (122). In acidic medium, alkylation may be performed with alcohols (123, 124). An unexpected reaction encountered was the decarboxylation of 2-mercapto-4-methyl-5-thiazolecarboxylic acid (**60**) when treated with butyl alcohol under acidic conditions (Scheme 27) (123). Reaction between Δ-4-thiazoline-2-thione

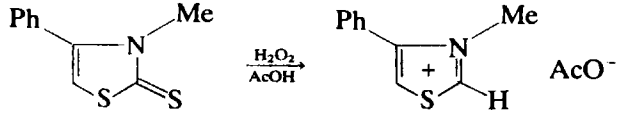
Scheme 27

(**57**) and 1-hexene yields the expected product (**61**) of an ionic reaction (Scheme 28) (125).



Scheme 28

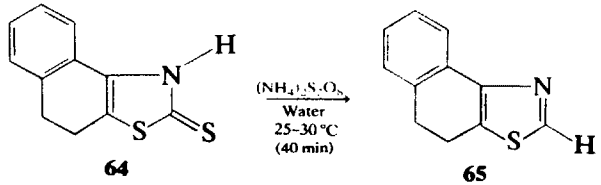
Other electrophilic centers react with the sulfur: oxidation of Δ-4-thiazoline-2-thione by N_2O_4 in chloroform yields 76% of the 2-sulfonic acid (54); reaction with H_2O_2 in acidic medium yields the unstable sulfinic acid (126, 127), which then loses SO_2 to give good yields of 2-unsubstituted thiazoles (**62**) (Scheme 29) (54, 128–142, 880). These 2-unsubstituted thiazoles (**62**) are also obtained by oxidation with 30% aqueous nitric acid and sodium nitrite (143–145). However, in absence of acid, oxidation by H_2O_2 yields the disulfide (**63**) (130, 146). A mixture of disulfide and thiazole was obtained by heating Δ-4-thiazoline-2-thione for 15 hr at 90°C in *t*-butanol with 30% H_2O_2. The disulfide (**63**) is also obtained by the reaction of other mild oxidizing agents such as iodine (7, 146–150) or persulfate (7, 123, 148, 151).



62

Scheme 29

Treatment of (**64**) by ammonium persulfate in water at ambient temperature is said, however, to give the 2-unsubstituted-thiazole (**65**) (Scheme 30) instead of the expected disulfide (152).

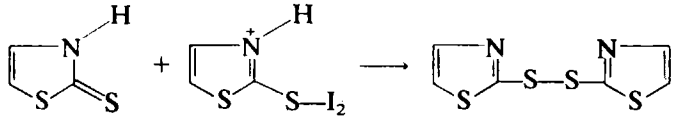


64 **65**

Scheme 30

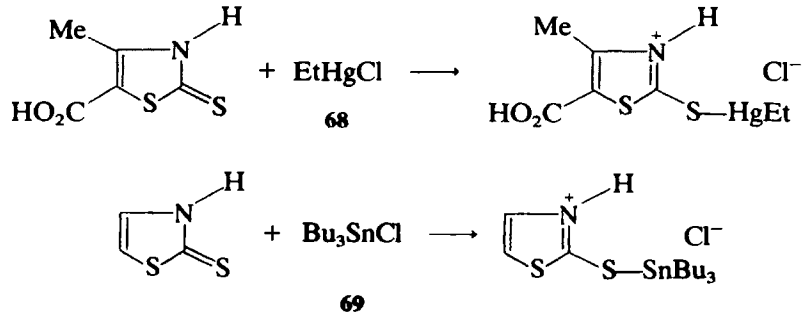
Data are lacking on the mechanisms of these reactions, but knowledge of other series suggests that the first step is attack of the exocyclic sulfur of **66** on the exocyclic sulfur of **67** converted into an electrophilic center by catalysis (Scheme 31).

Attack on the halogen is probably the starting point of the reaction between Δ-4-thiazoline-2-thione and chlorine in aqueous acid solution to yield thiazole-2-sulfonylchloride, which then gives 2-chlorothiazole (153).



66 **67** **63**

Scheme 31



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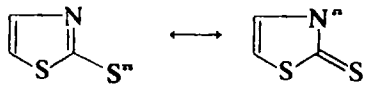
69

Scheme 32

Mercury and tin in complexes (**68** or **69**) (Scheme 32) (154) may behave as electrophilic centers (155, 156). Under basic conditions, the reactive species is an ambident anion (**70**) (Scheme 33).



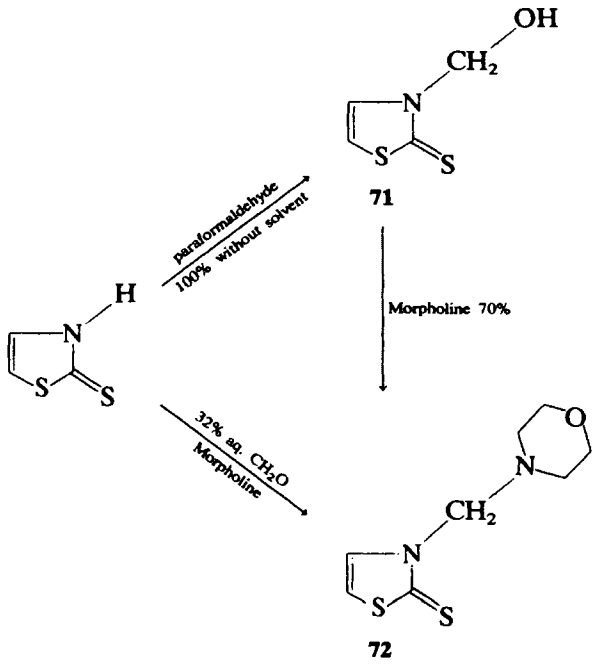
70

Scheme 33

Reaction takes place on nitrogen when the electrophilic center is an sp^2 carbon, particularly if it is charged. Thus Mannich reaction yields the N-substituted compound (**71** and **72**) (Scheme 34) (54, 157–159). The same reaction is reported with piperidine, *o*-toluidine, and methylaniline (158).

Acrylonitrile reacts with the sodium salt of 4,5-dimethyl-Δ-4-thiazoline-2-thione (**73**) ($R_4 = R_5 = Me$) to yield 3-(2-cyanoethyl)-4,5-dimethyl-Δ-4-thiazoline-2-thione (**74**) ($R_4 = R_5 = Me$) (Scheme 35) (160). Humphlett's studies of this reaction showed that the size of the R_4 substituent is a determinant factor for the S versus N ratio (161, 162). If $R_4 = H$, 100% of the N-substituted product (**74**) is obtained; this drops to 50% when R_4 = methyl, and only the S-substituted product (**75**) is obtained when R_4 = phenyl. The same trend is observed with various $CH_2 = CH–X$ ($X = COOCH_3$, $COCH_3$) reagents (149). The S/N ratio also depends on the electrophilic center for $CH_2 = CH–X$ systems: thus S-reaction occurs predominantly with acrylonitrile, whereas N-substitution predominates with methylvinylketone (149).

Under S_N1 conditions, the reaction of tetra-*o*-acetyl-D-glucopyranosyl bromide with Δ-4-thiazoline-2-thione provides the glycosylamine (**76**) (N-alkylation) (Scheme 36) (163). However, treatment of 5-(hydroxymethyl)uracil with 2-mercaptothiazole in 1 *N* HCl is reported to give 2-(2,4-dihydroxypyrimidin-5-ylmethylthio)thiazole (124).

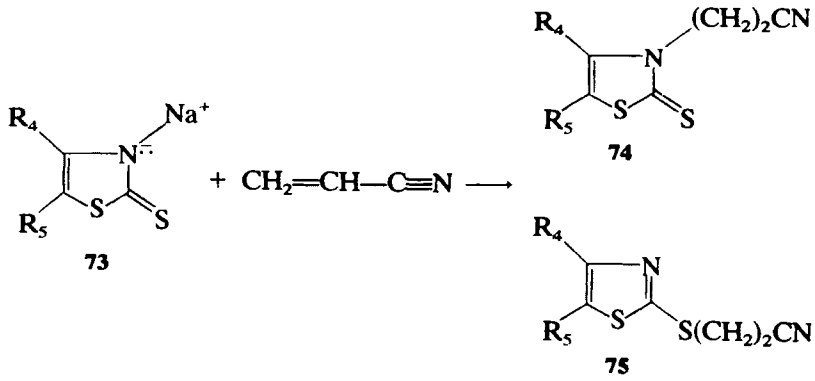


Scheme 34

S_N2 conditions favor S-alkylation (163). Here again, bulky R_4-groups induce S-alkylation even under S_N1 conditions.

Alkylation by diazoalkanes gives more N-substituted product when the reaction goes through an S_N1 transition state. Representative data are given in Table VII-10a, and they are discussed in Ref. 101.

N-alkylation may be obtained selectively after protection of sulfur with



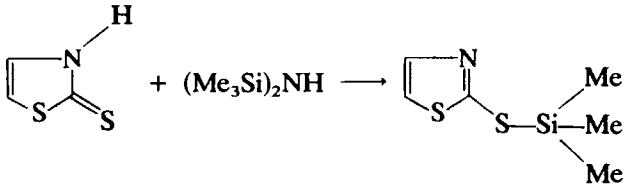
Scheme 35

TABLE VII-10a SOLVENT EFFECTS ON THE DIAZOMETHANE METHYLATION OF Δ-4-THIAZOLINE-2-THIONE AT 25°C[a]

Solvent	S-Alkylation (%)
EtOEt	86
Hexamethylphosphoamide	79
Dimethylformamide	77
Dimethylsulfoxide	72
Ethanol	81
t-Butanol	80

[a] From Ref. 101.

a trimethylsilyl group (**77**), easily removed after reaction (163). $HgBr_2$ may also protect the exocyclic sulfur (164).



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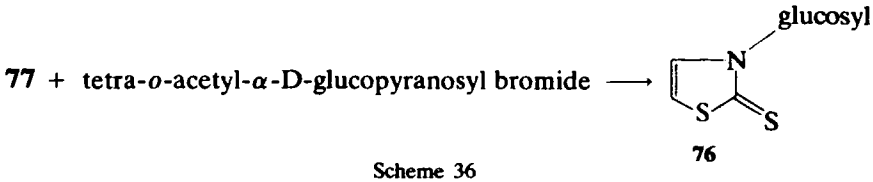
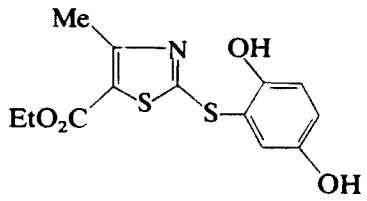


76

Scheme 36

Treatment of benzoquinone with ethyl-4-methyl-Δ-4-thiazoline-2-thione-5-carboxylate yields ethyl-2-(2,5-dihydroxyphenylthio)-4-methyl-5-thiazolyl carboxylate (**78**) (Scheme 37) (165).

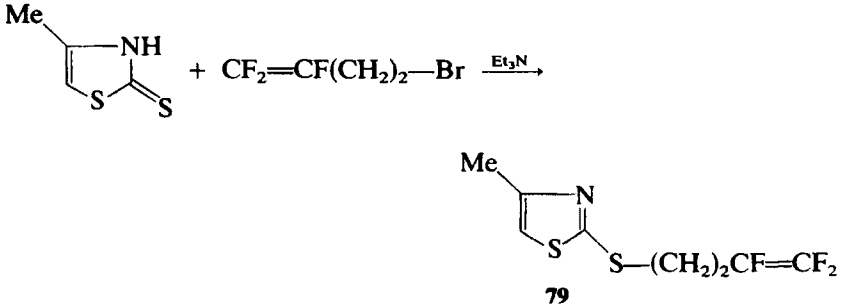
Since the exocyclic sulfur is more reactive in the ambident anion than in Δ-4-thiazoline-2-thione, greater nucleophilic reactivity is to be expected. Thus a large variety of thioethers were prepared in good yields starting from alkylhalides (e.g., Scheme 38 (54, 91, 111, 166–179), lactones (54, 160), aryl halides (54, 152, 180, 181), acyl chlorides (54, 149, 182–184), halothiazoles (54, 185–190), α-haloesters (149, 152, 177, 191–194), cyanuric chloride (151), *N,N*-dimethylthiocarbamoyl chloride (151, 152, 195, 196), β-chloroethyl ester of acrylic acid (197), β-dimethylaminoethyl chloride (152), 1,4-dichloro-2-butyne (152), 1,4-dichloro-2-butene (152), and 2-chloro-propionitrile (152). A general



78

Scheme 37

review of those reactions that provide useful vulcanization accelerators has appeared (195).



Scheme 38

Alkali metals may be replaced by tertiary amines in this reaction (198).

Ambident reactivity of Δ-4-thiazoline-2-thione has been discussed (101) in terms of the Hard and Soft Acids and Bases classification (199) and the Klopman–Hudson approach (200).

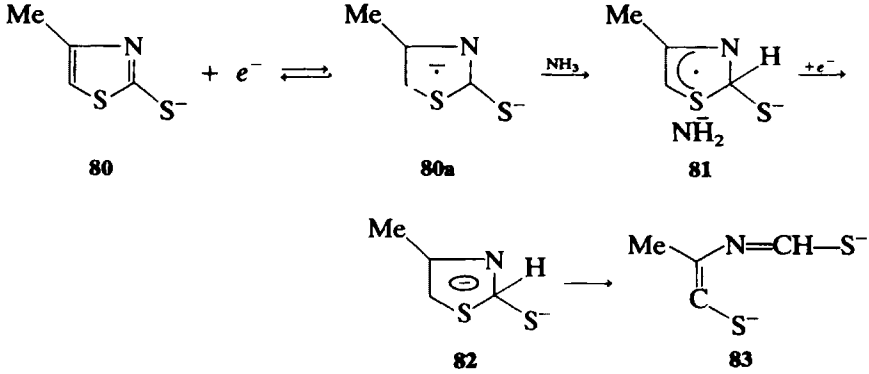
Oxidation, already described in neutral and acidic media, may also be performed in basic medium. An alkaline solution of H_2O_2 reacts with 4-thiazoline-2-thione to yield thiazole-2-sulfonic acid (201–203), whereas alkaline oxidation performed with $(NH_4)_2S_2O_8$ yields the disulfides (148).

The formation of trisubstituted Δ-4-thiazoline-2-ones from the corresponding thiones analogs can be performed by oxidation with hydrogen peroxide under basic conditions. This reaction is strongly dependent on the pH of the medium. Higher yields are obtained in strongly alkaline solution (883).

The oxidation of various thione compounds including thiazoline-2-thiones has been reviewed (884).

Recently, Hoff and Blok report the reductive ring opening of 4-methyl-Δ-4-thiazoline-2-thione anion (**80**) (Scheme 39) (204) when treated with two equivalents of sodium in liquid amonia. Treatment of the propenethiolate (**83**) by 4 *N* aqueous HCl affords 4-methylthiazole. The

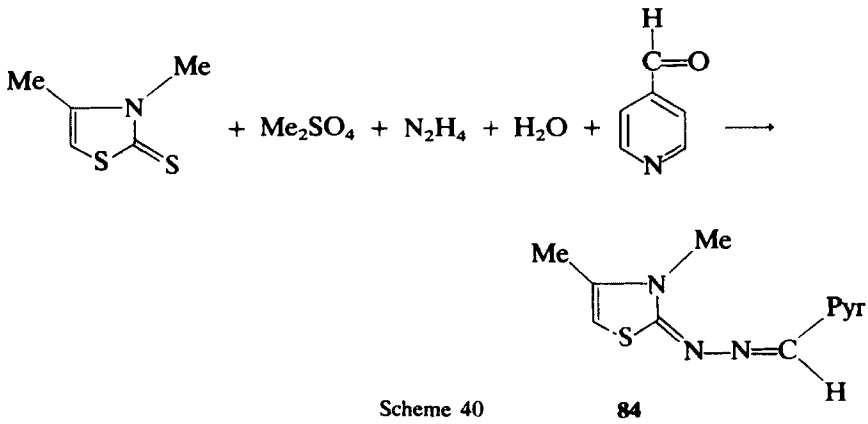
proposed mechanism involves the formation of the radical anion (**80a**), which leads on protonation to the radical species (**81**). Addition of a second electron to this radical affords the anionic species (**82**), which undergoes ring opening instead of the normal Birch reduction. This reaction does not give ring opening when anions of 2-hydroxy-, 2-amino-, and 2-methylamino-4-methylthiazoles are considered.



80 **80a** **81** **82** **83**

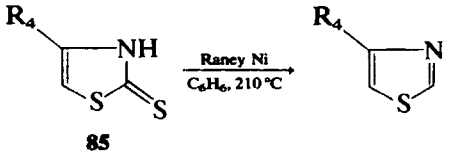
Scheme 39

Few examples of reactivity involving the electrophilic character of the C_2 atom are reported. In some cases, a catalyst or reagent converts the neutral thione into a quaternary salt (Scheme 40), the C_2 of which is clearly electrophilic (205, 206). The mechanism of Raney Ni desul-



Scheme 40 **84**

furization (Scheme 41) of 4-R-Δ-4-thiazoline-2-thione (**85**) has not yet been resolved; Badger (207) suggests that, depending on the alkalinity of the mixture, two mechanisms operate. Good yields of 2-unsubstituted

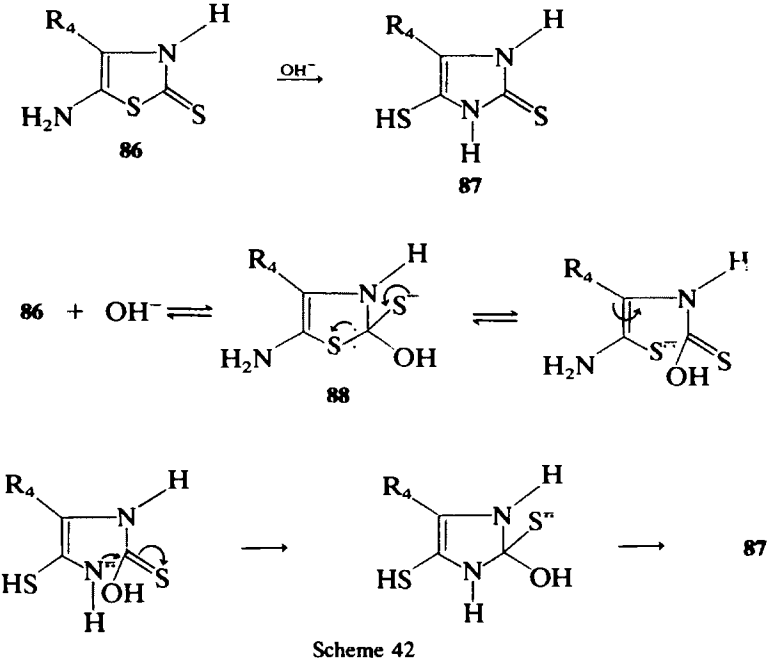


85

Scheme 41

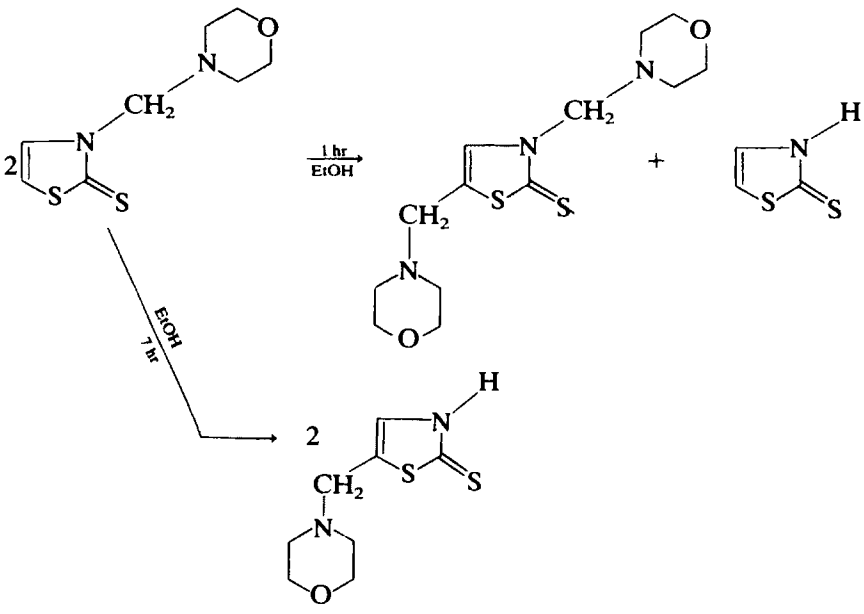
thiazoles are obtained through this reaction (208–211, 883), except when R_4 = Ph, in which case acetophenone and other decomposition products predominate (208).

The lack of examples demonstrating the reactivity on C-2 may be the misleading impression that this atom is not electrophilic, contrary to what is indicated from charge diagrams. Such is not the case as the Cook's rearrangement demonstrates (209, 212). A logical mechanism for this reaction involves the tetrahedral intermediate (**88**) (Scheme 42). This



Scheme 42

reaction is facile for R_4 = Me, heating even being unnecessary. So C-2 is clearly an electrophilic center in Δ-4-thiazoline-2-thiones. This electrophilic character could probably be demonstrated for other Δ-4-thiazoline-2-thiones by studying the by-products of reactions carried out in basic medium (oxidation, alkylation...).

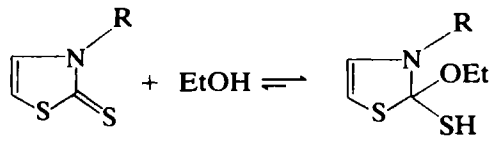


89

Scheme 43

Direct electrophilic substitution of C-5 has rarely been described (6). However, the thiocyanation of various Δ-4-thiazoline-2-thiones has been studied in detail (213). The method using Br and KSCN was found less efficient than that using monochlorourea and KSCN.

The rearrangement discovered by Kolosova et al. probably involves such reactivity (159). This reaction provides a good preparative method for various 5-amino-methylthiazoles (Scheme 43). No mechanism is proposed in the report, and it is not easy to understand how the C-5 enamine-like position competes with the very nucleophilic thiocarbonyl group of the formed Δ-4-thiazoline-2-thione. An alternative mechanism could start with ethanol addition at C-2, leading to the Δ-4-thiazoline (**90**) (Scheme 44). In this intermediate, C-5 nucleophilic reactivity would be favored by the true enaminic structure. After alkylation on C-5,



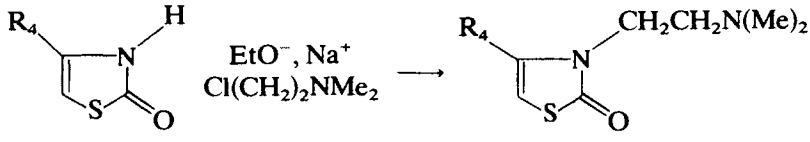
90

Scheme 44

ethanol is then released. Further quantitative data are necessary.

b. Δ-4-THIAZOLINE-2-ONES AND DERIVATIVES

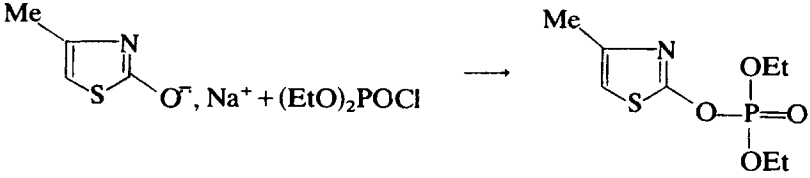
Alkylation of Δ-4-thiazoline-2-one may yield O–R or N–R derivatives according to experimental conditions. With diazomethane in ethanol O-methylation takes place (29, 36, 214). N-Methylation is reported when a basic solution of Δ-4-thiazoline-2-one reacts with methyl iodide or dimethylsulfate (21, 29, 215, 216). Reaction of 1-chloro-2-dimethylaminoethane with the sodium salt of 4-R-Δ-4-thiazoline-2-one (**91**) in alcohol, first claimed to yield the aminoalkylether (217, 218), was shown after infrared investigation to give the N-substituted derivative (**92**) (107), even when $R_4 = Ph$ (Scheme 45). More probably the site of reaction in



91 Scheme 45 **92**

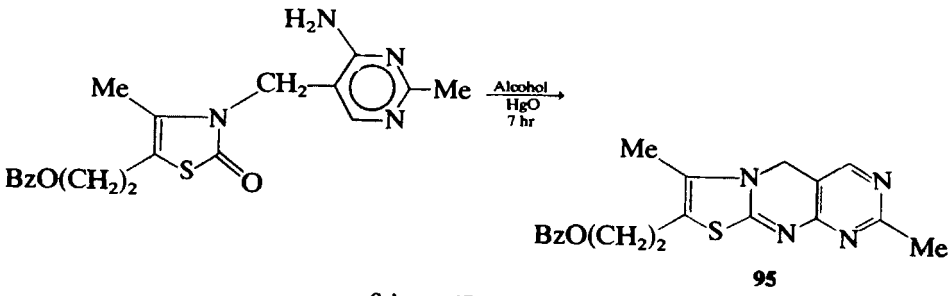
basic medium depends on the size of the R_4 substituent: if $R_4 = Ph$ at least a part of the reaction would be expected to occur on oxygen. The sodium salt of 2-hydroxy-5-benzilideneaminothiazole is reported to give the *O*-benzyl derivative in the reaction with $PhCH_2Cl$ (219).

Reaction of $(EtO)_2POCl$ with the sodium salt of Δ-4-thiazoline-2-one (**93**) in dry acetone provides the corresponding diethyl thiazolyl-2-phosphate (**94**) (Scheme 46) (220–223). $(EtO)_2PSCl$ reacts in the same way with various Δ-4-thiazoline-2-ones (224–227). When phosphoryl chloride is used the reaction goes further, and 2-chlorothiazole is obtained (7, 193, 194, 217, 228–230).



93 Scheme 46 **94**

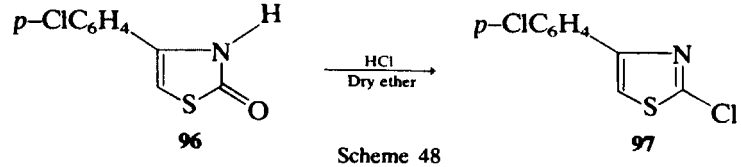
The electrophilic character of the C-2 atom is more clearly evident in Δ-4-thiazoline-2-ones than in Δ-4-thiazoline-2-thiones. 3-Methyl-Δ-4-thiazoline-2-one is cleaved in alcaline medium to give methylamine (36). This reaction probably starts with the nucleophilic attack of OH^- on C-2.



Scheme 47

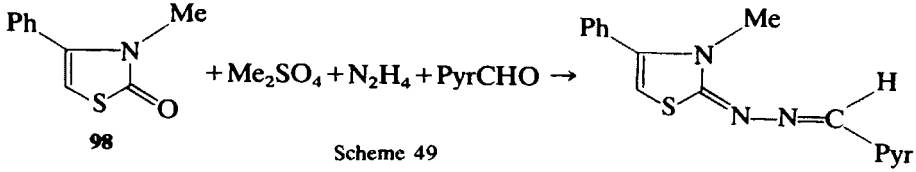
Reduction of Δ-4-thiazoline-2-one by zinc dust gives low yields of the corresponding thiazoles (36, 231). The formation of thiochrome (**95**) results from an intramolecular nucleophilic attack (Scheme 47) (232).

Dry HCl in ether converts 4-aryl-Δ-4-thiazoline-2-one (**96**) to the corresponding 2-chlorothiazole (**97**) (Scheme 48) (230, 233, 234). Elec-



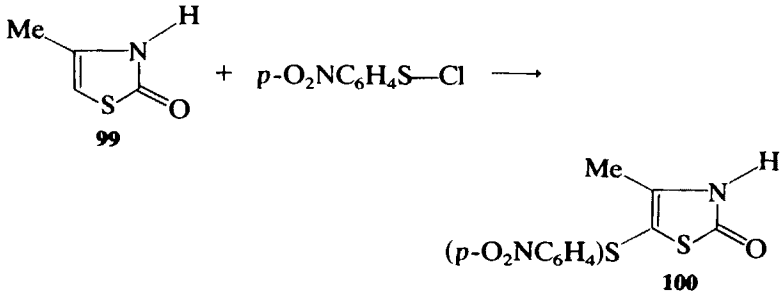
Scheme 48

trophilic reactivity of C-2 is also involved in the reaction of (**98**) with hydrazine (Scheme 49) (205). In this case, the reaction is expected to go through the quaternary salt formed by methylation.



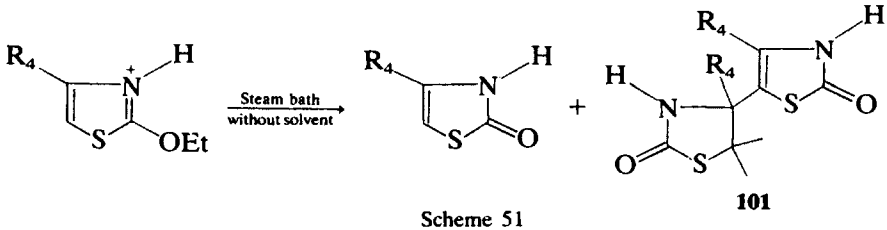
Scheme 49

When unsubstituted, C-5 reacts with electrophilic reagents. Thus phosphorus pentachloride chlorinates the ring (36, 235). A hydroxy group in the 2-position activates the ring towards this reaction. 4-Methylthiazole does not react with bromine in chloroform (201, 236), whereas under the same conditions the 2-hydroxy analog reacts (55, 237–239, 557). Activation of C-5 works also for sulfonation (201, 236), nitration (201, 236, 237), Friedel–Crafts reactions (201, 236, 237, 240–242), and acylation (243). However, iodination fails (201, 236), and the Gatterman or Reimer-Tieman reactions yield only small amounts of 4-methyl-5-carboxy-Δ-4-thiazoline-2-one. Recent kinetic investigations show that 2-thiazolones are nitrated via a free base mechanism. A 2-oxo substituent increases the rate of nitration at the 5-position by a factor of 9 log



units compared to the 2-alkyl analogs (893). 4-Methyl-Δ-4-thiazoline-2-one (**99**) reacts with *p*-nitrophenyl sulfenylchloride to yield the 5-*p*-nitrophenyl derivative (**100**) (Scheme 50) (229).

An interesting reaction studied by Gronowitz et al. (244) also involves the electrophilic reactivity of C-5. When R_4 = Me the yields of dimerization product (**101**) are much lower than if R_4 = H (Scheme 51). Ganapathi



Scheme 51

studied the Mannich-type condensation of Δ-4-thiazoline-2-one with formaldehyde and sulfanilamide or aromatic amines catalyzed by zinc chloride (245, 246). Coupling with diazonium salts yields the 5-azo derivatives (245, 246). The activation of the C-5 position towards electrophilic reagents may be related either to the hydroxyl mesomeric effect or to the enamine-like structure developed in the 2-one protomer. Charge diagrams are in agreement with the first hypothesis (Tables VII-9 and VII-10).

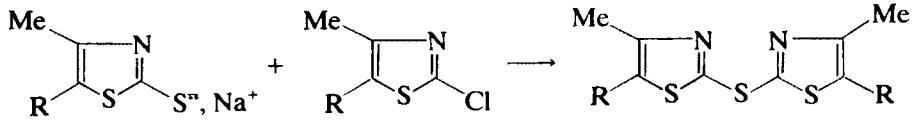
When the 5-position is occupied by a methyl group, sulfonation takes place at C-4 (247).

2. Derivatives of Δ-4-Thiazoline-2-Thiones and Δ-4-Thiazoline-2-Ones

A. *Thioethers and Ethers*

Thioethers can be obtained either by heterocyclization (Chapter II) or from the reaction between 2-halothiazoles (**102**) and the sodium salt of

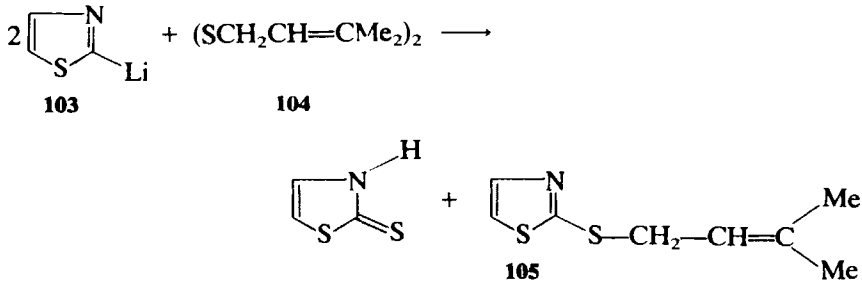
the appropriate mercaptan (129, 188, 189, 193, 194, 248–265). A detailed kinetic investigation of this reaction has been undertaken in the thiazole series (Scheme 52) (266). The formation of an ion pair of thiazolium and benzenethiolate ions is important for this reaction (267).



102

Scheme 52

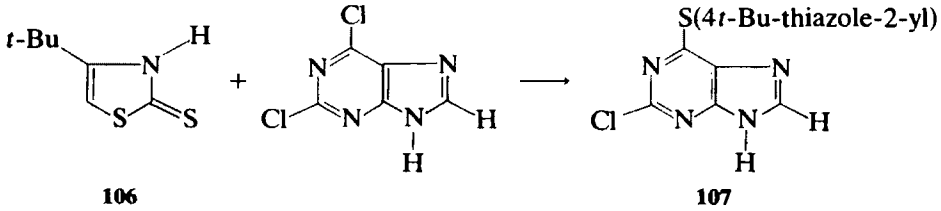
Thioethers (**105**) have also been reported as main products in the reaction between 2-lithium thiazolyl (**103**) and bis(3-methylbut-2-enyl)-disulfide (**104**) (Scheme 53) (268).



103 **104** **105**

Scheme 53

The most useful synthetic method involves the reaction of Δ-4-thiazoline-2-thione with the appropriate alkylating agent (see Section I.1.C). An example is given Scheme 54.



106 **107**

Scheme 54

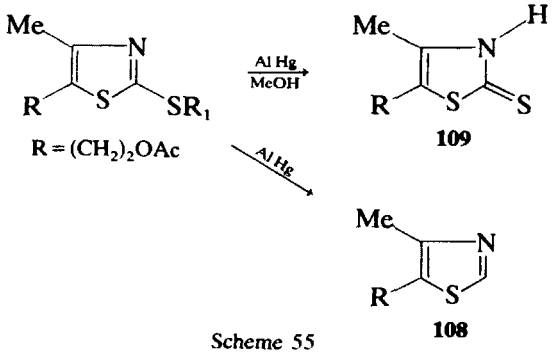
A 2-methylthio substituent decreases the basicity of thiazole (pK_a = 2.52) by 0.6 pK_a unit (269). The usual bathochromic shift associated with this substituent in other heterocycles is also found for the thiazole ring (41 nm) (56). The ring protons of thiazole are shielded by this substituent; the NMR spectrum of 2-methylthiothiazole is (internal TMS, solvent acetone) 3.32 (S–Me); 7.3 (C_4–H); 6.95 (C_5–H) (56, 270). Typical NMR spectra of 2-thioalkylthiazoles are given in Ref. 266.

Isotopic labeling with CD_3, substituents effects (56), and general trends in the thiazole series (271) allowed a complete assignement of the major infrared bands for a series of 2-methylthiothiazoles.

The kinetics of the reaction between 2-methylthiothiazoles and methyl iodide show that the nucleophilic center is the ring nitrogen. The 2-methylthio group decreases the nucleophilicity of the this atom (269).

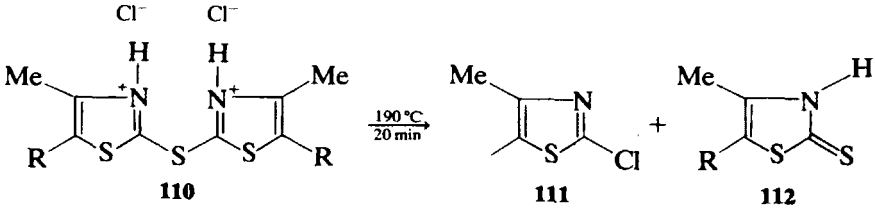
Nucleophilic reactivity of exocyclic sulfur appears in acidic medium. 2-Aryl thiazolyl sulfones are obtained from the corresponding sulfides by oxidation with H_2O_2 in HOAc at 100°C (272). The same oxidation takes place with alkyl sulfides (203, 214, 273–275) and dithiazolylsulfides (129). However, the same reaction with 2-benzylthio derivatives gives benzylalcohol and the related Δ-4-thiazoline-2-thione (169).

The methylthio group is removed by treatment with zinc powder in HCl (276) to give the 2-unsubstituted thiazole. The action of aluminum-mercury amalgam in methanol on various thioethers is reported to yield the expected thiazole (**108**) when R_1 is an alkyl group and the corresponding Δ-4-thiazoline-2-thione (**109**) when $R_1 = PhCH_2-$ (Scheme 55) (169).



Scheme 55

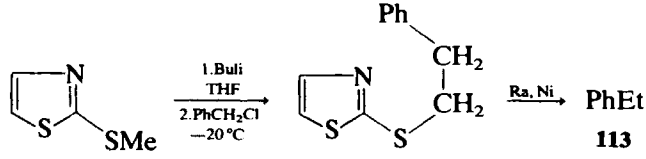
The C–S bond in purine derivatives undergoes cleavage under mild conditions by nucleophilic agents such as benzylmercaptan or glutathione in dimethylformamide with a phosphate buffer of pH 6.5 (277). The salt (**110**) of dithiazolylsulfide heated at 190°C yields the Δ-4-thiazoline-2-thione (**112**) and 2-chlorothiazole (**111**) (Scheme 56) (278–280).



Scheme 56

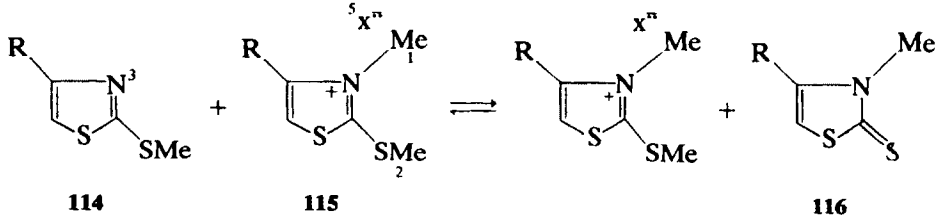
2-Methylthio- and 2-ethylthio-4-methylthiazoles undergo reductive ring opening when treated by sodium in liquid ammonia (204). The first step of this reaction consists of the formation of the Δ-4-thiazoline anion (**80**). The next steps are analogous to those given for **80a** to **83**.

The sulfur atom stabilizes α-carbanions; this has been used in the thiazole series to give a new synthetic pathway for various hydrocarbons (**113**) (Scheme 57) (281).



Scheme 57

2-Alkylthiothiazoles (**114**) when treated with catalytic amounts of iodine or of 2-methylthio-3-methylthiazolium salts **115** rearrange to the isomeric N-alkyl-Δ-4-thiazoline-2-thione (**116**) (Scheme 58). The



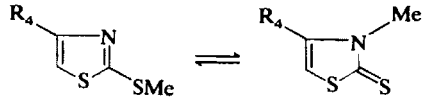
Scheme 58

mechanism of this reaction has been studied. It involves attack on electrophilic center 1 or 2 by nucleophilic species 3, 4, or 5 present in the medium. The reaction is reversible: if 3-methyl-4-*t*-butyl-Δ-4-thiazoline-2-thione is heated with the catalyst, the isomeric thioether is formed in quantitative yield (282). The values of ΔH, ΔS, and ΔG associated with this equilibrium measure the importance of the steric interactions between the R_3 and R_4 substituents in the Δ-4-thiazoline-2-thione ring (Table VII-11) (101).

The same rearrangement with a glycosyl substituent as the migrating group on sulfur is reported to be catalyzed by $HgBr_2$ (163). This rearrangement may take place without catalyst if the migrating group is an allyl or related group (283).

It is noteworthy that some catalysts convert thioethers to quaternary salts where the reactive electrophilic center is no longer one of the two C sp^3 centers but the C sp^2 center of the thiazolium salt (284, 285). Thus

TABLE VII-11. THERMODYNAMIC VALUES ASSOCIATED WITH THE EQUILIBRIUM[a]

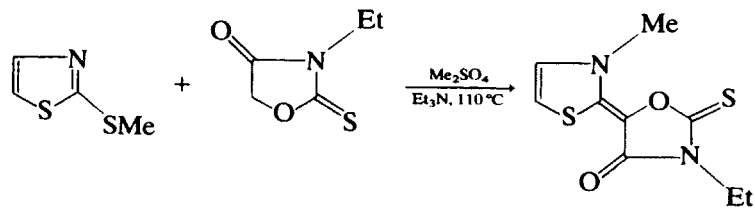


A

R_4 substituent	ΔH^b	ΔS^c	ΔG^b
H	5100	6.7	2000
Me	6800	11.	1600
i-Pr	7000	16.	−400

[a] From Ref. 101.
[b] Calories mole^{-1}.
[c] Entropy units.
[d] Negative values of ΔG when **A** predominates. These values are determined by equilibrium studies at 160, 180, 200, 220, and 240°C in a sealed glass tube without solvent.

compound **118** is obtained by addition-elimination reaction on the thiazolium salt derived from **117** (Scheme 59).

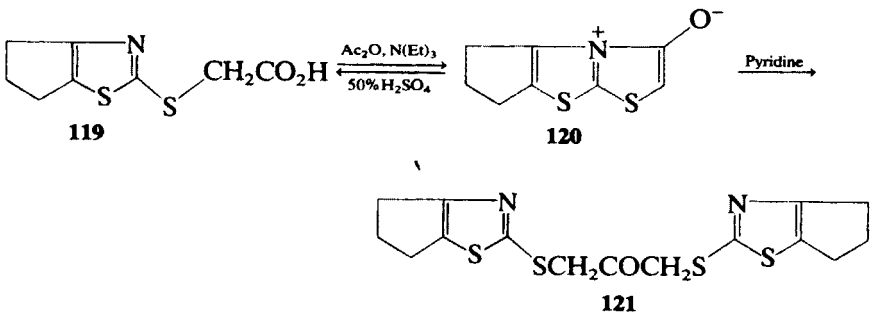


117 **118**

Scheme 59

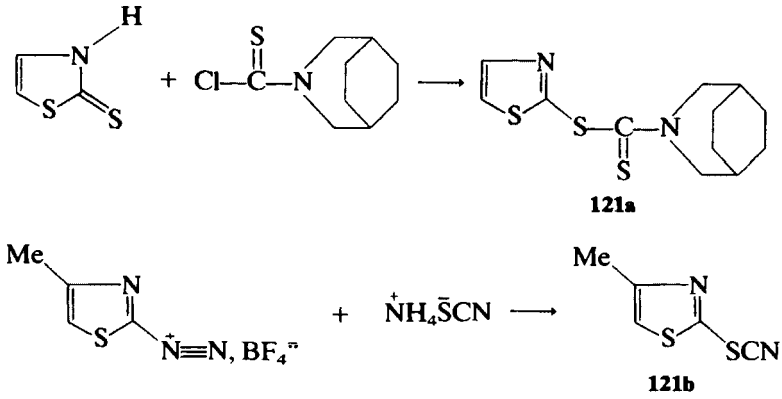
The thiazolyl-2-thioglycollic acid (**119**) undergoes intramolecular ring closure to give mesoionic compound **120** under treatment with acetic anhydride and triethylamine (Scheme 60) (192). The parent acid (**119**) can be recovered from **120** by hydration with hot 50% aqueous sulfuric acid. Compound **120** affords monohydrate of *bis*(-cyclopentenothiazolyl-2-thio)acetone (**121**) (192).

