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THIOIMIDIUM SALTS AND THE SYNTHESIS OF HETEROCYCLES (REVIEW)

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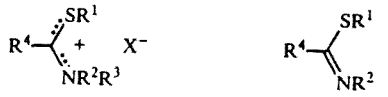
Data on the use of thioimidium salts in the synthesis of heterocycles are classified and analyzed. A brief review of their production methods is given.

The thioimidium salts (I) and their related thioimidates (II) were first obtained at the end of the last century [1, 2].

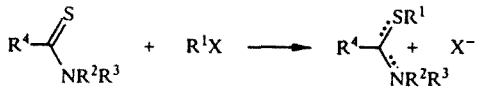


I II

The interest in their use for synthetic purposes is explained by the bifunctional nature of these substances, which should give various heterocyclic derivatives in reaction with polyfunctional reagents. The amount of information that has accumulated on these aspects of the chemistry of thioimidate salts is fairly large. At the same time, until now no review has been published in which these data have been submitted to systematic analysis. In our review we classify various data on the use of thioimidium salts in the synthesis of heterocycles and also give a brief review of the methods of synthesis for salts of various types. This is useful in that the structure of a heterocyclic compound can be determined by the structure of the initial salt.

1. SYNTHESIS OF THIOIMIDIUM SALTS

The most widely used method for the synthesis of thioimidium salts is the alkylation of thioamides [3-11].



R^1 = Alk; R^2 = R^3 = R^4 = H, Alk, Ar

In addition to alkyl halides trialkyloxonium tetrafluoroborates, which are the most effective toward weakly nucleophilic thioamides, are also used as alkylating agents [12-14]. The alkylation of thioamides in the indicated reactions usually takes place at the sulfur atom [15], and this is explained by its enhanced nucleophilicity. However, examples of alkylation at the nitrogen atom are also known [16-18]. The reaction of thioamides with alkylating agents capable of giving stable carbocations (triphenylchloromethane, 9-xanthenyl chloride, and 4,4'-dimethoxydiphenylchloromethane) takes place in this way.

Thioimidium salts containing additional functional groups are of greatest interest for the synthesis of heterocycles. The reaction of thioamides with α-halogeno ketones leads to carbonyl-containing thioimidium salts [19, 20]. α-Halogeno carboxylic acids and their esters behave in this way [5, 21-23].

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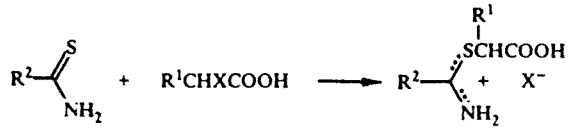
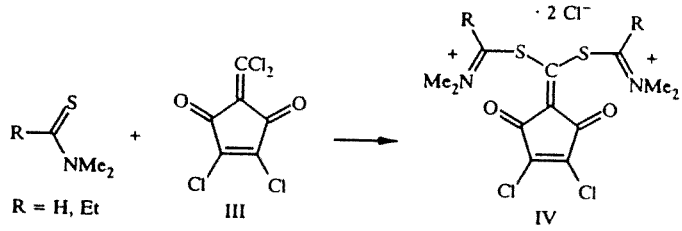
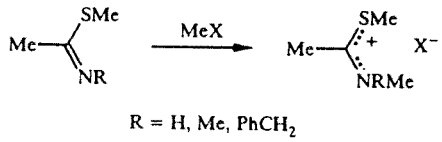


R^1 = H, Alk; R^2 = H, Ph, $PhCH_2$; X = Cl, Br

The salts (IV) were isolated in the reaction of N,N-disubstituted thioamides with perchloro-5-methylene-2-cyclopentene-1,4-dione (III) [24].

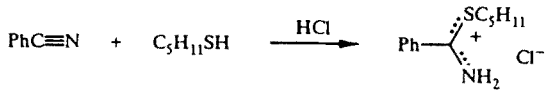


The introduction of additional substituents at the nitrogen atom is usually accomplished by alkylation of the thioimidates by alkyl halides [6].



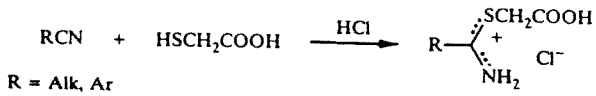
R = H, Me, $PhCH_2$

The ease of alkylation decreases in the transition from N-methyl-substituted thioimidates to the N-benzyl derivatives, and the N-phenylthioimidates are not quaternized at all [6].



The reaction of nitriles with thiols in the presence of gaseous hydrogen chloride has found wide use for the production of the salts of N-unsubstituted thioimidates. Such a reaction was first carried out by Pinner in the case of benzonitrile and pentanethiol [2].

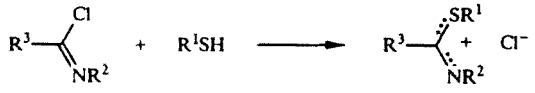
As was shown in subsequent investigations [25-31], this method is general in nature. Generally speaking, the reaction is reversible [28], and in addition to fulfilling a catalytic function the hydrogen chloride also shifts the equilibrium toward the product from reaction through the formation of the more stable protonated form. Moreover, in most cases the equilibrium is also shifted to the right as a result of the restricted solubility of the product. α-Mercapto acids also add readily to nitriles in the presence of hydrogen chloride [32, 33].



R = Alk, Ar

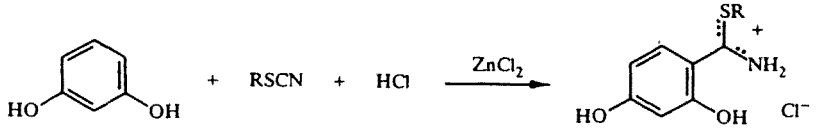
The two methods of synthesis described above have their own advantages in each specific case. Thus, the alkylation of thioamides makes it possible to obtain products with any degree of substitution and leads to particularly good results when reagents active in S_N2 reactions are used. In the reaction of nitriles with thiols it is only possible to obtain the N-unsubstituted derivatives, but it does not impose any restrictions on the structure of the S-substituent.

In addition to the described methods, certain other reactions can also be used as sources of thioimidium salts. Thus, the reaction between imidoyl chlorides and thiols, which is essentially a modification of Pinner's method described above, was used for the synthesis of N-substituted thioimidium salts, which cannot be obtained by the alkylation of thioamides [31, 34].



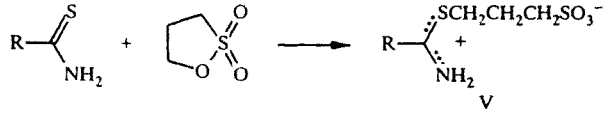
R^1 = Ph, *i*-Pr, *t*-Bu; R^2 = Me, Ph; R^3 = Ph

In the reaction of resorcinol with thiocyanates in the presence of zinc chloride and dry hydrogen chloride the formation of the hydrochlorides of S-substituted 2,4-dihydroxythiobenzimidates was observed [35, 36].



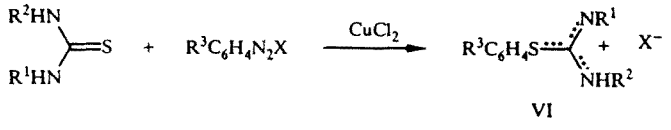
R = Me, Et, Bu, Ph

The reaction of thioamides with sultones leads to the formation of internal thioimidium salts [37].



R = Me, Ph, *o*-MeC_6H_4, *p*-MeC_6H_4

Functionally substituted thioimidium salts can also be obtained by means of radical reactions. In particular, thiourea and its derivatives underwent radical arylation, forming relatively stable S-arylthiouronium compounds (VI) [38].