Some specific thio-derivatives of thiazoles have been synthesized for pharmacodynamic, pesticidal, or rubber industry studies; they are shown in Tables VII-13 and VII-14 described in Section III. Their chemistry has



Scheme 60

received little attention. Two specific examples are given in Scheme 61 (**121a** and **121b**) (9, 286).

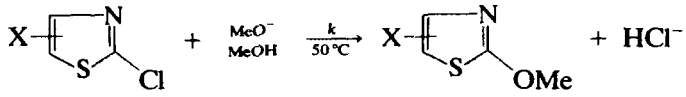


Scheme 61

Diazomethane alkylation of Δ-4-thiazoline-2-ones (36, 214) or the Williamson reaction of 2-halogenothiazoles (6. 287–300) provide good yields of 2-alkoxythiazole otherwise obtained by reaction between O-esters of monothiocarbamic acid with α-halocarbonyl compounds (see Chapter II).

The reaction of MeO^-/MeOH with 2-Cl-5(4)-X-thiazoles (**122**) follows a second-order kinetic law, first order with respect to each reactant (Scheme 62) (297, 301). A remark can be made about the reactivity of the dichloro derivatives; it has been pointed out that for reactions with sodium methoxide, the sequence $5>2>4$ was observed for monochlorothiazole compounds (302). For 2,5-dichlorothiazole, on the contrary, the experimental data show that the 2-methoxy dehalogenation is always favored. This fact has been related to the different activation due to a substituent effect, less important from position 2 to 5 than from

position 5 to 2 (297). The high reactivity of the 5-NO_2 derivative suggests that single electron transfer mechanism (896) could be involved for this reaction.



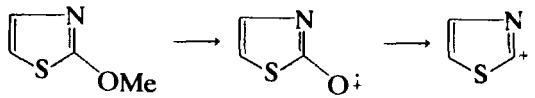
122

X	10^5 k sec^{-1} $mole^{-1}$ $liter^{-1}$
H	0.81
5-Me	0.18
4-Me	0.24
4-Ph	1.3
5-Cl	61
4-Cl	104
5-NO_2	2,960,000

Scheme 62

Furthermore, in cases of 2,4-dichloro- or 2,5-dichlorothiazole, halogen in position 4 or 5 can also be partially displaced using more than one equivalent of methoxide ion.

The 2-alkoxy group does not modify the pK_a of thiazole, exerts a slight bathochromic shift on the 232-nm ultraviolet band, and shields the ring hydrogens (289). Typical spectroscopic data for 2-methoxythiazole are given in Refs. 270, 289, and 303: ultraviolet spectra are in ethanol, 235 ppm ($\varepsilon = 4700$), and in H_2O, 240 nm ($\varepsilon = 3600$), NMR spectra in acetone (internal TMS) are 4.0 ppm (O–Me), 7.16 ppm (C_4–H), and 7.35 ppm (C_5–H). The molecular ion peak is important in the mass spectrum of this compound, and the main fragments (**123** and **124**) result from the scission related to the functional methoxy group (Scheme 63) (289, 290, 304, 305). Mass spectra of 2-methoxy-, 2-ethoxy-, and 2-butoxythiazoles have been reported for identification purposes (306).



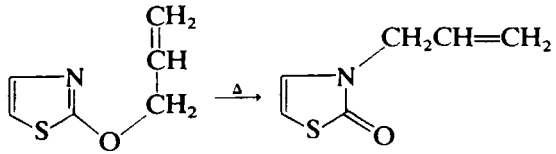
123 **124**

Scheme 63

2-Methoxythiazoles are converted to the corresponding *N*-methyl-Δ-4-thiazoline-2-ones by heating with excess methyl iodide (29, 243). The reaction mechanism can be considered initially as the formation of a

quaternary salt followed by nucleophilic attack on the *O*-methyl carbon, as exemplified for the 2-methylthio analog (p. 406).

On heating the neat sample or a solution in a variety of solvents, 2-allyloxythiazole (**125**) undergoes a thermal rearrangement to *N*-allyl-Δ-4-thiazoline-2-one (**126**) in excellent yield (Scheme 64) (283). Deuterium labeling reveals the complete inversion or the allylic moiety in the rearrangement. First-order rate law is found, and activation parameters show a negative entropy in accord with that measured in most of Claisen rearrangements.

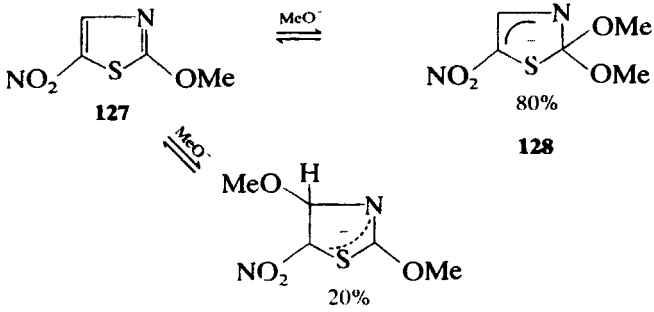


125

Scheme 64

2-Alkoxythiazoles are easily cleaved by acids yielding Δ-4-thiazoline-2-ones (36). C-5 Nitration of the thiazole ring is favored by the 2-alkoxy group (288, 297, 307). Recent kinetic investigations have shown that the rate enhancement is 3 log units (893).

2-Methoxy-5-nitrothiazole (**127**) reacts with an equivalent of methoxide ion to give complexes **128** and **129**, which decompose (Scheme 65). 5-NO_2-thiazoline-2-one is also observed in that reaction (297).



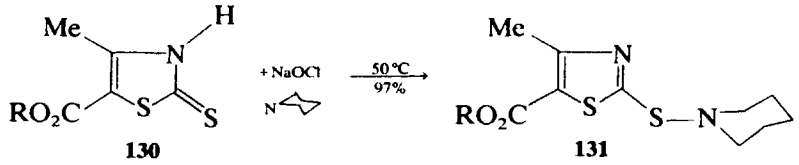
127 **128** **129**

Scheme 65

1-Alkylamino-3-(2-thiazoloxy)-2-propanol derivatives show adrenergic activities. Attempts to correlate these activities with the conformational state of the side chain by the MO LCAO SCF method started recently (889).

B. *Sulfenamides and Disulfides*

Because of their use in the rubber industry various sulfenamido thiazoles (**131**) have been prepared. They are obtained in good yields through the oxidation of Δ-4-thiazoline-2-thiones (**130**) in aqueous alkaline solution in the presence of an amine or ammonia (Scheme 66) (123, 166, 255, 286, 308, 309). Other oxidizing agents have been proposed (54, 148, 310–313) such as iodine (152), chlorine, or hydrogen peroxide. Disulfides can also be used as starting materials (314).

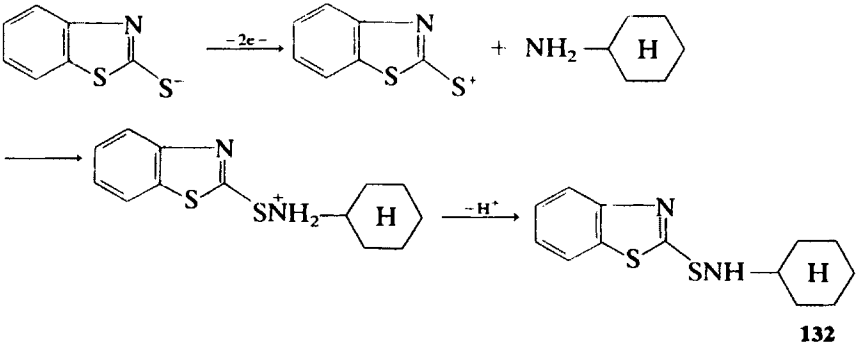


130 **131**

Scheme 66

Studies on benzothiazoles indicate that sulfenamide formation probably occurs via the mechanism given for the formation of **132** (Scheme 67) (315).

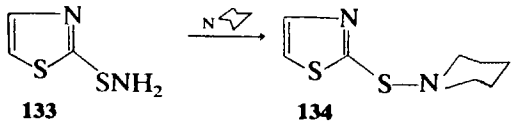
2-Sulfenamidothiazoles are stable in basic media but decompose readily in acidic media to the disulfide and the amine (312).



132

Scheme 67

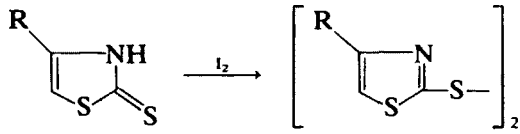
The amino group on sulfur in **133** may be replaced by substituted amines with evolution of ammonia to give compounds such as **134** (Scheme 68) (316).



133 **134**

Scheme 68

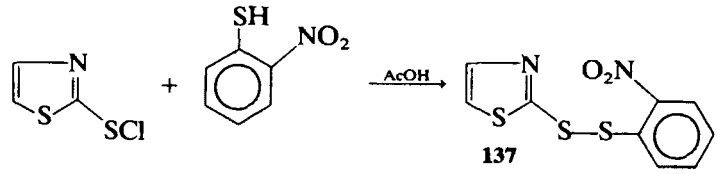
Disulfides (**136**) are formed in good yields by oxidation of Δ-4-thiazoline-2-thiones (**135**) and derivatives in basic media Scheme 69 (7, 130, 146–151, 317–319).



135 **136**

Scheme 69

Various oxidation reagents have been used: iodine (148, 149), ammonium persulfate (149), and HIO_4 (149). Unsymmetrical disulfides (**137**) result from the action of a mercaptan on a sulfenyl chloride (Scheme 70) (320).



137

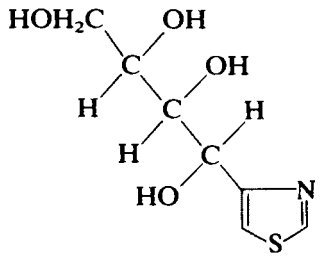
Scheme 70

Thiazole disulfides absorb at 235 and 258 nm (320–322) and characteristic infrared bands are reported in Ref. 320. The activities of 2-cyclohexyldithiomethylthiazoles as vulcanization accelerators have been correlated with their mass-spectral fragmentation patterns (322).

Thiazole disulfides react with amines in the presence of oxidizing agents to yield 2-sulfenamidothiazoles (314). Results obtained in the benzothiazole series (323) indicate that they could be used as starting material to obtain 2-halosulfothiazoles.

Thiazole disulfides are reported to yield quantitatively Δ-4-thiazoline-2-thiones under treatment with zinc powder in acetic acid (326). The disulfide bond can be broken on heating at 100 to 260°C and (or) by alkali. This property has been used for photographic emulsions (327). The disulfide (**136**) (R = 4-(D-*arabino*-tetrahydroxybutyl) can be cleaved readily by aqueous sodium hydroxyde, carbonate, or hydrogen carbonate (149) to give **135**; a by-product, 4-(D-*arabino*-tetrahydroxybutyl) thiazole (**138**), is also isolated in low yield (Scheme 71) (149).

Metal salts of Δ-4-thiazoline-2-thione are used in the rubber industry: Zn salts (123, 152), Pb and Mg salts (54), Cd salts (151, 324), Cu salts (325), in photographic processes (146), and in analysis (328). Zn, Ni, Co and Cd salts are used as germicides (329). Despite their wide range of application, little is known about their physical and chemical properties.



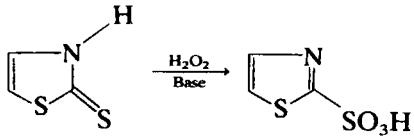
138

Scheme 71

C. *Sulfonic and Sulfinic Acids and Derivatives*

Direct sulfonation of thiazole, as well as of 2-substituted thiazoles, leads mostly to substitution in the 5-position (330–332). 4-Thiazole sulfonic acid has been prepared through direct sulfonation of 2,5-dibromothiazole with subsequent Raney Ni reduction (330). Sulfonation of 2,5-dimethyl- and 2-piperidyl-5-methylthiazoles affords the corresponding 4-sulfonic acids as barium salts (247). The 2-hydroxy group facilitates the sulfonation (201, 236). When the 4- and 5-positions are occupied direct sulfonation can occur in the 2-position. 5-hydroxyethyl-4-methyl-2-thiazole sulfonic acid has been prepared in this manner (7).

More commonly, 2-sulfonic acids (**139**) are prepared by oxidation of the corresponding Δ-4-thiazoline-2-thione (Scheme 72). Oxidation can be

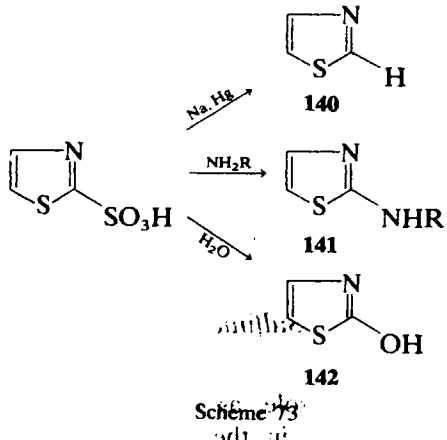


139

Scheme 72

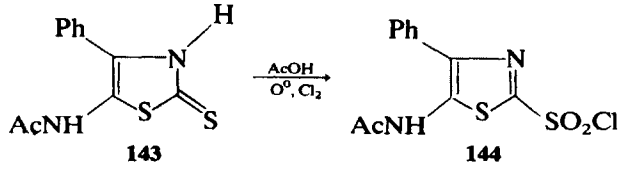
performed with nitrogen tetroxide in chloroform (54) or hydrogen peroxide in basic medium (201–203). Controlled oxidation of 2-mercapto compounds lead to the isolation of the sodium salt of the 2-sulfinic acid (127). Oxidation with H_2O_2 in acidic medium gives the unstable sulfinic acid, which results in unsubstituted thiazolium salts (126, 127, 138, 143). Reduction of 2-acetamidothiazole sulfonyl chloride by $NaHSO_2$ yields the unstable sulfinic acid, which loses SO_2 on standing at room temperature or when boiled with water (333).

2-Thiazole sulfonic acid reacts with nucleophiles leading to the corresponding 2-substituted compounds (**140**, **141**, and **142**) (Scheme 73) (39, 334).



Scheme 73

2-Chlorosulfonylthiazoles (**144**) are obtained from Δ-4-thiazoline-2-thiones (**143**) by action of chlorine in acetic acid (Scheme 74) (335, 336).

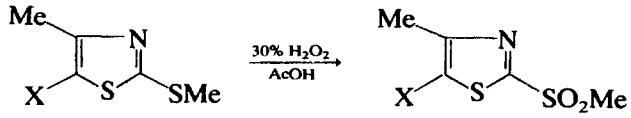


Action of HSO_3Cl on 2-substituted thiazoles affords the 5-chlorosulfonyl derivatives (337, 338). Addition of 6-phenylthiazolo[2,3-*e*]tetrazole to oleum opens the tetrazole ring to form 2-azido-4-phenylthiazolyl-5-sulfonic acid, isolated as its salt (339). 5-Chloro-sulphonyl derivative is obtained similarly by action of HSO_3Cl.

2- and 5-chlorosulfonylthiazoles are unstable and are used as sulfamoyl precursors (335, 336, 339, 340). Thus diuretic sulfonamides are prepared by the combined action of chlorine, acetic acid, and ammonia (336) on the corresponding Δ-4-thiazoline-2-thione.

2-Acetamido-4-methyl-5-thiazolyl-sulfuryl chloride gives by hydrolysis the acid, which on heating with H_2SO_4 is reported to give the 2-sulfamic acid (337).

Sulfones and sulfoxides (**145**) are obtained usually from the corresponding sulfide by oxidation (Scheme 75) (341), though some of them were prepared from a halothiazole and metal sulfinate (342). 2-Amino-5-acetamidophenylsulfonylthiazole has been prepared by direct heterocyclization (343, 344).



(X = H, SCN, Br)

145

Scheme 75

The oxidation of 2- and 5-sulfides is usually performed in acetic acid and 30% hydrogen peroxide (213, 229, 263, 345–350) or better with *m*-chloroperbenzoic acid (341). Aryl (8, 272, 349, 351–353) and alkyl sulfones (129, 203, 214, 270, 274, 275) are thus obtained in good yields. Other oxidative reagents such as $KMnO_4$ (7, 273) or CrO_2 (7) in acetic acid have also been used.

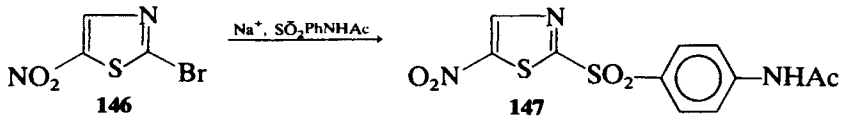
2-Chloro- and 2-acetamido-5-sulfide derivatives are readily oxidized to the corresponding sulfones, while curiously enough 2-hydroxy-5-arylsulfides are reported to be stable to oxidation (228, 558).

Aromatic nucleophilic substitution of 2- or 5-halogenothiazoles (**146** and **148**) by sulfinate affords an alternative method of preparation of sulfones (**147** and **149**) (Scheme 76) (170, 354–356).

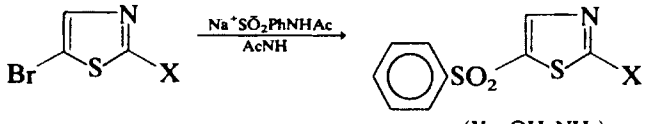
The sulfone group exhibits typical infrared frequencies at 1150 to 1170 and 1330 to 1350 cm^{-1} (350, 354). Nuclear magnetic resonance spectra have been recorded in acetone for 2- and 5-ethylsulfonylthiazoles and compared to other groups (270). The sulfonyl ethyl substituent induces a general downfield shift compared to the parent sulfides. Protons in the 2- and 4-positions appear at 9.42 and 8.45 ppm, respectively, in 5-ethylsulfonylthiazole and the protons at both the 4- and 5-positions emerge at 8.15 ppm in 2-ethylsulfonylthiazole.

Nitration, bromination, and thiocyanation of several 4-substituted 2-methylsulfonylthiazoles have been studied (213).

Theoretical studies and quantitative reactivity data are lacking for this series of compounds.



146 **147**



(X = OH, NH_2)

148 **149**

Scheme 76

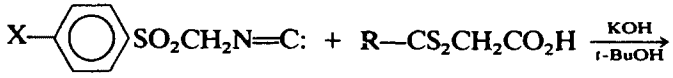
II. 4- AND 5-SUBSTITUTED THIAZOLES

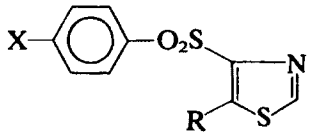
1. Sulfur Derivatives

A. Δ-2-*Thiazoline*-4-*Thione*

Although the synthesis of thiazolidine-2,4-dithione has been reported (357), no examples of a Δ-2-thiazoline-4-thione bearing a nonprotomeric group at C-2 are known. Some fused compounds are reported in Table 41.

Recently, an original method of heterocyclization afforded the first examples of 4-arylsulfonylthiazoles (**150**) (Scheme 77) (358, 359). The





150

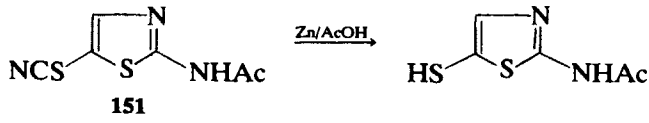
Scheme 77

tosyl group has been assigned to the 4-position on the basis of PMR and ^{13}C NMR spectroscopy.

B. Δ-2-*Thiazoline*-5-*Thione*

Δ-2-Thiazoline-5-thiones are generally not obtained by direct heterocyclization reactions (352). Instead, most of the reported preparations involve reactions in which the thiazole ring is already formed with the suitable mercapto precursors in the 5-position.

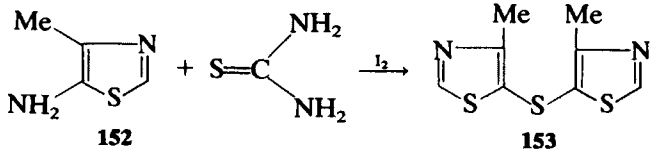
Thus reduction of the 5-thiocyanato group of **151** by zinc (333, 360, 361) or aqueous sodium sulfide (348, 362), hydrolysis of the thiouronium group (7, 363, 364), and deacetylation of the 5-acetylthiothiazole with cold piperidine (365) have been performed to yield the 5-mercaptothiazole (Scheme 78). It must be pointed out that depending on the experimental conditions, bis(5-thiazolyl)sulfide may be observed as a by-product (363, 365). Thus 5-amino-4-methylthiazole (**152**) treated with



151

Scheme 78

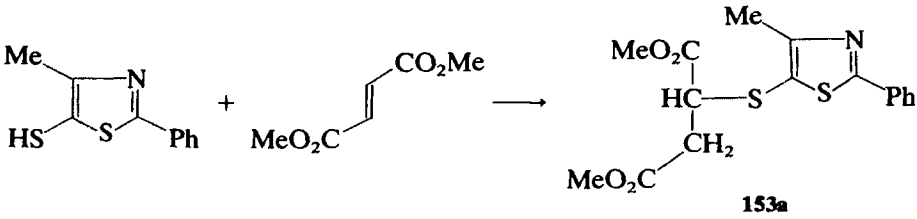
thiourea and iodine gives the sulfide (**153**) (Scheme 79) (366). A bis sulfide is also obtained when 2-amino-5-bromothiazole reacts in alkaline medium with H_2S (367), or even by direct heterocyclization (352, 886).



152 **153**

Scheme 79

Tautomerism of the Δ-2-thiazoline-5-thiones has not been investigated intensively. A recent report shows that 2-phenylthiazole-5-thiols exist in the thiol form in both polar and nonpolar solvents (563). This behavior is in contrast with that of corresponding thiazolones. Addition reactions involve only the exocyclic sulfur atom, and thiazole-5-thiols behave as typical heteroaromatic thiols towards unsaturated systems, giving sulfides (**153a**) (Scheme 80) (563).



153a

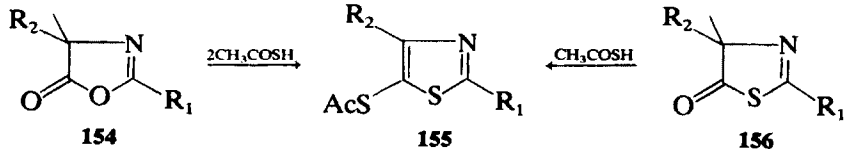
Scheme 80

Alkylation of 5-mercaptothiazole in alkaline medium takes place on sulfur (348, 362).

Nucleophilic substitution of the 5-halo substituent on a thiazole ring by a thiocyanato group (348, 362, 370–376) or a thiouronium group (364, 377) affords the thiocyanato and thiouronium "precursors."

5-Thioacetyl derivatives (**155**) are obtained by direct heterocyclization reactions (365, 378, 563) and by a "sulfur-oxygen exchange" reaction involving thioacetic acid and Δ-2-oxazoline-5-one (**154**) or Δ-2-thiazoline-5-one (**156**) (Scheme 81) (365, 378, 379). Ra-Ni reduction of **155** affords the 5-unsubstituted thiazole (379).

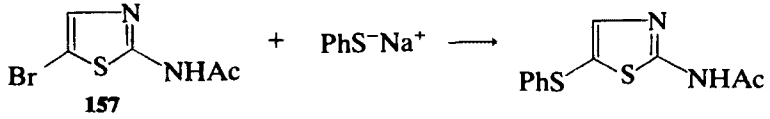
Some 5-thiazolylarylsulfides have been prepared by heterocyclization



154 155 156

Scheme 81

reactions (Chapter II). However, most of the reported preparations involve reactions in which the thiazole ring is already formed. Replacement as in **157** of the 5-bromo group by alkylthio (380, 381) or arylthio group (346, 349, 382, 383) is the most commonly used method (Scheme 82).



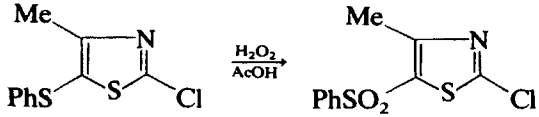
157

Scheme 82

When the ring is activated either by a hydroxy (229) or an acetylamino group (384, 385), *p*-nitrobenzenesulfenylchloride acts as an electrophilic reagent and introduces the arylthio group at C-5.

The spectrum of 5-ethylthiothiazole compared to that of thiazole shows a slight deshielding effect on $H-C_2$ (9 versus 9.1 ppm) and a slight shielding effect on $H-C_4$ (7.93 versus 7.88 ppm) (270).

5-Thiazolylsulfides are reactive toward oxidizing reagents, yielding the corresponding 5-sulfones (**158**) (Scheme 83) (229, 346–349, 353, 382).



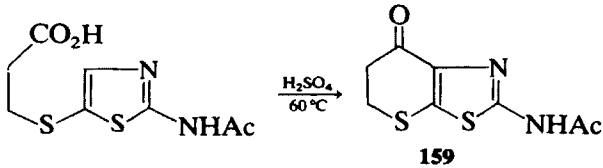
158

Scheme 83

Attempts to oxidize 5-(2-hydroxy thiazolyl)phenyl sulfides are reported to be unsuccessful (228).

With a carboxy group on the alkyl chain of the alkylthio substituent, C-4 may be involved in an intramolecular nucleophilic substitution to give **159** (Scheme 84).

Nitric acid oxidizes the 5-thiocyanato group to the sulfate (360).



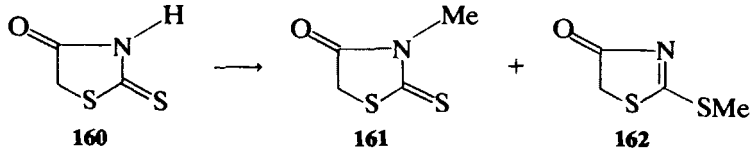
159

Scheme 84

2. Oxygen Derivatives

A. Δ-2-*Thiazoline*-4-*One and Derivatives*

Δ-2-Thiazoline-4-ones are usually obtained by the heterocyclization method (386–388). 2-Alkylthio-4(5)-thiazolones (**162**) are obtained by alkylation at sulfur of rhodanine (**160**) in nonpolar solvent (Scheme 85).



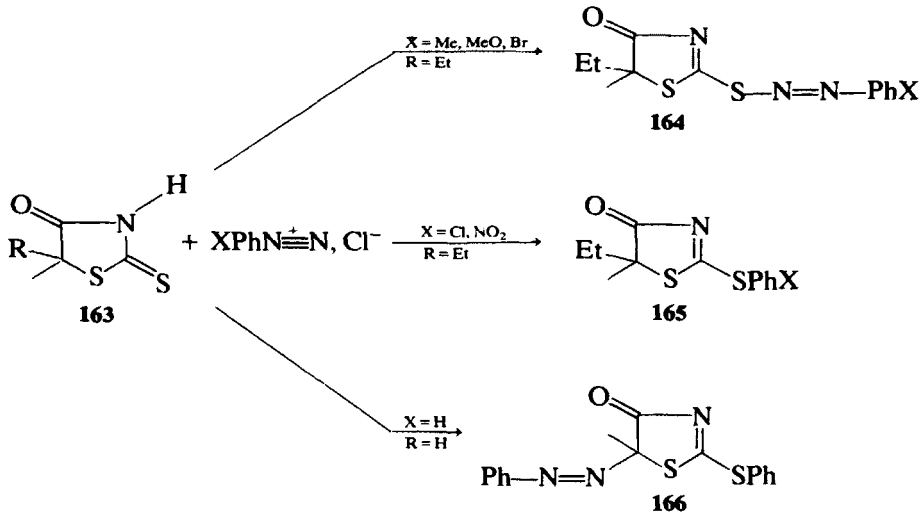
160 **161** **162**

Scheme 85

As the polarity of the medium is increased 3-alkylrhodanine (**161**) is obtained (389, 393). 2-Thiophosphonyl derivatives have been obtained by a similar reaction (394).

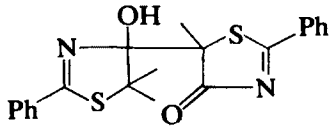
2-Arylthio-5-ethyl-4(5)-thiazolone derivatives (**164**, **165**, and **166**) have been prepared by treatment of rhodanine (**163**) with the appropriate aryldiazonium salts (Scheme 86) (395).

Most of the 5-unsubstituted compounds are particularly reactive, and special care must be taken during their synthesis (386). Thus the synthesis of 2-phenyl-Δ-2-thiazoline-4-one has been claimed several times, and



163 **164** **165** **166**

Scheme 86



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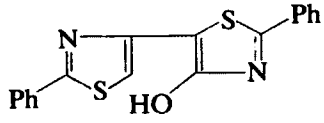

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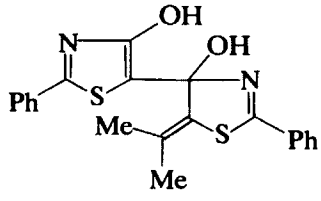


169

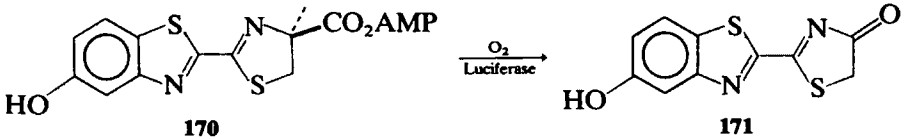
Scheme 87

much controversy arose concerning its structure (396–398). Among the products described as 2-phenyl-Δ-2-thiazoline-4-one are the dimers [**167** (85), **168** (399, 400), or **169** (85)] (Scheme 87).

Goto et al. (386) have qualitatively studied the relationship between the structure and the ease of formation of some 2-aryl- and 2-heteroaryl-Δ-2-thiazolin-4-one derivatives. It is found that 2-pyridyl, 2-benzimidazoyl, and 2-(6'hydroxy-5'-methyl)-benzothiazolyl derivatives are too unstable to be isolated. 6'-Hydroxy-, 6'-methyl-, and unsubstituted 2-benzothiazolyl derivatives, as well as naphtothiazolyl derivatives are unstable but isolable. On the other hand, 6'-methoxy-, 6'-acetoxy-, and 5',7'-dimethyl-6'-hydroxybenzothiazolyl derivatives as well as most of their 5-methyl substituted derivatives are stable and easily prepared.

A very active field of Δ-2-thiazoline-4-one chemistry concerns 2-(6'-hydroxybenzothiazol-2'-yl)-4-hydroxythiazole (**171**), which has appeared under the names "firefly decarboxy-ketoluciferin" (401, 402) and "firefly oxyluciferin" (403). This later name is now commonly used (404).

It has been suggested that the oxidation of *Photinus pyralis* luciferin (**170**) forms oxyluciferin (**171**) as the oxidized product (Scheme 88) (405–407), which was too unstable to be isolated and was rapidly converted in three other compounds.

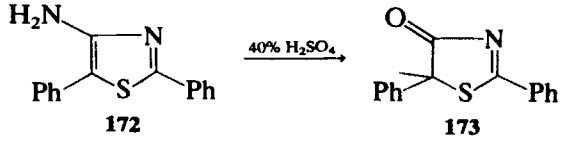


170 **171**

Scheme 88

Later, firefly oxyluciferin was successfully synthesized (403, 408) and has been isolated and identified in firefly lanterns (*luciola cruaciata*) after the lanterns were treated with pyridine and acetic anhydride to prevent decomposition (409). In 1972, Suzuki and Goto firmly established that oxyluciferin is involved in the bioluminescence of firefly lanterns and in the chemiluminescence of firefly luciferin (403, 410). A mechanism involving a four-membered ring cyclic peroxide has been proposed for the reaction (406, 411). However, it was not confirmed by O^{18}-labeling experiments (412).

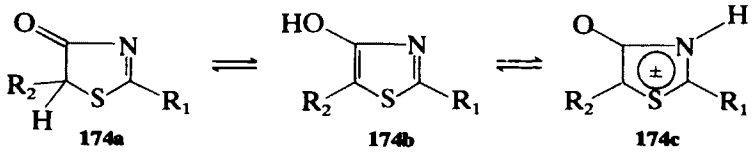
5-Substituted Δ-2-thiazoline-4-ones are much more stable (59, 386, 387, 413, 414). 2,5-Diphenyl-Δ-2-thiazoline-4-one (**173**) is obtained in 95% yield from 2,5-diphenyl-4-aminothiazole (**172**) by heating under reflux with 40% sulfuric acid (Scheme 89) (415).



172 **173**

Scheme 89

Δ-2-Thiazoline-4-one may exist in three tautomeric forms (**174a**, **174b**, and **174c**) (Scheme 90).

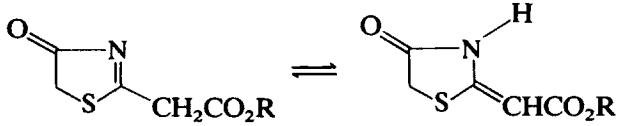


174a **174b** **174c**

Scheme 90

The third compound of this protomeric equilibrium corresponds to the mesoionic 4-hydroxythiazole. Its existence has been suggested recently from reactivity experiments (416). When R_1 in **174** is also a protomerizable group, other stable protomeric species have been observed (Scheme 91) (417, 418). They are out of the scope of this review.

Infrared and NMR data have been used to study the equilibrium, **174a** ⇌ **174b** (Scheme 90) (386, 397, 419). In general, the keto form (**174a**) in KBr disc exhibits a carbonyl absorption that appears between



Scheme 91

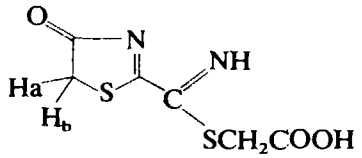
1700 and 1780 cm^{-1}: for example, 1715 cm^{-1} for the actual 2-phenyl-Δ-2-thiazoline-4-one (397), 1735 cm^{-1} for the 2-(naphthothiazole-2'-yl)-Δ-2-thiazoline-4-one (386), and 1775 cm^{-1} for 4,4'-diketo-2,2'-Δ-2-bithiazolinyl (419). The typical conjugated C–C bond of the enol form absorbs at 1560 to 1600 cm^{-1} (386, 388, 419).

Polar solvents shift the keto ⇆ enol equilibrium toward the enol form (**174b**). Thus the NMR spectrum in DMSO of 2-phenyl-Δ-2-thiazoline-4-one is composed of three main signals: +10.7 ppm (enolic proton), 7.7 ppm (aromatic protons), and 6.2 ppm (olefinic proton) associated with the enol form and a small signal associated with less than 10% of the keto form. In acetone, equal amounts of keto and enol forms were found (104). In general, α-methylene protons of keto forms appear at approximately 3.5 to 4.3 ppm as an AB spectra or a singlet (386, 419). A coupling constant, $J_{AB} = 15.5$ Hz, has been reported for 2-[(*S*-carboxymethyl)thioimidyl]-Δ-2-thiazoline-4-one **175** (Scheme 92) (419). This high J_{AB} value could be of some help in the discussion on the structure of **178** (p. 423).

A 5-methyl group, if present, appears as a doublet ($J = 7$ Hz) in the region 1.36 to 1.65 ppm (419).

The olefinic proton of the enol form emerges as a sharp singlet in the region 6.2 to 7.5 ppm (DMSO) (386), while the 5-methyl protons appear at approximately 2.2 ppm.

X-ray analysis of 2-phenylmethylamino-5-phenyl-Δ-2-thiazoline-4-one (**176**), which exists in the keto form in the solid state (420), and of 4,4'-diacetoxy-5,5'-dimethyl-2,2' bithiazolyl (**177**) (419) are available as model compounds for theoretical calculations (Scheme 92).



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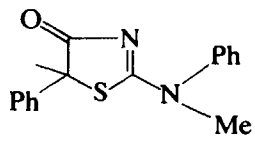

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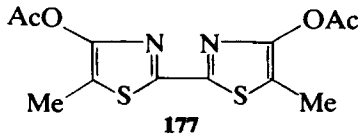


177

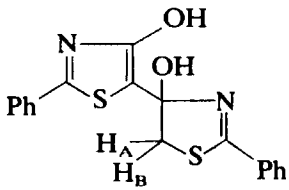
Scheme 92

2-Aryl-Δ-2-thiazoline-4-one absorbs at approximately 368 to 381 nm in methanol. The spectrum is unaffected by acidic medium, while in basic medium a large shift toward longer wavelength is observed (386). Other ultraviolet data are given in Refs. 390 and 419.

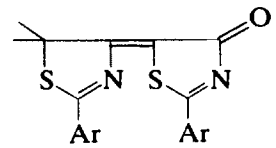
The pK_as of some 2-substituted 4-hydroxythiazoles have been determined by ultraviolet spectroscopy (403) and by potentiometry (419). They range between 6.65 and 6.85 pK_a units.

Δ-2-Thiazoline-4-one possesses three nucleophilic centers (the C-5 atom, the oxygen, and the nitrogen) and two electrophilic centers (the C-4 and C-2 atoms). In the literature all these reactive centers have been involved in autocondensation reactions.

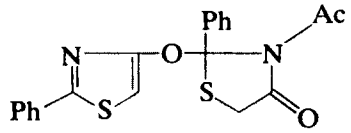
Dimerization catalyzed by acids has been reported to yield product **178** (Scheme 93) (104, 397). One point, however, has not yet been clearly



178



179



180

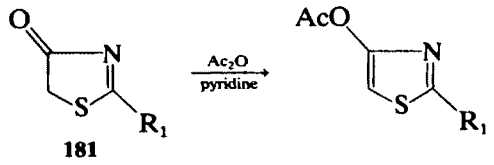
Scheme 93

resolved. The very high value of J_{AB} (15.3 Hz) in **178** does not agree with recent data for the comparable 4-hydroxy-Δ-2-thiazoline (421), for which in the same solvent $J_{AB} = 12$ Hz. By action of dilute sodium hydroxide, dimer **179** is supposedly formed (422–424). Dimer **180**, which involves the nucleophilic reactivity of the oxygen and the electrophilic character of the C-2, has also been invoked (425). Clearly, further work using ^{13}C NMR, X-ray analysis, lanthanide-shift reagents, or fragmentation patterns in mass spectrometry should be useful to firmly establish the proposed structures.

The nucleophilic reactivity of the oxygen has been observed in acetylation by acetic anhydride of 2-aryl- and 2-heteroaryl-Δ-2-thiazoline-4-ones (**181**) (388, 397, 410, 414, 416, 419, 422, 426, 427) and methylation of 5-(4′-chlorophenyl)-Δ-2-thiazoline-4-one (416) (Scheme 94).

2-Alkoxy and 2-methyl derivatives of Δ-2-thiazoline-4-one (**182**) react with phosphorus oxychloride to yield the thiazolylphosphoric esters (**183**), which have insecticidal uses (Scheme 95) (428–430).

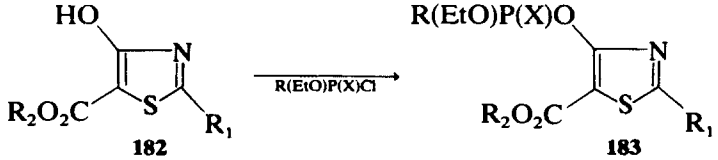
2-Chloro- and 2-alkylthio-4(5)-thiazolone (**184**) when treated in basic medium yields the corresponding 2,4-thiazolinediones (**185**) (Scheme 96)



181

Scheme 94

(387, 389, 431). 2-Alkylthiothiazolone (**184**) reacts with ammonia, alkylamines, and arylamines to give the corresponding 2-iminothiazolidin-

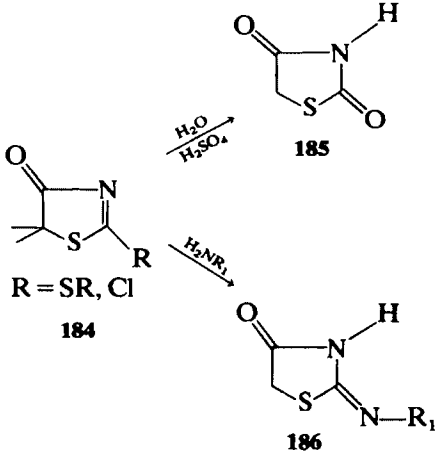


182 183

Scheme 95

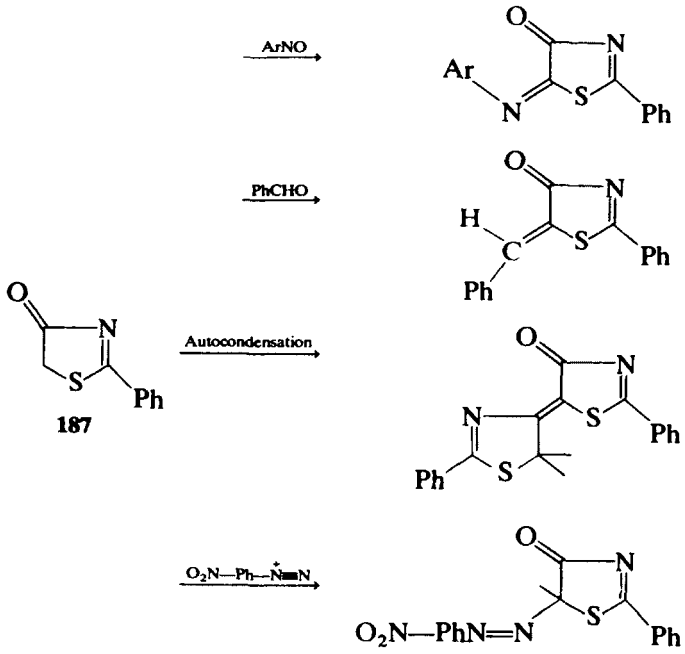
4-ones (**186**) (391). 2-Amino-4-thiazolinone reacts in a similar manner with secondary amines (432).

The stabilization of the C-5 carbanion by both the carbonyl and the sulfur of the ring in **187** affords a very powerful nucleophilic center



Scheme 96

(Scheme 97). Stepanov has thoroughly studied this nucleophilic reactivity; some examples are given in Refs. 423 and 424. The formation of 5-benzylidene derivatives involves the same nucleophilic reactivity (422). 5-Benzothiazoline and 5-benzoselenazoline derivatives of 2-diphenylaminothiazoline-4-one, have been obtained by nucleophilic addition of the thiazolone on the corresponding benzothiazolium or benzoselenazolium salts (433).