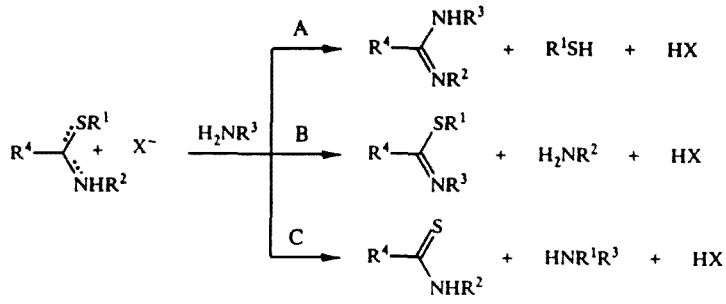


R^1 = R^2 = H, Ph; R^3 = H, *p*-Me, *p*-NO_2, *p*-Cl; X = BF_4^-, Cl^-

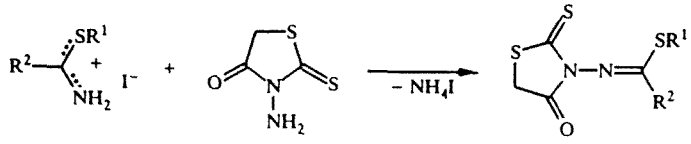
2. REACTIONS OF THIOIMIDIUM SALTS IN THE SYNTHESIS OF HETEROCYCLES

The ability to enter into reaction with various nucleophilic reagents is a characteristic feature of thioimidium salts. Such reaction can take place in several directions, and this is easily illustrated in the case of the reaction of thioimidium salts with amines in view of the fact that the derivatives of amines make it possible to obtain the widest range of differing heterocycles.

The formation of thioamides (path C) is typical only of quaternary thioimidium salts and was observed during their reaction with morpholine in an aqueous medium [39]. Transamination (path B) was also observed only in isolated cases and, in particular, during the reaction of the hydrochlorides of N-unsubstituted thioimidates with weakly basic amines [29, 40] and

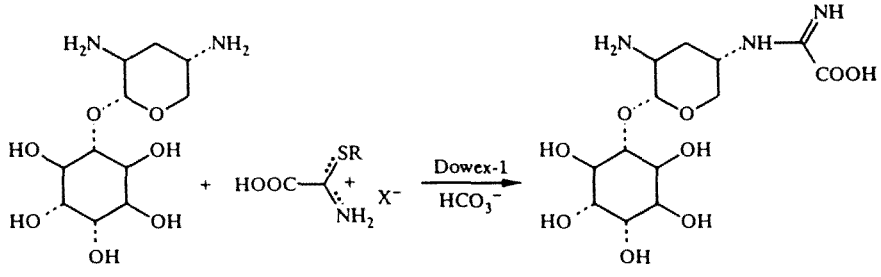


also during the reaction of quaternary salts with such functionally substituted hydrazines as semicarbazide [39]. Path B itself is of little use in heterocyclic synthesis with the exception of cases where a heterocyclic fragment is introduced together with a new amino group [41].



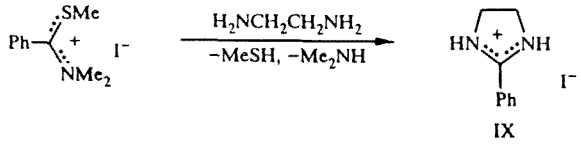
R^1 = Me, Et, Ph; R^2 = Me, Et, $PhCH_2$

The reaction taking place by path A is most frequently encountered and has synthetic significance [5, 42]. If mononucleophiles are used, this reaction provides a method for the production of amidines and, as in the case of path B, can only be used for the synthesis of heterocycles on the condition that the ready-made heterocyclic fragment is introduced together with the reagent. In particular, by using kasuganobiosamine as amine the authors obtained the antibiotic kasugamycin (VIII) with a 95% yield, where only the equatorial group participated in the reaction [43].



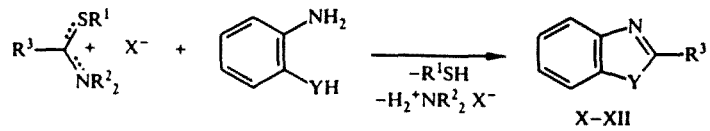
VII VIII

If amino compounds containing a further nucleophilic group in the molecule are used as reagents, the reaction can take place in directions A and B simultaneously with the resultant formation of a heterocyclic compound. Here, a five-membered ring is formed if the two nucleophiles are in the α position in relation to each other, a six-membered ring is formed if they are in the β position, and a seven-membered ring is formed if they are in the γ position. Thus, the imidazoline salt (IX) was obtained in the reaction of ethylenediamine with S,N,N-trimethylbenzimidium iodide [44].



IX

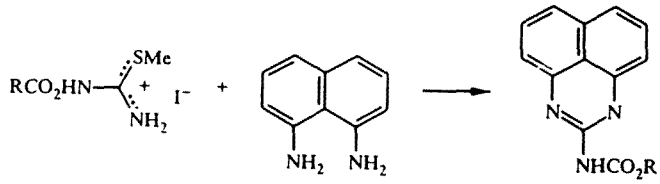
The reactions of the thioimidate salts with *o*-phenylenediamine provide a convenient method for the synthesis of benzimidazoles (X) [44, 45]. When *o*-phenylenediamine, *o*-aminophenol, and *o*-aminothiophenol were used, benzoxazoles (XI) [37, 44] and benzothiazoles (XII) [37] respectively were formed.



X–XII

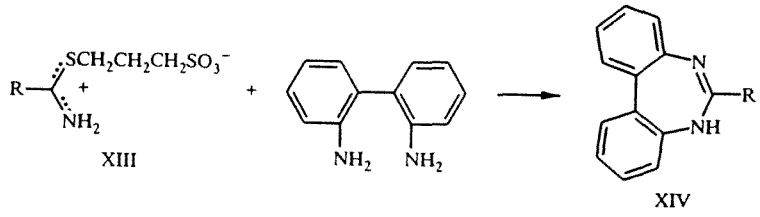
R^1 = Me, $PhCH_2$, $CH_2CH_2CH_2SO_3^-$; R^2 = H, Me; R^3 = Ph, $PhCH_2$, NH_2;
X Y = NH; XI Y = O; XII Y = S

An example of the formation of compounds containing a pyrimidine ring is the reaction of 1,8-diaminonaphthalene with N-acyl-S-methylthiouronium iodides [46]. The reaction products here have fungicidal activity.



R = Alk, *cycl*-Alk, ArAlk

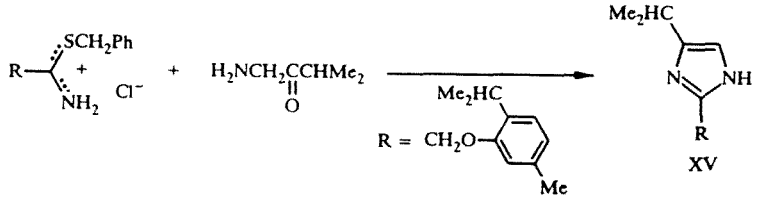
Dibenzo-1,3-diazepines (XIV) were obtained in the reaction between the thioimidium salt (XIII) and 2,2′-diaminobiphenyl [37].



XIII XIV

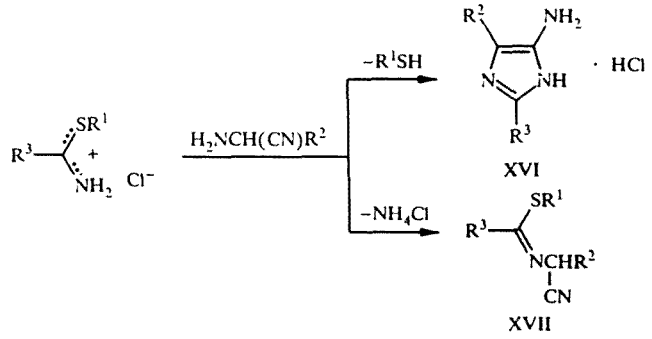
R = Me, Ph, *o*-MeC_6H_4, *p*-MeC_6H_4

With N-unsubstituted thioimidate salts in chloroform α-amino ketones form imidazoles (XV) [47].



XV

A widely used method for the synthesis of aminoimidazoles (XVI) is the reaction between the analogous thioimidium salts and α-aminonitriles [29, 47-49].