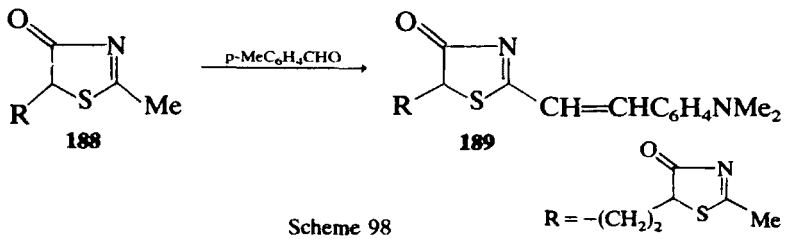


Scheme 97

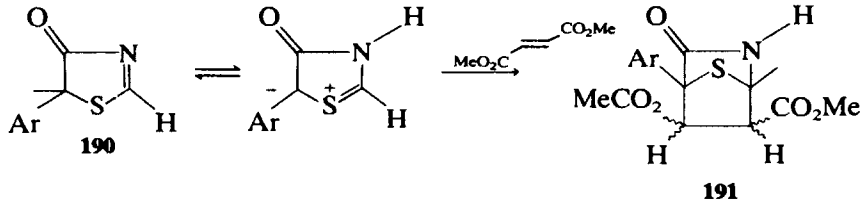
An example of the electrophilic reactivity of the C-4 atom is the easy formation of oxime and phenylhydrazone derivatives (422). It has been reported, however, that 2-phenyl-Δ-2-thiazoline-4-one does not react with phenylhydrazine (397).

The 5-substituted 2-methyl-Δ-2-thiazoline-4-one derivative (**188**) yields a condensation product (**189**) when treated with *p*-dimethylaminobenzaldehyde Scheme 98 (434). The condensation occurs on the α-2 carbon.

A very promising reactivity of Δ-2-thiazoline-4-one has been found recently. 5-Aryl-Δ-2-thiazoline-4-one (**190**) gives the 1,3-dipolar cycloaddition product (**191**) with methyl fumarate and methyl maleate



Scheme 98



Scheme 99

(Scheme 99) (416). The 4-acetyloxy-5-arylthiazole or 4-methoxy-5-arylthiazole, which are models of the protomer (**174b**) do not give cycloaddition products under the same experimental conditions. This rules out the possibility of a Diels–Alder reaction involving the protomer (**174b**) (416).

Treatment of **192** with dimethyl acetylenedicarboxylate yields a thiophene derivative (**195**) when R = Ph and a 2-pyridone (**196**) derivative when R = H (Scheme 100). The proposed mechanism involves the formation of a mesoionic derivative (**193**) initially; further dipolar addition yields adduct **194**, the decomposition of which is dependent on the R substituent as described for related compounds (435).*

The synthesis of other Δ-2-thiazolin-4-ones has been reported (414, 424, 429, 436–439). However, insufficient spectroscopic data are given to show if their structures are monomeric or polymeric.

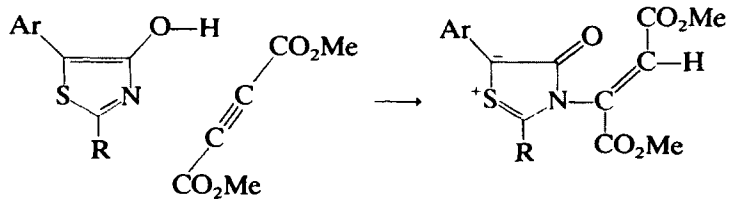
4-Methoxythiazole has been prepared by the Williamson reaction. The methoxy group exerts a bathochromic effect on the 233-nm band of the thiazole and shields both C-2 H and C-5 H (0.67 and 0.89 ppm) (289).

3-(4-Thiazolyloxy)propanediol-1,2-acetonide (**198**) has been prepared from 4-Br-thiazole (**197**) (Scheme 101) (440). Thiazolopyridazines (**199**) or thiazolooxazines (**200**) can be obtained from the 4-alkoxyderivatives (**201**) by treatment with hydrazine or hydroxylamine, respectively (Scheme 102) (441).

B. Δ-2-*Thiazoline*-5-*One and Derivatives*

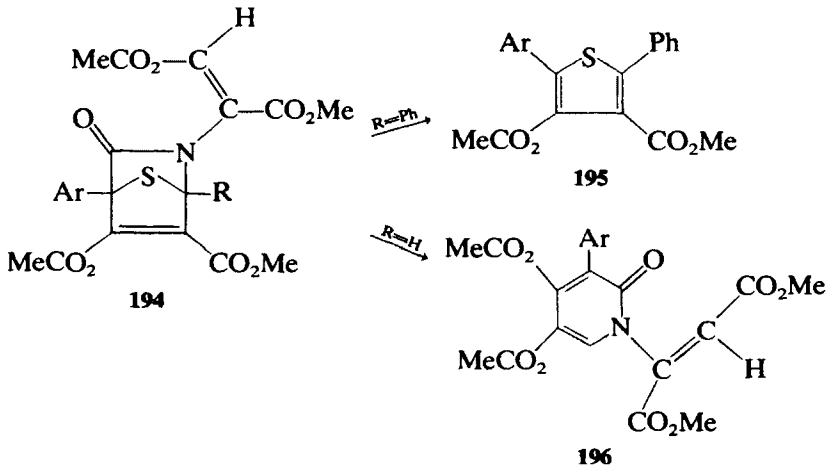
The most suitable synthetic method for these products is the heterocyclization reaction of *N*-thioacyl derivatives of amino acids (**202**) with phosphorus tribromide (378, 442–450, 559, 560) or anhydrous trifluoroacetic acid (448, 449, 451, 452) (Scheme 103). Treatment of *N*-thioacyl amino acids with acetic anhydride leads directly to the thiazolylacetate without isolation of an intermediate thiazolinone (365, 452). 2-Alkoxy-derivatives of Δ-2-thiazoline-5-one, however, can be obtained without acetylation by this method (453, 454).

* The 4-ethoxy derivative (**194b**) has been prepared recently from thermolysis of **194a** (564).

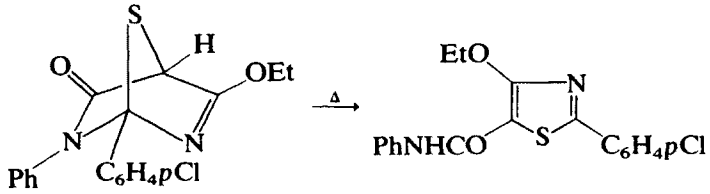


192 193

193 + $MeCO_2—C{\equiv}C—CO_2Me$ ⟶



194 195 196

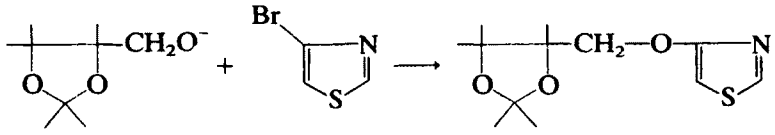


194a 194b

Scheme 100

Optically active thiazoline-5-ones (**203**) can be obtained when cyclization of an optically active N-thiobenzoyl amino acid is brought about by the use of dicyclohexyl carbodiimide in pure chloroform, dichloromethane (455), or tetrahydrofuran (453, 456) (Scheme 104).

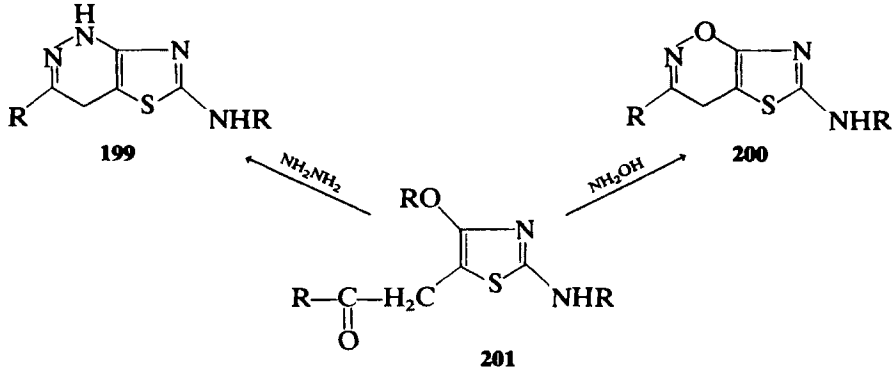
4-Alkylidene or 4-arylidene derivatives of Δ-2-thiazolin-5-one have been used as 4-alkyl-Δ-2-thiazoline-5-one precursors. Thus reduction of



197 198

Scheme 101

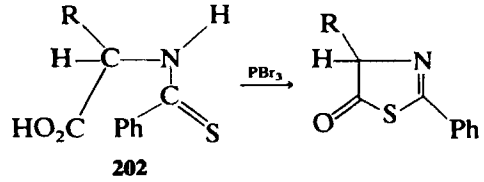
4-alkylidene-2-phenyl-5(4H)-thiazolinone (**204**) with $NaBD_4$ affords the corresponding 4-alkyl-2-phenyl-5(4H)-thiazolinone (**205**) (Scheme 105) (450, 457).



199 200 201

Scheme 102

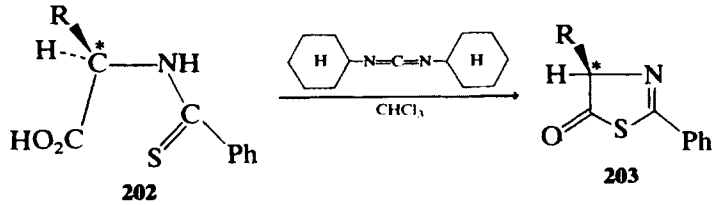
2-Phenyl-4-benzylidene-5(4H)-thiazolinone (**206**) reacts with benzene under Friedel–Crafts conditions or with phenylmagnesium bromide to



202

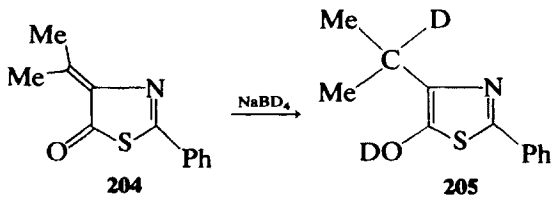
Scheme 103

yield the 4-benzhydryl derivative (**207**) (Scheme 106) (458, 459). Recently, it has been reported that **206** can undergo 1,2 addition and ring



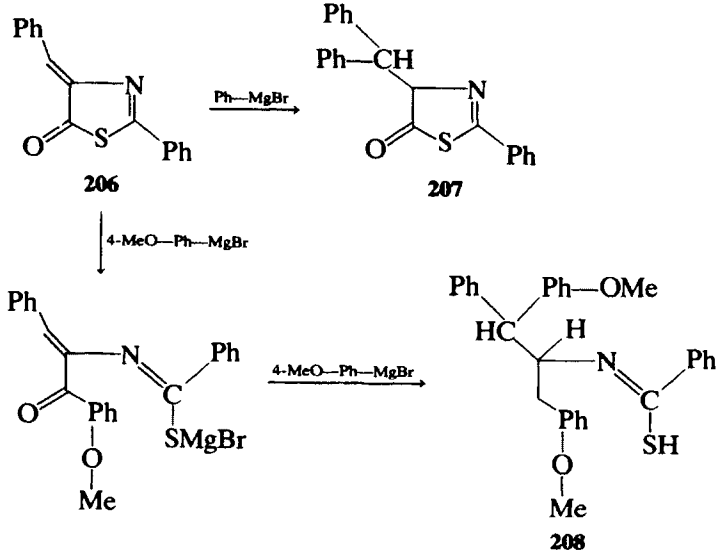
202 203

Scheme 104



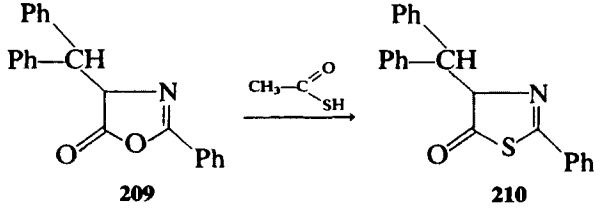
Scheme 105

cleavage to give the mercaptoketone (**208**) (460). Treatment of **206** with phenyllithium yields 2,5,5-triphenyl-4-benzylidene-2-thiazoline (460).

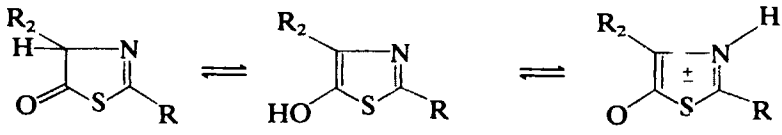


Scheme 106

Δ-2-Oxazoline-5-one (**209**) when treated with thioacetic acid yields the corresponding thiazoline-5-one (**210**) (Scheme 107) (458, 461). These results have been questioned recently (365); however, it appears in the later report that a large excess of thioacetic acid was used instead of the stoichiometric amount previously used.



Scheme 107



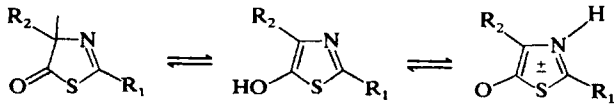
211a **211b** **211c**

Scheme 108

5-Alkoxythiazoles, which are prepared by heterocyclization methods (see Chapter II), are then easily cleaved with acid to give the 5-hydroxy homologs (462, 463).

Δ-2-Thiazoline-5-one may exist in three tautomeric forms (Scheme 108). The tautomeric equilibrium has been studied by H NMR (446, 453, 457, 464), infrared (453, 464–466), and ultraviolet (453, 464) spectroscopies; ^{13}C NMR data would be very instructive since model compounds for the three tautomers are now available. Polar solvents favor the enolic (**211b**) and the mesoionic (**211c**) forms (Table VII-12), the later being even more favored by high dilution (464). The percentage of the enol

TABLE VII-12. TAUTOMERIC EQUILIBRIUM FOR Δ-2-THIAZOLINE-5-ONES



A **B** **C**

R_1	R_2	Solvent[a]	A	B	C	Ref.
Ph	Me	$CDCl_3$	100	—	—	464
Ph	Me	CD_2Cl_2	100	—	—	464
Ph	Me	CD_3NO_2	100	—	—	464
Ph	Me	$PhNO_2$	95	5	—	464
Ph	Me	$PhC{\equiv}N$	90	10	—	464
Ph	Me	CH_3—CN	85	15	—	446
Ph	Me	Acetone	65	35	—	464
Ph	Me	Cyclohexanone	50	50	—	464
Ph	Me	CF_3CH_2—OH	45	55	—	464
Ph	Me	PhOH	30	70	—	464
Ph	Me	MeOH	20	80	—	464
Ph	Me	DMF	10	90	—	464
Ph	Me	DMSO/HMPA	0	100	—	464
Ph	*i*-Pr	DMSO	20	80	—	453
Me	*i*-Pr	DMSO	65	35	—	453
i-PrO	*i*-Pr	DMSO	100	0	—	453

[a] DMF = dimethylformamide, DMSO = dimethylsulfoxide, HMPA = hexamethylphosphoamide.

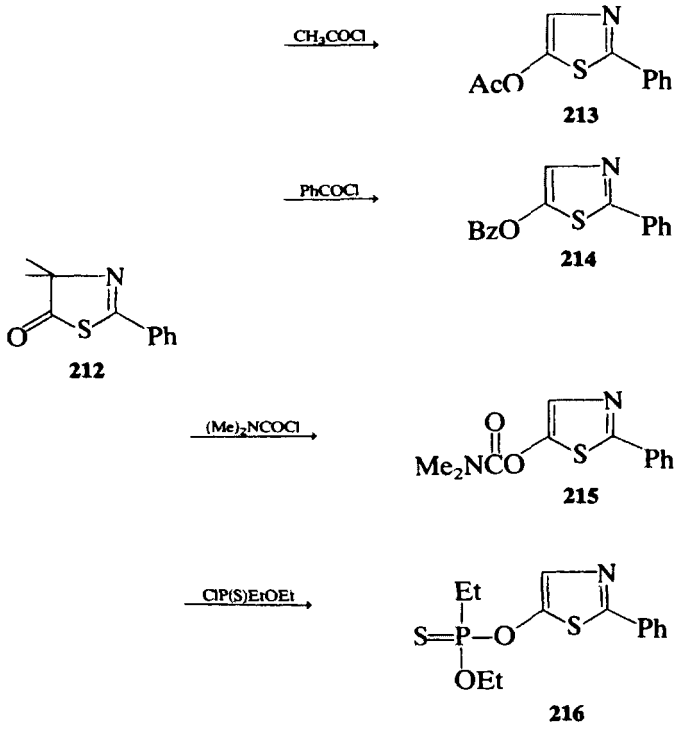
form (**211b**) increases in DMSO solution in the series 2-alkoxy, 2-methyl, and 2-phenyl derivatives.

Typical ultraviolet absorption data for the three protomers are approximately 250 nm for **211a**, approximately 322 nm for **211b**, and 370 to 415 nm for **211c** (451). Ultraviolet absorption at 305 nm, which had been previously associated with Δ-2-thiazoline-5-one (447), is in fact typical of 5-acetoxy derivatives (451).

It is worth noting that quantitative data on the rate of enolization could be obtained by polarimetry measurements since optically active thiazoline-5-ones are now available.

The reactivity of Δ-2-thiazoline-5-one is closely related to the protomeric equilibrium shown in Scheme 108.

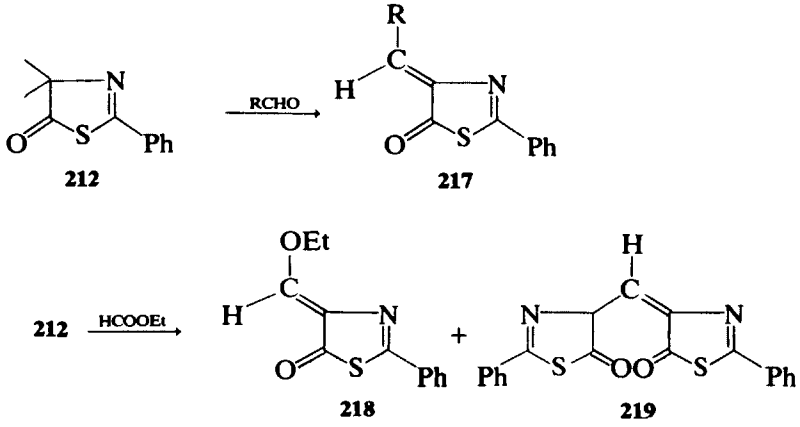
The nucleophilic reactivity of the C-5 oxygen is well documented; however, no quantitative data are available. Δ-2-Thiazoline-5-ones (**212**) react at oxygen with acetyl chloride or acetic anhydride (447, 452), benzoyl chloride (447), methyl or phenyl isocyanate (467), carbamoyl chloride (453, 467), or phosphorus derivatives (468, 428) in the presence of bases to give **213, 214, 215**, or **216** (Scheme 109). Strong bases such as



Scheme 109

NaH must be used when the starting thiazolones are not easily enolized (453, 467). Phase-transfer catalysis could be helpful for this type of reactivity.

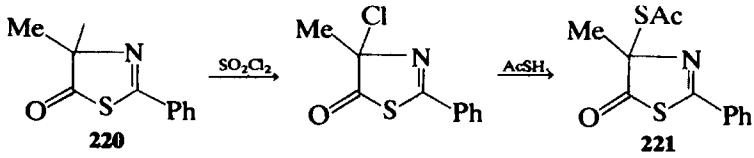
Several examples of the nucleophilic reactivity of the C-4 atom are known. 2-Phenyl-5 (4H)-thiazolinone (**212**) has been condensed with various aldehydes or ketones in tetrahydrofuran with triethylamine as a catalyst to give **217** (Scheme 110) (392, 442, 444, 445, 447, 450). Mono



Scheme 110

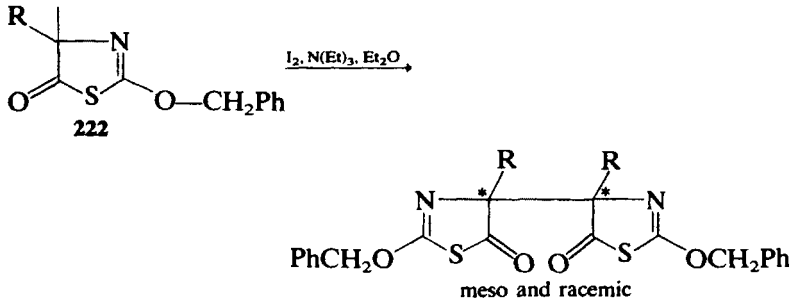
and di condensation products (**218** and **219**) of **212** with ethyl orthoformate have been reported (Scheme 110) (447).

2-Phenyl-4-methyl-Δ-2-thiazoline-5-one (**220**) treated with excess SO_2Cl_2 in dichloroethane and the crude product treated with thioacetic acid yields the 4-thioacetyl derivative (**221**) (Scheme 111) (446).



Scheme 111

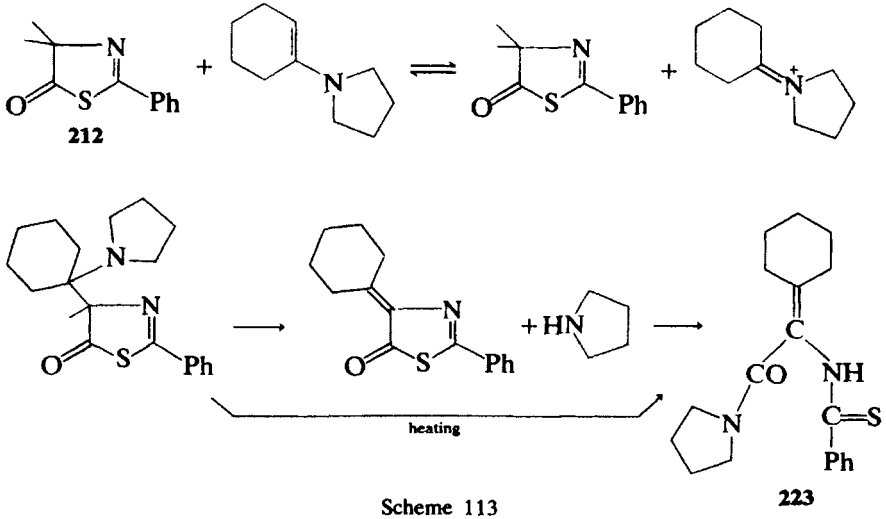
Oxidative dimerization of various 2-benzyloxy-2-thiazoline-5-ones (**222**) catalyzed by iodine and triethylamine is another example of the nucleophilic reactivity of the C-4 atom (469) (Scheme 112). Treatment of **212** with pyrrolidinocyclohexene yields the amide (**223**) (Scheme 113). The mechanism given for the formation of **223** is proposed by analogy with the reactivity of oxazolones with enamines (470). 4-Substituted 2-phenylthiazol-5(4H)-ones react with *N*-morpholino-1-cyclohexene in a similar manner (562). Recently, Barret and Walker have studied the Michaël addition products



Scheme 112

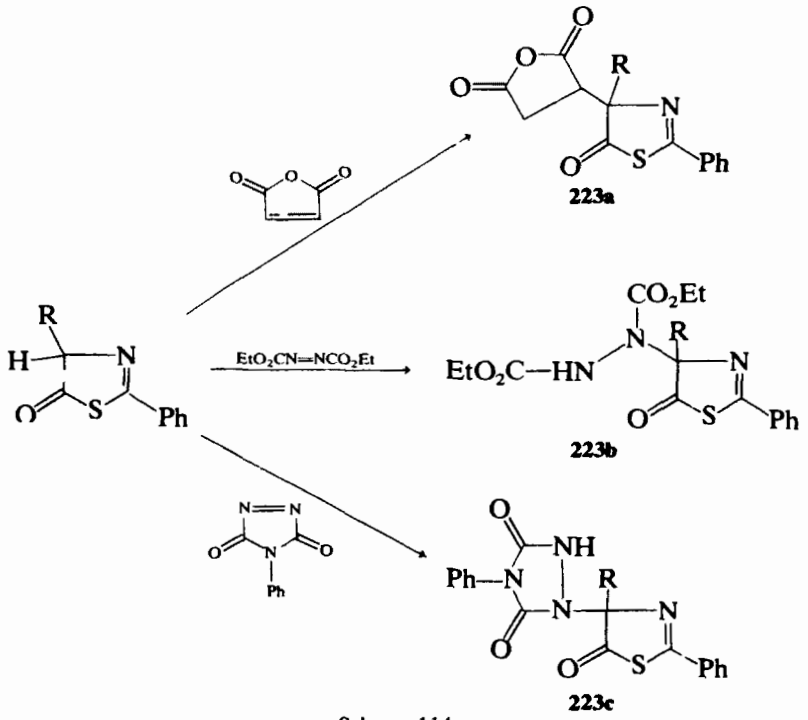
on the 4-position (562), with maleic anhydride (**223a**), diethylazodicarboxylate (**223b**), and 4-phenyl-1,2,4,-triazolin-3,5-dione (**223c**) (Scheme 114).

The keto tautomer (**211a**) is involved in the high electrophilic reactivity of the C-5 carbonyl group. Thus ring opening has been reported with various amino nucleophilic reagents.



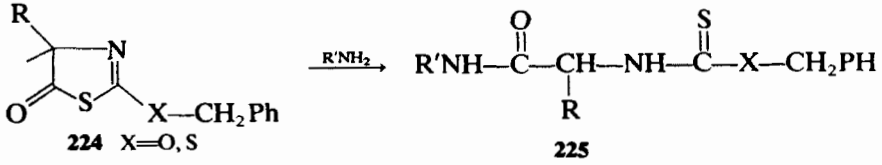
Scheme 113

2-Benzylthio or 2-benzyloxy derivatives of Δ-2-thiazoline-5-one (**224**) are readily opened by amines to give the amide derivatives (**225**) (Scheme 115) (459, 471). Compound **225** can be cyclized thermally to the corresponding thiohydantoins (459). Similarly, treatment of 4-substituted-2-phenylthiazol-5(4H)-ones (**226**) with amino acids, peptides, or hydrazine affords the corresponding *N*(α)-thiobenzamidoacetylated derivatives (**227**) (Scheme 116) (455).



Scheme 114

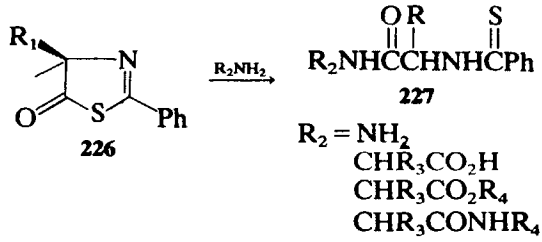
This reaction is a clear example of the importance of tautomeric equilibrium studies in this series since, to the extent that the starting thiazolone does not epimerize in the medium, asymmetric induction may be expected in this reaction (453, 455).



Scheme 115

The reaction of amines with the 4-phenylazo derivative (**228**) results in their rearrangement into triazolines. Depending on the basicity of the amines and the size of the alkoxy group, three different triazolines (**229**, **230**, and **231**) are obtained (Scheme 117) (454, 459, 472). In all cases, the first step involves nucleophilic addition of the amine to the carbonyl group followed by ring opening and further ring closure.

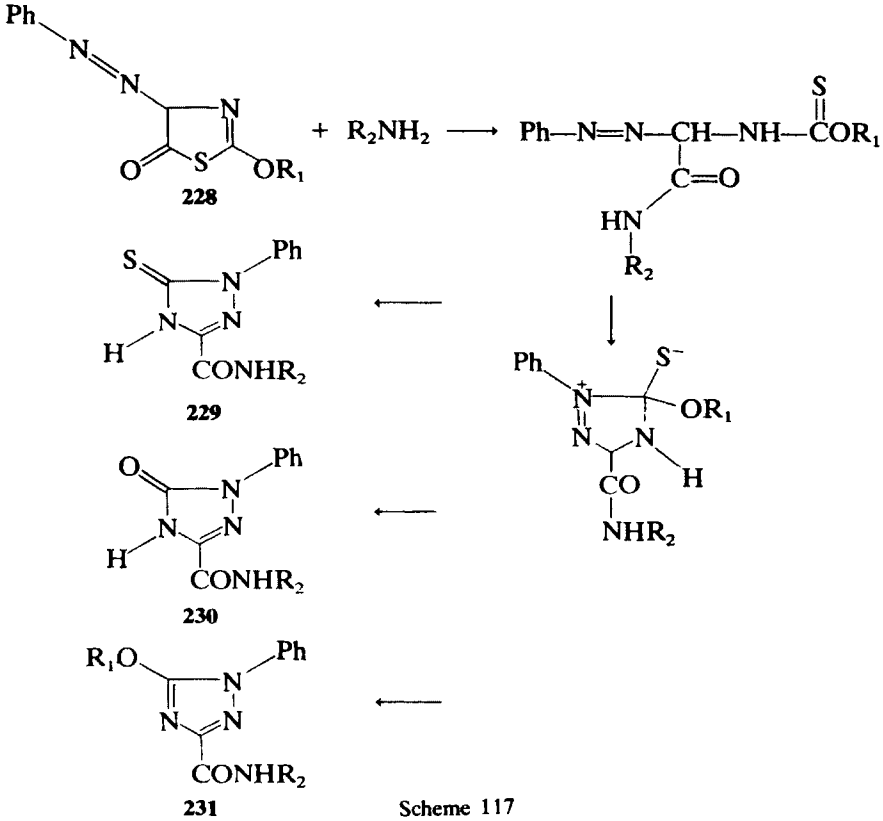
The reaction of the 2-benzyloxy thiazolinone (**232**) with $(COCl)_2$ gives



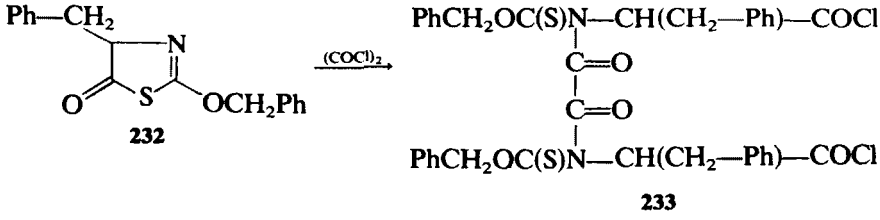
Scheme 116

a double condensation product (**233**) that probably involves initial nucleophilic addition of chloride anion on the carbonyl followed by ring opening (Scheme 118) (459). However, HCl is reported to give facile debenzylation of **232** (456, 469).

Reactivity involving the mesoionic protomer has been investigated very recently (562). It was known that fixed mesoionic structures undergo cycloaddition with various dipolarophiles (473) and that such a reactivity



Scheme 117



232 233

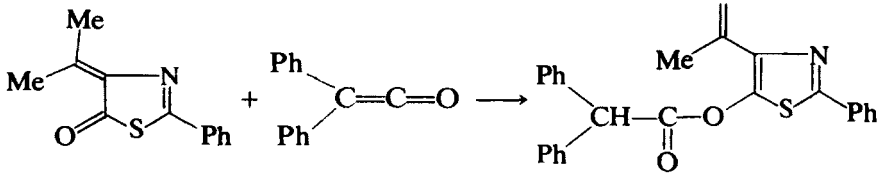
Scheme 118

was well exemplified in the oxazoline-5-one series (474, 475). Barrett and Walker (562) have shown in a systematic study that the thiazolones generally show diminished cycloaddition reactivity in comparison with corresponding oxazolones and that the nature of the 4-substituent is important in determining the proportion of the adducts and their extrusion products. Reaction of **234** with activated alkenes or alkynes leads to various cycloadducts (**235–238**, **240**) (Scheme 119).

5-Alkoxythiazoles are prepared by heterocyclization (274, 462). The Williamson method using catalytic amounts of KI and cupric oxide is also possible (278, 288, 306). 5-Acetoxy-4-alkenylthiazoles are obtained by treatment of **242** with acetyl chloride and triethylamine or with acetic anhydride and pyridine (450). Similarly, the reaction of diphenylketene with **242** affords 5-acyloxy-4-alkenylthiazoles (**243**) (Scheme 120) (450). The readiness of these o-acetylations suggests that 4-alkylidene thiazoline-5-one might be in equilibrium with 4-alkenyl-5-hydroxythiazoles (450).

The alkoxy group activates the C-4 of the ring towards nitration (274, 288), sulfonation (476), and bromination (274, 476). The 5-methoxy group shields both C_2–H and C_4–H (0.63 and 0.76 ppm) compared to thiazole.

Some 5-alkoxythiazoles have desirable flavoring properties (306).

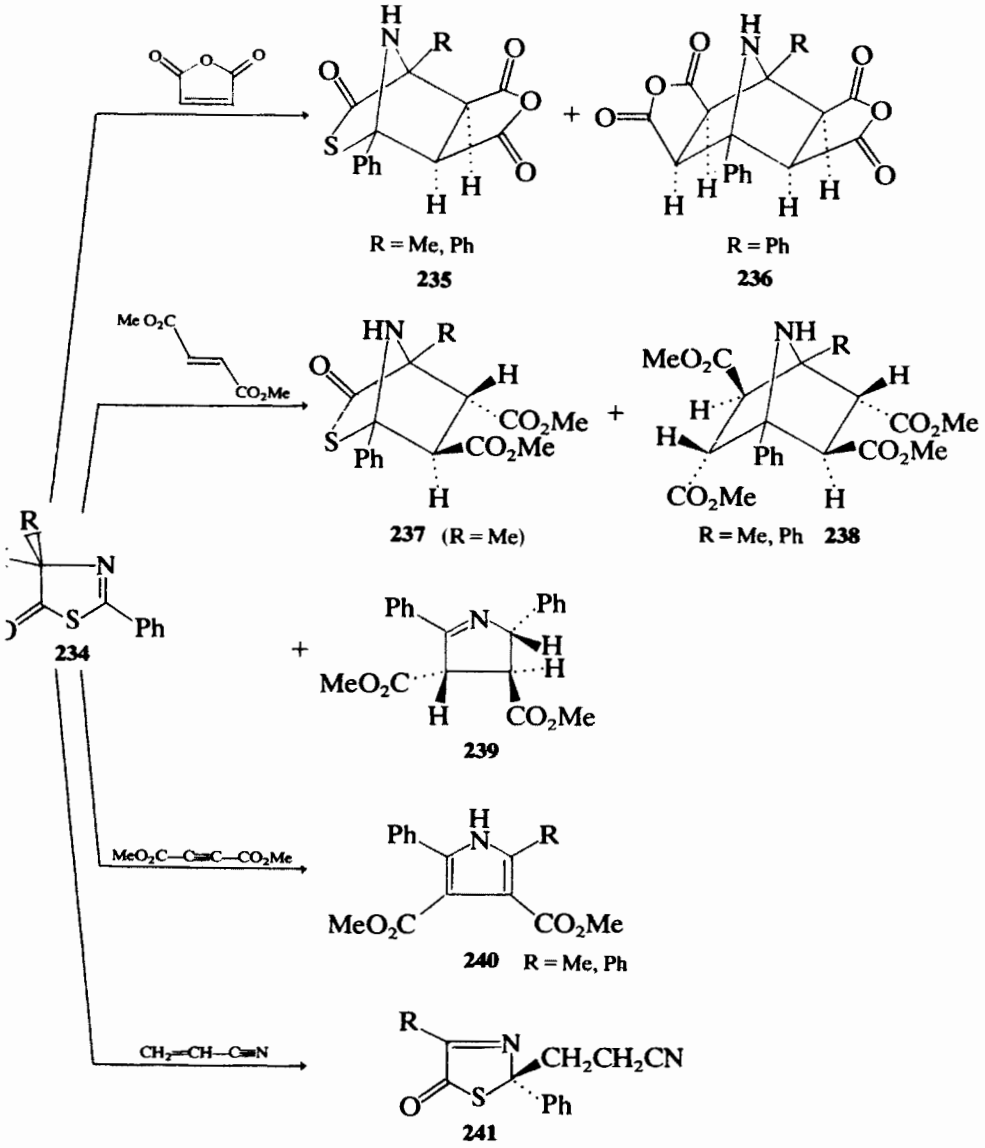


242 243

Scheme 120

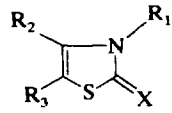
III. USES OF HYDROXY AND MERCAPTO DERIVATIVES

This section summarizes some of the proposed uses already discovered for the reviewed compounds and may suggest some others.



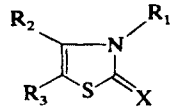
Scheme 119

TABLE VII-13. USES OF Δ-4-THIAZOLINE-2-ONES AND Δ-4-THIAZOLINE-2-THIONE DERIVATIVES



X	R_1	R_2	R_3	Uses	Ref.
O	H	Me	H	Antivirial, bactericide	477, 478
O	H	Adamantyl	$ClCH_2CH_2$–	Sedative, anticonvulsant	35
O	H	R_1	RO_2C	Fungicides	479
O	Pyrimidinyl	Me	$HOCH_2CH_2$–	Analgesic, antiinflamatory	480
O	R-C_6H_4–	Ph(R)	Ph(R)	Hypocholesterolemic	481, 482
O	AlkylNHCO–	Me	X	Herbicidal	238
S	H	H	H	Bonding ethylene propylene rubber to zinc; small amounts of the tin salts stabilize vinyl chloride polymers and copolymers; print out silver halide composition; antioxidant (*S. cerevisiae*); vulcanization accelerator; herbicides, algicides; brightener for Ni plating compositions; improver in multicolor photographic process	483 484 485 486 487 488 489 490
S	H	H	$HOCH_2CH_2$–	Additive improving the fiber obtained from ε-caprolactam polymers	491
S	H	H	Aryl-CH=N–	Bactericides, herbicides	171, 492
S	H	R	R	Vulcanization accelerators lithography printing plates and electronic circuits	493 181
S	H	Adamantyl	H	Antivirial, bactericide	477
S	H	Pentadecyl or 4-Hexadecyl-C_6H_4–	H	Modifiers in the emulsion copolymerization of butadiene	146
S	H	Ph	H	Able to form Ag salt of lower solubility than AgCl in H_2O. Therefore applications in photographic processes	494–503
S	H	4-R-C_6H_4- (R = H, F, Me_2N–)	H	Inhibition of histidine decarboxylase activity	504
S	H	MeO_2C–	H	Antifoggant for color films	505
S	H	Me	$HOCH_2CH_2$–	Anthelmintic activity	506
S	H	Me	Me	Quenching for oil composition; catalyst for the industrial isomerization of cis, α, β unsaturated carboxylic acids; rubber vulcanizate improver	507, 875 508 54

TABLE VII-13. (*Continued*)



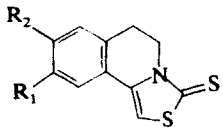
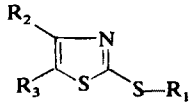
X	R_1	R_2	R_3	Uses	Ref.
S	H	Me	ArylNHCO–	Fungicide	509
S	H	Me	HO_2C–	Treatment of synthetic fibers such as a rayon or nylon to improve their adhesion to natural or synthetic rubber	510
S	H	Me	O_2N–	Photographic emulsion	511
S	H	Me	4-Me-C_6H_4-S–	Bactericide	512
S	H	EtO_2C–	MeNH–	Emulsion stabilizers for photographic process	513, 514
S	Me	4-NO_2-furyl-CH=CR– (R = H, Me)	H	Bactericides and fungicides	515, 516
S	$HOCH_2CH_2$–	R	H	Yield light-sensitive Ag complexes used for photographic products	517, 518
S	(2-thio(oxo)-thiazolinyl)-	R	R	Silver emulsion additive giving a black tone to the image and increasing the sensitivity	519
S	(2-thio(oxo)-thiazolinyl)-CH_2CH_2–S–CH_2CH_2–	Me	H	Bactericides, fungicides	25
S	4-(3-HO-5-$HOCH_2$-pyridinyl)CH_2–	Me	H	Protector against radioactive radiations, antispasmodic	520
S	5(2-R-4-NH_2-pyrimidinyl-)CH_2	R	R	Therapeutic agents for coccidiosis	521
S	$HO_2CCH(R)$–	Me	R'	Antifoggant for photographic emulsions	522, 523
S	4-$O_2NC_6H_4$–	R	R'	Desensitizers for direct positive photography	524, 525
S	H_2N	Ph	H	Fungicide	526
S	RCH=N–	Ph	H	Fungicide for phytopathogenic fungi, Fezatione	561 527, 528
S				Treatment of angina	529

TABLE VII-14. USES OF MERCAPTODERIVATIVES



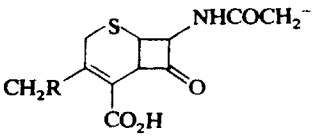
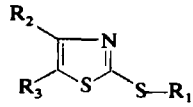
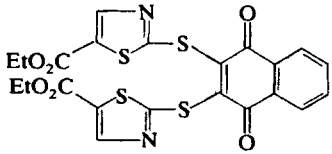
R_1	R_2	R_3	Uses	Ref.
Alkyl	R	R	Antifungal activity; defoliants, herbicides, pesticides, miticides	180, 537 871 538, 539
Alkyl	H	NO_2	Fungicides	185, 540
$F_2C{=}CFCH_2CH_2$–	H	H	Nematocides	198
Me	Me	R-CH=CH– (R = Heteroaryl salts)	Used to obtain positive colored images with a copying material	541
Alkyl	–C(O)N(R)C(S)NH–		Small amounts stabilize photographic emulsion	319
$HO_2CCH(R)$–	R-C_6H_4–	H	Analgesic, antipyretic activity	193
$RNHCH_2CH(OH)CH_2$–	R	R	β-Adrenergic receptor blocking agents	473
$RNHCH_2CH(OH)CH_2$–	heteroaryl	H	β-Adrenergic receptor blocking agents	179
Alkyl	NCS-C_6H_4	Alkyl	Anthelmintic	257, 261
Alkyl	$RNHCONHSO_2C_6H_4$-$(CH_2)_2NHCO$–	R	Hypoglycemic	242, 243
2-Imidazolyl-CH_2–	H	R	Against protozoacides	178
Aryl	H	H	Antiinflamatory, Antiphlogistic, analgesic	254, 300
2-Heteroaryl	R	R	fungicides	185, 187–189, 252
	H	H	Against *Staphylococcus aureus*	544
$(Me)_2NC(S)$–	H	NO_2	Additives for antifouling paint protecting ship hulls	196, 545
	Me	R	Rubber vulcanization	286, 546
RCO– R=OR', SR', NR'_2	Cl	Cl	Herbicides	183
	H	H	Vulcanization accelerators	314, 547–549

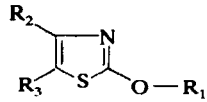
TABLE VII-14. (*Continued*)



R_1	R_2	R_3	Uses	Ref.
Ph-S–	Me	H, EtO_2C, AC, PhNHCO–	Vulcanization accelerators	322
2-Thiazolylthio-	R	R′	Stabilization of photographic Ag halide	327
2-Thiazolylthio-	R	NH_2	Control of *Bacterium citri*	550
PhHg-	Me	Me	Phytopathogenic fungicide	156, 551
R_3Sn-	Me	Me	Pesticide, total herbicidal activity	154, 552
		[a]	Rubber vulcanization accelerator	180

[a] This product is not under the heading at the top of this page.