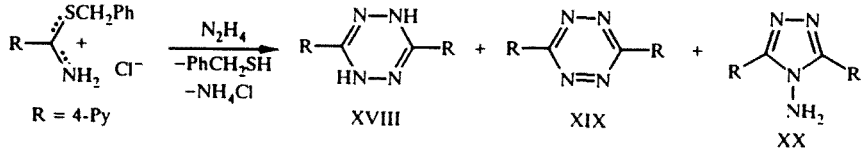


XVI XVII

R^1 = Et, $PhCH_2$; R^2 = H, Ph, $CONH_2$, CO_2Et; R^3 = Alk, Ar

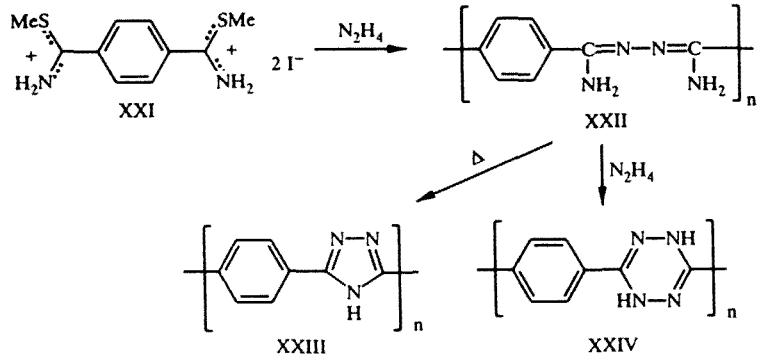
Maximum yields of the aminoimidazoles were obtained in cases where the substituent R^2 was electron-withdrawing. With an alkyl substituent the yields were greatly reduced either on account of the formation of the aminonitrile hydrochloride or as a result of substitution of the amino group in the initial compound, leading to the formation of the thioimidate (XVII) [29, 47]. These undesirable processes can be avoided by conducting the reaction in pyridine [29, 48].

Representatives of the heterocyclic series can be obtained in the reaction of thioimidium salts with hydrazine derivatives [50]. Thus, the reaction between hydrazine and the corresponding thioimidium chloride was carried out with the aim of synthesizing biologically active nitrogen derivatives of 4-pyridinecarboxylic acid [51]. Although the reaction was conducted under fairly mild conditions (ethanol, room temperature), the products (XVIII-XX) from further transformations were obtained instead of the expected amidrazone or amidazine.



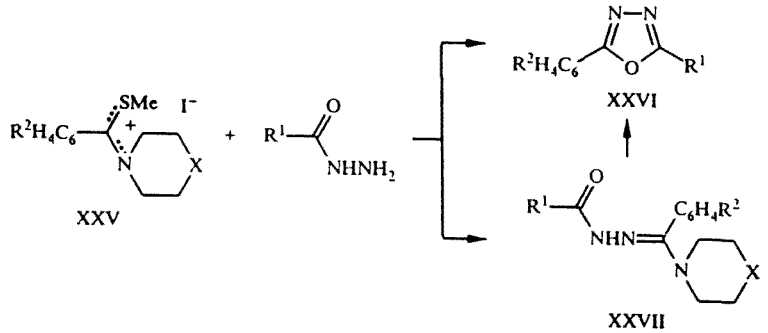
Dihydrotetrazine (XVIII) was obtained as the only product in the reaction of aqueous hydrazine with a quaternary thioimidium salt [39].

With an equimolar of hydrazine in pyridine S,S′-dimethyldithioterephthalimidium diiodide gives the polyamidazine (XXII), which is transformed when heated to 270°C into the polytriazole (XXIII). In an excess of hydrazine it gives the polydihydrotetrazine (XXIV) [52].



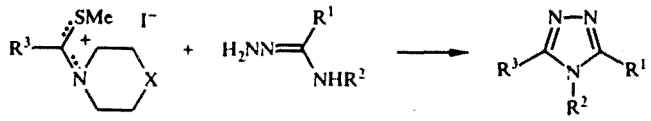
At the same time it can be expected that the reaction of thioimidium salts with hydrazine can lead to the formation of the corresponding amidrazones [12, 52-62] or amidazines [57].

With the use of functionally substituted hydrazines it is possible to extend the range of heterocyclic compounds open to synthesis. In particular, 1,3,4-oxadiazoles (XXVI) with various substituents were obtained by the reaction of the salts (XXV) with hydrazines in pyridine [63]. The temperature, the solvent, and the structure of the substrate have a significant effect on the reaction path. Increase in the temperature and the use of pyridine as solvent lead to cyclization. In the case where R^1 = *o*-HOC_6H_4, even at room temperature, compound (XXVII) is formed as a result, probably, of the fact that the hydroxyl group at the *o*-position of the benzene ring hinders cyclization.