TABLE VII-15. USES OF HYDROXY DERIVATIVES



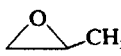
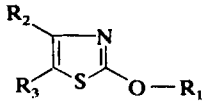
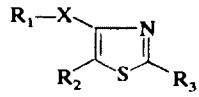
R_1	R_2	R_3	Uses	Ref.
Me	2-Furyl-CH=CR–	H	Bactericide, fungicide	530
Me	Alkyl, Ac	Alkyl, MeO–	Food flavoring	531
Me	2-Benzymidazolyl	H	Nematocide	291
Pr–	H	R-C_6H_4–CH=N–	Antitubercular activity	219, 532, 533
Alkyl	Et(EtO)PX–O–	EtO_2C–	Insecticides	428, 429
Alkyl	Et(EtO)PX–O–	N≡C–	Pesticides	872
Alkyl	$NCSC_6H_4$–	R	Anthelmintic	257–261
$RNHCH_2CH(OH)CH_2$– (R = alkyl, aryl)	H	H	Selective myocardial β-stimulant sympathomimetric; β-adrenergic blocking agent	534 535 299
$RNHCH_2CH(OH)CH_2$– (R = alkyl, aryl)	H	R	Cardiovascular agent	876
	H	$Me(Et)CH(CH_2)_3$-NHCO–	Antiarrhythmics	536

TABLE VII-15. (*Continued*)



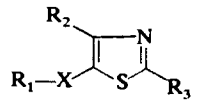
R_1	R_2	R_3	Uses	Ref.
Substituted aryl	H	H	Antiinflammatory	254
Substituted aryl	R	R	Antiphlogistic, analgesic	300
Substituted styrenes	H	NO_2	Antibacterials	890
7-Quinolinyl	H	NO_2	Bactericide, fungicide, tuberculostatic	287, 296 298
Et(EtO)PX–	R	R	Pesticides, plasticizers, lubricant additives	224
R(RO)PX–	Me	RS–	Insecticides, pesticides	221, 222
$(EtO)_2PS$–	Me, CO_2Et, CH_2CO_2Et	Ac, H	Insecticides, nematocides, acaricides	226, 227

TABLE VII-16.



X	R_1	R_2	R_3	Uses	Ref.
O	H(Me)	H	$MeSCH_2$–	Antipyretic	439
O	$RR'NCH_2CH(OH)CH_2$	H	H	Cardiovascular agents	440
O	Et(EtO)PX–	EtO_2C–	RO–	Insecticides	428, 429

TABLE VII-17.

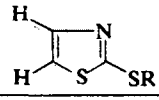


X	R_1	R_2	R_3	Use	Ref.
O	R(Me)NCO–	Aryl, alkylthio–	Allyl	Insecticides (*Tetranychus urticae*)	467
O	Et(MeO)PS–	*i*-Pr, MeEtCH–	Alkyl	Insecticides, acaricide	468
S	Cl-C_6H_4–	Alkyl	R(RO)PSNH–	Insecticides	553
S	N≡C–	H	HCONH–	Fungicidal activity, bactericide	371, 554, 555
SO_2	Ph	H	ACNH–	Bacteriostatic	349

IV. TABLES OF COMPOUNDS

See p. 171 of this volume for easier use of these tables.

TABLE VII-18. UNSUBSTITUTED THIAZOLYL-2-SULFIDES



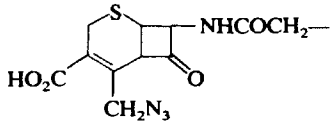
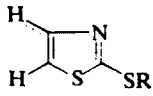
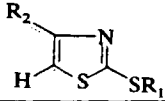
R	m.p. (°C)	b.p. (°C)	Ref.
Me	—	68/2	61 k,h,u, 91 r,i, 570 a, 572, 874 r
		89–90/5	571 r
Et	—	70/2	91 i,r
		87/12	573
Pr	—	54/1	91 i,r
Dodecyl	—	140/3	572
$AcCH_2CH_2$–	—	53/3	161 u
CH_2=$CHCO_2CH_2CH_2$–	—	—	197
CH_2=$C(Me)CO_2CH_2CH_2$–	—	—	197
$PhCH_2$–	—	16/2	91 i,r
$AcCH_2$–	—	53/16	161 u
	—	—	544
(5-NO_2-3-Me-imidazolyle-2-yl)CH_2–	—	—	178 l
(2,4-Dihydropyryrimidin-5-yl)CH_2–	256	—	124
HO_2CCH_2–	150–151	—	574 u,i
i-Pr	—	66/5	91 r,i
sec-hexyl	—	130–1/3	125
sec-heptyl	—	130–1/4	125
tetra-O-acetyl-β-D-glucosyl	122	—	164
$(EtO_2C)_2CH$–	—	118/10	161 u
t-Bu	—	112/20	91 r,i, 125
Ph	—	145/3	266 i,k,m, 567 r
p-ClC_6H_4–	50	—	351
p-$H_2NC_6H_4$–	102–4	—	254 l
m-$H_2NC_6H_4$–	79	—	575
p-$O_2NC_6H_4$–	—	167–9/0.05	254 l
m-$O_2NC_6H_4$–	62	—	575
m-$ClCH_2SO_2NHC_6H_4$–	99–101.5	—	254 l
m-$F_2CHSO_2NHC_6H_4$–	109–112	—	254 l
m-$FCl_2CSO_2NHC_6H_4$–	—	—	254 l
o-$F_3CSO_2NHC_6H_4$–	108–109.5	—	254 l
m-$F_3CSO_2NHC_6H_4$–	145–148	—	254 l
p-$F_3CSO_2NHC_6H_4$–	138.5–140.5	—	254 l

TABLE VII-18 (*Continued*)



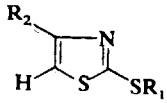
R	m.p. (°C)	b.p. (°C)	Ref.
m-$F_3CF_2CSO_2NHC_6H_4$–	108–109	—	2541
m-$F_3C(CF_2)_3SO_2NHC_6H_4$–	—	—	2541
m-$F_3CSO_2N(Me)C_6H_4$–	170–172	—	2541
m-$F_3CSO_2N(\alpha\text{-butyryl})C_6H_4$–	—	—	2541
m-$F_3CSO_2N(i\text{-butoxycarbonyl})C_6H_4$–	—	—	2541
m-$F_3CSO_2N(Ac)C_6H_4$–	—	—	2541
m-$F_3CSO_2N(carbethoxy)C_6H_4$–	48	—	2541
2,4,5-triClC_6H_2–	64	—	351
5-NO_2-thiazol-2-yl	—	—	188
PhSCO–	—	—	184 a
cyclo-Hexyl-NH–	53	—	312
N≡C–	44.5	—	9
$(Me)_3CSi$–	—	145	
$(Et)_3Sn$–	—	—	1541
$(n\text{-Bu})_3Sn$–	—	140–2/0.1	1541
(Thiazolyl-2-thio)$(Et)_2Sn$–	71–72	—	1541
(Thiazolyl-2-thio)$(n\text{-Bu})_2Sn$–	—	198–200/0.1	1541
(Thiazolyl-2-thio)$(octyl)_2Sn$–	—	—	1541
$(Ph)_3Sn$–	92–93	—	1541

TABLE VII-19. 4-SUBSTITUTED-2-THIAZOLYL SULFIDES



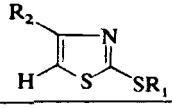
R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	Me	48–50/0.4 68/3 83/11 91/12 171/760	61 k,h,u, 87, 130, 204, 213, 273 573, 576 l, 577, 578, 591
Me	$PhCH_2$–	120/0.08	577, 578
Me	Me(HO)CH–	tlc	105 r,k
Me	Me(Cl)CH–	104–5	105 r,k
Me	$HOCH_2CH_2O(MeS)CH$–	oil	105 r
Me	OHC–	74.5–76	105 r,k
Me	EtO_2C–	—	570 a
Me	(p-$H_2NSO_2C_6H_4$)-CH_2CH_2NHCO–	—	542 a
Me	(p-$C_6H_{11}NHCONHSO_2C_6H_4$)-$CH_2CH_2NHCO$–	—	542 a
Me	Ph	24 127/0.3 32–3 110–2/0.1	37, 37 68 d, 580 147, 213, 573
Me	m-$NCSC_6H_4$–	77–9	257–262
Me	p-ClC_6H_4–	92–4	213
Me	p-Diphenyl	114	146
Me	2-Furyl	177/18	37, 581
Me	MeS–	—	572
Et	Me	54–6/0.3 95/12 83/4	130, 204, 213, 573
Et	Ph	118–20/0.2 300/760	37, 213, 572
Et	p-ClC_6H_4–	85–87	213
Pr	Me	60–2/0.3	213
Pr	Ph	125–8/0.3 150/2	213, 537 l
Bu	Me	65/0.05 82–4/1 123/11	123, 174, 213 l, 573, 582
Bu	HO_2CCH_2–	—	324
Bu	EtO_2CCH_2–	—	324
Hexyl	Me	103/2	537 l
Lauryl	Me	88–90 (picrate)	174
Octadecyl	Me	44	537 l
$HO_2C(CH_2)_6$–	Me		170, 177

TABLE VII-19 (*Continued*)



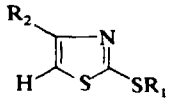
R_1	R_2	m.p. or b.p. (°C)	Ref.
$EtO_2C(CH_2)_6$–	Me	—	170, 177
$Cl(CH_2)_3$–	Me	—	583
$F_2C{=}CFCH_2CH_2$–	Me	—	1981
$AcCH_2CH_2$–	*t*-Bu	68/12	161 u
$AcCH_2CH_2$–	Ph	112/16	161 u
$AcCH_2CH_2$–	*p*-$O_2NC_6H_4$–	107	161 u
2,3-Epoxypropyl	Ph	—	822
$AcCH_2CH_2$–	*p*-$MeOC_6H_4$–	77	161 u
$AcCH_2CH_2$–	HO_2C–	167	161 u
$AcCH_2CH_2$–	EtO_2C–	75	161 u
$HO_2CCH_2CH_2$–	Et	—	160 a,l
$HO_2CCH_2CH_2$–	Ph	—	160 a,l
$HO_2CCH_2CH_2$–	*o*-ClC_6H_4–	—	160 a,l
$HO_2CCH_2CH_2$–	MeO–	—	160 a,l
$NCCH_2CH_2$–	*t*-Bu–	66/2	161 u
$ClCH_2CH_2$–	Me	—	583
$(Me)_2NCH_2CH_2$–	EtO_2C–	oil	195
$HOCH_2CH_2$–	Me	—	170, 177, 584
(4-Me-thiazol-2-yl)-SCH_2CH_2–	Me	—	170, 177
i-Bu	Me	68–70/0.6	170, 177, 213
t-BuNHCH$_2$-(OH)CHCH$_2$–	Ph	—	822
t-BuNHCH$_2$ (OH)CHCH$_2$–	α-Naphthyl	—	172, 1731
t-BuNHCH$_2$-(OH)CHCH$_2$–	β-Naphthyl	—	172, 1731
t-BuNHCH$_2$-(OH)CHCH$_2$–	1-Me-pyrrole-2-yl-	—	172, 173
t-BuNHCH$_2$-(OH)CHCH$_2$–	3-Pyridyl-	—	172, 173
t-BuNHCH$_2$-(OH)CHCH$_2$	2-Thienyl-	—	172, 173, 822
t-BuNHCH$_2$-(OH)CHCH$_2$–	2,4-Me_2-thiazole-5-yl-	—	172, 173
t-BuNHCH$_2$-(OH)CHCH$_2$–	2-Benzothiazolyl	—	172, 173
Allyl	Me	108/12	573
Allyl	EtO_2CCH_2–	—	324
Allyl	EtO_2C–	liq.	195
(4-EtO_2C-thiazol-2-yl)-$SCH_2CH{=}CH_2$–	EtO_2C–	123	195
$ClCH{=}CHCH_2$–	EtO_2CCH_2–	oil	324

TABLE VII-19 (*Continued*)



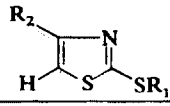
R_1	R_2	m.p. or b.p. (°C)	Ref.
Cl(Me)C=CHCH$_2$–	Me	112/1	168, 585
Cl(Me)C=CHCH$_2$–	EtO$_2$CCH$_2$–	oil	151, 324
H$_2$C=CClCH$_2$–	Me	91/3	168, 585
H$_2$C=CClCH$_2$–	EtO$_2$CCH$_2$–	oil	151, 324
H$_2$C=CClCH$_2$–	EtO$_2$C–	liq.	195
MeHC=CClCH$_2$–	Me	—	168
AcCH$_2$–	Me	50	115, 130, 170, 177
AcCH$_2$–	EtO$_2$C–	105	195
PhCOCH$_2$–	Ph	116	116
p-BrC$_6$H$_4$COCH$_2$–	*p*-BrC$_6$H$_4$–	146	586
2-ThienylCOCH$_2$–	2-Thienyl	90	116, 587 a
(5-Cl-2-thienyl)COCH$_2$–	5-Cl-2-thienyl-	134	116, 587 a
HOCH$_2$CH$_2$NHCOCH$_2$–	Ph	64	588
HO$_2$CCH$_2$–	Me	—	170, 177
HO$_2$CCH$_2$–	D-*arabino*-tetrahydroxybutyl	151	149 u
HO$_2$CCH$_2$–	Ph	91–2	122
		94	588
HO$_2$CCH$_2$–	*p*-FC$_6$H$_4$–	117–9	193 l
HO$_2$CCH$_2$–	*p*-MeOC$_6$H$_4$–	—	193 l
HO$_2$CCH$_2$–	*p*-MeSC$_6$H$_4$–	120–1	193 l
HO$_2$CCH$_2$–	*o*-ClC$_6$H$_4$–	73–5	193 l
HO$_2$CCH$_2$–	*m*-ClC$_6$H$_4$–	109–10	193 l
HO$_2$CCH$_2$–	*p*-ClC$_6$H$_4$–	97 (toluene)	193 l
HO$_2$CCH$_2$–	*p*-BrC$_6$H$_4$–	120–1 (benzene)	193 l
HO$_2$CCH$_2$–	2,4-diClC$_6$H$_3$–	118–9	193 l
HO$_2$CCH$_2$–	2-Thienyl-	91–3	193 l
HO$_2$CCH$_2$–	5-Cl-2-thienyl-	113–4	193 l
MeO$_2$CCH$_2$–	Me	—	170, 177
EtO$_2$CCH$_2$–	Me	—	170, 177
EtO$_2$CCH$_2$–	Ph	—	122
HC≡CCH$_2$–	Me	oil	589
HC≡CCH$_2$–	EtO$_2$CCH$_2$–	oil	151, 324
HC≡CCH$_2$–	EtO$_2$C–	62	195
(4-EtO$_2$C-thiazole-2-yl)-SCH$_2$CCCH$_2$–	EtO$_2$C–	91	195
PhCH$_2$–	Me	122/0.3	582
PhCH$_2$–	HO$_2$C–	189	442
PhCH$_2$–	Ph	56	37
o-MeC$_6$H$_4$CH$_2$–	Ph	103	537 l
p-O$_2$NC$_6$H$_4$CH$_2$–	Me	53–55	591 r

TABLE VII-19 (*Continued*)



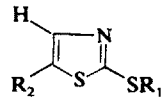
R_1	R_2	m.p. or b.p. (°C)	Ref.
2-HO-5-$O_2NC_6H_3CH_2$–	Ph	161	537
4-HO-5-$O_2NC_6H_3CH_2$–	Ph	161	537
$ClCH_2$–	Me	—	583
$HOCH_2$–	Me	—	584
1-Cyclohexeno-2-	EtO_2C–	liq.	195
t-BuNHCH$_2$-CH(OH)CH$_2$–	5-piperidinocarbonyl-2-thienyl-	—	1791
t-BuNHCH$_2$-CH(OH)CH$_2$–	5-Diethylcarbamoyl-2-thienyl-	—	1791
t-BuNHCH$_2$-CH(OH)CH$_2$–	5-Carbamoyl-2-thienyl	—	1791
t-BuNHCH$_2$-CH(OH)CH$_2$–	5-*t*-BuO-2-thienyl-	—	1791
t-BuNHCH$_2$-CH(OH)CH$_2$–	5-Ac-2-thienyl-	—	1791
i-Pr	Me	61–3/0.4	213
i-Pr	*p*-$NCSC_6H_4$–	68–9	257–262
$HO_2C(Me)CH$–	*p*-ClC_6H_4–	135–6	193
β-D-Glucopyranosyl	Me	155	164, 592
β-D-Glucopyranosyl	Ph	90	164, 592
Tetra-O-Ac-β-D-glucopyranosyl-	Me	108	164, 592
Tetra-O-Ac-α-D-glucosyl-	Ph	106	164, 592
Tetra-O-Ac-β-D-glucosyl-	Ph	151	164, 592
Diphenylmethyl-	D-*arabino*-Tetrahydroxybutyl-	157	149
$(Ac)_2CH$–	EtO_2C–	100	195
$EtO_2C(Ac)CH$–	EtO_2C–	86	195
t-Bu	Me	—	170, 177
Ac	D-*arabino*-Tetraacetoxybutyl-	97–8	149
Ac	Ph	89–90	122, 593
PhCO–	Me	60–61	591 r
PhCO–	Ph	95–96	122
EtO_2C–	Me	—	584, 591 r
PrO_2C–	Me	125/2.5	5371
MeO_2C–	Me	97/2	5371
BuO_2C–	Me	126/2	5371
$AmylO_2C$–	Me	125/1.5	5371
$HexylO_2C$–	Me	142/2	5371
$H_{37}C_{18}O_2C$–	Me	40	5371
$(Et)_2NCS$–	EtO_2CCH_2–	oil	151, 324
$(Et)_2NCS$–	EtO_2C–	108	195
Ph	Me	150/2 125/4	266 i,k,m 213

TABLE VII-19. (*Continued*)



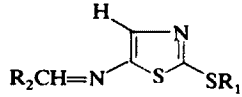
R_1	R_2	m.p. or b.p. (°C)	Ref.
Ph	Ph	45	266 i,k,m
Ph	Cl	48	266 i,k,m
p-Tolyl-	Me	142–5/4	213
p-$HO_2CCH_2OC_6H_4$–	Me	137–8	594 i
p-$MeO_2CCH_2OC_6H_4$–	Me	59	594 i
p-ClC_6H_4–	Me	180–3/8	213
p-$O_2NC_6H_4$–	Me	54	595
2,4-di$O_2NC_6H_3$–	Me	160	537 l
2,4-di$O_2NC_6H_3$–	EtO_2C–	129	195
2,4-di$O_2NC_6H_3$–	Ph	149	537 l
2,5-diHOC_6H_3–	HO_2C	105	165, 195
2-pyridyl-	Me	168/0.05	595
7-Cl-4-quinoleinyl	Me	—	596
2-Cl-1-H-purin-6-yl-	Me	209–11	277
2-Cl-1-H-purin-6-yl-	MeO_2CCH_2–	185—187	277
2-Cl-1-H-purin-6-yl-	*t*-Bu-	197–8	277 l
2-Cl-1-H-purin-6-yl-	Ph	240	277 l
5-O_2N-thiazole-2-yl-	Me	—	188 l
3-Me-1,2,4-thiadiazol-5-yl-	Me	52–3	186 l
3-MeS-1,2,4-thiadiazol-5-yl-	Me	134–5	186 l
HexylNH–	EtO_2C–	112	195
sec-Amyl NH–	Et	liq.	310, 311
cyclo-Hexyl-NH–	Me	51	310–312
cyclo-Hexyl-NH–	Et	68	310–312
t-BuNH-	EtO_2C–	101	195
Et_2N–	Et	—	548
1-Piperidino-	Me	—	548 a
1-Piperidino-	Et	liq.	548 a
$ONN(CH_2CH_2)_2N$–	Me	79	314 a,l
1-Morpholino-	EtO_2C–	157	195
Zn	Me	330 (dec.)	537 l
$(Bu)_3Sn$–	HO_2CCH_2–	183/0.1	154

TABLE VII-20. 5-SUBSTITUTED-2-THIAZOLYLSULFIDES



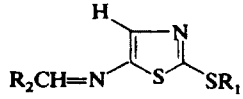
R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	Me	—	61 h,k,u
Me	Me(HO)CH–	174–80/5	571 r,k
Me	p-$O_2NC_6H_4CO_2CH(Me)$–	90–91	571 r,k
Me	Ph	32–33	285, 341 l, 580
Me	p-$MeOC_6H_4$–	—	285
Me	α-Naphthyl	—	285
Me	β-Naphthyl	—	285
Me	H_2N–	152	598 u
Me	AcNH–	—	599, 600
Et	HO–	—	442
Pr	AcNH–	208	600
Bu	o-$HOC_6H_4N{=}N$–	—	532 l
Bu	p-$HOC_6H_4N{=}N$–	—	532 l
Allyl	p-$AcNHC_6H_4N{=}N$–	—	532 l
Allyl	o-$HOC_6H_4N{=}N$–	—	532 l
$PhCH_2$–	H_2N–	—	598
$PhCH_2$–	HO–	45	442
(5-O_2N-3-Me-imidazole-2-yl)CH_2–	Me	—	178
(5-O_2N-3-Me-imidazole-2-yl)CH_2–	NC–	—	178
cyclo-Hexyl-	*cyclo*-Hexylthio-	—	572
1-Cyclohexeno-2-	HO_2C–	oil	539 l
Ph	Me	112/3	266 i,k,m
Ph	Cl	124/3	266 i,k,m
p-ClC_6H_4–	Br	137/0.1	351
2,5-diHOC_6H_4–	EtO_2C–	215–7	165
β-Naphthyl–	β-Naphthylthio–	—	572
2-NC-3-O_2N-5-F_3C-C_6H_2–	Ph-	—	181
2,4,5-triClC_6H_2–	Br-	86	351

TABLE VII-21. 5-SUBSTITUTED BENZILIDENEAMINO-2-THIAZOLYL SULFIDES



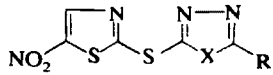
R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	*o*-HOC_6H_4–	133	114
Me	*p*-$MeOC_6H_4$–	121	114
Me	2,4-diClC_6H_3–	155	4921
Et	Ph	73	114
Et	*p*-Tolyl	—	1711
Et	*p*-$(Me)_2NC_6H_4$–	135	114
Et	*m*-$O_2NC_6H_4$–	—	171
Et	*o*-HOC_6H_4–	115	114
Et	*p*-$MeOC_6H_4$–	115	1141, 171
Et	2,4-diClC_6H_3–	133	4921
Pr	*p*-$MeOC_6H_4$–	—	171
Bu	Ph–	52	114
Bu	*p*-$MeOC_6H_4$–	—	171
Bu	2,4-diClC_6H_3–	107	4921
Amyl	*o*-HOC_6H_4–	61	114
Hexyl	*o*-HOC_6H_4–	79	114
Hexyl	*p*-diMe-NC_6H_4–	118	114
Heptyl	*p*-diMe-NC_6H_4–	99	114
Heptyl	*o*-HOC_6H_4–	56	114
Octyl	*p*-diMe-NC_6H_4–	105	114
Octyl	*o*-HOC_6H_4–	74	114
Nonyl	*o*-HOC_6H_4–	62	114
Nonyl	*p*-$(Me)_2NC_6H_4$–	87	114
Decyl	*p*-$(Me)_2NC_6H_4$–	101	114
n-Dodecyl	*p*-Tolyl	—	171
n-Dodecyl	*o*-HOC_6H_4–	69	114
n-Dodecyl	2,4-diClC_6H_3–	89	492
$HOCH_2CH_2$–	*p*-$(Me)_2NC_6H_4$–	176	114
$HOCH_2CH_2$–	*o*-HOC_6H_4–	120	114
$MeOCH_2CH_2$–	Ph	63	114
$MeOCH_2CH_2$–	*o*-HOC_6H_4–	116	114
$MeOCH_2CH_2$–	*o*-$MeOC_6H_4$–	61	114
$EtOCH_2CH_2$–	Ph	48	114
$EtOCH_2CH_2$–	*p*-$(Me)_2NC_6H_4$–	107	114
$EtOCH_2CH_2$–	*o*-HOC_6H_4–	109	114
$BuOCH_2CH_2$–	*o*-HOC_6H_4–	93	114
$AcOCH_2CH_2$–	*o*-$AcOC_6H_4$–	99	114
(5′-(*o*-HOC_6H_4CH=N)-thiazole-2′-yl)-SCH_2CH_2–	*o*-HOC_6H_4–	213	114
i-Amyl	*p*-Tolyl	—	171
i-Amyl	*p*-$MeOC_6H_4$–	—	171

TABLE VII-21 (*Continued*)



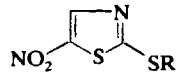
R_1	R_2	m.p. or b.p. (°C)	Ref.
i-Amyl	*p*-$(Me)_2NC_6H_4$–	107	114
i-Amyl	2,4-diClC_6H_3–	92.5	492
Allyl	*p*-$(Me)_2NC_6H_4$–	134	114
Allyl	*p*-$MeOC_6H_4$–	91	114
HO_2CCH_2–	2,4-diClC_6H_3–	203	492
MeO_2CCH_2–	2,4-diClC_6H_3–	154	4921
EtO_2CCH_2–	Ph	96	114
$PhCOCH_2$–	*o*-HOC_6H_4–	169	114
$PhCOCH_2$–	*p*-$MeOC_6H_4$–	157	114
p-$BrC_6H_4COCH_2$–	*o*-HOC_6H_4–	180	114
p-$BrC_6H_4COCH_2$–	*p*-$MeOC_6H_4$–	171	114
$PhCH_2$–	*p*-$(Me)_2NC_6H_4$–	145	114
$PhCH_2$–	2,4-diClC_6H_3–	130.5	4921
i-Pr	Ph	63	114
i-Pr	*p*-$(Me)_2NC_6H_4$–	121	114
i-Pr	*o*-HOC_6H_4–	113	114
i-Pr	2,4-diClC_6H_3–	113	4921
Ac	*o*-$AcOC_6H_4$–	108 (dec.)	114
MeCHCHCO–	2,4-diClC_6H_3–	192	4921
Cd	Ph	—	171 a
Co	Ph	—	171 a
Co	*p*-Tolyl	—	171 a
Ni	Ph	—	171 a
Mn	Ph	—	171 a
Mn	*p*-ClC_6H_4–	—	171 a
Zn	Ph	—	171 a
Zn	*p*-$MeOC_6H_4$–	—	171 a
Zn	*p*-ClC_6H_4–	—	171 a
Zn	*p*-$O_2NC_6H_4$–	—	171 a

TABLE VII-22. 5-NITRO-2-HETEROARYL THIAZOLYL SULFIDES



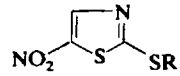
X	R	m.p. or b.p. (°C)	Ref.
NH	Me	194–6	2521
NH	Et	184–6	2521
NH	*i*-Pr	—	2521
NH	4-Pyridyl	—	2521
NMe	H	142–4	2521
NEt	Ph	128–9	2521
NCH_2Ph	H		2521
NCH_2Ph	$(Me)_2N$–		2521
N-*cyclo*-Hexyl	Ph	182–4	2521
N-*t*-Bu	MeS–	—	2521
N-vinyl	H	—	2521
N-Ph	NH_2	158–60	2521
O	Me	86–7	2521
O	Ph	189–91	2521
O	Et	—	2521
O	2-Pyridyl	167–8	2521
O	4-Pyridyl	188–90	2521
O	EtS–	—	2521
O	Allylthio	—	2521
O	$PhCH_2S$–	—	2521
O	$(Me)_2NCS_2$–	—	2521
S	Me	127–8	2521
S	*t*-Bu	—	2521
S	Ph	—	2521
S	4-Pyridyl	204–5	2521
S	NH_2	175–6	2521
S	$(Me)_2N$–	—	2521
S	$(Et)_2N$–	—	2521
S	MeS–	125–6	2521
S	EtS–	86–7	2521
S	PrS–	76–77	2521
S	*n*-Hexylthio	50	2521
S	*n*-Decylthio	69–70	2521
S	$HOCH_2CH_2S$–	90–2	2521
S	*i*-BuS–	57–8	2521
S	Allylthio	65–6	2521
S	$HC\equiv CCH_2S$–	89–91	2521
S	$PhCH_2S$–	88–9	2521
S	*i*-PrS–	84–85	2521
S	$(Me)_2NCS_2$–	158–9	2521
S	$(Et)_2NCS_2$–	131–3	2521

TABLE VII-23. 5-NITRO-2-THIAZOLYL SULFIDES



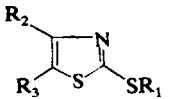
R	m.p. or b.p. (°C)	Ref.
Me	59	307
(5-O_2N-3-Me-imidazole-2-yl)CH_2–	—	178
$(Me)_2NCS$–	147	196 a, 251, 545 a
Ph(Me)NCS–	151	251
(*p*-MeC_6H_4)(Me)NCS–	180	251
(*p*-ClC_6H_4)(Me)NCS–	186	251
(α-Naphthyl)(Me)NCS–	165	251
$(Et)_2NCS$–	89	196 a, 251, 545 a
1-Piperidino-CS–	123	251
1-Morpholino-CS–	154	251
$(Ph)_2NCS$–	147	251
Ph	96–7	263, 266 i,k,m, 267 k
p-*t*-BuC_6H_4–	—	263
p-$H_2NC_6H_4$–	—	263
o-$MeOC_6H_4$–	—	263
p-ClC_6H_4–	—	263
2,5-diClC_6H_3–	—	263
2-Pyridyl	137–8	252
4-Pyridyl	49–50	252
4-Me-2-pyridyl	—	252
5-O_2N-2-pyridyl–	191–2	252
2-Pyridyl-N-oxid–	131–2	252
4-Pyridyl-N-oxid–	—	252
4-Me-pyridine-oxide-2-yl–	—	264
6-Me-pyridine-oxide-2-yl–	—	264a
3-Cl-pyridine-oxide-2-yl–	—	264 a
5-Cl-pyridine-oxide-2-yl–	—	264 a
5-Br-pyridine-oxide-2-yl–	—	264 a
3,5-diCl-pyridine-oxide-2-yl–	—	264 a
2-Chinolyl–	187–9	252 l
6,7-diMe-2-chinolyl–	—	252 l
2-Imidazolyl–	—	189
1-Me-2-imidazolyl–	—	187 l
2-Pyrimidinyl–	140–1	252 l
4-Me-2-pyrimidinyl–	132–3	265
	119–20	252 l
4-Piperidino-2-pyrimidinyl–	186–7	252 l
4,6-diMe-pyrimidinyl–	196	265
	187–8	252 l
4-Me-6-HO-2-pyrimidinyl–	217	252 l
4,6-diH_2N-2-pyrimidinyl	244–6	252 l

TABLE VII-23 (*Continued*)



R	m.p. (°C)	b.p. (°C)	Ref.
2-Benzimidazolyl–	—		187, 189
3-Me-2-benzimidazolyl–	—		189
1-Phthalazinyl–	—		252
4-Ph-1-phthalazinyl–	—		252
4-Chinazolinyl–	214–6		252
3-(1,2,4-triazinyl)–	—		252
5,6-diPh-3-(1,2,4-triazinyl)–	177–9		252
4,6-diH_2N-2-(1,3,5-triazinyl)–	—		252
4,6-diCl-2-(1,3,5-triazinyl)–	—		252
2-Oxazolyl–	—		189
4-Me-2-oxazolyl	—		187
5-Me-2-oxazolyl–	—		187
4,5-diMe-oxazolyl–	—		187
2-Benzoxazolyl–	—		187, 189
4-Me-thiazole-2-yl–	—		185
4-F_3C-thiazole-2-yl–	—		185
3-Me-1,3,4-oxadiazoline-2-one-5-yl–	—		252
3-Me-1,3,4-thiadiazoline-2-one-5-yl–	—		252
3-*cyclo*-Hex-1,3,4-thiadiazoline-2-one-5-yl–	—		252
3-Ph-1,3,4-thiadiazoline-2-one-5-yl–	—		252
1,3,4-Thiadiazoline-2-thione-5-yl–	300		252
3-Me-1,3,4-thiadiazoline-2-thione-5-yl–	—		252
3-Allyl-1,3,4-thiadiazoline-2-thione-5-yl–	—		252
3-Benzyl-1,3,4-thiadiazoline-2-thione-5-yl–	—		252
3-Ph-1,3,4-thiadiazoline-2-thione-5-yl–	157–9		252
5-(1,2,3,4-tetrazolyl)–	119–121		252
1-Me-1,2,3,4-tetrazole-5-yl–	135–6		252
1-Allyl-1,2,3,4-tetrazole-5-yl–	—		252
1-Ph-1,2,3,4-tetrazole-5-yl–	125–6		252

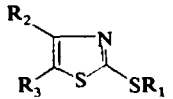
TABLE VII-24. 4,5-DISUBSTITUTED 2-THIAZOLYL SULFIDES

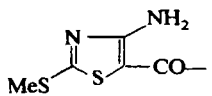
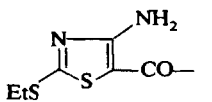


R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
Me	Me	Me	65/0.3	582
			70–2/3	580 r
			87/2	130
			109/15	61 h,k,u, 602
Me	Me	$HOCH_2CH_2$–	151–3/5	276, 570 a, 603, 604
Me	Me	$AcOCH_2CH_2$–	134/5	169, 276
Me	Me	1-PyrrolidineCOCH=CH–	—	284 a
Me	Me	HO_2CCH=CH–	—	284 a
Me	Me	EtO_2CCH=CH–	—	284 a
Me	Me	1,3-di-Me-2-one-6-pyrimidinium-ethylidene, perchlorate	—	541 a
Me	Me	1,3-di-Me-2-thione-6-pyrimidinium, ethylidene, perchlorate	—	541 a
Me	Me	1-Me-6-O_2N-2-benzothiazolium ethylidene, iodide	—	541 a
Me	Me	$(NC)_2C$=CH–	—	284 a
Me	Me	$HO_2C(NC)C$=CH–	—	284 a
Me	Me	(4-oxo-5-HO_2CCH_2-2-Δ-2-thiazolinyl)NHN=CH–	276	6051
Me	Me	OHC–	104–5	284 a, 573
Me	Me	*p-cyclo*-hexylNHCONHSO$_2$–$C_6H_4CH_2CH_2NHCO$–	—	543

Me	Me	*p*-$H_2NSO_2C_6H_4CH_2CH_2NHCO$–	—	543
Me	Me	EtO_2C–	33–4	606 l
Me	Me	Ph	90–100/0.06	578
Me	Et	Me	80/0.2	582
Me	–CH=CHCOC(OH)=CH– [a]		193	607 a
Me	H_2NCO–	H_2N	—	608 a
Me	H_2NCO–	MeNHCSNH–	179	608 a
Me	*p*-$H_2NSO_2C_6H_4CH_2CH_2NHCO$–	Me	—	542 l
Me	*p*-*cyclo*-HexylNHCONHSO$_2$-$C_6H_4CH_2CH_2NHCO$–	Me	—	542 l
Me	–CON(Me)C(SMe)=N–		—	608 a
Me	–CON(Me)CSNH–		—	319 a, 608 a
Me	EtO_2C–	H_2N	165	
Me	NC–	MeNHCSNH–	222	608 a
Me	Ph	HO_2CCH_2–	145	610, 611
Me	Ph	HO_2CCH=CH–	—	284 a
Me	Ph	OHC–	93	573
Me	Ph	Ph	—	341
Me	Ph	H_2N–	71	210, 613
Me	Ph	AcNH	166–7 168	210 613
Me	Ph	MeO_2CNH–	78–80	613
Me	Ph	PhCH=N–	119	210
Me	Ph	(9-oxo-9,10-dihydro-10-phenanthrene)=N–	188	210
Me	Ph	(2,4,6-trioxo-4-hexahydropyrimidine)=N–	288	210
Me	Ph	PhN=N–	—	532
Me	Ph	2-HO-1-naphthyl-N=N–	171	210
me	Ph	Br	135–7/0.15	213
Me	*p*-Tolyl–	HO_2CCH_2–	176	610, 611
Me	1-Naphthyl–	HO_2CCH_2–	125	610, 611
Me	H_2N–	Ac–	153	614 u,i,r

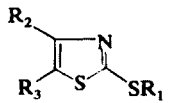
TABLE VII-24 (*Continued*)



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
Me	H_2N–	PhCO–	122	614 u,i,r
Me	H_2N–	NCN=C(SMe)SCH_2CO–	183	873 u,i,r
Me	H_2N–		238	873 u,i,r
Me	H_2N–	NC–	199	614 u,i,r
Me	H_2N–	EtO_2C–	100	614 u,i,r, 615
Me	H_2N–	H_2NCO–	190	614 u,i,r
Me	PhNHCONH–	EtO_2C–	—	615
Me		–NHCON(Ph)CO–[a]	—	615
Et	Me	$HOCH_2CH_2$–	156–8/5	276, 604
Et	Me	$AcOCH_2CH_2$–	148/4	169, 276
Et	Me	OHC–	100–3/0.2	573
Et	Me	EtS–	133/7	537
Et	Ph	HO_2CCH_2–	116 (monohydrate)	610, 611
Et	H_2N–	Ac–	109	614 u,i,r
Et	H_2N–	EtO_2C–	99	614 u,i,r
Et	H_2N–	NCN=C(SEt)SCH_2CO–	110	873 u,i,r
Et	H_2N–		184	873 u,i,r

Et	H_2N–	NC–	139	614 u,i,r
Pr	Me	$HOCH_2CH_2$–	162/3	276, 604
Bu	Me	Me	80/0.05	582
			101/1	54
Bu	Me	$HOCH_2CH_2$–	196–8/5	276, 604
Bu	Me	OCH–	115–7/0.2	573
Bu	Me	PhNHCO–	—	253, 606 l
Bu	Me	EtO_2C–	oil	253, 606 l
Bu	Et	Me	95/0.15	582
$Cl(CH_2)_4$–	Me	Me	115/0.4	54
$AcCH_2CH_2$–	Me	Me	100/65	161 u
$AcCH_2CH_2$–	Me	Ac	51	161 u
$AcCH_2CH_2$–	Me	EtO_2C–	107/10	161 u
$HO_2CCH_2CH_2$–	Me	Me	67	54, 160 a,l
$EtO_2CCH_2CH_2$–	Ph	Ph	—	191
$EtO_2CCH_2CH_2$–	*p*-Tolyl	*p*-Tolyl	—	191
$NCCH_2CH_2$–		4,5-dihydronaphtho(1,2)[a]	oil	166
$H_2NCH_2CH_2$–	Me	Me	115/0.9	54, 549
$EtNHCH_2CH_2$–	Me	Me	—	617
$Me_2NCH_2CH_2$–		4,5-dihydronaphtho(1,2)	oil	152, 166
$EtO_2CC(Me)CH_2$–	Et	Me	115/0.3	582
t-$BuNHCH_2CH(HO)CH_2$–	Me	Ph	—	173 l
t-$BuNHCH_2CH(HO)CH_2$–	*cyclo*-Hexyl–	Ph	—	172, 173 l
t-$BuNHCH_2CH(HO)CH_2$–	Ph	Ph	—	172, 173 l
t-$BuNHCH_2CH(HO)CH_2$–	*p*-FC_6H_4–	Ph	—	172, 173 l
t-$BuNHCH_2CH(HO)CH_2$–	*p*-$AllylOC_6H_4$–	Ph	—	172, 173 l
Allyl	Me	OHC–	112–4/0.25	573
Allyl		4,5-dihydronaphtho(1,2)	oil	152, 166
4,5-dihydronaphtho(1,2)-2-thiazolyl-$SCH_2CH{=}CHCH_2$–		4,5-dihydronaphtho(1,2)	114	166
$MeC(Cl){=}CHCH_2$–	Me	MeO_2C–	61	493 a, 585 l
$MeC(Cl){=}CHCH_2$–	Me	BuO_2C–	oil	493 a, 585 l

TABLE VII-24 (*Continued*)



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
$MeC(Cl)=CHCH_2$–		4,5-dihydronaphtho(1,2)–[a]	63–5	152
$MeC(Cl)=CHCH_2$–	Me	Ac–	oil	493 a
$H_2C=(Cl)CCH_2$–	Me	Ac	oil	493 a
$H_2C=(Cl)CCH_2$–		4,5-dihydronaphtho(1,2)–	60–1	152
$H_2C=(Cl)CCH_2$–	Me	MeO_2C–	oil	493 a
$H_2C=(Cl)CCH_2$–	Me	EtO_2C–	oil	493, 585
(4,5-Dihydronaphtho(1,2)-2-thiazolyl)SCH_2CCCH_2–		4,5-dihydronaphto(1,2)–	146	152, 166
$AcCH_2$–	Me	Me	161 (hydrazone)	54
$AcCH_2$–		4,5-dihydronaphtho(1,2)–	68–9	152, 166
$AcCH_2$–	H_2N	Ac	126–7	619
4,5-$(CH_2)_3$-2-thiazolyl-SCH_2COCH_2–		–$(CH_2)_3$–	81–2	192
$PhCOCH_2$–	H_2N	PhCO–	132–3	619 a
H_2NCOCH_2–	Me	Me	106	54
H_2NCOCH_2–	H_2N	H_2NCO–	200–2	619 a
HO_2CCH_2–	Me	Me	128	588
HO_2CCH_2–	Me	Ph	158–9	194 l
HO_2CCH_2–	Me	*p*-ClC_6H_4–	149–50	194 l
HO_2CCH_2–		–$(CH_2)_3$–	124–126	192, 203
HO_2CCH_2–		–$(CH_2)_4$–	124–5	192, 203
HO_2CCH_2–	Ph	Ph	123–4	194 l
HO_2CCH_2–	Ph	*p*-ClC_6H_4–	122–3	194 l
HO_2CCH_2–	*p*-$MeOC_6H_4$–	*p*-$MeOC_6H_4$–	138–40	193 l

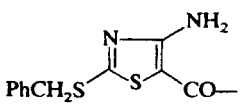
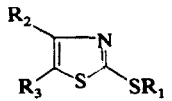
HO_2CCH_2–	*p*-ClC_6H_4–	Ph		131–3	1931
HO_2CCH_2–		–CH=CHCOC(HO)=CH–[a]		250	607
HO_2CCH_2–		–CON(Me)CSNH–		—	319
MeO_2CCH_2–	H_2N–	MeO_2C–		—	619 a
$EtCO_2CH$–	Et	Me		116/0.1	582
EtO_2CCH_2–		–$(CH_2)_4$–		oil	203
EtO_2CCH_2–	Ph	Ph		—	191
EtO_2CCH_2–	*p*-Tolyl	*p*-Tolyl		—	191
EtO_2CCH_2–	*p*-FC_6H_4–	*p*-FC_6H_4–		—	191
EtO_2CCH_2–	*p*-$(Me)_2NC_6H_4$–	*p*-$(Me)_2NC_6H_4$–		—	191
EtO_2CCH_2–	*p*-$MeOC_6H_4$–	Ph		70–1	193
EtO_2CCH_2–	*p*-$MeOC_6H_4$–	*p*-$MeOC_6H_4$–		—	191
EtO_2CCH_2–	*p*-ClC_6H_4–	Ph		90	1931
EtO_2CCH_2–	3,4-di-$MeOC_6H_3$–	3,4-di-$MeOC_6H_3$–		—	191
$PhCH_2$–	Me	Me		132/0.15	582
				176/6	54
$PhCH_2$–	Me	$HOCH_2CH_2$–		180/4	604
$PhCH_2$–	Et	Me		142/0.13	582
$PhCH_2$–	Ph	H_2N–		107	210
$PhCH_2$–	H_2N–	Ac–		116	614 u,i,r
$PhCH_2$–	H_2N–	EtO_2C–		87–8	614 u,i,r
$PhCH_2$–	H_2N–	NC–		139	614 u,i,r
$PhCH_2$–	H_2N–	NCN=C(SCH_2Ph)CH_2CO–		146	873 u,i,r
$PhCH_2$–	H_2N–				
$HCCCH_2$–	Me	Ac–		65–6	589
$HCCCH_2$–	Me	MeO_2C–		79–80	589
$HCCCH_2$–	Me	EtO_2C–		50–1	589
$NCCH_2$–	H_2N–	NC–		—	619 a
2-Me-4-H_2N-5-pyrimidinyl-CH_2–	Me	$HOCH_2CH_2$–		186	603