R^1 = Ph, *o*-HOC_6H_4, 4-Py; R^2 = H, *o*-OH, *m*-Cl, *p*-Br, *p*-NO_2, *p*-NMe_2; X = CH_2, O

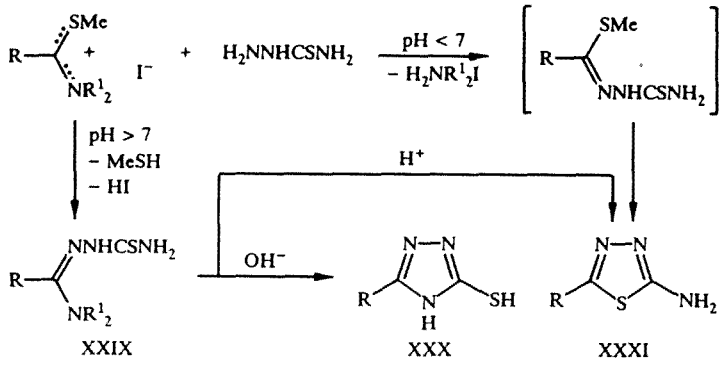
With thioimidate salts in pyridine amidrazones usually form derivatives of 1,2,4-triazole (XXVIII) [64].



XXVIII

$R^1 = R^2 = Ph, 4\text{-}Py$; $R^3 = Ph, p\text{-}HOC_6H_4, o\text{-}HOC_6H_4, p\text{-}NO_2C_6H_4, 4\text{-}Py$; $X = CH_2, O$

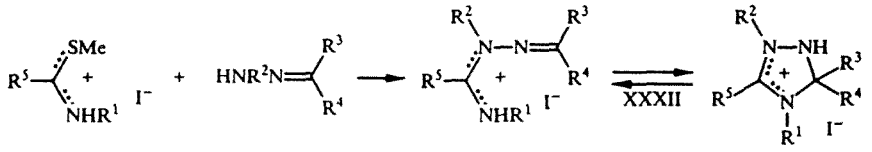
In reaction with thioimidate salts thiosemicarbazide gives various products, depending on the acid—base characteristics of the medium. When the reaction was conducted in pyridine with the addition of triethylamine, the corresponding 1-thiocarbamoylamidrazones (XXIX) were obtained. In the presence of alkalis they underwent cyclization to 3-mercapto-1,2,4-triazoles (XXX), and in the presence of acids they gave 2-amino-1,3,4-thiadiazoles (XXXI). With thiosemicarbazide in acidic and neutral media the quaternary thioimidate salts gave initially the products from substitution of the amino group. Depending on the structure of the substituent and with varying degrees of ease the products underwent further cyclization with the formation of 2-amino-1,3,4-thiadiazoles (XXXI) [62, 64, 65].



XXIX XXX XXXI

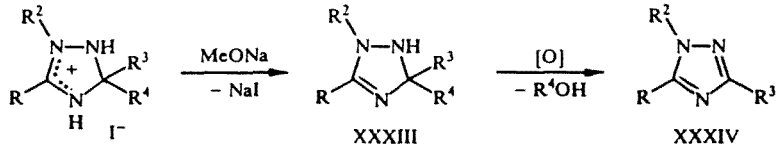
R = Me, Ph, p-$MeOC_6H_4$; NR^1_2 = N⟨ ⟩O

In reaction with S-methylthioimidium salts the hydrazones and monoalkylhydrazones of monocarbonyl compounds lead to the alkylidene (arylidene) derivatives of amidrazonium salts (XXXII) [66-69], a characteristic feature of which is the ability to undergo ring—chain tautomerism in solutions.



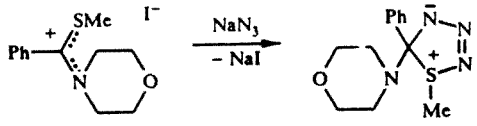
$R^1 = R^3 = H, Me$; $R^2 = Me, Pr$; $R^4 = Me, t\text{-}Bu, Ph, p\text{-}MeOC_6H_4, p\text{-}NO_2C_6H_4, p\text{-}ClC_6H_4$; $R^5 = Me, Ph$

By neutralizing the obtained derivatives of amidrazonium salts, which exist in solution in the form of 2,3-dihydro-1,2,4-triazolium iodides, it was possible to obtain the previously undescribed Δ^4-triazolines (XXXIII) [67-69]. The latter are oxidized slowly at room temperature and quantitatively when heated for several hours into the respective substituted 1,2,4-triazoles (XXXIV).



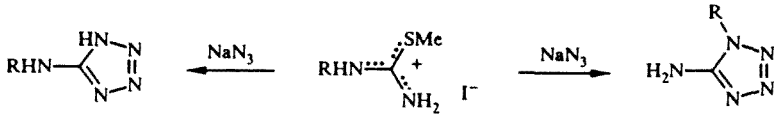
XXXII XXXIII XXXIV

Apart from the derivatives of amines and hydrazine sodium azide, with which it is possible to obtain rings with three or more nitrogen atoms, can also be used in the synthesis of heterocyclic compounds; cyclization takes place with the participation of the sulfur atom and leads to 1,5-dihydro-1-methyl-5-morpholino-5-phenyl-1,2,3,4-thiatriazole (XXXV) [70].



XXXV

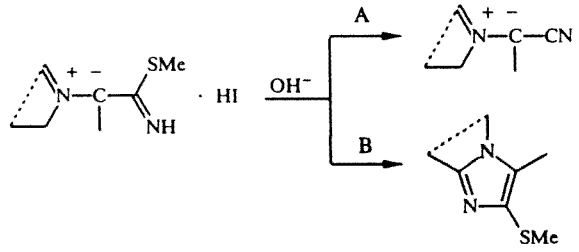
With sodium azide in an aqueous medium the N-substituted derivatives of S-methylthiourea form the isomeric tetrazoles (XXXVI) or (XXXVII), depending on the nature of the substituent [71, 72].



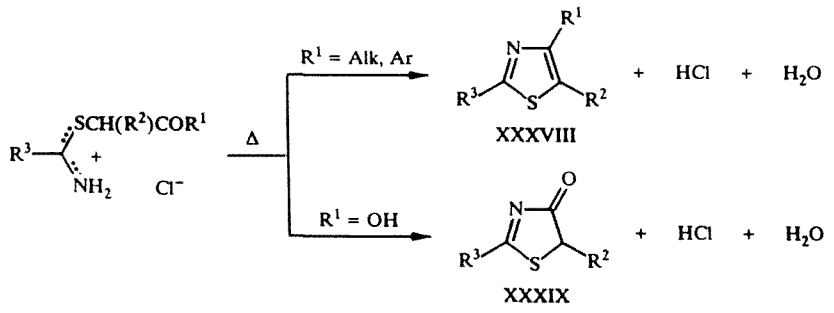
XXXVI XXXVII

XXXVI R = NO_2; XXXVII R = CH_2Ph

Under the conditions of alkaline hydrolysis thioimidium salts are quickly transformed into the corresponding thiol ethers, amides, thioamides [8, 18, 28, 39, 73-75], or nitriles [10, 76], as a result of which the reaction as a rule does not find application in the synthesis of heterocycles. However, in the case where the substituent at the quaternary carbon atom has a heterocyclic fragment its modification with the formation of condensed systems is possible [10].



The heating of thioimidium salts most often leads to their decomposition with the formation of the thioamide and the alkyl chloride of the corresponding nitrile and thiol [28]. Nevertheless, functionally substituted thioimidium salts can undergo thermolysis with the formation of heterocycles, the structure of which is determined by the structure of the substituent. Thus, the action of heat on thioimidium chlorides containing a carbonyl or carboxyl group in the S-substituent leads to their cyclization with the formation of thiazoles (XXXVIII) [77] and thiazolones (XXXIX) [21, 22, 32] respectively.