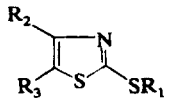
TABLE VII-24 (*Continued*)



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
i-Pr	H_2N–	NC–	—	871 a
cyclo-Hexyl	Et	Me	107/0.07	582
$HO_2CC(Me)H$–	*p*-$MeOC_6H_4$–	*p*-$MeOC_6H_4$–	119–20 138 (EtOH)	1931
$EtO_2CCH(Et)$–	Ph	Ph	—	191
$EtO_2CCH(Et)$–	*p*-FC_6H_4–	*p*-FC_6H_4–	—	191
$EtO_2CCH(Et)$–	*p*-Tolyl–	*p*-Tolyl–	—	191
$EtO_2CCH(Et)$–	*p*-$MeOC_6H_4$–	*p*-$MeOC_6H_4$–	—	191
$EtO_2CCH(Et)$–	3,4-di-$MeOC_6H_3$–	3,4-di-$MeOC_6H_3$–	—	191
$(Ac)_2CH$–		–4,5-Dihydronaphtho(1,2)–[a]	105–6	152, 166, 618
$HO_2C(Ac)CH$–	Me	HO_2C–	—	115
$EtO_2C(Ac)CH$–	Me	HO_2C–	—	115
$EtO_2C(Ac)CH$–	Me	EtO_2C–	51	115
$EtO_2C(Ac)CH$–		–4,5-Dihydronaphtho(1,2)	oil	152, 166
$EtO_2C(Me)_2C$–	Ph	Ph	—	191
$EtO_2C(Me)_2C$–	*p*-Tolyl–	*p*-Tolyl–	—	191
$EtO_2C(Me)_2C$–	*p*-FC_6H_4–	*p*-FC_6H_4–	—	191
$EtO_2C(Me)_2C$–	*p*-$MeOC_6H_4$–	*p*-$MeOC_6H_4$–	—	191
Ac–	Ph	$(Ac)_2N$–	132	210
Ac–	Ph	PhCH=N–	229	210
PhCO–	Me	Me	63	54
(4,5-Dimethyl-2-thiazolyl)-$SCOC_6H_4CO$–	Me	Me	119	54
2-Furyl-CO–	Me	Me	91	54
NC–	Me	Me	89/1.5	9

MeO_2C–	Cl	Cl	—	183 a
EtO_2C–	Cl	Cl	—	183 a
BuO_2C–	Cl	Cl	—	183 a
$AmylO_2C$–	Cl	Cl	—	183 a
$DodecylO_2C$–	Cl	Cl	—	183 a
i-BuO_2C–	Cl	Cl	—	183 a
$ClCH_2CH_2O_2C$–	Cl	Cl	—	183 a
$PhCH_2O_2C$–	Cl	Cl	—	183 a
i-PrO_2C–	Cl	Cl	—	183 a
$ClCH_2CH_2C(Cl)HO_2C$–	Cl	Cl	—	183 a
PhO_2C–	Cl	Cl	—	183 a
p-$ClC_6H_4O_2C$–	Cl	Cl	—	183 a
2-Me-4-$ClC_6H_3O_2C$–	Cl	Cl	—	183 a
$(Me)_2NCO$–	Cl	Cl	—	183 a
$(Et)_2NCO$–	Cl	Cl	—	183 a
BuSCO–	Cl	Cl	—	183 a
p-ClC_6H_4SCO–	Cl	Cl	—	183 a
$(Me)_2NCS$–	Me	PhNHCO–	187–9	253 i,l, 606 l
$(Me)_2NCS$–	Me	EtO_2C–	141–3	253 i,l, 606 l
$(Me)_2NCS$–	Me	O_2N–	153	251
$(Et)_2NCS$–	Me	Ac–	71–2	589
$(Et)_2NCS$–	Me	PhNHCO–	154–5	253 i,l, 606 l
$(Et)_2NCS$–	Me	MeO_2C–	78–9	589, 621 a
$(Et)_2NCS$–	Me	EtO_2C–	75–76 81–2	589, 606 l, 621 a
	Me	*t*-BuO_2C–	oil	589, 621 a
$(Et)_2NCS$–		–4,5-Dihydronaphtho(1,2)–[a]	118	152, 166
1-Piperidino-CS–	Me	PhNHCO–	185	253 i,l, 606 a
1-Piperidino-CS–	Me	EtO_2C–	87–9	253 i,l, 606 a
Ph	Me	PhNHCO–	115	253 i,l, 606 a
Ph	Me	EtO_2C–	66–7	253 i,l, 606 a
p-$HO_2C(CH_2)_3OC_6H_4$–	Me	HO_2C–	128–30	594
p-$MeO_2C(CH_2)_3OC_6H_4$–	Me	EtO_2C–	153/1.5	594 i

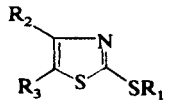
TABLE VII-24 (*Continued*)



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
p-$MeO_2C(CH_2)_2OC_6H_4$–	Me	EtO_2C–	74–5	594 i
p-$HO_2CCH_2OC_6H_4$–	Me	HO_2C–	212	594 i
			209–11	606 l
p-$HO_2CCH_2OC_6H_4$–	Me	EtO_2C–	207–8	594 i
			157–8	606 l
p-$MeO_2CCH_2OC_6H_4$–	Me	HO_2C–	193	594 i, 606 l
p-$MeO_2CCH_2OC_6H_4$–	Me	EtO_2C–	91–2	594 i
			87–8	606 l
p-$HO_2CCH(Me)OC_6H_4$–	Me	HO_2C–	238–9	168, 594 i
p-$MeO_2CCH(Me)OC_6H_4$–	Me	EtO_2C–	87–8	168, 594 i
3-Me-4-$HO_2CCH_2OC_6H_3$–	Me	HO_2C–	193	168, 594 i
3-Me-4-$MeO_2CCH_2OC_6H_3$–	Me	EtO_2C–	119	168, 594 i
3-Me-4-$HO_2C(CH_2)_2OC_6H_3$–	Me	HO_2C–	212–4	168, 594 i
3-Me-4-$MeO_2C(CH_2)_2OC_6H_3$–	Me	EtO_2C–	105–6	168, 594 i
2,4-di-$O_2NC_6H_3$–	Me	Me	151	54
2,4-di-$O_2NC_6H_3$–		–4,5-Dihydronaphtho(1,2)–[a]	174	152, 166
Hydroquinolyl–		–4,5-Dihydronaphtho(1,2)–	236–8	152
2,5-di-HOC_6H_3–	Me	H_2NCO–	160	165, 195
2,5-di-HOC_6H_3–	Me	PhNHCO–	130	165, 195
2,5-di-HOC_6H_3–	Me	HO_2C–	232	165, 195
2,5-di-HOC_6H_3–	Me	MeO_2C–	218	165, 195
2,5-di-HOC_6H_3–	Me	EtO_2C–	217	195
2,5-diHOC_6H_3–		–4,5-Dihydronaphtho(1,2)–	238	166
2-NC-3-O_2N-5-$F_3CC_6H_2$–	Ph	Ph	—	181 l
4-Me-2-pyrimidinyl–	Me	O_2N–	136	265

4,6-diMe-2-pyrimidinyl–	Me	O_2N–	186	265
2-Benzoimidazolyl–	Me	PhNHCO–	192	253 i,l, 606
2-Benzoimidazolyl–	Me	EtO_2C–	104	253 i,l, 606
2-Cl-IH-purin-6-yl–	H_2NCO–	H_2N–	250	277 l
2-Benzooxazolyl–	Me	EtO_2C–	85–6	253 i,l, 606
2-Thiazolyl–	Me	EtO_2C–	152–4	253 i,l, 606
4-H_2N-2-thiazolyl–	Me	PhNHCO–	181–2	253 i,l, 606
4-H_2N-2-thiazolyl–	Me	EtO_2C–	157–8	253 i,l, 606
5-O_2N-2-thiazolyl–	Me	Me	—	188 l
5-O_2N-2-thiazolyl–		$-CH_2CH_2CH_2CH_2-$[a]	—	188 l
4,5-diMe-2-thiazolyl–	Me	Me	44	249, 280
4,5-diMe-2-thiazolyl–	Me	$HOCH_2CH_2$–	50 104/7	249, 280
4,5-diMe-2-thiazolyl–	Me	EtO_2C–	42	249, 280
4-Me-5-$HOCH_2CH_2$-2-thiazolyl–	Me	EtO_2C–	62	248, 250
4-Me-5-$HOCH_2CH_2$-2-thiazolyl–	Me	$HOCH_2CH_2$–	130	129, 248–250, 280
4-Me-5-EtO_2C-2-thiazolyl–	Me	EtO_2C–	61, 95	248–250, 278
2-Benzothiazolyl–	Me	Me	—	190
2-Benzothiazolyl–	Me	EtO_2C–	58–9	253 i,l, 606
6-O_2N-2-benzothiazolyl–	Me	Me	129	54
3-Me-5-thiadiazolyl–	Me	Me	57–8	186 l
3-Me-5-thiadiazolyl–	Me	O_2N–	165–7	186 l
H_2N–	Me	Me		311 a
H_2N–	Me	Ac–	85	309 a
sec-Hexyl-NH–	Me	Me	oil	310, 311 a
i-BuNH–	Me	Me	52	310, 311 a
$PhCH_2NH$–	Me	Me	oil	312
i-PrNH–	Me	Me	63	310, 311, 312 a
i-PrNH–	Me	MeO_2C–	58	123
i-PrNH–	Me	EtO_2C–	oil	123, 493
i-PrNH–	Me	Ac–	52	123

TABLE VII-24 (*Continued*)

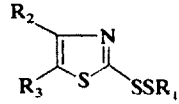


R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
i-PrNH–		$-CH_2CH_2CH_2CH_2-$[a]	oil	312
sec-BuNH	Me	Me	52	312
PrCH(Me)NH–	Me	Me	42	310, 311, 312
BuCH(Me)NH–		$-CH_2CH_2CH_2CH_2-$	oil	312
i-BuCH(Me)NH–	Me	Me	oil	312
cyclo-Hexyl-NH–	Me	Me	94	310, 311
cyclo-Hexyl-NH–	Me	Ac–	115	123
cyclo-Hexyl-NH–	Me	MeO_2C–	57	123, 493
cyclo-Hexyl-NH–	Me	BuO_2C–	oil	493 a
cyclo-Hexyl-NH–		–4,5-Dihydronaphtho(1,2)–	76	152, 166 a
t-BuNH–	Me	Ac	116	493 a
t-BuNH–	Me	MeO_2C–	144	493 a
t-BuNH–	Me	EtO_2C–	93	493 a
t-BuNH–	Me	BuO_2C–	47	493 a
$HOCH_2C(Me)_2NH$–	Me	MeO_2C–	118	493 a
$HOCH_2C(Me)_2NH$–	Me	EtO_2C–	93	493 a
$HOCH_2C(Me)_2NH$–	Me	BuO_2C–	45	493 a
$(Et)_2N$–	Me	Me	—	548 a
$(Et)_2N$–	Me	Ac–	oil	123
$(Et)_2N$–	Me	MeO_2C–	39	123, 493 a
1-Piperidino–	Me	Me	—	548 a
$(Amyl)_2N$–	Me	Me	138/2	54
$(CH_2)_6N$–	Me	EtO_2C–	104	308
$(CH_2)_6N$–	Me	Ac–	121	308
4-O_2N-1-piperazinyl–	Me	Ac–	127	314 a,l

4-O_2N-1-piperazinyl-	Me	H_2NCO-	203	314 a,l
4-O_2N-1-piperazinyl-	Me	PhNHCO-	125	314 a,l
4-O_2N-1-piperazinyl-	Me	EtO_2C-	89	314 a,l
4-(4,5-diMe-2-thiazolylthio)-1-piperazinyl-	Me	Me	154	312
1-Morpholino-	Me	Ac-	117	123
1-Morpholino-	Me	HO_2C-	57	123
1-Morpholino-	Me	MeO_2C-	124	123, 493
1-Morpholino-	Me	EtO_2C-	54	123, 493
1-Morpholino-	Me	BuO_2C-	—	493 a
$(Et)_3Sn$-	Me	Me	—	1541
$(Bu)_3Sn$-	Me	Me	155–8/0.1 158/0.3	154 5521
$(Bu)_3Sn$-	Me	EtO_2C-	187–9/0.1	1541
$(Ph)_3Sn$-	Me	Me	106–7	5521
$(MeO)_2PS$-	Ph	Br	—	2231
Rh^{II}	Me	Me	—	328
PhHg-	Me	Me	121	328
EtHg-	Me	HO_2C-	186	115, 155
Mg	Me	Me	—	54
Pb	Me	Me	—	54
Zn	Me	Me	—	54
Zn		-4,5-Dihydronaphtho(1,2)-[a]	190	166
S-Triazinyl		-4,5-Dihydronaphtho(1,2)-	230–5	152
S-Triazinyl	Me	MeO_2C-	256–7	622
S-Triazinyl	Me	EtO_2C-	202–4	622
S-Triazinyl	Me	BuO_2C-	114–5	622

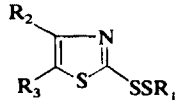
[a] 1 or left bond linked to 4-C; 2 or right bond linked to 5-C.

TABLE VII-25. 2-THIAZOLYL-DISULFIDES



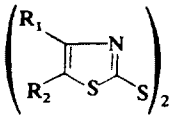
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
cyclo-Hexyl	Me	H	—	322 a
cyclo-Hexyl	Me	$MeCO_2(CH_2)_2$–	—	322 a
cyclo-Hexyl	Me	Ac–	—	322 a
cyclo-Hexyl	Me	PhNHCO–	—	322 a
cyclo-Hexyl	Me	EtO_2C–	—	322 a
Cl_3C–	Me	EtO_2C–	84	320
Cl_3C–	Ph	H	90	320
Cl_3C–	*p*-ClC_6H_4–	H	107	320
Cl_3C–	*o*-ClC_6H_4–	H	106	320
Cl_3C–	*p*-BrC_6H_4–	H	103	320
Cl_3C–	2-Thienyl	H	65	320
Ph	*p*-FC_6H_4–	H	73	320
Ph	*p*-ClC_6H_4–	H	68	320
Ph	*o*-ClC_6H_4–	H	70	320
Ph	*p*-BrC_6H_4–	H	94	320
p-Tolyl	Ph	H	91	320
p-Tolyl	*p*-FC_6H_4–	H	91	320
p-Tolyl	*p*-ClC_6H_4–	H	102	320
p-Tolyl	*o*-ClC_6H_4–	H	101	320
p-Tolyl	*p*-BrC_6H_4–	H	96	320
p-Tolyl	2-Thienyl	H	68	320
p-ClC_6H_4–	Me	H	189	320
p-ClC_6H_4–	Me	EtO_2C–	65	320
p-ClC_6H_4–	Ph	H	83	320
p-ClC_6H_4–	Ph	Ph	94	320
p-ClC_6H_4–	*p*-FC_6H_4–	H	122	320
p-ClC_6H_4–	*p*-ClC_6H_4–	H	116	320
p-ClC_6H_4–	*o*-ClC_6H_4–	H	111	320
p-ClC_6H_4–	*p*-BrC_6H_4–	H	119	320
p-ClC_6H_4–	*m*-$O_2NC_6H_4$–	H	108	320
p-ClC_6H_4–	2-Thienyl	H	90	320
o-ClC_6H_4–	Me	EtO_2C–	99	320
o-ClC_6H_4–	Ph	H	79	320
o-ClC_6H_4–	Ph	Ph	81	320
o-ClC_6H_4–	*p*-FC_6H_4–	H	98	320
o-ClC_6H_4–	*p*-ClC_6H_4–	H	113	320
o-ClC_6H_4–	*o*-ClC_6H_4–	H	113	320
o-ClC_6H_4–	*p*-BrC_6H_4–	H	107	320
o-ClC_6H_4–	2-Thienyl	H	79	320
p-$O_2NC_6H_4$–	*p*-ClC_6H_4–	H	129	320
p-$O_2NC_6H_4$–	*p*-BrC_6H_4–	H	134	320
o-$O_2NC_6H_4$–	Me	H	82	320
o-$O_2NC_6H_4$–	Me	Me	85	54, 320

TABLE VII-25 (*Continued*)



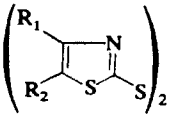
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
o-$O_2NC_6H_4$–	Me	EtO_2C–	115	320
o-$O_2NC_6H_4$–	Ph	H	115	320
o-$O_2NC_6H_4$–	Ph	Ph	123	320
o-$O_2NC_6H_4$–	*p*-FC_6H_4–	H	117	320
o-$O_2NC_6H_4$–	*p*-ClC_6H_4–	H	121	320
o-$O_2NC_6H_4$–	*o*-ClC_6H_4–	H	110	320
o-$O_2NC_6H_4$–	*p*-BrC_6H_4–	H	132	320
o-$O_2NC_6H_4$–	2-Thienyl	H	135	320
2-O_2N-4-ClC_6H_3–	Me	Me	72	320
2-O_2N-4-ClC_6H_3–	Me	EtO_2C–	119	320
2-O_2N-4-ClC_6H_3	Ph	H	119–20	320
2-O_2N-4-ClC_6H_3–	Ph	Ph	125	320
2-O_2N-4-ClC_6H_3–	*p*-FC_6H_4–	H	144	320
2-O_2N-4-ClC_6H_3–	*p*-ClC_6H_4–	H	130	320
2-O_2N-4-ClC_6H_3–	*o*-ClC_6H_4–	H	129	320
2-O_2N-4-ClC_6H_3–	*p*-BrC_6H_4–	H	121	320
2-O_2N-4-ClC_6H_3–	2-Thienyl	H	136	320
2,4-diO_2N-C_6H_3–	Ph	H	109	320
2,4-diO_2N-C_6H_3–	*p*-FC_6H_4–	H	114	320
2,4-diO_2N-C_6H_3–	*p*-ClC_6H_4–	H	117	320
2,4-diO_2N-C_6H_3–	*o*-ClC_6H_4–	H	117	320
2,4-di$O_2NC_6H_4$–	*p*-BrC_6H_4–	H	127	320
2,4-di$O_2NC_6H_4$–	2-Thienyl	H	112	320
(4,5-diMe-2-thiazolyl)SS–	Me	Me	—	672, 673
(4-Me-2-thiazolyl)SS–	Me	H	—	147
$(Et)_2NS$–	Me	Me	—	673
cyclo-Hex-NHS–	Me	Me	—	673 a
Zn	Me	Ac–	155	123
Zn	Me	MeO_2C–	133	123
Zn	Me	EtO_2C–	110	123

TABLE VII-26. 2-DITHIAZOLYL DISULFIDES



R_1	R_2	m.p. or b.p. (°C)	Ref.
H	H	83	148, 327 a, 488 l
H	H_2N–	—	550 l
H	AcNH–	—	550 l
Me	H	175–6	213 i
		61–2	130
		62–3	690
Me	Me	52	54, 130
Me	Ac–	92	123, 493 a, 566
Me	HO_2C–	199	327 a, 493 a, 510 a, 565 a, 721 a
Me	MeO_2C–	89	123, 493 a
Me	EtO_2C–	123	123, 327 a, 493 a, 691
Me	BuO_2C–	87	493 a
Amyl	H	33	146
Hexyl	H	39	146
Undecyl	H	61	146
Dodecyl	H	60	146
Tridecyl	H	66	146
HO_2CCH_2–	H	151	151 l, 327 a
EtO_2CCH_2–	H	32	324, 327 a
$HOCH_2$–	H	115	149, 327 a
$AcOCH_2$–	H	—	327 a
EtO_2SCH_2–	H	160–1	150 u
PrO_2SCH_2–	H	159–60	150 u
BuO_2SCH_2–	H	169	150 u
$AmylO_2SCH_2$–	H	182	150 u
$HexylO_2SCH_2$–	H	182–3	150 u
$HeptylO_2SCH_2$–	H	169–71	150 u
D-*arabino*-tetrahydroxybutyl–	H	218	149
D-*arabino*-2,3,4-trihydro-1-trityloxybutyl-	H	ca. 84	149
D-*arabino*-tetraacetoxybutyl–	H	145	149
–CH=CHCOC(OH)=CH–[a]		230	607
OHC–	H	193	149
OHC– (thiosemicarbazone)		245	149
Ac–	H	—	317
–4,5-Dihydronaphtho(1,2)–		120–4	152
		125	166

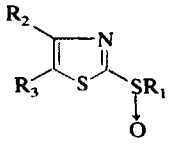
TABLE VII-26 (*Continued*)



R_1	R_2	m.p. or b.p. (°C)	Ref.
HO_2C–	H	268	195, 327 a
EtO_2C–	H	33	151
		160	195
Ph–	H	156–7	213 i,r,
		158–9	690
Ph	Ph	125	146
p-*t*-BuC_6H_4–	H	159	146
p-PhC_6H_4–	H	220	146
p-ClC_6H_4–	H	155	320
o-ClC_6H_4–	H	158	320
p-BrC_6H_4–	H	187	320
m-ClC_6H_4–	H	102	320
m-$O_2NC_6H_4$–	H	80	320
2-Thienyl	H	142	320
3-Thianaphthenyl–	H	126–7	326

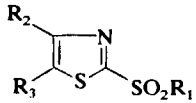
[a] Left bond linked to 4-C; right bond linked to 5-C.

TABLE VII-27. 2-THIAZOLYL SULFOXIDES



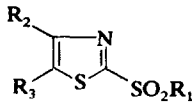
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
Me	H	Ph	—	341 l
Me	Ph	Ph	—	341 l
Ph	H	H	68–9	567 k,r
Ph	H	Cl	119–20	567 k,r
m-$F_2HCSO_2NHC_6H_4$–	H	H	—	254 l
p-$F_3CSO_2NHC_6H_4$–	H	H	—	254 l
m-$F_3CSO_2NHC_6H_4$–	H	H	—	254 l
o-$F_3CSO_2NHC_6H_4$–	H	H	—	254 l
m-$F_3CF_2CSO_2NHC_6H_4$–	H	H	—	254 l
2,4,5-triClC_6H_2–	H	Br	116	350 i,u

TABLE VII-28. 2-THIAZOLYL SULFONES AND 2-THIAZOLYL SULFINIC AND SULFONIC ACIDS



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
H	Me	H	211	126, 127, 236
H	Ph	H	—	126, 127
Me	H	NO_2	119–22	342
Me	Me	H	188/20	213, 273
Me	Me	NO_2	—	213
Me	$-CH_2CH_2CH_2CH_2-$[a]		105	203
Me	Ph	Br	114–6	213
Me	Ph	NCS–	95–7	213, 692
Me	p-ClC_6H_4–	H	—	213
Et	Ph	NCS–	92–4	213 i, 692
Et	p-ClC_6H_4–	NCS–	87–9	213 i, 692
Pr	Me	NCS–	53–5	213 i
Pr	p-ClC_6H_4–	NCS–	113–5	213 i, 692
HO_2CCH_2–	$-CH_2CH_2CH_2CH_2-$		144	203
H_2N–	H	H	120	280
H_2N–	H	PrCONH–	220–1	336
H_2N–	Me	HO_2C–	194	335
H_2N–	HO_2C–	H	—	335
H_2N–	Ph	H	150	335
H_2N–	p-$HO_2CC_6H_4$–	H	272	335
H_2N–	p-$HO_2CC_6H_4$–	Cl	246	335
H_2N–	Cl	AcNH–	227–8	336
HO–	Me	Me	260	54
HO–	Me	$AcOCH_2CH_2$–	242	7
HO–	$-CH_2CH_2CH_2-$		260	203
Ph	H	H	87	351, 567 k,r
Ph	H	NO_2	—	263
Ph	H	Cl	107–8	567 r
Ph	H	Br	127	351
Ph	Me	PhNHCO–	206–8	253 i,l, 606 l
Ph	Me	EtO_2C–	112	253 i,l, 606 l
Ph	Me	NCS–	81–2	213 i
p-Tolyl	Me	PhNHCO–	188–9	253 i,l, 606 l
p-Tolyl	Me	EtO_2C–	133–4	253 i,l, 606 l
p-Tolyl	Me	NCS–	139–41	213 i
p-t-BuC_6H_4–	H	NO_2	—	263
p-$H_2NC_6H_4$–	H	NO_2	—	263
p-$AcNHC_6H_4$–	Me	PhNHCO–	233–5	253 i,l, 606 l
p-$AcNHC_6H_4$–	Me	EtO_2C–	164–5	253 i,l, 606 l
m-$ClCH_2SO_2NHC_6H_4$–	H	H	—	254 l
m-$F_2CHSO_2NHC_6H_4$–	H	H	—	254 l
m-$F_3CSO_2NHC_6H_4$–	H	H	—	254 l

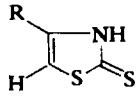
TABLE VII-28 (*Continued*)



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
m-$F_3CF_2CSO_2NHC_6H_4$–	H	H	—	254 l
o-$MeOC_6H_4$–	H	NO_2	—	263
p-$HO_2CCH_2OC_6H_4$–	Me	H	127–30	594 i
p-ClC_6H_4–	H	H	136–7	186 l, 350 i,u
p-ClC_6H_4–	H	NO_2	168–9	186 l, 350 i,u
p-ClC_6H_4	H	Br	127	350 i,u
p-ClC_6H_4–	H	*p*-$ClC_6H_4SO_2$–	271	351
p-ClC_6H_4–	Me	H	152–3	186 l
p-ClC_6H_4–	Me	PhNHCO–	214–5	253 i,l, 606 l
p-ClC_6H_4–	Me	EtO_2C–	130	253 i,l, 606 l
p-ClC_6H_4–	Me	NO_2	167–8	186 l
p-ClC_6H_4–	Me	NCS–	147–9	213 i
p-$O_2NC_6H_4$–	Me	H	171	595
2,5-diClC_6H_3–	H	NO_2	—	263
2,4,5-triClC_6H_2–	H	H	146	350 i,u
2,4,5-triClC_6H_2–	H	Br	190	350 i,u
4-Pyridyl	H	NO_2	—	252 l
4-Pyridyl-*N*-oxid–	H	NO_2	—	252 l
2-Pyridyl–	Me	H	121	595
5-O_2N-2-thiazolyl–	Me	H	—	185 a
4-Me-5-$HOCH_2CH_2$–2-thiazolyl–	Me	$HOCH_2CH_2$–	148	129
4-Me-5-$AcOCH_2CH_2$-2-thiazolyl–	Me	$AcOCH_2CH_2$–	106	129
2-Et-1,3,4-triazole-5-yl–	H	NO_2	—	252 l
1-Et-2-Ph-1,3,4-triazole-5-yl–	H	NO_2	—	252 l
2-Ph-1,3,4-oxadiazole-5-yl–	H	NO_2	—	252 l
5-Me-1,3,4-thiadiazole-2-yl–	H	NO_2	—	252 l
5-$(Et)_2N$-1,3,4-thiadiazole-2-yl–	H	NO_2	—	252 l
5-Thiobenzyl-1,3,4-thiadiazole-2-yl–	H	NO_2	—	252 l
1-$PhCH_2$-tetrazole-5-yl-	H	NO_2	—	252 l
1-Ph-tetrazole-5-yl-	H	NO_2	—	252 l
Cl	H	H	—	153
Cl	Me	H	—	153
Cl	EtO_2C–	H	75	335

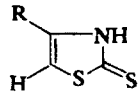
[a] Left bond linked to 4-C; right bond linked to 5-C.

TABLE VII-29. 4-SUBSTITUTED-Δ-4-THIAZOLINE-2-THIONES



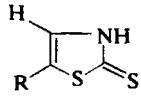
R	m.p. or b.p. (°C)	Ref.
Me	90	7, 52, 53, 61 h,k,u, 77,
	188/0.05	115, 126, 130, 147,
	87–89	158 u, 170, 174, 204, 211, 236, 485 a, 490, 591, 623, 625, 675, 812, 874 r
Et	80	58, 675, 875
Bu	54	537 l
Amyl	62	146, 499 a
Hexyl	59	146
Undecyl	83	146
Dodecyl	68	146, 626
Tridecyl	78	146
Tetradecyl	78	626
Pentadecyl	85	146
HO_2CCH_2–	155	151,
	152–3	574 u,l
EtO_2CCH_2–	140	324,
	144–6	574 u,l
$HOCH_2$–	121	149, 490 a
$AcOCH_2$–	80	149 u, 490 a
EtO_2SCH_2–	183–4	150
PrO_2SCH_2–	153–4	150 u
BuO_2SCH_2–	164–5	150 u
Amyl-O_2SCH_2–	164–5	150 u
Hexyl-O_2SCH_2–	160–1	150 u
Heptyl-O_2SCH_2–	152–3	150 u
i-Pr	119	58, 675, 812 l, 895
cyclo-Hexyl	—	172, 173
D-*arabino*-tetrahydroxybutyl–	218	149, 627
	216	485 a, 490, 628
D-*arabino*-2,3,4-trihydroxy-1-(trityloxy)butyl–	100 (broad)	149
D-*gluco*-pentahydroxpentyl–	—	490 a
D-*arabino*-1,2,3,4-tetraacetoxybutyl–	168–70	149 u, 490, 628–630
D-*gluco*-pentaacetoxypentyl–	—	490 a
t-Bu	159	58, 73 u, 675, 812
	169–71	277 l, 895
OHC–	173	149, 490 a
	192 (oxime)	
Ac–	240	632
ClCO–	—	633

TABLE VII-29 (*Continued*)



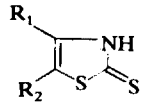
R	m.p. or b.p. (°C)	Ref.
BrCO–	—	633
o-$O_2NC_6H_4NHCO$–	233	633
2-O_2N-4-MeC_6H_3NHCO–	—	633
HO_2C–	254	195, 485 a, 490 a, 510, 633
MeO_2C–	—	505
EtO_2C–	132 139	151 a,l, 195, 340, 490
Ph	174–6	52, 58, 87, 116, 126, 147, 172, 173, 208, 213, 277, 494 a, 495 a, 496 a, 497 a, 498 a, 504, 527 k,w, 580, 593, 635–637
p-Tolyl	—	637
p-HexadecylC_6H_4–	95	146
p-*t*-BuC_6H_4–	205	146
p-PhC_6H_4–	260	146
1-Naphthyl–	—	172–173
p-$AcNHC_6H_4$–	—	504
p-$EtCONHC_6H_4$–	—	504 l
p-$PrCONHC_6H_4$–	—	504 l
p-$BuCONHC_6H_4$–	—	504 l
p-$MeSC_6H_4$–	—	193 l
p-FC_6H_4–	—	504 l
p-BrC_6H_4–	—	586
3,4-diHOC_6H_3–	250	638
2,4-$Cl_2C_6H_3$–	200–2	193 l
1-Me-pyrrole-2-yl–	—	172, 173, 822
3-Pyridyl–	—	172, 173
2-Furyl–	—	37
2-Thienyl–	—	116, 172, 173, 587, 822
3-Thianaphthenyl–	221–3	326
5-Piperidinocarbonyl-2-thienyl–	—	179
5-Cl-2-thienyl–	205	116, 587
3-Indolyl–	200	640 i,u
2-Benzimadazolyl–	267	291, 633 l
6-Me-2-benzimidazolyl–	—	633 l
2,4-diMe-thiazole-5-yl–	—	172, 173
2-Benzothiazolyl–	—	172, 173

TABLE VII-30. 5-SUBSTITUTED-Δ-4-THIAZOLINE-2-THIONES



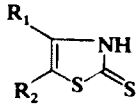
R	m.p. or b.p. (°C)	Ref.
Me	185	16, 61 h,k,u, 73 u, 159 u
$HOCH_2CH_2$–	—	491 a
HO_2CCH_2–	202–3	641 l
$(Et)_2NCH_2$–	114	159 u
1-Piperidino-CH_2–	116	158, 159
1-Morpholino-CH_2–	140	158, 159
t-Bu	136–7	642
ClCO–	—	633
(2-O_2N-4,5-diMeC_6H_2)NHCO–	—	633
HO_2C–	—	165
Ph	197–200 207–9	285, 580 181, 642
p-$MeOC_6H_4$–	—	285
p-$MeSC_6H_4$–	—	285
1-Naphthyl–	—	285
2-Naphthyl–	—	285
4-MeO-1-naphthyl–	—	285
2-Furyl–	—	285
H_2N–	230	599, 643 a
BuNH–	211	643 a
AcNH–	246	598 a, 599
PrCONH–	209–11	336
PhCH=CHCH=N–	—	171 a
PhCH=N–	198	492, 644 a
(*p*-Tolyl)CH=N–	—	171 l
(*p*-ClC_6H_4)CH=N–	—	114
(*p*-$H_2NC_6H_4$)CH=N–	—	114
(*p*-$(Me)_2NC_6H_4$)CH=N–	230	114
(*m*-$O_2NC_6H_4$)CH=N–	—	171 l
(*p*-$O_2NC_6H_4$)CH=N–	260	114
(*p*-HOC_6H_4)CH=N–	—	114
(*o*-HOC_6H_4)CH=N–	—	114
(*p*-$MeOC_6H_4$)CH=N–	222	114 l, 171 l
(2,4-$Cl_2C_6H_3$)CH=N–	207–8	492, 644 a
$(Me)_2C$=N–	167	643 a
HO	—	645 l
O_2N–	95–8	6, 891 d
Br	—	891 d

TABLE VII-31. 4,5-DISUBSTITUTED Δ-4-THIAZOLINE-2-THIONES



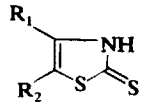
R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	Me	164	9, 29 u, 54, 58 61 h,k,u, 102, 128, 130, 190, 278, 485 a, 507 a, 508, 531, 552 l, 578, 580, 602, 646–652, 675, 875 a, 895
Me	Et	—	318, 531
Me	$ClCH_2CH_2$–	128	128, 651
Me	$BrCH_2CH_2$–	—	654
Me	$HOCH_2CH_2$–	157	7, 143, 144, 278, 506 l, 604, 655
Me	$AcOCH_2CH_2$–	105	7, 94 a, 131, 604, 656, 657, 880
		93	128
Me	H_2NCOCH_2–	245	658
Me	HO_2CCH_2–	209(dec)	658, 659 l
Me	EtO_2CCH_2–	112	658
Me	$HOCH_2$–	—	485 a
Me	Ac–	210	123, 493 a, 531, 566
Me	PhNHCO–	265	509 l, 606, 660
Me	*p*-Tolyl-NHCO–	—	509 l
Me	*o*-Tolyl-NHCO–	—	509 l, 660
Me	*p*-$MeOC_6H_4NHCO$–	—	660
Me	*o*-$MeOC_6H_4NHCO$–	—	509 l, 660
Me	*m*-HOC_6H_4NHCO–	—	660
Me	*o*-ClC_6H_4NHCO–	233–4	509 l, 606 l
Me	*p*-ClC_6H_4NHCO–	—	509 l
Me	3-$F_3CC_6H_4NHCO$–	—	509 l
Me	1-Naphthyl NHCO–	—	660 l
Me	2-Naphthyl NHCO–	—	660 l
Me	HO_2C–	209–11, 223	52, 123, 155, 485 a, 510, 565 a, 661 l, 662
Me	MeO_2C–	180	123, 493 a, 622
Me	EtO_2C–	152–4	52, 115, 123, 147, 155, 165, 236 l, 250, 278, 490 a, 493 a, 621 a, 662
Me	BuO_2C–	113	493 a, 622
Me	H_2N–	197	210
Me	O_2N–	(HCl)	511 a
Me	PhS–	164	512 l, 537 l

TABLE VII-31 (*Continued*)



R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	*p*-Tolylthio-	182	5121, 5371
Me	*p*-$O_2NC_6H_4S$-	199	5121, 5371
Me	H_2N-	—	210
Me	MeCH=N-	203	210
Et	Me	185	58, 485 a, 663, 675, 895
Bu	Et	—	578
Hexyl	H_2N-	113	664 a
Hexyl	AcNH-	175	665 a
Hexyl	Hexyl CH=N-	113	664 a
Hexyl	$(Me)_2C$=N-	100	664 a
Heptadecyl-	EtO_2C-	—	664 a
	$-CH_2CH_2N(Et)CH_2-$[a]	249 (HCl)	666 l
	$-CH_2CH_2CH_2-$	192–4 188	291,u, 203, 667
	$-CH_2CH_2CH_2CH_2-$	176	291,u, 203, 668, 669
$EtO_2C(CH_2)_4$-	H_2N-	65	664
$MeSCH_2CH_2$-	PhCH=N-	200	670
	-4,5-Dihydronaphtho(1,2)-	206–8	152, 166 a
$PhCH_2$-	Ph	164	578
$PhCH_2SC(Me)_2CH_2$-	H_2N-	237	670
i-Pr	Me	171	58, 675, 895
BuCH(Et)-	H_2N-	79	664 a
BuCH(Et)-	AcNH-	201	664 a
t-Bu	Me	163	58, 675, 895
	-CH=CHCOC(OH)=CH-	163	607 a, 671
MeCH=CH-	H_2N-	—	513 a
H_2NCO-	H_2N-	—	513 a, 277 l
H_2NCO-	MeNH-	—	513 a
HO_2C-	Me	—	490 a
EtO_2C-	H_2N-	183	513 a, 210
EtO_2C-	MeNH-	—	513 a
Ph	Me	185–6	9
Ph	Ph	223–5 214–6	17, 18, 146, 172, 173, 181, 191, 642
Ph	*p*-ClC_6H_4-	232–5	194 l
Ph	H_2N-	261–2	209, 210
Ph	AcNH-	245	210
Ph	$(Ac)_2N$-	166	210
Ph	PhCH=CHCH=N-	226	210
Ph	$(Me)_2C$=N-	187	210
Ph	Ph(Me)C=N-	212	210

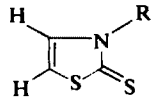
TABLE VII-31 (*Continued*)



R_1	R_2	m.p. or b.p. (°C)	Ref.
Ph	9,10-dihydro-9-phenanthryl=N–	211	210
Ph	(4-Oxo-3-indolinyl)=N–	311	210
p-Tolyl–	*p*-Tolyl	—	191
p-FC_6H_4–	*p*-FC_6H_4–	—	191
p-$(Me)_2NC_6H_4$–	*p*-$(Me)_2NC_6H_4$–	—	191
p-$MeOC_6H_4$–	*p*-$MeOC_6H_4$–	221–3	191, 1931
p-ClC_6H_4–	Ph	230	1931
p-ClC_6H_4–	*p*-ClC_6H_4–	—	191

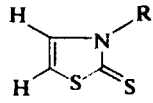
[a] Left bond linked to 4-C; right bond linked to 5-C.