XXXVIII XXXIX

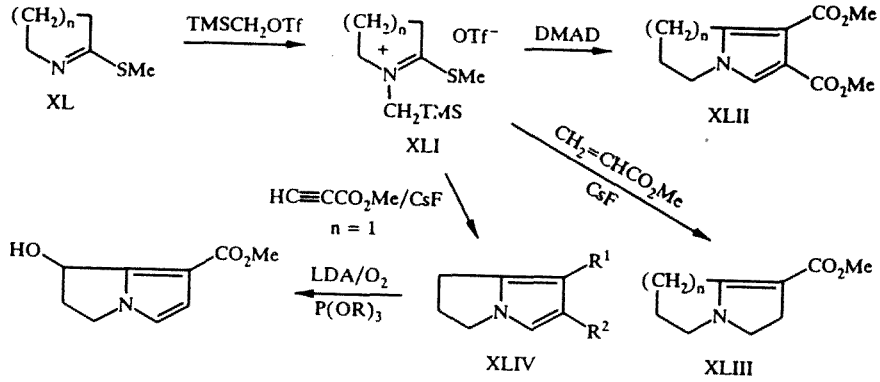
R^1 = Me, Ph, OH; R^2 = H, Me, CH_2CH_2OH; R^3 = H, Me, Ph, $PhCH_2$, 4-Py, *p*-$NO_2C_6H_4$, *m*-$NO_2C_6H_4$, *p*-$MeOC_6H_4$, *p*-$CF_3C_6H_4$

As a rule the pyrolysis temperatures are relatively low, as indicated by the fact that the thiazolones (XXXIX) are sometimes formed even during the production of the initial thioimidium salts [5, 21-23].

3. REACTIONS OF CYCLIC THIOIMIDIUM SALTS

Cyclic thioimidium salts, i.e., derivatives in which the nitrogen or sulfur atoms are included in a ring, can in principle be used as the source of condensed heterocyclic systems. No examples of the reactions of derivatives with a sulfur atom in a ring are known to us.

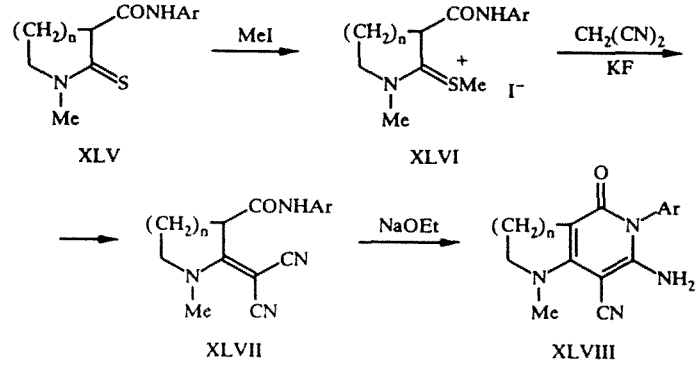
Numerous reactions of nitrogen-containing heterocycles are given in the reviews [78, 79], in which data on the synthesis of heterocycles based on the thioimidates (XL, XLV) and the corresponding salts (XLI, XLVI) are summarized. In particular, the salt (XLI) undergoes heterocyclization to compounds (XLII-XLIV) under the influence of methoxycarbonylethylene or methoxycarbonylacetylene [79].



XL XLI XLII XLIII XLIV

$R^1 = R^2 = H, CO_2Me$; n = 1, 2

The methylation of the thioimidates (XLV) followed by desulfurization of the respective salt (XLVI) with malononitrile in the presence of triethylbenzylammonium chloride as catalyst gives the enaminonitriles (XLVII), which are easily transformed into the condensed heterocycles (XLVIII) by the action of sodium ethoxide [11].



XLV XLVI XLVII XLVIII

Thus, thioimidium salts are readily obtainable and promising reagents, the distinct advantages of which are the simplicity of the respective syntheses and, in particular, the easy removal of the leaving group, the stability of the initial compounds, and the absence in most cases of side products. These advantages make it possible to use thioimidium salts widely in the synthesis of derivatives of the imidazole, thiazole, 1,3,4-oxadiazole, 1,3,4-thiadiazole, 1,2,4-triazole, tetrazole, benzimidazole, benzoxazole, benzothiazole, pyrimidine, 1,2,4,5-tetrazine, benzo-1,3-diazepine, and other heterocycles.

The physiological activity of the obtained compounds has also been noted [43, 46, 79].

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