TABLE VII-32. 3-SUBSTITUTED Δ-4-THIAZOLINE-2-THIONES



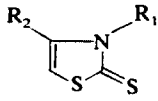
R	m.p. or b.p. (°C)	Ref.
H	77–80	9, 58, 59 i, 62 p, 91 r, 125 k, 148, 158, 159, 167, 197, 484 a, 485 a, 488 l, 489 a, 575, 777–783, 874 r, 891 d
Me	46 45 142/3.5 41–4	61 h,k,u, 62 p, 73 u, 76 h,k,p, 110 k, 119 k, 158 a, 675, 676 u,i,r, 874 r
Et	38	58, 119 k, 675
$HO_2C(CH_2)_3$–	58–60	677 a
$MeCOCH_2CH_2$–	84/1	161 a
$HO_2CCH_2CH_2$–	58–60	517 a, 522 a
HO_2CCH_2–	170–1	574 l
EtO_2CCH_2–	oil	574 l
$ClCH_2$–	70	159 a
$HOCH_2$–	oil	158, 159 a
o-Tolyl-$NHCH_2$–	127	158 a
$PhN(Me)CH_2$–	113	158 a

TABLE VII-32. (*Continued*)



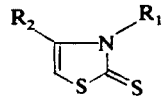
R	m.p. or b.p. (°C)	Ref.
1-Piperidino-CH_2–	64	158 a, 159 a
1-Morpholino-CH_2–	76	159 a
i-Pr	63	58, 119 k, 675
$HO_2CCH(Me)$–	146–8	517 a, 601, 677 a
β-D-Glucosyl–	183	164
Tetra-O-acetyl-β-D-glucosyl	182	164
t-Bu	56	58, 119 k, 675
Ph	—	676 u,i,r
p-Tolyl	105-7	676 u,i,r
p-$MeOC_6H_4$–	129–32	676 u,i,r
2-Pyridyl	89–90	111

TABLE VII-33. 3,4-DISUBSTITUTED Δ-4-THIAZOLINE-2-THIONES



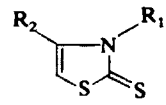
R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	Me	119 113–4 116	52, 61 h,k,u, 73 u, 76, 110 k, 121 r,k, 175, 206, 213 i, 596 r, 675, 676 u,i,r, 678–680, 874 r
Me	Et	59	52, 73 u, 675
Me	HO_2CCH_2–	163–4	522 a, 5741
Me	EtO_2CCH_2–	83–5	5741
Me	*i*-Pr	57	58, 73 u, 675
Me	*t*-Bu	117	58, 73 u, 675
Me	5-O_2N-2-furyl-CH=CH–		515 a
Me	Ph	125–6 128–9	2131 64 r, 175, 206, 580, 637 d, 679, 681 a, 874 r
Me	*p*-Tolyl		874 r
Me	*p*-ClC_6H_4–	102–3	213 i
Me	*p*-BrC_6H_4–	115–6	213 i
Et	Me	39	73 u, 82, 121 r,k, 675
Et	Et	69	73 u, 675
Et	*i*-Pr	34	73 u, 675
Et	*t*-Bu	87	73 u, 675

TABLE VII-33. (*Continued*)



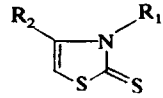
R_1	R_2	m.p. or b.p. (°C)	Ref.
Et	Ph	84–5	26, 213 i
Et	*p*-ClC_6H_4–	92–3	213 i
Et	*p*-BrC_6H_4–	114–6	213 i
Pr	Me	46	121 r,k
Pr	*t*-Bu	58	89
Bu	Me	oil	121 r,k
$HO_2C(CH_2)_5$–	Me	86–7	517 a, 677 u
$Ph(CH_2)_4$–	Me	63	121 r,k
$HO_2C(CH_2)_3$–	HO_2CCH_2–	147–8	517 a, 677 u
$HO_2C(CH_2)_3$–	EtO_2CCH_2–	100–1	517 a, 677 u
$HO_2C(CH_2)_3$–	BuO_2SCH_2–	145–6	517 a, 677 u
$HO_2C(CH_2)_3$–	Ph	137–8	517 a, 677 u
$Ph(CH_2)_3$–	Me	oil	121 r,k
$MeCOCH_2CH_2$–	Me	84	161 u
$MeCOCH_2CH_2$–	$HOCH_2$–	114	149 u
$MeCOCH_2CH_2$–	Ph	113	161 u
$HO_2C(CH_2)_2$–	Me	132–4	517 a, 677 u
$HO_2C(CH_2)_2$–	$HOCH_2$–	141	517 a, 523, 677 u
$HO_2C(CH_2)_2$–	$AcOCH_2$–	153	517 a, 677 u
$HO_2C(CH_2)_2$–	BuO_2SCH_2–	137–8	517 a, 677 u
$HO_2C(CH_2)_2$–	Ph	136–7	517 a, 677 u
$HOCH_2CH_2$–	Me	65	517 a
4-Me-Δ-4-thiazolin-2-thione-3-$CH_2CH_2SSCH_2CH_2$–	Me	—	25 l
$PhSCH_2CH_2$–	Ph	—	793, 828
$HO_3SCH_2CH_2$–	Me	—	522 a
$K^+O_3SCH_2CH_2$–	Me	362	517 a, 677 u
$PhCH_2CH_2$–	Me	129	121 r,k
3,4-di$MeOC_6H_3CH_2CH_2$–	Me	—	121 r,k
–CH_2CH_2-*o*-(3,4-di $MeOC_6H_2$)–[a]		—	529 a
i-Bu	Me	—	89
i-Bu	*t*-Bu	—	89
t-$BuCH_2$–	Me	—	80–82
HO_2CCH_2–	HO_2CCH_2–	175–6	517 a, 677 u
HO_2CCH_2–	MeO_2CCH_2–	162–3	517 a, 677 u
HO_2CCH_2–	EtO_2CCH_2–	142–3	517 a, 677 u
HO_2CCH_2–	Ph	—	522 a
$PhCH_2$–	Me	89	89, 591 r
		84	121 r,k
(2-Me-5-pyrimidinyl)CH_2–	Me	142	139
(2-Me-4-H_2N-5-pyrimidinyl)CH_2–	Ph	—	683
(2-Me-4-MeNH-5-pyrimidinyl)CH_2–	Me	206–7	139
(2-Me-4-$(Me)_2N$-5-pyrimidinyl)CH_2–	Me	149	139
(2-Me-4-MeO-5-pyrimidinyl)CH_2–	Me	180	139
(2-Me-3-HO-5-$HOCH_2$-4-pyridyl)CH_2–	Me	—	136 a, 5201
i-Pr	Me	68–9	15 u, 73 u, 675, 812, 857 r

TABLE VII-33 (*Continued*)



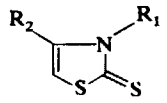
R_1	R_2	m.p. or b.p. (°C)	Ref.
i-Pr	Et	67	73 u, 80 r, 675, 812
i-Pr	*i*-Bu	55	80 r,
i-Pr	*i*-Pr	97	73 u, 78 r, 79 r, 80 r, 83, 84, 88, 675, 812, 857
i-Pr	*t*-Bu	83	73 u, 80, 675, 812
cyclo-Hex	Me	98	73 u, 79 r, 80 r, 675
cyclo-Hex	Et	114	73 u, 79 r, 80 r, 675
cyclo-Hex	*i*-Pr	90	73 u, 79 r, 80 r, 675
cyclo-Hex	*cyclo*-Hex-	108	73 u, 79 r, 80 r, 675
cyclo-Hex	*t*-Bu	184	73, 79 r, 675, 802
$HO_2CCH(Me)$ (*d,l*)	Me	170–3	74, 601, 685
$HO_2CCH(Me)$ (*l*)	Me	154–9	74, 601, 685
$HO_2CCH(Me)$ (*d,l*)	Et	104	74, 601
$HO_2CCH(Me)$ (*l*)	Et	128	74, 601
$HO_2CCH(Me)$ (*d,l*)	$K^{+-}O_2CCH_2$-	232	517 a, 677 u
$HO_2CCH(Me)$ (*d,l*)	EtO_2CCH_2-	60–1	517 a, 677 u
$HO_2CCH(Me)$ (*d,l*)	*i*-Pr-	116	74 r, 601
$HO_2CCH(Me)$ (*d,l*)	*t*-Bu	223	74, 601
$HO_2CCH(Me)$ (*l*)	*t*-Bu	224	74, 601
$HO_2CCH(Me)$	HO_2C-	212	517 a, 677 u
$HO_2CCH(Me)$	EtO_2C-	194	517 a, 677 u
$HO_2CCH(Me)$ (*d,l*)	Ph	190	685
$HO_2CCH(Me)$ (*l*)	Ph	142	685
$HO_2CCH(CH_2CO_2H)$	Me	217–8	517 a, 677 u
$HO_2CCH(CH_2CH_2SMe)$	Me	130	517 a, 677 u
tetra-O-acetyl-α-D-glucosyl-	Me	194	164
PhCH(Me)- (*d*)	Me	72	74, 601
Ph	Me	142–4 145 148–50	12, 175, 206, 213 i, 602, 663, 676 u,i,r, 679, 686, 687 l
Ph	$PhCH_2CH_2$-	188	687 l
Ph	*i*-Bu-	230	687 l
Ph	*t*-$BuCH_2$-	105	688
Ph	*t*-Bu-	167–8 173	602, 663
Ph	Ph	130–2 135 148 149–50	11, 19, 175, 206, 213 i, 602, 679, 687 l, 874 r
Ph	*p*-Tolyl	250	687 l
Ph	*p*-$MeOC_6H_4$-	134	687 l
Ph	*p*-BrC_6H_4-	141	687 l
p-Tolyl	Me	110	676 u,i,r, 687 l
p-Tolyl	$PhCH_2CH_2$-	170	687 l
p-Tolyl	Ph	130	687 l
p-Tolyl	*p*-HOC_6H_4-	155	687 l

TABLE VII-33 (*Continued*)



R_1	R_2	m.p. or b.p. (°C)	Ref.
p-Tolyl	*o*-HOC_6H_4–	150	687 l
p-Tolyl	*p*-$MeOC_6H_4$–	130	687 l
p-Tolyl	*p*-ClC_6H_4–	118	687 l
p-Tolyl	*p*-BrC_6H_4–	105	687 l
p-HOC_6H_4–	Me	200	12
p-$MeOC_6H_4$–	Me	98–100	602, 676 u,i.r.
p-ClC_6H_4–	Me	113	681 a, 687 l
p-ClC_6H_4–	$PhCH_2CH_2$–	108	687 l
p-ClC_6H_4–	*i*-Bu	170	687 l
p-ClC_6H_4–	Ph	125	19, 687 l
p-ClC_6H_4–	*p*-BrC_6H_4–	169	687 l
p-BrC_6H_4–	Me	190	687 l
p-BrC_6H_4–	$PhCH_2CH_2$–	204	687 l
p-BrC_6H_4–	*i*-Bu	151	687 l
p-BrC_6H_4–	Ph	210	687 l
p-BrC_6H_4–	*o*-HOC_6H_4–	198	687 l
p-BrC_6H_4–	*p*-HOC_6H_4–	188	687 l
p-BrC_6H_4–	*p*-$MeOC_6H_4$–	208	687 l
p-BrC_6H_4–	*p*-ClC_6H_4–	193	687 l
p-BrC_6H_4–	*p*-BrC_6H_4–	201	687 l
p-IC_6H_4–	Me	170	687 l
p-IC_6H_4–	$PhCH_2CH_2$–	196	687 l
p-IC_6H_4–	*p*-$MeOC_6H_4CH_2CH_2$–	179	687 l
p-IC_6H_4–	*i*-Bu	192	687 l
p-IC_6H_4–	Ph	169	687 l
p-IC_6H_4–	*p*-HOC_6H_4–	188	687 l
p-IC_6H_4–	*p*-$MeOC_6H_4$–	214	687 l
p-IC_6H_4–	*p*-ClC_6H_4–	199	687 l
p-IC_6H_4–	*p*-BrC_6H_4–	174	687 l
m-$HO_2CC_6H_4$–	Me	191–3	517 a, 677 u
p-$O_2NC_6H_4$–	Me	179–83	602
1-Naphthyl	Me	78	687 l
1-Naphthyl	*p*-$MeOC_6H_4CH_2CH_2$–	90	687 l
1-Naphthyl	*i*-Bu	160	687 l
1-Naphthyl	Ph	98	687 l
1-Naphthyl	*p*-HOC_6H_4–	93	687 l
1-Naphthyl	*p*-$MeOC_6H_4$–	95	687 l
1-Naphthyl	*p*-BrC_6H_4–	100	687 l
2-Naphthyl	Me	102	687 l
2-Naphthyl	$PhCH_2CH_2$–	105	687 l
2-Naphthyl	*i*-Bu	175	687 l
2-Naphthyl	Ph	92	687 l
2-Naphthyl	*p*-HOC_6H_4–	145	687 l
2-Naphthyl	*o*-HOC_6H_4–	140	687 l
2-Naphthyl	*p*-ClC_6H_4–	125	687 l
2-Pyridyl–	Me	—	681 a

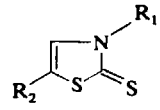
TABLE VII-33 (*Continued*)



R_1	R_2	m.p. or b.p. (°C)	Ref.
H_2N-	Me	94–5	689–691
H_2N-	Ph	146	526, 576, 689–691
PhCH=CHCH=N-	Ph	151	561, 5761
PhCH=N-	Ph	115	689, 690
p-Tolyl-CH=N-	Ph	71	527, 528, 561, 576
p-Tolyl-CH=N-	*p*-BrC_6H_4-	—	5611
p-HOC_6H_4CH=N-	Ph	155	5761
m-HOC_6H_4CH=N-	Ph	174	5761
o-HOC_6H_4CH=N-	Me	122	5761
o-HOC_6H_4CH=N-	Ph	131	5761
o-$MeOC_6H_4CH$=N-	Ph	167	5761
p-ClC_6H_4CH=N-	Me	140	5761
p-ClC_6H_4CH=N-	Ph	145	5761
o-ClC_6H_4CH=N-	Ph	109	5761
p-BrC_6H_4CH=N-	Ph	—	5611
p-$HO_2CC_6H_4CH$=N-	Ph	186	5761
p-$EtO_2CC_6H_4CH$=N-	Ph	111	5761
p-NCC_6H_4CH=N-	Ph	174	5761
o-NCC_6H_4CH=N-	Ph	154	5761
3,4-diHOC_6H_3CH=N-	Ph	176	5761
3,4-Methylenedioxy-C_6H_3CH=N-	*p*-ClC_6H_4-	—	5611
p-$(Me)_2NC_6H_4CH$=N-	Ph	159	5761
p-$O_2NC_6H_4CH$=N-	Me	216	5761
p-$O_2NC_6H_4CH$=N-	Ph	174	5761
o-$O_2NC_6H_4CH$=N-	Ph	183	5761
p-BrC_6H_4CH=N-	Ph	167	5761
3-MeO-4-HOC_6H_3CH=N-	Ph	159	5761
2,4-diClC_6H_3CH=N-	Ph	143	5761
2,4,5-tri$MeOC_6H_2CH$=N-	Ph	168	5761
3,4,5-tri$MeOC_6H_2CH$=N-	Ph	—	5611
3-Indolyl-CH=N-	Ph	196	5761
2-Furyl-CH=N-	Ph	140	5761
5-O_2N-2-furyl-CH=N-	Ph	164	5761

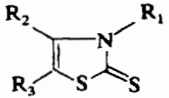
[a] Left bond linked to N; right bond linked to 4-C.

TABLE VII-34. 3,5-DISUBSTITUTED Δ-4-THIAZOLINE-2-THIONES



R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	Me	—	61 h,k,u, 110 k, 874 r
Me	MeO_2C–	170	3 i,r
Me	Ph	159–9.5	64 r, 580, 874 r
Me	AcNH–	221	600
Me	PhCH=N–	187	600
Et	Me	43	73 u, 675
$PhCH_2$–	EtO_2C–	73	3 i,r
o-$MeC_6H_4NHCH_2$–	1-Piperidino-CH_2–	154	158 u
$PhN(Me)CH_2$–	1-Piperidino-CH_2–	98	158 u
1-Piperidino-CH_2–	Me	78	159 u
1-Piperidino-CH_2–	1-Piperidino-CH_2–	119	158 u, 159 a
1-Morpholino-CH_2–	1-Morpholino-CH_2–	123	158 a, 159 a
i-Pr	Me	—	73 u
i-Pr	H_2N–	—	693 m,i,r,u
i-Pr	AcNH–	163	600, 693 m,i,r,u
i-Pr	PhCH=N–	116	600
$HO_2CCH(Me)$– (l)	Me	126	74 c
t-Bu	Me	63	675
Ph	MeO_2C–	192	3 i,r
Ph	EtO_2C–	125	3 i,r
p-$MeOC_6H_4$–	EtO_2C–	148	3 i,r
p-BrC_6H_4–	MeO_2C–	165	3 i,r

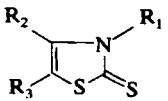
TABLE VII-35. 3,4,5-TRISUBSTITUTED Δ-4-THIAZOLINE-2-THIONES



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
Me	Me	Me	103	64, 580
Me	Me	Me	103 63	58, 61 k,h,u, 73 u, 81, 110 k, 675
Me	Me	HO_2C–	—	522 a
Me	Me	H_2N–	264	693 i,r,u,m
Me	Me	AcNH–	214	693 i,r,u,m
Me	Me	O_2N–	142	213 i
Me	Et	Me	45	58, 73 u, 81
Me		$-CH_2CH_2CH_2-$[a]	105	722
Me		$-CH_2CH_2CH_2CH_2-$	103	722
Me	*i*-Pr	Me	54	58, 73 u, 81
Me	*i*-Pr	AcNH–	168	600
Me	*t*-Bu	Me	118	58, 73 u, 81
Me	MeO_2C–	MeO_2C–	118	3 i,r
Me	EtO_2C–	EtO_2C–	62	3 i,r
Me	H_2N–	H_2NCO–	173	24 u, 723
Me	H_2N–	PhNHCO–	—	723 l
Me	H_2N–	EtO_2C–	—	723 l
Me	H_2N–	PhCO–	170	724 i,m,r
Me	$ClCH_2CONH$–	PhCO–	134–7	724 i,m,r
Me		$-N{=}C(OH)CH_2N{=}C(Ph)-$	178	724 i,m,r
Me	Ph	MeO_2C–	156	3 i,r
Me	Ph	EtO_2C–	143	3 i,r

Me	Ph	H_2N–	139	693 i,u,r,m
Me	Ph	AcNH–	272	693 i,u,r,m
Me	Ph	PhCONH–	273	693 i,u,r,m
Me	Ph	Ph	199	18 m,r, 874 r
Et	Me	Me	59	73 u, 81
Et	Et	Me	41	73 u, 81
Et	*i*-Pr	Me	31	73 u, 81
Et	*t*-Bu	Me	106	73 u, 81
Et	H_2N–	PhCO–	153	724 i,m,r
Et	$ClCH_2CONH$–	PhCO–	145–8	724 i,m,r
Et		–N=C(OH)CH_2N=C(Ph)–[a]	130	724 i,m,r
Pr		–$CH_2CH_2CH_2CH_2$–	64	14
Bu	Me	Me	42–4	15 u
$HO_2C(CH_2)_3$–	Me	Me	129	725
$HO_2C(CH_2)_3$–	Me	$HOCH_2CH_2$–	115	726
$HO_2C(CH_2)_3$–	Me	HO_2C–	203	677 u, 517 a
$HO_2C(CH_2)_3$–	Me	EtO_2C–	123	677 u, 517 a
$EtO_2C(CH_2)_3$–	Me	$AcOCH_2CH_2$–	oil	726
$AcCH_2CH_2$–	Me	Me	114	161 u
$AcCH_2CH_2$–	Me	Ac	94	161 u
$AcCH_2CH_2$–	Me	EtO_2C–	75	161 u
$HO_2CCH_2CH_2$–	Me	Me	162	725
$HO_2CCH_2CH_2$–	Me	Ac	143	517 a, 677
$HO_2CCH_2CH_2$–	Me	HO_2C–	206–7	517 a, 677
$HO_2CCH_2CH_2$–	Me	EtO_2C–	146	517 a, 677
$NCCH_2CH_2$–	Me	Me	159	54
4-H_2N-2-Me-pyrimidinyl-CH_2CH_2–	Me	$HOCH_2CH_2$–	230	141, 727
–CH_2CH_2-*o*-(3,4-di$MeOC_6H_3$)–		HO_2C–	—	529 a
3-(4-Me-5-HO_2C-2-thione-Δ-4-thiazolinyl)CH_2CH_2–	Me	HO_2C–	—	327 a
Allyl	Et	Me	156/1	663
Allyl	H_2N–	EtO_2C–	173	24 u,i
HO_2CCH_2–	Me	Me	213	725

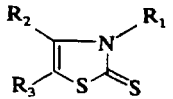
TABLE VII-35 (*Continued*)



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
HO_2CCH_2–	Me	$AcOCH_2CH_2$–	100	656
HO_2CCH_2–	Me	Ac	185	517 a, 677 u
HO_2CCH_2–	Me	HO_2C–	225	327 a, 522, 517, 677 u
HO_2CCH_2	Me	EtO_2C–	160	517 a, 522 a, 677 u
o-Tolyl-$NHCH_2$–	Me	Me	105	54
$HOCH_2$–	Me	Me	78	54
$HOCH_2$–	Me	$AcOCH_2CH_2$–	151	656
$PhCH_2$–	Me	Me	111	15 u
$PhCH_2$–	Me	$AcOCH_2CH_2$–	88	716
$PhCH_2$–	Me	Vinyl	95	728
$PhCH_2$–	Me	EtO_2C–	87	717
$PhCH_2$–		$-CH_2$CHCOOCOCHCH(Ph)–[a]	216	728
$PhCH_2$–	MeO_2C–	MeO_2C–	90	3 i,r
$PhCH_2$–	Ph	EtO_2C–	145	3 i,r
2-Me-3-HO-5-$HOCH_2$-4-pyridyl-CH_2–	Me	$HOCH_2CH_2$–	—	135 a
2-Me-4-H_2N-5-pyrimidyl-CH_2–	Ph	$HOCH_2CH_2$–	206	683
i-Pr	Me	Me	85	15 u, 73 u, 675, 857 r
i-Pr	Me	Et	oil	73 u, 80
i-Pr	Me	3-*i*-Pr-4-Me-2-thione-5-Δ-4-thiazolinyl-CH_2CH_2–	270	15 u
i-Pr	Me	*i*-Pr	90	73 u, 79 r, 80 r
i-Pr	Et	Me	47	73 u, 79 r, 80 r
i-Pr	*i*-Bu	Me	77	80, 81 r

i-Pr	*i*-Pr	Me	72	73 u, 79, 80 r, 81, 109
i-Pr	*i*-Pr	Et	73	73 u, 80, 81
i-Pr	*t*-Bu	Me	95	73 u, 80, 81
cyclo-Hexyl	Me	Me	100	73 u, 79 r, 80, 81
			104	15 u
cyclo-Hexyl	Et	Me	125	73 u, 79 r, 80, 81
cyclo-Hexyl	*i*-Pr	Me	136	73 u, 79 r, 80, 81
$HO_2CCH(Me)$ (*l*)	Me	Me	154	74 r,c
$HO_2CCH(Me)$ (*d,l*)	Me	Me	148	74 r,c
$HO_2CCH(Me)$ (*d,l*)	Me	Ac	137	517 a, 522 a, 677 u
$HO_2CCH(Me)$ (*l*)	Et	Me	171	74 r,c
$HO_2CCH(Me)$ (*d,l*)	Et	Me	171	74 r,c
$HO_2CCH(Me)$ (*l*)	*i*-Pr	Me	137	74 r,c
$HO_2CCH_2CH(CO_2H)$	Me	Me	—	522 a
$HO_2CCH_2CH(CO_2H)$	Me	$HOCH_2CH_2$–	oil	726
H_2N–	Me	HO_2C–	204	689, 691
H_2N–	Me	EtO_2C–	77	689, 691
PhCH=N–	Me	HO_2C–	210	689, 691
PhCH=N–	Me	EtO_2C–	121	689, 691
BuCONH–	Me	EtO_2CCH_2–	—	729
$PhCH_2CONH$–	Me	EtO_2CCH_2–	—	729
PhCONH–	Me	EtO_2CCH_2–	—	729
o-HOC_6H_4CONH–	Me	EtO_2CCH_2–	—	729
PhNH–	Me	EtO_2CCH_2–	—	729
PhNH–	Me	HO_2C–	186	689
PhNH–	Me	EtO_2C–	79	689
Ph	Me	Me	100	663
			165	687 l
Ph	Me	*t*-Bu	151	688
Ph	Me	EtO_2C–	190	687 l
Ph	Et	Me	140	663
Ph		$-CH_2CH_2CH_2-$[a]	116	722

TABLE VII-35 *(Continued)*

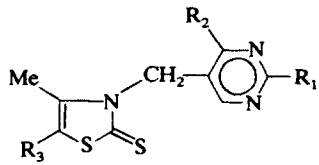


R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
Ph		$-CH_2CH_2CH_2CH_2-$	150	2, 722
			178	687 l
Ph	MeO_2C-	MeO_2C-	134	3 i,r
Ph	EtO_2C-	EtO_2C-	86	3 i,r
Ph	H_2N-	H_2NCO-	249	24, 723 l
Ph	H_2N-	PhCONH–	—	723 l
Ph	H_2N-	EtO_2C-	—	723 l
Ph	H_2N-	Ph	207	730 u,i
Ph	H_2N-	p-$O_2NC_6H_4-$	256	730 u,i
Ph		$-N{=}CHNHCO-$[a]	234	24 u,i
Ph	Ph	EtO_2C-	180	3 i,r
p-Tolyl	Me	Me	122	687 l
p-Tolyl	Me	EtO_2CCH_2-	—	729
p-Tolyl	H_2N-	H_2NCO-	—	723 l
p-Tolyl	H_2N-	PhNHCO–	—	723 l
p-Tolyl	H_2N-	EtO_2C-	—	723 l
m-Tolyl	H_2N-	H_2NCO-	—	723 l
m-Tolyl	H_2N-	PhNHCO–	—	723 l
m-Tolyl	H_2N-	EtO_2C-	—	723 l
o-Tolyl	H_2N-	H_2NCO-	—	723 l
o-Tolyl	H_2N-	PhNHCO–	—	723 l
o-Tolyl	H_2N-	EtO_2C-	—	723 l
p-$MeOC_6H_4-$	Me	p-Tolyl–	—	482 a
p-$MeOC_6H_4-$	MeO_2C-	MeO_2C-	144	3 i,r

p-ClC_6H_4–	Me	EtO_2C–	195	687 l
p-ClC_6H_4–	–$CH_2CH_2CH_2CH_2$–[a]		115	687 l
p-ClC_6H_4–	H_2N–	H_2NCO–	—	723 l
p-ClC_6H_4–	H_2N–	PhNHCO–	—	723 l
p-ClC_6H_4–	H_2N–	EtO_2C–	—	723 l
p-BrC_6H_4–	MeO_2C–	MeO_2C–	163	3 i,r
p-BrC_6H_4–	EtO_2C–	EtO_2C–	100	3 i,r
p-BrC_6H_4–	H_2N–	H_2NCO–	—	723 l
p-BrC_6H_4–	H_2N–	PhNHCO–	—	723 l
p-BrC_6H_4–	H_2N–	EtO_2C–	—	723 l
p-BrC_6H_4–	Me	Me	177	687 l
p-BrC_6H_4–	Me	EtO_2C–	160	687 l
p-BrC_6H_4–	–$CH_2CH_2CH_2CH_2$–		195	687 l
p-BrC_6H_4–	Ph	EtO_2C–	187	3 i,r
p-IC_6H_4–	Me	Me	241	687 l
p-IC_6H_4–	Me	EtO_2C–	148	687 l
p-IC_6H_4–	–$CH_2CH_2CH_2CH_2$–		145	687 l
1-Naphthyl	Me	Me	168	687 l
1-Naphthyl	Me	EtO_2C–	87	687 l
2-Naphthyl	Me	Me	98	687 l
2-Naphthyl	Me	EtO_2C–	165	687 l
2-Pyridyl	Me	Me	109	111
2-Thiazolyl	Me	Me	—	111

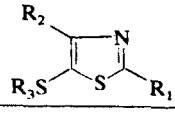
[a] Left bond linked to 4-C; right bond linked to 5-C.

TABLE VII-36. 3-PYRIMIDINYLMETHYL-Δ-4-THIAZOLINE-2-THIONE DERIVATIVES



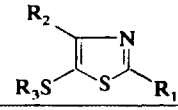
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
Me	H	$HOCH_2CH_2$–	160	694
Me	H_2N–	Et	—	521
Me	H_2N–	2-Tetrahydropyrane–CH_2CH_2–	172	132, 695, 696
Me	H_2N–	1-Piperidino-CH_2CH_2–	188	1371
Me	H_2N–	1-Morpholino-CH_2CH_2–	184–5	1371,
Me	H_2N–	$HOCH_2CH_2$–	212 237–9	44, 132 140, 597, 697–713, 881–883
Me	H_2N–	$Ph_3COCH_2CH_2$–	185	132, 558
Me	H_2N–	*p*-$O_2NC_6H_4OCH_2CH_2$–	—	714
Me	H_2N–	$AcOCH_2CH_2$–	169–70 172 180	701, 702, 712, 713, 715, 716, 881, 883
Me	H_2N–	$EtCO_2CH_2CH_2$–	—	713
Me	H_2N–	$PhCO_2CH_2CH_2$–	186–7	699, 713
Me	H_2N–	$ClCH_2CH_2$–	177	137, 140, 698, 714
Me	H_2N–	$BrCH_2CH_2$	—	140
Me	H_2N–	$MeSCH_2CH_2$–	166–7	137, 140
Me	H_2N–	$EtSCH_2CH_2$–	150–2	1371
Me	H_2N–	$PhCH_2SCH_2CH_2$–	134–5	1371
Me	H_2N–	*i*-$PrSCH_2CH_2$–	127–8	1371
Me	H_2N–	$PhSCH_2CH_2$–	138–9	1371
Me	H_2N–	$AcSCH_2CH_2$–	—	142, 714
Me	H_2N–	EtO_2CCH_2–	160	717a
Me	HO–	$HOCH_2CH_2$–	213–4	519a, 718
Me	HO–	$AcOCH_2CH_2$–	183	718
Me	Diacetamido	$AcOCH_2CH_2$	117	883
Et	H_2N–	$HOCH_2CH_2$–	233	702, 705, 719
Et	H_2N–	$AcOCH_2CH_2$–	165	719
Me	H_2N–	CH_2=CH–	245	698
Bu	H_2N–	$HOCH_2CH_2$–	159	720
$PhCH_2$–	H_2N–	$HOCH_2CH_2$–	224 229–30	702, 705, 719

TABLE VII-37. 5-THIAZOLYL SULFIDES AND 5-THIAZOLYLTHIOLS



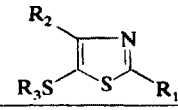
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
H	H	Me	70/10 132/50	886 r 567 r, 571 r
H	H	Ph	144/10	567
H	Me	H	—	735
H	Me	Et	130/6	736
H	Ph	Me	112/10 [3]	886 r
H	EtO_2C–	Me	57	886 r
H	2-Furyl	H	171	364 u,i,r
Me	Me	2-Benzothiazolyl–	—	736
Me	$PhCH_2$–	Ac–	65–6	365
Me	Ph	Et	—	736
Me	Ph	*p*-Tolyl	41–2 200/6	737 u
Me	β-Naphthyl	*p*-Tolyl	93–4	737 u
Me	3,4-di$MeOC_6H_3$–	*p*-Tolyl	59–60	737 u
$PhCH_2$–	*O*-Isopropylidene-1,2-*O*-methyl-3-D-xylotetrafuranosyl-4–	$PhCH_2$–	oil	738 u,i,c,m
$(Et)_2NCOSCH_2$–	Me	Me	—	7391
MeCH(OH)–	H	Me	106/0.2	52 r
MeCH(Cl)–	H	Me	Tlc	52 r
Ph	H	Ac	108–9	365
Ph	Me	H	—	563
Ph	Me	Ac	66	365, 563
Ph	Me	$MeO_2CCH_2CH(CO_2Me)$–	73–5	563 i,u,r
Ph	$PhCH_2$–	H	—	563
Ph	$PhCH_2$–	$MeO_2CCH_2CH(CO_2Me)$	83–5	563 r,u,i
Ph	$PhCH_2$–	$^-O_2CCH_2CH(CO_2^-)$	109	563
Ph	$PhCH_2$–	Ac–	112	365, 563
p-$O_2NC_6H_4$–	Ph	Me	138	740 m
p-$O_2NC_6H_4$–	Ph	2-(*p*-$O_2NC_6H_4$)-4-Ph-5-thiazolylthio–	253	740 m
H_2N–	H	Ph	123	567
H_2N–	Me	Ph	—	3821
H_2N–	Me	2-H_2N-4-Me-5-thiazolyl–	—	366
Ph	$PhCH_2$–	2-Ph-4-$PhCH_2$-5-thiazolylthio–	143	365
H_2N–	H	H	210	732
H_2N–	H	HO_2CCH_2–	220	356, 741
H_2N–	H	Ph	136	3491, 742
H_2N–	H	*p*-Tolyl	146	743
H_2N–	H	*p*-$AcNHC_6H_4$–	—	741
H_2N–	H	*p*-$O_2NC_6H_4$–	174 179	744 743
H_2N–	H	2,3-diClC_6H_3–	175	349
H_2N–	H	2-Me-4-BrC_6H_3–	254	349
H_2N–	H	2,4-diClC_6H_3–	167	349

TABLE VII-37 (*Continued*)



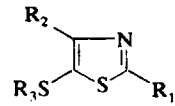
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
H_2N–	H	2-Cl-4-BuOC_6H_3–	87	349
H_2N–	H	2-Br-4-MeC_6H_3–	170	349
H_2N–	H	3-Cl-4-PrOC_6H_3–	111	349
H_2N–	H	2,5-diClC_6H_3–	83	349
H_2N–	H	3-Cl-4-BrC_6H_3–	126	349
H_2N–	H	3-Br-4-MeOC_6H_3–	165	349
H_2N–	H	3-Br-5-MeOC_6H_3–	142	349
H_2N–	H	2,5-diCl-4-EtOC_6H_2–	152	349
H_2N–	H	2-H_2N-5-thiazolyl–	—	745
H_2N–	Me	H	200 (HCl)	7, 746
H_2N–	Me	Ph	140	3461
H_2N–	Me	*p*-Tolyl–	142	747
H_2N–	Me	*p*-BrC_6H_4–	150	3461
H_2N–	Me	*p*-$O_2NC_6H_4$–	172	747
H_2N–	Me	*m*-ClC_6H_4–	148	3461
H_2N–	Me	*o*-MeOC_6H_4–	160	3461
H_2N–	Me	2,5-diMeOC_6H_3–	163	3461
H_2N–	Me	3-Cl-4-MeOC_6H_3–	138	3461
H_2N–	Me	2-H_2N-4-Me-5-thiazolyl–	191	352
H_2N–	*O*-isopropylidene-1,2-*O*-Me-3-α-D-xylotetra-furanosyl-4–	$PhCH_2$–	61–2	738 u,i,c,m
H_2N–	Ph	Ph	184	736
H_2N–	Ph	*p*-ClC_6H_4–	210	748
H_2N–	Ph	*p*-AcNHC_6H_4–	226	344
H_2N–	Ph	*p*-$O_2NC_6H_4$–	—	344
H_2N–	Ph	2-H_2N-4-Ph-5-thiazolyl–	205	353
H_2N–	2-Furyl	H	170	364 i,r
AcNH–	H	H	203 255	361 360, 372, 732
AcNH–	H	Me	193	372
AcNH–	H	*i*-Amyl	—	749
AcNH–	H	HO_2CCH_2–	220	372, 732
AcNH	H	Ph	202	347, 384
AcNH–	H	*p*-Tolyl	202	384, 743
AcNH–	H	*p*-ClC_6H_4–	196	743
AcNH–	H	*p*-BrC_6H_4–	209	384
AcNH–	H	*p*-$H_2NC_6H_4$–	—	750
AcNH–	H	*p*-AcNHC_6H_4–	255	751
AcNH–	H	*p*-$O_2NC_6H_4$–	250 258	744 384, 743, 752–4
AcNH–	H	2-AcNH-5-thiazolyl–	—	376
AcNH–	Me	H	253 235	372, 360 732
AcNH–	Me	Pr	128	381
AcNH–	Me	Bu	98	381

TABLE VII-37 (*Continued*)



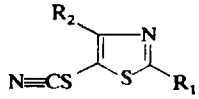
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
AcNH–	Me	*i*-Amyl	96	381
AcNH–	Me	HO_2CCH_2–	217 235	732 372
AcNH–	Me	Ac	144	378
AcNH–	Me	Ph	142	3461
AcNH–	Me	*p*-Tolyl	149	747
AcNH–	Me	*p*-ClC_6H_4–	151	747
AcNH–	Me	*p*-BrC_6H_4–	183	3461
AcNH–	Me	*p*-$O_2NC_6H_4$–	179 202	747, 753 384
AcNH–	Me	*m*-ClC_6H_4–	94	3461
AcNH–	Me	*o*-$MeOC_6H_4$–	183	3461
AcNH–	Me	2,5-di$MeOC_6H_3$–	125	3461
AcNH–	Me	3-Cl-4-$MeOC_6H_3$–	194	3461
AcNH–	Me	2-AcNH-4-Me-5-thiazolyl–	315	352, 367
AcNH–	Me	2-AcNH-4-Me-5-thiazolylthio–	159	378
AcNH–	$AcSCH_2$–	Ac	210–5	378, 379
AcNH–	*O*-Isopropylidene-1,2-*O*-Me-3-β-D-xylotetrafuranosyl-4–	$PhCH_2$–	63–5	738 u,i,r
AcNH–	1-Piperidino–	2-AcNH-4-(1-piperidino)-5-thiazolyl–	—	3761
MeCH=CHCONH–	H	*p*-$O_2NC_6H_4$–	—	753
p-$AcNHC_6H_4SO_2$-NH–	Me Me	H	337	7321
$(EtO)_2PSNH$–	Me	*p*-ClC_6H_4–	—	5531
AcN(Me)	Me	*p*-$O_2NC_6H_4$–	194	752, 753
HO	Me	*p*-ClC_6H_4–	185	228, 383
HO	Me	*p*-$H_2NC_6H_4$–	—	228, 383
HO	Me	*p*-$O_2NC_6H_4$–	201	228, 229, 383
HO	Me	2,4-diClC_6H_3–	190	228, 380
HO	Me	3,4-diClC_6H_3–	175	228, 380
HO	Ph	*p*-ClC_6H_4–	211	228, 380
HO	Ph	2,4-diClC_6H_3–	208	228, 380
HO	Ph	3,4-diClC_6H_3–	220	228, 380
HO	*p*-ClC_6H_4–	*p*-ClC_6H_4–	201	228, 380
HO	*p*-BrC_6H_4–	$Me(CH_2)_{11}$–	100	228, 380
HO	*p*-BrC_6H_4–	Ph	222	228, 380
HO	*p*-BrC_6H_4–	*p*-Tolyl	205	380
HO	*p*-BrC_6H_4–	*p*-FC_6H_4–	214	380
HO	*p*-BrC_6H_4–	*p*-ClC_6H_4–	222	380
HO	*p*-BrC_6H_4–	*p*-$O_2NC_6H_4$–	255	380
HO	*p*-BrC_6H_4–	*p*-$MeOC_6H_4$–	193	380 i
$(EtO)_2P(O)O$–	Me	Me	—	225
$(EtO)_2P(O)O$–	Me	Ph	—	225

TABLE VII-37 (*Continued*)



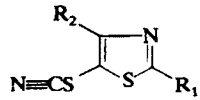
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
Me(*i*-PrO)P(S)O–	Me	Me	—	225
Et(EtO)P(S)O–	Me	Me	—	225
$(EtO)_2P(S)O$–	Me	Me	—	225
HS	Me	Ph	164	512, 5731
HS	Me	*p*-Tolyl	182	512
HS	Me	*p*-$O_2NC_6H_4$–	200	512
Cl	H	Ph	182/15	567
Cl	Me	*p*-$O_2NC_6H_4$–	105	229
Cl	Me	2,4-diClC_6H_3–	80	228
Cl	Ph	2,4-diClC_6H_3–	75	228
Cl	Ph	3,4-diClC_6H_3–	120	228
Br	H	Ph	200/0.2	567

TABLE VII-38. 5-THIOCYANATOTHIAZOLES



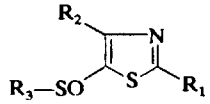
R_1	R_2	M.P. OR B.P. (°C)	Ref.
H_2N–	H	144 157	360, 376 370, 373
H_2N–	Me	165	360, 370, 373, 376
H_2N–	$PhCH_2CH_2$–	174	3741
H_2N–	*p*-$MeOC_6H_4CH_2CH_2$–	192	3741
H_2N–	Ph	188	360, 370, 374
H_2N–	*p*-Tolyl	178	374
H_2N–	*p*-EtC_6H_4–	158	374
H_2N–	*p*-BrC_6H_4–	276	374
H_2N–	*o*-HOC_6H_4–	260	374
H_2N–	*p*-$MeOC_6H_4$–	245	374
H_2N–	*p*-$EtOC_6H_4$–	246	374
H_2N–	*p*-$H_2NC_6H_4$–	300	374
H_2N–	*m*-$H_2NC_6H_4$–	278	374
H_2N–	3,4-diMeC_6H_3–	235	374
H_2N–	α-Naphthyl	208	374
H_2N–	β-Naphthyl	200	374
H_2N–	2-Thienyl	202	374

TABLE VII-38. (*Continued*)



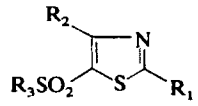
R_1	R_2	M.P. OR B.P. (°C)	Ref.
EtNH–	Me	.118	213 i,r
EtNH–	Ph	144	213 i,r
PrNH–	Me	91–2	213 i,r
PrNH–	Ph	117–8	213 i,r
BuNH–	Me	64–6	213
BuNH–	Ph	73–4	213 i,r
i-PrNH–	Me	75–7	213 i,r
i-PrNH–	Ph	94–5	213 i,r
PhNH–	Ph	111–2	731
PhNH–	*p*-BrC_6H_4–	121–2	731
p-BrC_6H_4NH–	Ph	152–3	731
p-BrC_6H_4NH–	*p*-BrC_6H_4–	171–2	731
m-BrC_6H_4NH–	Ph	148–9	731
m-BrC_6H_4NH–	*p*-BrC_6H_4–	165–8	731
o-BrC_6H_4NH–	Ph	139–41	731
o-BrC_6H_4NH–	*p*-BrC_6H_4–	136–8	731
OHCNH–	H	165	371, 375, 555
OHCNH–	Me	200	375
AcNH–	H	215	213 i,r, 360, 371, 373, 732
AcNH–	H	173–4 178	213 i,r, 360, 373, 732
AcNH–	Ph	199	360
AcNH–	2-Furyl–	214	733 a
AcNH–	5-O_2N-2-furyl–	242	734
AcNH–	O_2N–	—	376
$ClCH_2CH_2CONH$–	H	174	368, 369 l
$ClCH_2CONH$–	*p*-ClC_6H_4–	153	554 l
PhCONH–	Me	190	373
EtO_2CNH–	H	197	375
EtO_2CNH–	H	159	375
p-$AcNHC_6H_4O_2SNH$–	Me	337	732 l
AcN(Me)–	H	98–9	213 i,r
$FCH_2CON(Me)$–	H	136–7	213 i,r
$ClCH_2CON(Me)$–	H	103–4	213 i,r
$BrCH_2CON(Me)$–	H	103–4	213 i,r
$NCSCH_2CON(Me)$–	H	134–5	213 i,r
$ICH_2CON(Me)$–	H	150	213 i,r

TABLE VII-39. 5-THIAZOLYL SULFOXIDES



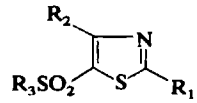
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
H	H	Ph	161/0.2	567
MeO–	H	Ph	58–9	567 k
Cl	H	Ph	55–6	567
Br	H	Ph	61–2	567

TABLE VII-40. 5-THIAZOLYL SULFONES AND SULFINIC ACID



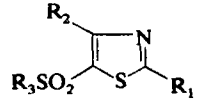
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
H	H	Me	109–10	567 r
H	H	Ph	95–6	567 r
H_2N–	H	Me	189	742
H_2N–	H	Ph	228	349, 742
H_2N–	H	*p*-Tolyl	235	356, 743, 744
H_2N–	H	*p*-$H_2NC_6H_4$–	222	356, 741, 750–753, 764–768
H_2N–	H	*p*-$HO_2CCH_2SCH_2$-NHC_6H_4–	—	765 l
H_2N–	H	*p*-$AcNHC_6H_4$–	249	356, 741
H_2N–	H	*p*-$HO_2C(CH_2)_2$-$CONHC_6H_4$–	215	356, 765
H_2N–	H	*p*-$O_2NC_6H_4$–	232	743, 744, 752, 753, 769
H_2N–	H	*p*-ClC_6H_4–	209	743, 748
H_2N–	H	2-Me-4-BrC_6H_3–	182	349 l
H_2N–	H	2-Cl-4-$BuOC_6H_3$–	152	349 l
H_2N–	H	2,3-diClC_6H_3–	220	349 l
H_2N–	H	2,4-diClC_6H_3–	187	349 l
H_2N–	H	2,5-diClC_6H_3–	228	349 l
H_2N–	H	3-Cl-4-BrC_6H_3–	220	349 l
H_2N–	H	3-Cl-4-BrC_6H_3–	220	349 l
H_2N–	H	3-Cl-4-$PrOC_6H_3$–	192	349 l
H_2N–	H	3-Br-4-$MeOC_6H_3$–	204	349 l

TABLE VII-40. (*Continued*)



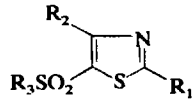
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
H_2N–	H	3-Br-5-$MeOC_6H_3$–	219	349 l
H_2N–	H	2-Br-4-MeC_6H_3–	211	349 l
H_2N–	H	2,5-diCl-4-$EtOC_6H_2$–	250	349 l
H_2N–	H	H_2N–	161	609 l
H_2N–	H	PhNH–	157	609 l
H_2N–	H	*p*-$H_2NC_6H_4NH$–	196	361
H_2N–	H	α-Pyridyl-NH–	228	361, 609 l
H_2N–	H	2-Pyrimidyl-NH–	253	361
H_2N–	Me	Ph	—	346 l, 382 l, 770
H_2N–	Me	*p*-$H_2NC_6H_4$–	176	343
H_2N–	Me	*p*-$AcNHC_6H_4$–	238	343
H_2N–	Me	*p*-$O_2NC_6H_4$–	205	229, 768 l
H_2N–	Me	*o*-$MeOC_6H_4$–	166	346 l
H_2N–	Me	*p*-ClC_6H_4–	159	748
H_2N–	Me	*m*-ClC_6H_4–	140	346 l
H_2N–	Me	*p*-BrC_6H_4–	143	346 l
H_2N–	Me	2-H_2N-4-Me-5-thiazolyl–	246	352
H_2N–	Me	2,5-di$MeOC_6H_3$–	122	346 l
H_2N–	Me	3-Cl-4-$MeOC_6H_3$–	219	346 l
H_2N–	Me	H_2N–	176	760 l, 771
H_2N–	Me	PhNH–	136	760 l
H_2N–	Me	*p*-$H_2NO_2SC_6H_4NH$–	—	771
H_2N–	Me	2-Pyridyl-NH–	209	760
H_2N–	Me	Me_2N–	—	772
H_2N–	Ph	PhNH–	—	339
H_2N–	Ph	*p*-ClC_6H_4–	156	748
H_2N–	Ph	*p*-$O_2NC_6H_4$–	242	773
H_2N–	Ph	2-H_2N-4-Ph-5-thiazolyl–	210	353
$Na^+{}^-O_3SCH_2NH$–	H	*p*-$Na^+{}^-O_3SCH_2NHC_6H_4$–	—	745
$Na^+{}^-O_3SCH(Me)NH$–	H	*p*-$Na^+{}^-O_3SCH(Me)NHC_6H_4$–	—	745
$HO_3SCH(Me)NH$–	H	*p*-$HO_3SCH(Me)NHC_6H_4$–	—	356, 745
Ph_3CNH–	H	*p*-$Ph_3CNHC_6H_4$–	277	356
N_3	Ph	$HOCH_2CH_2NH$–	—	339
N_3	Ph	$H_2C{=}CHNH$–	—	339
N_3	Ph	PhNH–	—	339
N_3	Ph	*p*-Tolyl-NH–	—	339
N_3	Ph	*m*-Tolyl-NH–	—	339
N_3	Ph	*o*-Tolyl-NH–	—	339
N_3	Ph	*p*-$MeOC_6H_4$–	—	339

TABLE VII-40 (*Continued*)



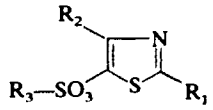
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
N_3	Ph	*o*-$MeOC_6H_4$-	—	339
N_3	Ph	*p*-ClC_6H_4-	—	339
N_3	Ph	*m*-BrC_6H_4-	—	339
N_3	Ph	*o*-BrC_6H_4-	—	339
N_3	Ph	*p*-$O_2NC_6H_4$-	—	339
N_3	Ph	Cl	—	339
AcNH-	H	Me	273	749
AcNH-	H	*i*-Amyl	250	749
AcNH-	H	Bu	240	749
AcNH-	Me	Ph	—	347, 382
AcNH-	H	*p*-Tolyl	258	743
AcNH-	H	*p*-$H_2NC_6H_4$-	267	750 l, 752, 753, 767 l, 768 l
AcNH-	H	*p*-$AcNHC_6H_4$-	303	356, 751, 766
AcNH-	H	*p*-$O_2NC_6H_4$-	—	743, 752, 753, 769
AcNH-	H	*p*-ClC_6H_4-	275	743
AcNH-	H	PhNH-	295	609
AcNH-	H	2-Pyridyl-NH-	275	609
AcNH-	H	2-Thiazolyl-NH-	284	609
AcNH-	H	Cl	220	361, 609
AcNH-	Me	Pr	150	381
AcNH-	Me	Bu	138	381
AcNH-	Me	*i*-Amyl	142	381
AcNH-	Me	Ph	231	346 l
			265	748
AcNH-	Me	*o*-$MeOC_6H_4$-	246	346 l
AcNH-	Me	*m*-ClC_6H_4-	232	346 l
AcNH-	Me	*p*-BrC_6H_4-	—	346 l
AcNH-	Me	2,5-di$MeOC_6H_3$-	187	346 l
AcNH-	Me	3-Cl-4-$MeOC_6H_3$-	271	346 l
AcNH-	Me	2-AcNH-5-thiazolyl-	>300	352
AcNH-	Me	H_2N-	231	760
AcNH-	Me	PhNH-	199	760
AcNH-	Me	2-Pyridyl-NH-	230	760
AcNH-	Me	PhEtN-	243	337
AcNH-	Me	Cl	160	337, 760, 761
AcNH-	Ph	*p*-ClC_6H_4-	227	748
BuCONH-	Me	H_2N-	167	340
$HO_2CCH_2CH_2CONH$-	H	*p*-$H_2NC_6H_4$-	230	752, 753 l
$HO_2CCH_2CH_2CONH$-	H	*p*-$O_2NC_6H_4$-	—	753

TABLE VII-40 (*Continued*)



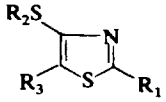
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
MeCH=CHCONH–	H	*p*-$H_2NC_6H_4$–	270	752, 753
MeCH=CHCONH–	H	*p*-$O_2NC_6H_4$–	—	753
PhCH=CHCONH–	Me	H_2N–	245	340
3-(3-Me-4-O_2N-2-imidazolyl)-1-imidazolidinyl-2-one–	H	Me	—	774
p-NCC_6H_4CONH–	Me	H_2N–	260	340
p-$H_2NC_6H_4CONH$–	H	*p*-$H_2NC_6H_4$–	—	767 l
3-Pyridyl-CONH–	H	*p*-$H_2NC_6H_4$–	248	752, 767
$PhSO_2NH$–	Me	H_2N–	203	340
p-$HO_2CC_6H_4SO_2NH$–	Me	H_2N–	300	340
p-$HO_2CC_6H_4SO_2NH$–	H	H_2N–	298	340
Et_2N–	Me	H	216	762
AcN(Me)–	H	*p*-$H_2NC_6H_4$–	—	752
AcN(Me)–	Me	*p*-$O_2NC_6H_4$–	228	753
$HO(CH_2)_5NSO_3H$	Me	H	—	590
2-Me-4-$(HOCH_2CH_2)_2$-$NC_6H_3N{=}N$–	H	Me	211	775 a
2-Me-4-$(AcOCH_2CH_2)_2$-$NC_6H_3N{=}N$–	H	Me	164	775 a
HO–	H	*p*-$H_2NC_6H_4$–	178	383, 765 l, 776
HO–	H	*p*-$AcNHC_6H_4$–	266	383, 776
HO–	Me	*p*-$H_2NC_6H_4$–	225	229, 383
HO–	Me	*p*-$AcNHC_6H_4$–	—	383
HO–	Me	*p*-$O_2NC_6H_4$–	265	229
MeO–	H	Ph	112–3	567 r
Cl–	H	Ph	101–2	567 r
Cl	Me	*p*-$O_2NC_6H_4$–	157	229

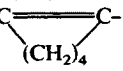
TABLE VII-41. 5-THIAZOLE SULFONIC ACIDS AND ESTERS



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
H	Me	H	278	236, 612
H_2N–	H	H	247	330, 609, 757, 758
H_2N–	Me	H	360	71, 236, 759
2,4-diHO-5-HO_2C-$C_6H_3N{=}N$–	H	H	—	331 u
2,4-diHO-3-O_2N-$C_6H_3N{=}N$–	H	H	—	331 u
N_3	Ph	Me	—	339
N_3	Ph	Et	—	339
$N{\equiv}\overset{+}{N}$–	H	H	—	331 u
2-Pyridyl-NH–	H	H	260–5	332 r
AcNH–	H	H	275	361, 609
AcNH–	Me	H	—	760, 761
p-$H_2NC_6H_4SO_2NH$–	H	H	258	757
p-$AcNHC_6H_4SO_2NH$–	H	H	—	757
Et_2N–	H	H	270	762
HO	Me	H	225	612, 624, 762

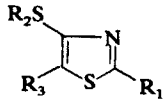
TABLE VII-42. 4-THIAZOLYLSULFIDES



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
H_2N–	–C(Me)=CH–		118	579 i,u,r
H_2N–			153	579 i,u,r
H_2N–	–C(Ph)=CH–		213	579 i,u,r
H_2N–	$-C(CO_2Et){=}C(Me)-$		255	579 i,u,r
H_2N–	–(*o*-C_6H_4)–		225	579 i,u,r
MeNH–	NC–	H	159	370
Allyl-NH–	NC–	H	106	370
cyclo-Hexyl-NH–	NC–	H	135	370
AcNH–	–C(Me)=CH–		282	579 i,u,r
AcNH–	–C(Ph)=CH–		332	579 i,u,r

[a] $-(CH_2)_4-$

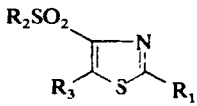
TABLE VII-42 (*Continued*)



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
AcNH–		–C(CO_2Et)=C(Me)–	238	579 i,u,r
p-$Me_2NC_6H_4NH$–		–C(CO_2Et)=C(Me)–	245	579 i,u,r
AcNH–	NC–	Me	176	370
MeCH=CHCONH–	NC–	H	209	370
PhCONH–	NC–	H	178	370
o-Tolyl-CONH–	NC–	H	130	370
p-ClC_6H_4CONH–	NC–	H	186	370
o-ClC_6H_4CONH–	NC–	H	114	370
p-$O_2NC_6H_4CONH$–	NC–	H	165	370
MeO_2CNH–	NC–	H	216	370
p-$H_2NC_6H_4SO_2NH$–	NC–	H	137	370

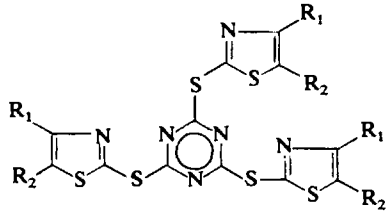
[a] Left bond linked to S; right bond linked to 5-C.

TABLE VII-43. 4-THIAZOLYLSULFONES



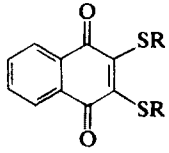
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
H	*p*-Tolyl	Me	148–9	358, 359
H	*p*-Tolyl	Ph	148–9	358, 359
H	*p*-Tolyl	*p*-Tolyl	142–3	358, 359
H	*p*-Tolyl	*p*-$MeOC_6H_4$–	183–5	358, 359
H	*p*-Tolyl	*p*-ClC_6H_4–	180–1	358, 359
H	*p*-Tolyl	*p*-$O_2NC_6H_4$–	200–1	358, 359
H	*p*-Tolyl	2-Furyl	137–8	358, 359
Me	HO	Me	284	247
Br	HO	Br	241	330
1-Piperidinyl	HO	Me	—	590
HO	HO	Me	—	247

TABLE VII-44. TRIAZINE DERIVATIVES



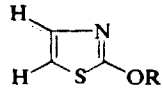
R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	H	140	537
Me	Ac	204	493 a
Me	MeO_2C–	257	493 a
Me	EtO_2C–	204	493 a
Me	BuO_2C–	115	493 a
EtO_2CCH_2–	H	—	151 a,l
–4,5-Dihydronaphtho(1,2)–		239	166 a,l

TABLE VII-45



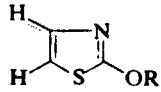
R	m.p. or b.p. (°C)	Ref.
4-Me-5-Ac-2-thiazolyl–	134	180 a,l
4-Me-5-H_2NCO-2-thiazolyl–	173	180 a,l
4-Me-5-PhNHCO-2-thiazolyl–	204	180 a,l
4-Me-5-HO_2C-2-thiazolyl–	118	180 a,l
4-EtO_2C-2-thiazolyl–	197	195
5-EtO_2C-2-thiazolyl–	197	180 a,l

TABLE VII-46. UNSUBSTITUTED 2-THIAZOLYL OXIDES



R	m.p. or b.p. (°C)	Ref.
Me	58/20 49/5 22/1.8 142–6	243 i, 288 r, 303 u, 305 m, 306 a,m, 307, 531 l, 571 r, 776
Et	32/2 62/20	85 r, 288 r, 306 a,m, 531 l, 776
Bu	—	306 a,m
$(Et)_2NCH_2CH_2$–	130/12	218
Allyl	48/3	283 m
CH_2CHCH_2– \ / O	75–80/0.05	534 l, 535 l, 639 l, 788 l
$H_2NCH_2CH(OH)CH_2$–	oil	788 l, 877 l
$MeNHCH_2CH(OH)CH_2$–	oil	788 l, 877 l
$EtNHCH_2CH(OH)CH_2$–	oil	788 l, 877 l
Allyl-$NHCH_2CH(OH)CH_2$–	112–3 (maleate)	788 l, 877 l
$Ph(CH_2)_3NHCH_2CH(OH)CH_2$–	oil	788 l, 877 l
$(Me)_2N(CH_2)_3NHCH_2CH(OH)CH_2$–	117–9 (maleate)	788 l, 877 l
1-Piperidino-$(CH_2)_3NHCH_2CH(OH)CH_2$–	oil	788 l
3,4-di$MeOC_6H_3(CH_2)_2NHCH_2CH(OH)CH_2$–	101–3	788 l
$HO(CH_2)_2NHCH_2CH(OH)CH_2$–	oil	535, 788 l
$MeO(CH_2)_2NHCH_2CH(OH)CH_2$–	125 (maleate)	788 l
p-$H_2NCOC_6H_4OCH_2CH_2NHCH_2CH(OH)CH_2$–	—	299 l, 639
i-$PrNHCH_2CH(OH)CH_2$–(R,S)	163–4 (HCl)	534, 535 l, 788 l, 889 t
i-$PrNHCH_2CH(OH)CH_2$–(R^+)	112–3	788 l
i-$PrNHCH_2CH(OH)CH_2$–(S^-)	112–3	788 l
$EtCH(Me)NHCH_2CH(OH)CH_2$–	oil	788 l
$PhCH_2CH(Me)NHCH_2CH(OH)CH_2$–	158–9 (maleate)	788 l
p-$MeOC_6H_4CH_2CH(Me)NHCH_2CH(OH)CH_2$–	113–4 (maleate)	788 l
$HOCH_2CH(Me)NHCH_2CH(OH)CH_2$–	108–10 (maleate)	788 l
$PhCH(Me)NHCH_2CH(OH)CH_2$–	149–52 (maleate)	788 l
Cyclopropyl-$NHCH_2CH(OH)CH_2$–	142–3 (maleate)	788 l

TABLE VII-46 (*Continued*)



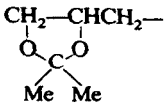
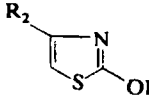
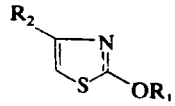
R	m.p. or b.p. (°C)	Ref.
Cyclopentyl-$NHCH_2CH(OH)CH_2$–	184–6 (maleate)	788 l
2-Adamantyl-$NHCH_2CH(OH)CH_2$–	144–6 (maleate)	788 l
t-$BuNHCH_2CH(OH)CH_2$–	193–5 (maleate)	535 l, 788 l
$Me_2NCH_2CH(OH)CH_2$–	oil	788 l
1-Morpholino-$CH_2CH(OH)CH_2$–	oil	535 l, 788 l
1-(4-$HOCH_2CH_2$-piperazino)$CH_2CH(OH)CH_2$–	150–1 (bis maleate)	788 l
$(HOCH_2CH_2)_2NCH_2CH(OH)CH_2$–	oil	788 l
$HOCH_2CH(OH)CH_2$–	—	299 l, 534 l, 639 l, 788 l
$MeSO_3CH_2CH(OH)CH_2$–	—	299 l, 534, 535 l
	95–100/0.3	534 l,u, 535 l, 639 l, 788 l
p-$PhCH_2C_6H_4$–	—	295
p-$EtO_2CCH(Me)C_6H_4$–	—	300 l
m-$H_2NC_6H_4$–	—	254 l
p-$(F_3CC_6H_4SO_2NH)C_6H_4$–	123–5	254 l
m-$(F_3CC_6H_4SO_2NH)C_6H_4$–	123–5	254 l
m-$O_2NC_6H_4$–	150/0.3	254 l
m-$MeOC_6H_4$–	—	295
o-$MeOC_6H_4$–	—	295
$(EtO)_2P(S)$–	—	224 a,l

TABLE VII-47. 4-SUBSTITUTED 2-THIAZOLYL OXIDES



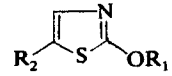
R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	Me	73–4/14	36, 243 i, 790 e,k, 887, 893
Me	2-Benzimidazolyl	—	291 l
Me	2(5-O_2N-furyl)CH=CBr–	161–3	530 l
Me	Cl	185–8	297 r,k
Me	O_2N–	—	288
Et	Me	—	36
Et	2(5-O_2N-furyl)CH=CH–	104–5	530 l
Et	2(5-O_2N-furyl)CH=CBr–	166–7	530 l
Et	*m*-$NCSC_6H_4$–	54	257–262
Et	3-NCS-4-$MeOC_6H_3$–	52	257–262
Bu	*p*-$NCSC_6H_4$–	53–5	257–262
$Me_2NCH_2CH_2$–	Ph	187–8	217 l
$Et_2NCH_2CH_2$–	Me	—	217 l
$Et_2NCH_2CH_2$–	Ph	135–6	217 l
1-Piperidino-CH_2CH_2–	Me	224–5	217 l
1-Piperidino-CH_2CH_2–	Ph	185–6	217 l
$HOCH_2CH_2$–	2(5-O_2N-furyl)CH=CBr–	—	530 l
$MeOCH_2CH_2$–	2(5-O_2N-furyl)CH=CBr–	128–30	530 l
HO_2CCH_2–	Ph	129–30	293 a, 294 l
HO_2CCH_2–	*p*-FC_6H_4–	141–3	293 a
HO_2CCH_2–	*p*-$MeOC_6H_4$–	162–3	293 a
HO_2CCH_2–	*p*-ClC_6H_4–	156	293 a, 294 l
HO_2CCH_2–	*m*-ClC_6H_4–	125–6	293 a, 294 l
HO_2CCH_2–	*o*-ClC_6H_4–	102–3	293 a, 294 l
HO_2CCH_2–	*p*-BrC_6H_4–	160–1	293 a
HO_2CCH_2–	*p*-$MeSC_6H_4$–	153–4	293 a
HO_2CCH_2–	2,4-diClC_6H_3–	155	293 a
HO_2CCH_2–	2-Thienyl	133–4	293 a, 294 l
HO_2CCH_2–	5-Cl-2-thienyl–	139–42	293 a
EtO_2CCH_2–	*p*-$MeOC_6H_4$–	121–2	293 a
i-Pr	*p*-$NCSC_6H_4$–	53	257–262
i-Pr	*m*-$NCSC_6H_4$–	20	257–262
$HO_2CCH(Me)$–	*p*-ClC_6H_4–	146–7	293 a, 294 l
PhCO–	Me	—	791
$(EtO)_2P(O)$	Me	153/4	220
$(EtO)_2P(O)$	Ph	—	224 a,l
$(EtO)_2P(O)$	*p*-Tolyl	—	224 a,l
$(EtO_2)_2P(O)$	*p*-ClC_6H_4–	—	224 a,l
$(EtO)_2P(O)$–	2,4-diClC_6H_3–	—	224 a,l
$(PrO)_2P(O)$–	Me	—	220
$(BuO)_2P(O)$–	Me	—	220

TABLE VII-47 (*Continued*)



R_1	R_2	m.p. or b.p. (°C)	Ref.
EtOP(Me)(S)–	*p*-Tolyl	—	224 a,l
EtOP(Me)(S)–	*m*-$O_2NC_6H_4$–	—	224 a,l
$(MeO)_2P(S)$–	Ph	—	224 a,l
$(MeO)_2P(S)$–	*p*-Tolyl	—	224 a,l
$(MeO)_2P(S)$–	*p*-$MeOC_6H_4$–	—	224 a,l
$(MeO)_2P(S)$–	*p*-ClC_6H_4–	—	224 a,l
$(MeO)_2P(S)$–	3-Me-4-ClC_6H_3–	—	224 a,l
$(MeO)_2P(S)$–	2-Cl-3-MeC_6H_3–	—	224 a,l
$(MeO)_2P(S)$–	*p*-BrC_6H_4–	—	224 a,l
$(EtO)_2P(S)$–	Ph	33–4	224 a,l
$(EtO)_2P(S)$–	EtO_2CCH_2–	—	226 l
$(EtO)_2P(S)$–	*p*-Tolyl	—	224 a,l
$(EtO)_2P(S)$–	*m*-$O_2NC_6H_4$–	—	224 a,l
$(EtO)_2P(S)$–	*p*-$MeOC_6H_4$–	—	224 a,l
$(EtO)_2P(S)$–	*p*-$MeSC_6H_4$–	—	224 a,l
$(EtO)_2P(S)$–	*p*-ClC_6H_4–	—	224 a,l
$(EtO)_2P(S)$–	*p*-BrC_6H_4–	—	224 a,l
$(EtO)_2P(S)$–	2-Cl-3-MeC_6H_3–	—	224 a,l
$(EtO)_2P(S)$–	3-Me-4-ClC_6H_3–	—	224 a,l
$(EtO)_2P(S)$–	2,4-diClC_6H_3–	—	224 a,l
$(EtO)_2P(S)$–	2-Thienyl	—	224 a,l
$(EtO)_2P(S)$–	EtO_2C–	—	226 l
$(PrO)_2P(S)$–	Ph	—	224 a,l

TABLE VII-48. 5-SUBSTITUTED 2-THIAZOLYL OXIDES



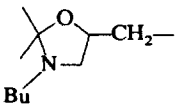
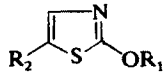
R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	MeCH(OH)–	144/19	571 r
Me	*p*-$O_2NC_6H_4CO_2CH(Me)$–	96–7	571 r
Me	PhCH=N–	223–5	219 l, 532 l
Me	*p*-$Me_2NC_6H_4CH$=N–	—	532 l
Me	*p*-$AcNHC_6H_4CH$=N–	—	532 l
Me	*p*-ClC_6H_4CH=N–	—	532 l
Me	O_2N–	52 59	6 r, 288 r, 297 r,k
Me	Cl	166–7	297 r,k
Me	PhSO–	59	567 k
Et	PhCH=N–	194–5	219 l, 532 l
Et	*p*-$Me_2NC_6H_4CH$=N–	—	532 l
Et	*p*-$AcNHC_6H_4CH$=N–	—	532 l
Et	*o*-$EtOC_6H_4CH$=N–	—	532 l
Pr	PhCH=N–	182–4	219 l, 532 l
Pr	*p*-$Me_2NC_6H_4CH$=N–	—	532 l
Pr	*p*-$AcNHC_6H_4CH$=N–	—	532 l
Pr	*p*-ClC_6H_4CH=N–	—	532 l
Bu	PhCH=N–	194–5	219 l, 532 l
Bu	*p*-$Me_2NC_6H_4CH$=N–	—	532 l
Bu	*p*-$AcNHC_6H_4CH$=N–	—	532 l
Bu	*p*-ClC_6H_4CH=N–	185–7	219 l, 532 l
Hexyl	PhCH=N–	—	219 l
Heptyl	PhCH=N–	—	532 l
i-Amyl	PhCH=N–	192–3	219 l, 532 l
CH_2CHCH_2– (O)	*i*-$Pr(CH_2)_4NHCO$–	—	536 l
CH_2CHCH_2– (O)	$EtCH(Me)(CH_2)_3NHCO$–	—	536 l
CH_2CHCH_2– (O)	MeO–	—	535 l
$H_2NCH_2CH(OH)CH_2$–	MeO–	—	535 l
i-$PrNHCH_2CH(OH)CH_2$–	MeO–	—	535 l
i-$PrNHCH_2CH(OH)CH_2$–	$EtCH(Me)(CH_2)_3NHCO$–	—	620 l, 876 l
	*i*-$Pr(CH_2)_4NHCO$–	—	536 l

TABLE VII-48 (*Continued*)



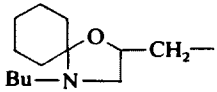
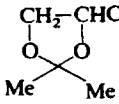
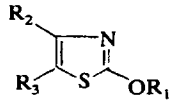
R_1	R_2	m.p. or b.p. (°C)	Ref.
	*i*-Pr$(CH_2)_4$NHCO–	—	536 l
$HOCH_2CH(OH)CH_2$–	*i*-Pr$(CH_2)_4$NHCO–	—	536 l
	MeO–	—	535 l
	Br	—	620 l, 876 l
$MeO_3SOCH_2CH(OH)CH_2$–	MeO–	—	535 l
$PhCH_2$–	PhCH=N–	182–3	219 l
Allyl	PhCH=N–	210–2	219 l, 532 l
Allyl	*p*-AcNHC_6H_4CH=N–	—	532 l
Ph	O_2N–	103	251, 263
p-Tolyl	O_2N–	93–4	251
p-*t*-BuC_6H_4–	O_2N–	—	263
p-$H_2NC_6H_4$–	O_2N–	—	263
p-MeOC_6H_4–	O_2N–	96–7	251
o-MeOC_6H_4–	O_2N–	—	263
p-ClC_6H_4–	O_2N–	—	263
o-ClC_6H_4–	O_2N–	84–5	251
p-NCSC_6H_4–	O_2N–	61	251
2,5-diClC_6H_3–	O_2N–	—	263
5,7-diCl-quinoline-8-yl–	O_2N–	—	287 a, 296, 878 l
5,7-diBr-quinoline-8-yl–	O_2N–	—	296 l, 878 l
5,7-diI-quinoline-8-yl–	O_2N–	—	296 l, 878 l
5,7-diCl-2-Me-quinoline-8-yl–	O_2N–	—	287 a, 296 l, 878 l
5,7-diBr-4-Me-quinoline-8-yl–	O_2N–	—	296 l, 878 l

TABLE VII-49. 4,5-DISUBSTITUTED 2-THIAZOLYL OXIDE



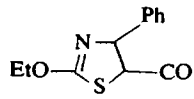
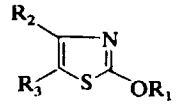
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
Me	Me	NO_2	73–4	893
Me	Ph	PhCH=N–	—	532 l
Me	Ph	*p*-AcNHC$_6$H$_4$CH=N–	157	532 l, 784
Me	HO–	EtO_2C–	—	429 l
Me	HO–	*i*-PrO_2C–	—	429 l
Me	$(EtO)_2P(S)O$–	EtO_2C–	—	429 l, 428
Me	$(EtO)_2P(S)O$–	*i*-PrO_2C–	—	429 l, 428
Et	Me	EtO_2CCH_2–	—	757
Et	Ph	HO_2C–	202	37
Et	Ph	PhCH=N–	172	532 l, 784
Et	Ph	4-$O_2NC_6H_4CO$–	162	879 i,r
Et	Ph	N≡C–	69	879 i,r
Et	Ph	*p*-biphenyl-CO–	104	879 i,r
Et	Ph	O_2N–	123	879 i,r
Et	Ph	4-BrC$_6$H$_4$CO–	108	879 i,r
Et	Ph		116	879 i,r
Et	Ph	*p*-AcNHC$_6$H$_4$CH=N–	146	532 l, 784
Et	HO–	EtO_2C–	—	429 l, 428
Et	HO–	*i*-PrO_2C–	—	429 l, 428
Et	$(EtO)_2P(O)O$–	EtO_2C–	—	429 l, 428
Et	$(EtO)_2P(O)O$–	*i*-PrO_2C–	—	429 l, 428
Et	Me(*i*-PrO)P(S)O–	*i*-PrO_2C–	—	429 l, 428
Et	Et(EtO)P(S)O–	EtO_2C–	—	429 l, 428
Et	Et(EtO)P(S)O–	*i*-PrO_2C–	—	429 l, 428
Et	$(EtO)_2P(S)O$–	EtO_2C–	—	429 l, 428
Et	$(EtO)_2P(S)O$–	*i*-PrO_2C–	—	429 l, 428
Et	$(EtO)_2P(S)O$–	N≡C–	—	872 l
Pr	Ph	PhCH=N–	137–8	532 l, 784
Bu	Ph	PhCH=N–	84–5	532 l, 784
$Me_2NCH_2CH_2$–	Ph	Ph	104–5	217 l
$Et_2NCH_2CH_2$–	Ph	Ph	88–9	217 l
1-Piperidino-CH_2CH_2–	Ph	Ph	239–41	217 l
Allyl	Ph	PhCH=N–	129–30	532 l, 784
HO_2CCH_2–	Me	Ph	176	293 a, 294
HO_2CCH_2–	Me	*p*-ClC$_6$H$_4$–	127	293 a
HO_2CCH_2–	Ph	Ph	113	293 a
HO_2CCH_2–	Ph	*p*-ClC$_6$H$_4$–	158	293 a
HO_2CCH_2–	*p*-MeOC$_6$H$_4$–	Ph	108	293 a
HO_2CCH_2–	*p*-MeOC$_6$H$_4$–	*p*-MeOC$_6$H$_4$–	122	293 a
HO_2CCH_2–	*p*-MeOC$_6$H$_4$–	*p*-ClC$_6$H$_4$–	153	293 a
HO_2CCH_2–	*m*-MeOC$_6$H$_4$–	*m*-MeOC$_6$H$_4$–	91	293 a
HO_2CCH_2–	*p*-EtOC$_6$H$_4$–	*p*-EtOC$_6$H$_4$–	125	293 a

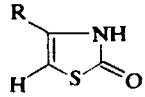
TABLE VII-49 (*Continued*)



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
HO_2CCH_2–	*p*-ClC_6H_4–	Me	170	293 a
HO_2CCH_2–	*p*-ClC_6H_4–	Ph	120	293 a
EtO_2C–	Me	Ph	76	293 a
i-Pr	*i*-Pr	Me_2NCO_2–	oil	453 r
i-Pr	*i*-Pr	$(MeO)_2P(S)O$–	—	468 l,r
i-Pr	Ph	PhCH=N–	126	532 l, 784
i-Pr	HO–	EtO_2C–	—	429 l, 428
i-Pr	HO–	*i*-PrO_2C–	—	429 l, 428
i-Pr	Et(EtO)P(S)O–	EtO_2C–	—	429 l, 428
i-Pr	Et(EtO)P(S)O–	*i*-PrO_2C–	—	429 l, 428
Ph	Me	$HO_2CCH(Me)$–	—	590 l
Ph	Me	$PhCH_2O_2CCH(Me)$–	—	590 l
Ph	Me	$ClCH_2$–	—	836
Ph	Me	$NCCH_2$–	—	836
Ph	Me	HO_2CCH_2–	—	836
Ph	Me	PhNHCO–	203	253 l, 606 l
Ph	Me	ClCO–	—	590 l
Ph	Me	EtO_2C–	60	253 l, 606 l
p-ClC_6H_4–	Cl	NC–	123–5	785 l
p-ClC_6H_4–	Cl	Cl–	—	785 l
3-Me-4-ClC_6H_3–	Me	PhNHCO–	147	253 l, 606 l
3-Me-4-ClC_6H_3–	Me	EtO_2C–	57	253 l, 606 l
Ac	Ph	AcNH–	170	786
$(EtO)_2P(O)$–	Me	MeS–	—	225
$(EtO)_2P(O)$–	Me	PhS–	—	225
$(EtO)_2P(O)$–	Cl	O_2N–	—	223 l
Me(*i*-PrO)P(S)–	Me	MeS–	—	225
Et(EtO)P(S)–	Me	MeS–	—	225
Et(EtO)P(S)–	Me	EtS–	—	225
$(EtO)_2P(S)$–	Me	Me	—	224 a,l
$(EtO)_2P(S)$–	Me	O_2N–	—	223 l
$(EtO)_2P(S)$–	Me	Ac	—	226 l
$(EtO)_2P(S)$–	Me	MeS–	—	225
$(EtO)_2P(S)$–	Me	EtS–	—	225
$(EtO)_2P(S)$–	Me	PhS–	—	225
$(Cl_2C{=}CHO)(MeO)P(S)$–	Me	EtS–	—	221
$(EtO)_2P(S)$–	$-CH_2CH_2CH_2-$[a]		—	227 l
$(EtO)_2P(S)$–	$-CH_2CH_2CH_2CH_2-$		—	227 l
$(EtO)_2P(S)$–	$-CH(CO_2Me)CH_2CH_2CH_2-$		—	227 l
$(EtO)_2P(S)$–	$-CH(CO_2Et)CH_2CH_2CH_2-$		—	227 l
$(EtO)_2P(S)$–	$-CH(CO_2Pr)CH_2CH_2CH_2-$		—	227 l
$(EtO)_2P(S)$–	$-CH_2CH_2CH_2CH_2CH_2-$		—	227 l

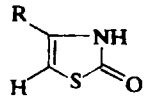
[a] Left bond linked to 4-C; right bond linked to 5-C.

TABLE VII-50. 4-SUBSTITUTED Δ-4-THIAZOLINE-2-ONES



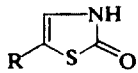
R	m.p. or b.p. (°C)	Ref.
Me	104	36, 201, 204, 213 i,r, 214, 215, 217, 220, 229, 236, 239, 243 i, 245, 763, 790–792, 794–807, 869, 893
HO_2CCH_2–	129	574 l,u
EtO_2CCH_2–	109–11	226, 574 l,u
$PhCH_2$–	162–3	796
$(Me)_2NCH_2$–	—	808
$(Et)_2NCH_2$–	—	808
1-Pyrrolidino-CH_2–	—	808
$ClCH_2$–	146	808–810
$NCSCH_2$–	—	809
$BrCH_2$–	—	239
Adamantyl	—	477 l, 478 l
(5-O_2N-2-furyl)CH=CH–	—	516 l
(5-O_2N-2-furyl)CH=CBr–	—	516 l
Ph	209	68 d, 87 r, 90 d, 213 i,r, 217, 224, 235, 798, 807, 811, 813
p-Tolyl	220	90 c, 224, 230
2,4-diMeC_6H_3–	142	233
2,5-diMeC_6H_3–	173	233
3,4-diMeC_6H_3–	185	233
4-Me-3-$O_2NC_6H_3$–	225	814
3-Me-6-HOC_6H_3–	223	234 l
3-Me-2-ClC_6H_3–	—	224
3-Me-4-ClC_6H_3–	—	224
4-Me-6-HOC_6H_3–	213	234 l
2,4-diHOC_6H_3–	257	234 l
3,4-diHOC_6H_3–	210	230, 815
2,3,4-triHOC_6H_2–	230	234 l
p-$MeOC_6H_4$–	195	90 c, 224, 230
p-$MeSC_6H_4$–	—	224
p-ClC_6H_4–	220–2	90 c, 213, 224, 230
o-ClC_6H_4–	182–3	193 l
p-BrC_6H_4–	240	90 c, 224, 230
p-$O_2NC_6H_4$–	—	90 c
m-$O_2NC_6H_4$–	245	90 c, 224, 814
2,4-diClC_6H_3–	—	224
4-Cl-3-$O_2NC_6H_3$–	220	814
4-HO-3-$HO_2CC_6H_3$–	290	814

TABLE VII-50 (*Continued*)



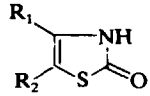
R	m.p. or b.p. (°C)	Ref.
2-Naphthyl	239	816
Styryl	211–4	817 u
2-Benzimidazolyl	—	291 l
4-Pyridyl	248 (HBr)	818 l
3-Pyridyl	278 (HBr)	818 l
2-Pyridyl	268 (HBr)	818 l
5-Me-2-furyl–	—	819
5-(*p*-$O_2NC_6H_4$)-furane-2-yl–	—	820
5-(*p*-ClC_6H_4)-furane-2-yl–	—	820
5-(*p*-BrC_6H_4)-furane-2-yl–	—	820
2-Thienyl	—	224
HO_2C–	—	821
EtO_2C–	—	226

TABLE VII-51. 5-SUBSTITUTED Δ-4-THIAZOLINE-2-ONES



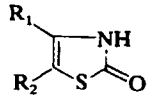
R	m.p. or b.p. (°C)	Ref.
Me	—	247
HO_2CCH_2–	163–5	641 l
Thiazolidine-2-one-4-yl–	232–4	85 r
2,5-di$O_2NC_6H_3$-		
NHN=C(Me)–	291	243
Ac	197–8	237, 243 i, 307
HO_2C–	—	821
PhCH=N–	—	219, 532 l
p-$AcNHC_6H_4CH$=N–	—	532 l
o-$EtOC_6H_4CH$=N–	—	532 l
p-ClC_6H_4CH=N–	—	532 l
O_2N–	140	6
	136	354, 823
	146–7	237, 307, 870 i
p-$H_2NC_6H_4SO_2$–	—	383, 776
p-$AcNHC_6H_4SO_2$–	—	383, 776
Br	67	237, 383, 776

TABLE VII-52. 4,5-DISUBSTITUTED Δ-4-THIAZOLINE-2-ONES



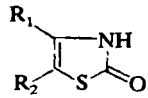
R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	Me	143–5	102, 224, 796, 809, 887
Me	Et	—	42 m,l
Me	$EtO_2CCH_2CH_2$–	—	824
Me	$HOCH_2CH_2$–	135–6	7, 655
Me	$AcOCH_2CH_2$–	85–6 89	7, 824–827
Me	$PhCO_2CH_2CH_2$–	—	824
Me	$ClCH_2CH_2$–	—	351, 42 m,l
Me	$BrCH_2CH_2$–	—	824
Me	$OHC(CH_2)_3$–	153	2421
Me	$Ac(CH_2)_3$–	61–2	2421
Me	$OHC(CH_2)_4$–	128–9	2421
Me	HO_2CCH_2–	—	401, 411, 631
Me	EtO_2CCH_2–	—	824
Me	p-$O_2NC_6H_4SC_6H_4NHCH_2$–	—	245
Me	4(4-Me-2-one-thiazolidinyl)–	190	85 r
Me	p-$O_2NC_6H_4NHN{=}CH$–	—	201, 794
Me	OHC–	—	201, 794
Me	Ac	212	201, 226, 227, 243 i, 7901, 794, 809
Me	$BrCH_2CO$–	—	829
Me	$H_2NCONHN{=}C(Me)$–	—	201, 794
Me	(3,5-di$O_2NC_6H_3$)$NHN{=}C(Me)$–	287	243
Me	PhCO–	—	791, 830
Me	PhC(=NOH)-(cis)	176–8	830 c
Me	PhC(=NOH)-(trans)	189–94	830 c
Me	HO_2C–	—	797, 809, 831
Me	EtO_2C–	178	86 i,r, 797, 802, 809, 831, 832, 834
Me	Ph	150	814
Me	p-ClC_6H_4–	191–2	1941
Me	5-thiazolyl	—	829
Me	2-Me-5-thiazolyl–	—	829
Me	2-H_2N-5-thiazolyl–	—	829
Me	2-AcNH-5-thiazolyl–	—	829
Me	2-Ph-5-thiazolyl–	205	833
Me	MeO_2C–	209–11	86 i,r
Me	BuO_2C–	95–6	86 i,r
Me	DodecylO_2C–	93–4	86 i,r

TABLE VII-52 (*Continued*)



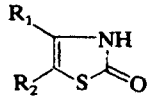
R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	$PhCH_2CH_2O_2C$–	141	86 i,r, 834 a
Me	$PhCH_2O_2C$–	132–3	86 i,r
Me	*i*-PrO_2C–	136–7	86 i,r, 834 a
Me	cyclo-Hexyl-O_2C–	130–1	86 i,r, 834 a
Me	NC–	170–1	86 i,r, 835
Me	H_2N–	—	795
Me	*p*-Tolyl-N=N–	—	795
Me	*p*-$AcNHC_6H_4SO_2NH$–	—	795
Me	O_2N–	155–7	236, 763, 866, 893
Me	HS–	—	383
Me	MeS–	—	225 l
Me	EtS–	—	225 l
Me	PhS–	—	225 l
Me	*p*-$H_2NC_6H_4S$–	—	383
Me	*p*-$O_2NC_6H_4S$–	201	229, 383
Me	*p*-ClC_6H_4S–	185	228
Me	2,4-diClC_6H_3S–	80	228
Me	3,4-diClC_6H_3S–	173–5	228
Me	NCS–	156–7	213 i,r
Me	*p*-$H_2NC_6H_4SO_2$–	—	383
Me	*p*-$O_2NC_6H_4SO_2$–	265	229
Me	HO_3S–	—	236, 762, 763
Me	Cl	—	36, 383, 837
Me	Br	—	201, 763, 794
Et	$PhCO_2CH_2CH_2$–	—	838, 839
$-CH_2CH_2CH_2-$[a]		145	29 u,l, 227, 840 u,l
$-CH_2CH_2CH(CH_2$-1-piperidino)–		227–9	841 l
$-CH_2CH_2CH(CH_2$-1(4-Me-piperazino))–		190	841 l
$-CH_2CH_2CH(CH_2$-1-morpholino)–		205–8	841 l
$-CH_2CH_2CH_2CH_2-$		138	203
		138–40	29 u,l
		142	814, 227
$-CH_2CH_2CH(Me)CH_2-$		175–7	29 u,l
$-CH_2CH_2OCH_2-$		170–1	29 u,l
$-CH_2CH_2CH_2CH(CH_2CH_2NMe_2)-$		212–4	841-l
$-CH_2CH_2CH_2CH(CH_2NMe_2)-$		214–6	841 l
$-CH_2CH_2CH_2CH(CH_2$-1-pyrrolidino)–		238–40	841 l
$-CH_2CH_2CH_2CH(CH_2$-1-piperidino)–		240–2	841 l
$-CH_2CH_2CH_2CH(CH_2$-1(4-Me)piperidino)–		248	841 l
$-CH_2CH_2CH_2CH(CH_2$-1(3-Me)piperidino)–		242	841 l

TABLE VII-52 (*Continued*)



R_1	R_2	m.p. or b.p. (°C)	Ref.
$-CH_2CH_2CH_2CH(CH_2$-1(2-Me)piperidino)- [a]		229	841 l
$-CH_2CH_2CH_2CH(CH_2N(CH_2)_6)-$		235–6	841 l
$-CH_2CH_2CH_2CH(CH_2$-1(4-Me)piperazino)-		230–1	841 l
$-CH_2CH_2CH_2CH(CH_2$-1(4-$HOCH_2CH_2$)piperazino)-		—	841 l
$-CH_2CH_2CH_2CH(CH_2$-1-morpholino)-		211–3	841 l
$-(CH_2)_3CH(CO_2Me)-$		—	227
$-(CH_2)_3CH(CO_2Et)-$		—	227
$-(CH_2)_3CH(CO_2Pr)-$		—	227
$-(CH_2)_3CH(NMe_2)-$		206–9	841 l
$-(CH_2)_3CH$(1-pyrrolidino)-		224–6	841 l
$-(CH_2)_3CH$(1-piperidino)-		208–10	841 l
$-(CH_2)_3CH$(1-morpholino)-		202–4	841 l
$-(CH_2)_5-$		139–40	29 u,l, 227
Ph	Ph	249–50	230
		255–6	217
		260	842
Ph	EtO_2C-	—	37
Ph	H_2N-	255–60	786
Ph	PhCH=N-	271	532 l, 784, 786
Ph	p-$AcNHC_6H_4CH$=N-	—	784
Ph	Cl	—	235, 798
Ph	p-ClC_6H_4S-	211	228
Ph	2,4-diClC_6H_3S-	208	228
Ph	3,4-diClC_6H_3S-	220	228
Ph	NCS-	186–7	213 i,r
p-Tolyl	Ph	253	814
		262	842
p-FC_6H_4-	4-(p-ClC_6H_4)-4-HO-piperidino-CH_2CH_2-	—	642 l
m-$HO_2CC_6H_4-$	o-ClC_6H_4-	200–2	20
m-$HO_2CC_6H_4-$	p-ClC_6H_4-	253	20
o-$HO_2CC_6H_4-$	Et	203–5	21
p-$MeOC_6H_4-$	Ph	222	842
p-$O_2NC_6H_4-$	p-$O_2NC_6H_4-$	—	86 i,r
p-$MeOC_6H_4-$	p-$MeOC_6H_4-$	211	814
p-ClC_6H_4-	Ph	224–5	842
		232	814
p-ClC_6H_4-	p-ClC_6H_4S-	201	228
p-ClC_6H_4-	NCS-	193–5	213 i,r
p-BrC_6H_4-	$H_{25}C_{12}S-$	100	380 i,r
p-BrC_6H_4-	PhS-	222	380 i,r
p-BrC_6H_4-	p-Tolyl-S-	205	380 i,r

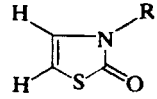
TABLE VII-52 (*Continued*)



R_1	R_2	m.p. or b.p. (°C)	Ref.
p-BrC_6H_4–	*p*-$O_2NC_6H_4S$–	255	380 i,r
p-BrC_6H_4–	*p*-FC_6H_4S–	214	380 i,r
p-BrC_6H_4–	*p*-$MeOC_6H_4S$–	193	380 i,r
p-BrC_6H_4–	*p*-ClC_6H_4S–	222	380 i,r
Styryl–	Ph	227–9	817 u
HO_2C–	HO_2C–	—	821
HO_2C–	H_2N–	158	786
HO_2C–	AcNH–	239	786
HO_2C–	PhCH=N–	185	786
Cl_3C–	MeO_2C–	126–8	86 i,r
Cl	OHC–	—	843
HO_3S–	Me	—	247
	–CON(Me)CSNH–[a]	310	786
	–*o*-$C_6H_4CH_2CH_2$–	210	29 u,l
	–*o*-$C_6H_4CH_2$–	231	29 u,l
	–$SCH(Ph)CH(Ph)CH(RC_6H_4)$–	—	844
	–$SCH_2CH_2CH(Ph)$–	—	845

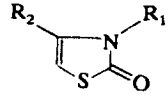
[a] Left bond linked to 4-C; right bond linked to 5-C.

TABLE VII-53. 3-SUBSTITUTED Δ-4-THIAZOLINE-2-ONES



R	m.p. or b.p. (°C)	Ref.
H	69–70	218, 224, 237 243, 307, 776
Me	—	243 i
Allyl	71/0.35	283
Ph	70–1	31, 846 r,u

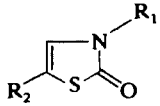
TABLE VII-54. 3,4-DISUBSTITUTED Δ-4-THIAZOLINE-2-ONES



R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	Me	45–7.5	213 i, 243 i, 591 r, 790 e,h, 893
Me	Ph	—	68 d, 205, 213
Me	2-Naphthyl	223	816
Me	4-ClC_6H_4–	—	213
Me	2-Pyridyl	242–5 (HBr)	818 l
Me	3-Pyridyl	230–3 (HBr)	818 l
Me	4-Pyridyl	225–8 (HBr)	818 l
Et	Ph	76	26
$Me_2NCH_2CH_2$–	Me	—	107 i
$Me_2NCH_2CH_2$–	Ph	—	107 i
$Et_2NCH_2CH_2$–	Me	—	107 i
$Et_2NCH_2CH_2$–	Ph	—	107 i
1-Piperidino-CH_2CH_2–	Me	—	107 i
1-Piperidino-CH_2CH_2–	Ph	—	107 i
$PhSSCH_2CH_2SCH_2CH_2$–	Ph	—	783, 828
$PhCH_2$–	Me	74–5	591 r
5-(2-Me-4-H_2N-pyrimidinyl)CH_2–	Me	286–8	683
HSCH=C(Me)–	Me	—	22
Ph	Me	148	30 u,r
		149–50	663
Ph	Ph	123	19, 32 u,r
Ph	*p*-BrC_6H_4–	—	27
p-Tolyl	Me	73	28
p-Tolyl	$PhCH_2CH_2$–	75	28
p-Tolyl	*i*-Bu	70	28
p-Tolyl	*i*-Pr	72	28
p-Tolyl	Ph	112	28
p-Tolyl	*p*-HOC_6H_4–	90	28
p-Tolyl	*p*-ClC_6H_4–	62	28
p-Tolyl	*p*-BrC_6H_4–	65	28
p-$O_2NC_6H_4$–	Me	158–9	30 u,r
p-ClC_6H_4–	Ph	155	19
cyclo-Hexyl-NHCO–	Me	237–9	238 a, 792 a, 847 a
–CH_2CH_2-*o*-(3,4-di$MeOC_6H_2$)–[a]		—	529 l

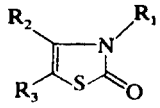
[a] Left bond linked to N; right bond linked to 4-C.

TABLE VII-55. 2,5-DISUBSTITUTED Δ-4-THIAZOLINE-2-ONES



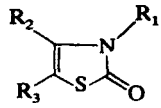
R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	3,5-di$O_2NC_6H_3NHN{=}C(Me)$–	282	243
Me	Ac	168	243 i
Me	MeO_2C–	140	3 i,r
Bu	Me	94/0.4	31, 846 r,u
Bu	Amyl	140/0.2	31, 846 r,u
Ph	Me	84	31, 846 r,u
Ph	Amyl	40–1	31, 846 r,u
Ph	Ph	112	482 a, 868
Ph	*p*-Tolyl	—	482 a
Ph	*p*-$MeOC_6H_4$–	164	868
Ph	*p*-$O_2NC_6H_4$–	250	868
Ph	*p*-ClC_6H_4–	172	868
Ph	MeO_2C–	161	3 i,r
p-BrC_6H_4–	MeO_2C–	166	3 i,r

TABLE VII-56. 3,4,5-TRISUBSTITUTED Δ-4-THIAZOLINE-2-ONES



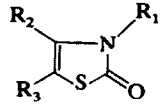
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
Me	Me	NC–	—	835
Me	Me	Ac–	140	243 i
Me	Me	3,5-di$O_2NC_6H_3NHN{=}C(Me)$–	258	243 i
Me	Me	PhNHCO–	—	86 i,r
Me	Me	4-Cl-3,6-di$MeOC_6H_2NHCO$–	—	86 i,r,
Me	Me	EtO_2C–	62–3	86 i,r, 834 a
Me	Me	*i*-PrO_2C–	—	834 a
Me	Me	*cyclo*-Hexyl-O_2C–	—	834 a
Me	Me	AcNH–	186–7	693 m,i,r,u
Me	Me	NO_2	90–92	893
Me	Me	NCS–	67–9	213 i,r
Me	–$(CH_2)_5$–[a]		60–1	29 u,l
Me	–$(CH_2)_4$–		73	29 u,l
Me	–$CH_2CH_2CH(Me)CH_2$–		72	29 u,l
Me	–$CH(CH_2$(Et-4-phenylisonipecolate))$(CH_2)_3$–		230–2	841 l
Me	–$CH(CH_2NMe_2)(CH_2)_3$–		236–8	841 l

TABLE VII-56 (*Continued*)



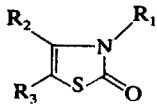
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
Me	$-CH(CH_2N(CH_2)_4)(CH_2)_3-$[a]		242–4	841 l
Me	$-CH(CH_2N(CH_2)_5)(CH_2)_3-$		232	841 l
Me	$-CH(CH_2N(CH_2CH_2)_2O)(CH_2)_3-$		232	841 l
Me	$-CH(CH_2N(CH_2CH_2)_2NMe)(CH_2)_3-$		228	841 l
Me	$-CH(CH_2N(CH_2)_6)(CH_2)_3-$		222	841 l
Me	$-CH(NMe_2)(CH_2)_3-$		185–7	841 l
Me	$-CH(N(CH_2)_5)(CH_2)_3-$		193–5	841 l
Me	$-CH(N(CH_2CH_2)_2O)(CH_2)_3-$		178–80	841 l
Me	$-(CH_2)_3-$		70–71	29 u,l, 840 u,l
Me	$-CH(CH_2N(CH_2)_5)(CH_2)_2-$		251–2	841 l
Me	$-CH_2CH_2OCH_2-$		113–4	29 u,l
Me	$Me(CH_2)_{16}-$	EtO_2C-	—	834 a
Me	Ph–	AcNH–	200–1	693 m,i,r,u
Me	Ph–	NCS–	84–5	213 i,r
Me	*o*-$HO_2CC_6H_4-$	Me–	164–6	21
Me	*p*-ClC_6H_4-	NCS–	124–6	213 i,r
Me	MeO_2C-	MeO_2C-	90	3 i,r
Me	EtO_2C-	EtO_2C-	—	834
Me	MeS–	Ph–	119	33 u,r,i,m
Et	$-(CH_2)_3-$		102/0.2	29 u,l
Et	$-(CH_2)_4-$		118–20/0.1	29 u,l
Et	Ph–	Br	89–90	26
Et	Cl	Me	80–4/0.1	853, 854
n-$H_{25}C_{12}-$	Me	NC–	—	835
$Me_2N(CH_2)_3-$	$-(CH_2)_3-$		140/0.4	29 u,l
$Me_2N(CH_2)_3-$	$-(CH_2)_4-$		130–2/0.2	29 u,l
$HO(CH_2)_3-$	$-(CH_2)_3-$		—	29 u,l
cyclo-Hexyl-$(CH_2)_2-$	$-(CH_2)_3-$		58	29 u,l
cyclo-Hexyl-$(CH_2)_2-$	$-(CH_2)_4-$		85	29 u,l
$(Et)_2NCH_2CH_2-$	$-(CH_2)_4-$		169 (HCl)	29 u,l
1-Piperidino-CH_2CH_2-	$-(CH_2)_4-$		255 (HCl)	29 u,l
$I^{-+}(Me)_3NCH_2CH_2-$	$-(CH_2)_4-$		265	29 u,l
1-Pyrrolidino-CH_2CH_2-	$-(CH_2)_3-$		219 (MeI)	29 u,l
$PhCH_2CH_2-$	$-(CH_2)_3-$		93–5	29 u,l
$PhCH_2CH_2-$	$-(CH_2)_4-$		91	29 u,l
p-$H_2NC_6H_4CH_2CH_2-$	$-(CH_2)_4-$		238–40	29 u,l
p-$O_2NC_6H_4CH_2CH_2-$	$-(CH_2)_3-$		147	29 u,l
p-$O_2NC_6H_4CH_2CH_2-$	$-(CH_2)_4-$		137	29 u,l
p-$AcNHC_6H_4OCH_2CH_2-$	$-(CH_2)_3-$		195	29 u,l
$AcOCH_2CH_2-$	$-(CH_2)_3-$		169–70/0.1	29 u,l
5-Ac-4-Me-2-one-4-thiazolidine-3-yl-$CH_2CH_2SSCH_2CH_2-$	Me	Ac–	—	251
Allyl	$-(CH_2)_4-$		124–6/0.1	29 u,l
$HCCCH_2-$	$-(CH_2)_3-$		65–7	29 u,l
$(Me)_2NCH(Me)CH_2-$	$-(CH_2)_3-$		139/0.45	29 u,l

TABLE VII-56 (*Continued*)



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
$(Me)_2NCH(Me)CH_2$–	$-(CH_2)_4-$[a]		132–4/0.3	29 u,l
$HOCH_2$–	$-(CH_2)_3-$		104–5	29 u,l
$HOCH_2$–	$-(CH_2)_4-$		128–30	29 u,l
CH_2CHCH_2– (O)	$-(CH_2)_4-$		130–6/0.35	29 u,l
$PhCH_2$–	Me	NC–	64–5	86 i,r, 835
$PhCH_2$–	Me	EtO_2C–	196–9/0.5	86 i,r
i-Pr	*i*-Pr	Me	—	88 r, 108 r, 109 r
Ph	Me	Me	103	30 u,r
Ph	Me	NC–	118–9	86 i,r, 835
Ph	Me	MeO_2C–	138–9	86 i,r
Ph	Me	EtO_2C–	103–4	30 u,r
Ph	Et	Me	110–11	663
Ph	$-(CH_2)_4-$		153–4	30 u,r
Ph	Ph	Ph	190	481 l
Ph	Ph	EtO_2C–	123	3 i,r
Ph	MeO_2C–	MeO_2C–	118	3 i,r
Ph	EtO_2C–	EtO_2C–	56–8 61	3 i,r, 86 i,r, 867
Ph	H_2N–	NC–	283–5	24 u,i
Ph	H_2N–	EtO_2C–	221–3	24 u,i
p-Tolyl	Me	Me	62	28
p-Tolyl	Me	Et	72	28
p-Tolyl	Me	Bu	58	28
p-Tolyl	Me	EtO_2C–	62	28
p-Tolyl	Ph	Ph	206	481 l
m-Tolyl	Me	NC–	110–11	86 i,r, 835
o-Tolyl	Ph	Ph	138	481 l
p-Cyclohexyl-C_6H_4–	Ph	Ph	176–77	481 l
p-Cyclohexenyl-1-C_6H_4–	Ph	Ph	205–6	481 l
p-*t*-BuC_6H_4–	Ph	Ph	247	481 l
m-$F_3CC_6H_4$–	Ph	Ph	148–9	481 l
p-AcC_6H_4–	Ph	Ph	154–6	481 l
p-$Me_2NC_6H_4$–	Ph	Ph	198–201	481 l
β-(5′,6′,7′,8′-Tetrahydro)naphthyl–	Ph	Ph	155–6	481 l
p-$MeOC_6H_4$–	$-(CH_2)_4-$		116–7	30 u,r
p-$MeOC_6H_4$–	Ph	Ph	182–3	481 l
m-$MeOC_6H_4$–	Ph	Ph	141–3	481 l
p-$EtOC_6H_4$–	Ph	Ph	177	481 l
p-$O_2NC_6H_4$–	Ph	Ph	143–6	481 l
m-$O_2NC_6H_4$–	Ph	Ph	209–11	481 l
p-ClC_6H_4–	$-(CH_2)_3-$		158–9	29 u,l
p-ClC_6H_4–	$-(CH_2)_4-$		115–6	30 u,r

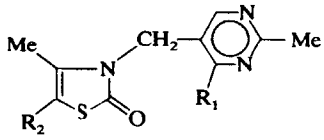
TABLE VII-56 (*Continued*)



R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
m-ClC_6H_4–	Me	NC–	138–9	86 i,r, 835
o-ClC_6H_4–	–$(CH_2)_3$–	[a]	105	29 u,l
p-$MeSC_6H_4$–	Ph	Ph	164–8	481 l
p-$MeSO_2C_6H_4$–	Ph	Ph	246	481 l
p-BrC_6H_4–	MeO_2C–	MeO_2C–	163	3 i,r
p-BrC_6H_4–	EtO_2C–	EtO_2C–	74	3 i,r
3,4-diNCC_6H_3–	Ph	Ph	258–61	481 l
4-Me-3-$MeOC_6H_3$–	Ph	Ph	171–3	481 l
2,6-diClC_6H_3–	Me	MeO_2C–	—	479 l
3,4-diClC_6H_3–	Ph	Ph	198	481
4-F_3C-3-ClC_6H_3–	Ph	Ph	163	481 l
2,4,5-triMeC_6H_2–	Ph	Ph	136	481 l
2-Me-3,4-diClC_6H_2–	Ph	Ph	167–8	481 l
Cyclopentyl-NHCO–	Me	Cl	—	238 a
Cyclohexyl-NHCO–	Me	Cl	—	847, 792
Cyclohexyl-NHCO–	Me	Br	—	847, 792

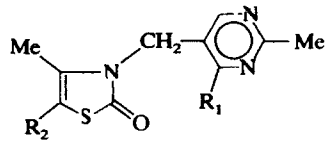
[a] Left bond linked to 4-C; right bond linked to 5-C.

TABLE VII-57. 3-PYRIMIDINYLMETHYL 4,5-DISUBSTITUTED 4-THIAZOLINE-2-ONES



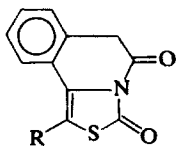
R_1	R_2	m.p. or b.p. (°C)	Ref.
H_2N–	Me	217	26
H_2N–	$HOCH_2CH_2$–	233–7	26, 45, 46, 480 l, 710–712, 858, 859, 882
H_2N–	$AcOCH_2CH_2$–	—	26
H_2N–	$EtCO_2CH_2CH_2$–	149	856
H_2N–	$HexCO_2CH_2CH_2$–	114	856
H_2N–	$PentadecylCO_2CH_2CH_2$–	101	856
H_2N–	$PhCH_2CH_2CO_2CH_2CH_2$–	100 (ligroin)	856
		203 (EtOH)	856
H_2N–	$HO_2CCH_2CH_2CO_2CH_2CH_2$–	170	856

TABLE VII-57 (*Continued*)



R_1	R_2	m.p. or b.p. (°C)	Ref.
H_2N–	*t*-$BuCO_2CH_2CH_2$–	135	856
H_2N–	$HO_2CCH{=}CHCO_2CH_2CH_2$–	182	856
H_2N–	(2-carboxy-4-cyclohexen-1-yl)-$CO_2CH_2CH_2$–	160	856
H_2N–	$PhCO_2CH_2CH_2$–	179	856
H_2N–	*o*-$HO_2CC_6H_4CO_2CH_2CH_2$–	229	856
H_2N–	*o*-$HOC_6H_4CO_2CH_2CH_2$–	188	856
H_2N–	*o*-$AcOC_6H_4CO_2CH_2CH_2$–	173 (dioxane)	856
		200 (ethanol)	856
H_2N–	*p*-$ClC_6H_4CO_2CH_2CH_2$–	170	856
H_2N–	*m*-$ClC_6H_4CO_2CH_2CH_2$–	163	856
H_2N–	*o*-$ClC_6H_4CO_2CH_2CH_2$–	182	856
H_2NCH_2–	2-Hydroethylmercapto	—	855

TABLE VII-58



R	m.p. (°C)	Ref.
m-ClC_6H_4–	232–4	20
o-ClC_6H_4–	211–2	20
p-BrC_6H_4–	295–6	20
m-BrC_6H_4–	234–6	20
p-IC_6H_4–	287–8	20

TABLE VII-59. MISCELLANEOUS COMPOUNDS

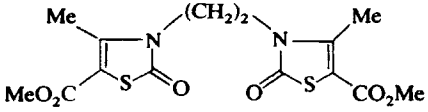
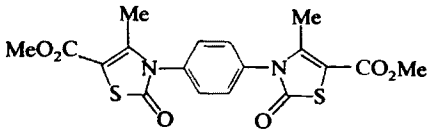
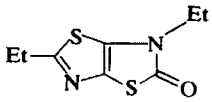
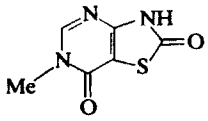
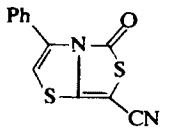
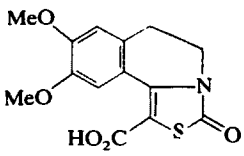
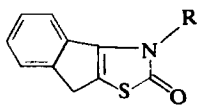
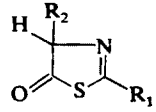
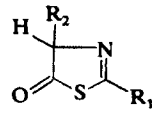
		m.p. or b.p. (°C)	Ref.
		209–10	86 i,r
		305–7	86 i,r
		—	860 a
		230	24
		228–9	861 i,u,r
		—	529 a
	R = Me R = Ph R = p-ClC$_6$H$_4$–	163–4 180–1 194–5	29 u,l 29 u,l 29 u,l

TABLE VII-60. 5-HYDROXYTHIAZOLES



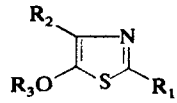
R_1	R_2	m.p. or b.p. (°C)	Ref.
Me	H	—	763
Me	*i*-Pr	40/1	453 r
$PhCH_2$–	H	45	447
$PhCH_2$–	2-$PhCH_2$-5-one-thiazolidene-C(Me)–	170	447
Ph	H	79–81 50/0.3 84	444, 445 u,i, 447, 450, 464, 465 i, 470, 763
Ph	Me	135	446 r,p, 447, 451, 455, 464 i, 465 i, 562
Ph	$HN{=}C(NH_2)NH(CH_2)_3$–	126–8	451
Ph	$HO_2CCH_2CH_2$–	104–5 152	451, 562 447
Ph	$H_2NCH_2CH_2$–	154–6	451
Ph	$MeSCH_2CH_2$–	75	451, 455
Ph	*i*-Bu	122 41	447, 451, 452, 455, 464, 465
Ph	$PhCH_2$–	72–3 136	365, 451, 464, 455, 465, 477
Ph	*p*-$HOC_6H_4CH_2$–	135–8	451, 465 i
Ph	4-Imidazolyl	114–6	451
Ph	HO_2CCH_2–	154–7	447, 451, 562
Ph	H_2NCH_2–	166–7	451
Ph	*i*-Pr	69 102–4/0.1 119–20/1	447, 450 i,r, 455, 464, 465 i, 562
Ph	EtCH(Me)–	—	464, 465 i
Ph	$AcOCH_2CH(Me)$–	oil	450 i,u
Ph	$(AcOCH_2)_2CH$–	oil	450 i,u
Ph	$(Ph)_2CH$–	109	458
Ph	2-Ph-5-one-4-thiazolidinene-C(Me)–	284	447
Ph	Ph	134–5	451
H_2N–	Me	—	7
PhNH–	H	—	848, 849 c
AcNH–	$AcSCH_2$–	—	379
EtO–	PhN=N–	—	454
BuO–	PhN=N–	82	454
BuO–	*o*-Tolyl-N=N–	108	454
BuO–	*p*-Tolyl-N=N–	98	454
Amyl-O–	PhN=N–	90	454

TABLE VII-60 (*Continued*)



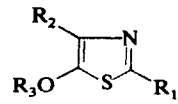
R_1	R_2	m.p. or b.p. (°C)	Ref.
$PhCH_2CH_2O$–	PhN=N–	160	454
i-BuO–	PhN=N–	90	454
$PhCH_2O$–	H	—	465 i
$PhCH_2O$–	Me	—	456, 465 i, 469
$PhCH_2O$–	*i*-Bu	—	456, 465 i, 469
$PhCH_2O$–	$PhCH_2$–	—	465 i, 469
$PhCH_2O$–	*p*-$HOC_6H_4CH_2$–	—	465 i
$PhCH_2O$–	*i*-Pr	135/4	465 i
$PhCH_2O$–	EtCH(Me)–	—	465 i
$PhCH_2O$–	Ph	—	456, 469
$PhCH_2O$–	PhN=N–	—	454
$PhCH_2O$–	$PhCH_2O$	—	471
i-PrO–	H	42–4/1	453 r
i-PrO–	*i*-Pr	59/0.3	453 r
2-Octyl-O–	*p*-Tolyl	165	454
2-Octyl-O–	PhN=N–	150	454
Cyclohexyl-O–	PhN=N–	150	454
Cyclohexyl-O–	*o*-Tolyl-N=N–	125	454
Cyclohexyl-O–	*p*-Tolyl-N=N–	184	454
$PhCH_2S$–	$(Ph)_2CH$–	—	393, 459

TABLE VII-61. 5-THIAZOLYL OXIDES



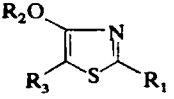
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
H	H	Me	27/0.9 66/12 70/20	305 m, 288 r, 306 m,a, 531 l, 567
H	H	Et	70/15 95/30	288 r, 303 u, 305 m, 306 m,a, 531 l
H	Me	Me	146–7 (picrate)	274
H	*i*-Bu	Me	92–3/10	306 a,m, 531 l, 850
H	*i*-Bu	Et	80–1/10	306 a,m, 531 l, 850
H	O_2N–	Me	132	288 r
Me	H	Me	33/0.5	306 a,m, 531 l, 763, 850
Me	H	Et	122 (picrate) 102–3/38	274, 462, 476
Me	*i*-Bu	Me	69–70/2.2	306 a,m, 531 l, 850
Me	*i*-Bu	Et	126/30	306 a,m, 531 l, 850
Me	*i*-Pr	Pr	—	531 l
Me	*i*-Pr	Me_2NCO–	oil	453 r
Me	*i*-Pr	Et(MeO)P(S)–	—	468 l,r
Me	*i*-Pr	$(MeO)_2P(S)$–	—	468 l,r
Me	EtCH(Me)–	$(MeO)_2P(S)$–	—	468 l,r
Me	PhCH(OH)–	Et	—	476
Me	Ph	Ph	155 63	736 737 u
Me	Ph	Diphenyl	102–5	737 u
Me	Ph	*t*-$BuCH_2C(Me)_2C_6H_4$–	oil	737 u
Me	*p*-$MeOC_6H_4$–	Ph	90	737 u
Me	*p*-$MeOC_6H_4$–	*t*-$BuCH_2C(Me)_2C_6H_4$–	65–72	737 u
Me	*p*-ClC_6H_4–	*p*-ClC_6H_4–	100–1	737 u
Me	3,4-di$MeOC_6H_3$–	*p*-$EtOC_6H_4$–	71–2	737 u
Me	MeO–	$(MeO)_2P(S)$–	—	468 l,r
Me	O_2N–	Et	82	274
Me	Br	Et	137	274, 476
$PhCH_2$–	H	Ac	147 (picrate)	447
$PhCH_2$–	Me	Ac	140/0.1	447
i-Pr	*i*-Pr	$(MeO)_2P(S)$–	—	468 l,r
i-Pr	EtCH(Me)	$(MeO)_2P(S)$–	—	468 l,r
Ph	H	Et	—	763
Ph	H	Ac	59	447, 452
Ph	H	PhCO–	129	447
Ph	Me	Et	—	763
Ph	Me	Ac	110	447
Ph	Me	$(MeO)_2P(S)$–	—	468 l,r

TABLE VII-61 (*Continued*)



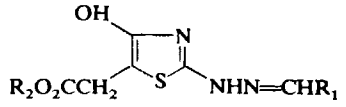
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
Ph	Me	$(EtO)_2P(S)$–	—	468 l,r
Ph	$MeSCH_2CH_2$–	Ac	62–3	451
Ph	$MeSCH_2CH_2$–	$(MeO)_2P(S)$–	—	468 l,r
Ph	p-$AcOC_6H_4CH_2$–	Ac	93–4	451
Ph	*i*-Pr	Et(MeO)P(S)–	—	468 l,r
Ph	*i*-Pr	$(MeO)_2P(S)$–	—	468 l,r
Ph	*i*-Pr	$(EtO)_2P(S)$–	—	468 l,r
Ph	EtCH(Me)–	Ac	51	451
Ph	CH_2=C(Me)–	Ph_2CHCO–	111	450 i,r,u
Ph	CH_2=C(Me)–	Ac	69	450 i,r,u
Ph	AcOCH=C(Me)–	Ph_2CHCO–	171	450 i,r,u
Ph	AcOCH=C(Me)–	Ac	121	450 i,r,u
Ph	AcOCH=C(CH_2OAc)–	Ph_2CHCO–	131	450 i,r,u
Ph	AcOCH=C(CH_2OAc)–	Ac	96–7	450 i,r,u
Ph	Ph	Ac	124–5	451
Ph	Ph	PhCO–	146–7	562
p-$O_2NC_6H_4$–	Ph	Ph	149–51	740 i,u,r
H_2N–	H	Me	—	787 k
AcNH–	Ph	Ph	188	851 l
AcNH–	Ph	p-ClC_6H_4–	195	851 l
AcNH–	Ph	o-ClC_6H_4–	181	851 l
AcNH–	Ph	2,4-diClC_6H_3–	190	851 l
AcNH–	Ph	2,4,6-triBrC_6H_2–	156–7	851 l
AcNH–	p-FC_6H_4–	Ph	208	851 l
AcNH–	p-FC_6H_4–	p-ClC_6H_4–	193	851 l
AcNH–	p-FC_6H_4–	o-ClC_6H_4–	210	851 l
AcNH–	p-FC_6H_4–	2,4-diClC_6H_3–	201	851 l
AcNH–	p-FC_6H_4–	2,4,6-triBrC_6H_2–	195	851 l
AcNH–	p-ClC_6H_4–	Ph	180	851 l
AcNH–	p-ClC_6H_4–	p-ClC_6H_4–	183–4	851 l
AcNH–	p-ClC_6H_4–	o-ClC_6H_4–	183–4	851 l
AcNH–	p-ClC_6H_4–	2,4-diClC_6H_3–	185	851 l
AcNH–	p-ClC_6H_4–	2,4,6-triBrC_6H_2–	174	851 l
AcNH–	p-BrC_6H_4–	Ph	214	851 l
AcNH–	p-BrC_6H_4–	p-ClC_6H_4–	220	851 l
AcNH–	p-BrC_6H_4–	o-ClC_6H_4–	201	851 l
AcNH–	p-BrC_6H_4–	2,4-diClC_6H_3–	194–5	851 l
AcNH–	p-BrC_6H_4–	2,4,6-triBrC_6H_2–	186–8	851 l
MeNHCONH–	Me	Me	262	852
i-PrO–	*i*-Pr	Me_2NCO–	oil	453 r
i-PrO–	*i*-Pr	Et(MeO)P(S)–	—	468 l,r
Cl	H	Me	—	535 l

TABLE VII-62. 4-HYDROXYTHIAZOLES AND DERIVATIVES



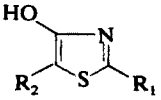
R_1	R_2	R_3	m.p. or b.p. (°C)	Ref.
H	Me	p-$O_2NC_6H_4$-	—	416
H	Me	p-$MeOC_6H_4$-	—	416
H	Me	p-ClC_6H_4-	—	416
H	Ac	p-$O_2NC_6H_4$-	—	416 i
H	Ac	p-$MeOC_6H_4$-	—	416 i
H	Ac	p-ClC_6H_4-	74	416 i, 568
H	2-Benzimidazolyl-NHCO-	H	—	427
Me	Me	$(MeO)_2P(S)O$-	—	468 l,r
Me	Ac	Ph	72–77	569
			80	568
			82	388 i,r
Me	Ac	p-$O_2NC_6H_4$-	120	568
Me	$(EtO)_2P(O)$-	EtO_2C-	—	430
Me	$(MeO)_2P(S)$-	EtO_2C-	—	430
$MeSCH_2$-	Me	H	—	439 a
Ph	2-(3-Ac-4-one-2-Ph-thiazolidinyl)	H	143–6	425 i
Ph	Ac	H	189/15	397
Ph	Ac	p-AcC_6H_4-	126	568
Ph	Ac	p-ClC_6H_4-	143	568
2-Thiophenyl	Ac	H	100/0.5	422
2-Naphthyl	Ac	H	168/0.15	422
p-$MeOC_6H_4$-	Ac	H	85	422
p-ClC_6H_4-	Et	PhNHCO-	222	564
3,4-di$MeOC_6H_3$-	Ac	H	90	422
4-AcO-2-thiazolyl-	Ac	H	215	419 u,r,i
4-AcO-5-Me-2-thiazolyl-	Ac	Me	242	419 u,r,i,x
6-HO-2-benzothiazolyl-	Ac	AcO-	193–5	386 i,r,u; 403 u,r,i,f
6-MeO-2-benzothiazolyl-	Ac	H	174–7	386 u,r,i
6-AcO-2-benzothiazolyl-	Ac	H	178–81	386 i,r,u; 410 u,r,i,f
H_2N-	Me	MeO_2C-	136–7	863
AcNH-	Me	MeO_2C-	127–8	863
MeO-	$(Et)_2P(S)$-	i-PrO_2C-	—	428 l
MeO-	$(EtO)_2P(S)$-	EtO_2C-	—	428 l
MeO-	$(EtO)_2P(S)$-	i-PrO_2C-	—	428 l
EtO-	$(Et)_2P(O)$-	i-PrO_2C-	—	428 l
EtO-	$(EtO)_2P(O)$-	EtO_2C-	—	428 l
EtO-	(i-PrO)(Me)P(S)-	i-PrO_2C-	—	428 l
EtO-	(EtO)(Et)P(S)-	EtO_2C-	—	428 l
EtO-	(EtO)(Et)P(S)-	i-PrO_2C-	—	428 l
EtO-	$(EtO)_2P(S)$-	EtO_2C-	—	428 l
EtO-	$(EtO)_2P(S)$-	i-PrO_2C-	—	428 l
i-PrO-	(EtO)(Et)P(S)-	EtO_2C-	—	428 l
i-PrO-	(EtO)(Et)P(S)-	i-PrO_2C-	—	428 l

TABLE VII-63. 4-HYDROXYTHIAZOLE DERIVATIVES



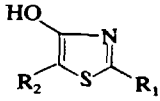
R_1	R_2	m.p. or b.p. (°C)	Ref.
p-Tolyl	H	270	864
p-NCC_6H_4–	H	259	864
p-$HO_2CC_6H_4$–	H	272	864
p-$Me_2NC_6H_4$–	H	278	864
p-$Me_2NC_6H_4$–	Et	235–6	864
p-$AcNHC_6H_4$–	H	268	864
p-$O_2NC_6H_4$–	H	273	864
o-$O_2NC_6H_4$–	H	251	864
p-HOC_6H_4–	H	250	864
m-HOC_6H_4–	H	255	864
o-HOC_6H_4–	H	285	864
p-$MeOC_6H_4$–	H	261	864
o-$HOCH_2CH_2OC_6H_4$–	H	263	864
p-$HO_2CCH_2OC_6H_4$–	H	251	864
o-ClC_6H_4–	H	261	864
3,4-diHOC_6H_3–	H	242	864
4-MeO-3-HOC_6H_3–	H	262	864
3,4-Methylendioxyphenyl–	H	262	864
2,4,5-tri$MeOC_6H_2$–	H	249	864
1-Pyrrolyl	H	214	864
2-Indolyl	H	272	864
2-Pyridyl	H	285	864
3-Pyridyl	H	263	864
4-Pyridyl	H	273	864
2-Furyl	H	262	864
2-Thienyl	H	253	864
2-Me-5-thiazolyl–	H	279	864
4-Me-2-MeS-5-thiazolyl–	H	276	864
3,5-diMe-1-Ph-4-diazolyl–	H	314	864
2-Ph-1,2,3-triazole-5-yl–	H	—	864

TABLE VII-64. 2,5-DISUBSTITUTED 4-HYDROXYTHIAZOLES



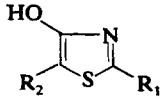
R_1	R_2	m.p. or b.p. (°C)	Ref.
H	Ph	168	568 i,u,r
H	*p*-AcC_6H_4–	204	568 i,u,r
H	*p*-$O_2NC_6H_4$–	230 (sublimation)	416 i, 568
H	*p*-$MeOC_6H_4$–	—	416 i
H	*p*-ClC_6H_4–	234	416 i, 568
Me	2-Me-4-one-5-thiazolidinyl-CH_2CH_2–	140	434
Me	Ph	210–12	388, 568, 569
Me	*p*-AcC_6H_4–	185	568 u,i,r
Me	*p*-$O_2NC_6H_4$–	250	568
Me	*p*-ClC_6H_4–	232	568
Me	EtO_2C–	108–9	414, 430
$PhCH_2$–	Me	138	399
p-$Me_2NC_6H_4CH$=CH–	2-R_1-4-one-5-thiazolidinyl-CH_2CH_2–	152–3	434
Ph	Me	190–1 205	104 r, 397, 399
Ph	Ph	215	59, 415, 568
Ph	*p*-AcC_6H_4–	215	568
Ph	*p*-$O_2NC_6H_4$–	285	568
Ph	*p*-ClC_6H_4–	255	568
Ph	PhN=C(Ph)–	221–3	425 i
Ph	EtO_2C–	91–2	414
Ph	2-Ph-4-thiazolyl–	205–6	425
p-ClC_6H_4–	PhN=N–	—	395
2,6-diClC_6H_3–	Et	232	437
2,6-diClC_6H_3–	Pr	203–7	437
2,6-diClC_6H_3–	Bu	165	437
2,6-diClC_6H_3–	HO_2CCH_2–	256	438
2,6-diClC_6H_3–	EtO_2CCH_2–	207–9	438
2,6-diClC_6H_3–	*i*-PrO_2CCH_2–	192–3	438
2,6-diClC_6H_3–	*sec*-BuO_2CCH_2–	182–3	438
2,6-diClC_6H_3–	(1-Me-Heptyl)O_2CCH_2–	110–11	438
2,6-diClC_6H_3–	(3,5,5-triMeHexyl)-O_2CCH_2–	125–6	438
2,6-diClC_6H_3–	EtO_2C–	110–13	437
2-Furyl	EtO_2C–	129–30	414
2-Pyridyl	Me	198–202	386 u,i,r
2-Benzimidazolyl	Me	220–2.5	386 i,r,u
$MeO_2CCH(Me)SC$(=NMe)–	Me	98–9	419

TABLE VII-64 (*Continued*)



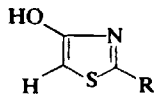
R_1	R_2	m.p. or b.p. (°C)	Ref.
5-Me-4-one-thiazolidinyl-2-	Me	242–3	419 i,r,u
2-Benzothiazolyl	Me	233–5	386 i,r,u
5-HO-2-benzothiazolyl-	Me	276–84	386 u,r,i, 403 u,r,i,f, 406, 408 l,u,r,i
6-HO-2-benzothiazolyl-	HO-	193–5	386 i,u,r
6-MeO-2-benzothiazolyl-	Me	250–3	386 i,u,r
2-Naphthothiazolyl	Me	228–30	386 i,u,r
H_2N-	HO_2C-	197–8	863
H_2N-	3-Indolyl-CH_2-	—	888 l
4-$AcNHC_6H_4SO_2NH$-	HO_2C-	165–6	865
PhN(Me)-	Ph	—	420 x
1-Piperidino	Me	238	399
1-Piperidino	Ph	113–5	432
1-Piperidino	*p*-Tolyl	121–2	432 l
4-Me-1-piperazino-	Ph	183	432 l
4-Me-1-piperazino-	*p*-*i*-PrC_6H_4-	149–51	432 l
4-Me-1-piperazino-	*m*-$MeOC_6H_4$-	183–4	432 l
4-Me-1-piperazino-	*p*-FC_6H_4-	171–3	432 l
4-Me-1-piperazino-	*o*-ClC_6H_4-	127	432 l
4-Me-1-piperazino-	3,4-diClC_6H_3-	158–9	432 l
4-Pr-1-piperazino-	Ph	125–6	432 l
4-Pr-1-piperazino-	*p*-Tolyl-	100–1	432 l
4-Pr-1-piperazino-	*p*-FC_6H_4-	155–6	432 l
4-Allyl-1-piperazino-	Ph	105	432 l
4-Allyl-1-piperazino-	*p*-Tolyl	93–5	432 l
4-Allyl-1-piperazino-	*p*-FC_6H_4-	125–6	432 l
4-$HOCH_2CH_2$-1-piperazino-	*p*-*i*-PrC_6H_4-	222–4	432 l
4-$MeCH(OH)CH_2$-1-piperazino-	Ph	155–7	432 l
4-*i*-Pr-1-piperazino-	*p*-Tolyl	136–8	432 l
4-*p*-Tolyl-1-piperazino-	*p*-FC_6H_4-	185–6	432 l
4-*p*-ClC_6H_4-1-piperazino-	*p*-Tolyl	186–7	432 l
1-Morpholino-	*p*-FC_6H_4-	170–1	432 l
Ph_2N-	3-Me-benzothiazoline-2-yl-	240	433
Ph_2N-	3-Me-benzoselenazoline-2-yl-	215	433
MeO-	*i*-PrO_2C-	—	428
EtO-	EtO_2C-	68–71	428

TABLE VII-64 (*Continued*)



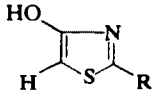
R_1	R_2	m.p. or b.p. (°C)	Ref.
EtO–	*i*-PrO_2C–	65	428
i-PrO–	EtO_2C–	60–4	428
i-PrO–	*i*-PrO_2C–	—	428
PhS–	Et	—	395
PhS–	PhN=N–	—	395
p-$O_2NC_6H_4S$–	Et	—	395
m-$O_2NC_6H_4S$–	Et	—	395
p-ClC_6H_4S–	Et	—	395
2-MeO-4-$O_2NC_6H_3S$–	Et	—	395
p-Tolyl-N=NS–	Et	—	395
m-Tolyl-N=NS–	Et	—	395
p-$MeOC_6H_4N$=NS–	Et	—	395
p-BrC_6H_4N=NS–	Et	—	395
Cl	Me	55	387, 413

TABLE VII-65. 2-SUBSTITUTED 4-HYDROXYTHIAZOLES



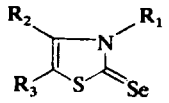
R	m.p. or b.p. (°C)	Ref.
Me	oil	424
	polymer	388
$PhCH_2$–	102–4	397, 399
	229	
t-$BuNHCH_2CH(OH)CH_2$–	—	4401
EtO_2CCH_2–	152–4	398, 653
$MeSCH_2$	—	439 a
p-Tolyl-SO_2CH_2–	169–70	398, 653
$EtO_2CH(Me)$–	188	398, 653
Ph	156.5	104, 396, 398, 422, 423, 425 i, 653, 684
	108	422, 397, 616
	280	399
1-Naphthyl	152	422
2-Naphthyl	153	422

TABLE VII-65 (*Continued*)



R	m.p. or b.p. (°C)	Ref.
p-$MeOC_6H_4$–	146	422
3,4-di$MeOC_6H_3$–	168	422
2,6-diClC_6H_3–	212	437 a
$HO_2CCH_2SC(=NH)$–	384–5	419 u,r,i
$MeO_2CCH_2SC(=NMe)$–	71–2	419 u,r,i
2-Benzothiazolyl–	176–8	386 u,i,r
2-Naphtothiazole	205	386 u,i,r
6-Me-2-benzothiazolyl–	200–3	386 i,r,u
6-HO-2-benzothiazolyl–	169–71	386 r,u,i, 403 u,r,i,f, 405–410, 634
6-MeO-2-benzothiazolyl–	174–7 218–23	407, 408 i,r,u,l 386 i,u,r
6-AcO-2-benzothiazolyl–	195–8	386 i,r,u, 408 l,u,r, 410 u,r,i,f
5-Me-6-HO-2-benzothiazolyl–	—	386 i,u,r
5,7-diMe-6-MeO-2-benzothiazolyl–	175–7	386 i,u,r
2-(4-one-thiazolidinyl)–	180–2	419 u,r,i,d
Ph_2N–	—	433
CH_2=CHC_6H_4NH–	—	892
p-$H_2NC_6H_4SO_2NH$–	225	682
p-$AcNHC_6H_4SO_2NH$–	258–9	866
2-AcNH-5-pyrimidinyl-SO_2NH–	265–7	682
2-Thiophenyl	150	422
MeS–	82 170	390–3, 674 c 862 u,p
EtS–	35	389, 391–3, 674 c
PrS–	—	392–3
BuS–	—	392, 393
Amyl-S–	—	392, 393
i-BuS–	—	392, 393
$PhCH_2S$–	65	862 u,p,i
i-Pr	5	389, 392, 393, 674 c
AcS–	250	862 u,p
2-(4-thiazolinone)SP(O)(OMe)S–	—	394
2-(4-thiazolinone)SP(O)(OEt)S–	—	394
2-(4-thiazolinone)SP(O)(Cl)S–	—	394

TABLE VII-66. Δ-4-THIAZOLINE-2-SELENONES



R_1	R_2	R_3	m.p. (°C)	Ref.
H	Amyl	H	—	1 a
H	Cyclohexyl	H	—	1 a
H	Ph.	H	—	1 a
2-Me-4-H_2N-5-pyrimidinyl-CH_2	Me	$HOCH_2CH_2$–	229	755, 756

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