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CYCLIZATION OF α- AND β-AMINO KETONES. (REVIEW)

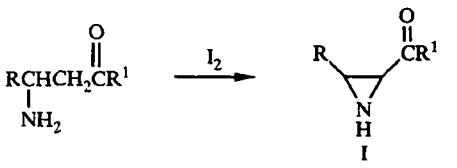
I. K. Moiseev, N. V. Makarova, and M. N. Zemtsova

In this review data on the synthesis of three-, four-, five-, and six-membered heterocycles, as well as of condensed heterocyclic compounds from α-amino ketones and Mannich bases are classified for the first time.

The chemistry of α- and β-amino ketones is one of the most interesting areas of organic chemistry due to the wide spectrum of biological activity and also the high reactivity of these compounds. Their effect on the cardiovascular and central nervous systems as well as their antiviral activity have been considered in detail in the monograph by A. N. Kudrin [1]. The α- and β-amino ketones are widely used for the synthesis of compounds of various classes such as amino alcohols, β-enamino ketones, nitrosoamino ketones, etc. The chemistry of β-amino ketones has been illuminated in detail in other reviews [2,3]. No correlation of literature material on the synthesis and chemical properties of α-amino ketones and on the cyclization of α- and β-amino ketones has been published up to the present time. The literature data of the past 40 years on the preparation of heterocyclic compounds from α- and β-amino ketones are reviewed systematically below.

1. SYNTHESIS OF THREE-, FOUR-, AND FIVE-MEMBERED HETEROCYCLES

Only one study is known devoted to the synthesis of three-membered heterocycles, *viz.* 3-fluoroalkyl-2-aziridinyl ketones I by the cyclization of the corresponding β-amino ketones by the action of iodine [4]:



I

R = $H(CF_2)_6$, $H(CF_2)_4$; R^1 = *t*-Bu, Ph

It was established that the N-phenyl-substituted β-amino ketone [R = $H(CF_2)_6$, R^1 = Ph] did not undergo this reaction.

Four-membered heterocycles, azetidines, are obtained by the photolysis of α-amino ketones in ether or in tetrahydrofuran [5-9]. For example, the formation of azetidines II according to the following scheme was described in [6]:

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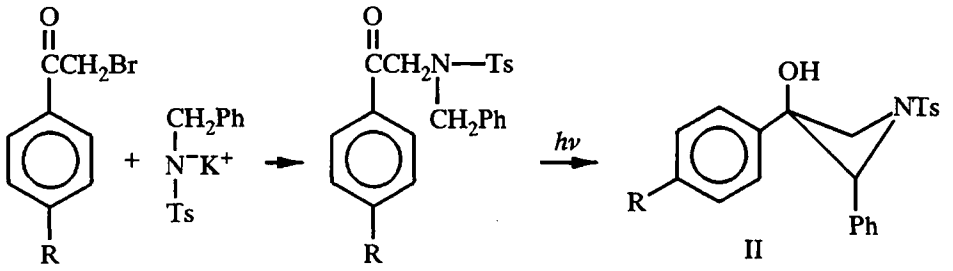
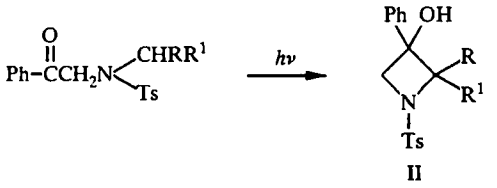


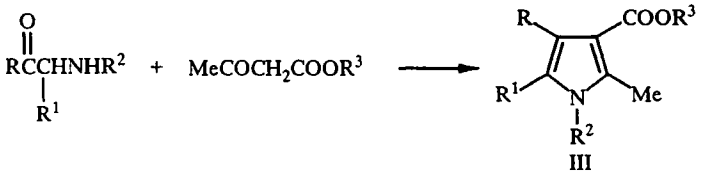
II

Photolysis of α-N-alkylamidoacetophenones also leads to N-substituted 3-azetidinols of type II [8]:



II

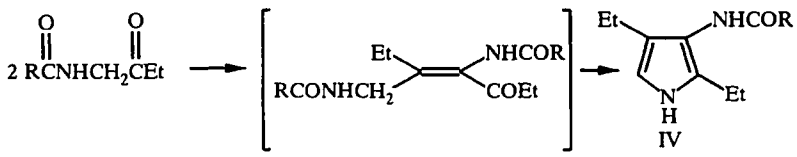
A significantly larger number of studies has been devoted to the synthesis of five-membered heterocycles containing one and especially two heteroatoms. The Knorr pyrrole synthesis has been extended not only to α-halo ketones but also to α-amino ketones. In the reaction of the latter with acetoacetic ester and its derivatives pyrrole-3-carboxylic acids III are formed [10-15]:



III

R = 2-NO_2-3-ClC_6H_3, $R^1 = R^2$ = H, R^3 = Et [10]; R = 4-$O_2NC_6H_4$, R^1 = H, R^2 = 4-MeC_6H_4, 4-$MeOC_6H_4$, 4-ClC_6H_4 [11]; R = 4-$O_2NC_6H_4$, R^1 = H, R^2 = Ph, R^3 = Et [12]; R = R^1 = 4-$MeOC_6H_4$, $R^2 = R^3$ = H [13]; R = 3-ClC_6H_4, 4-ClC_6H_4, 4-$O_2NC_6H_4$, 2-$O_2NC_6H_4$, R^1 = 4-ClC_6H_4, 3-ClC_6H_4, $R^2 = R^3$ = H [14]; R = $R^1 = R^2 = R^3$ = Alk [15]

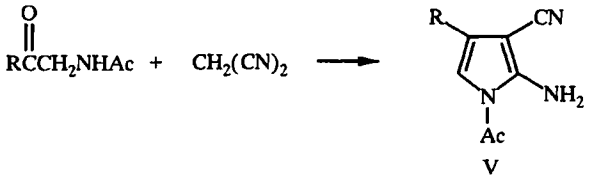
The one-step synthesis of 3-(acylamino)pyrroles from α-N-acylamino ketones [16] has been proposed as one of the simplest methods of constructing the pyrrole ring. Under the action of $Ba(OH)_2$ in aqueous medium selfcondensation of N-acetyl- and N-benzoylamino acetone to 3-acetylamino- and 3-benzoylamino-2,4-dimethylpyrroles (IV) occurs. In the authors' opinion the conversion occurs through an intermediate stage of a crotonic condensation and is accompanied by partial deacylation.



IV

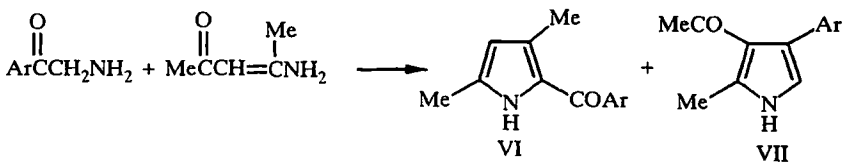
R = Me, Ph

On heating N-acylated α-amino ketones with malonic acid dinitrile in alcohol in the presence of NaOH 1-acyl-2-amino-3-cyanopyrroles (V) are obtained which are of interest as potential antimicrobial agents [17-19].

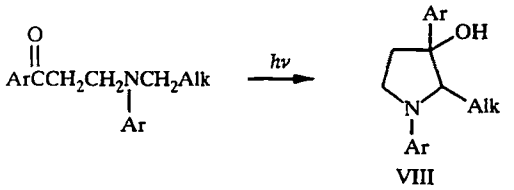


R = Ph [17]; R = 4-ClC_6H_4; 4-BrC_6H_4 [18]; R = 4-FC_6H_4 [19]

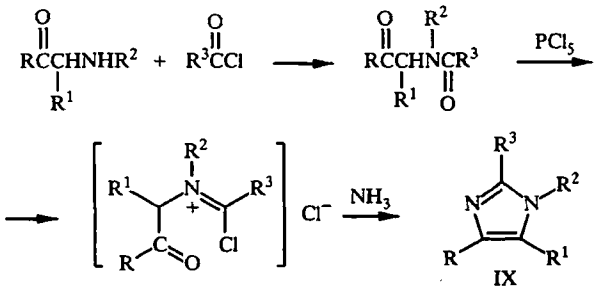
Reaction of β-aminovinyl ketones with α-amino ketones leads to a mixture of 2-acylpyrroles VI and 3-acylpyrroles VII [20]:



Irradiation of Mannich bases (analogous to the synthesis of 3-hydroxyazetidines II from α-amino ketones) leads to 3-hydroxypyrrolidines VIII [21]:

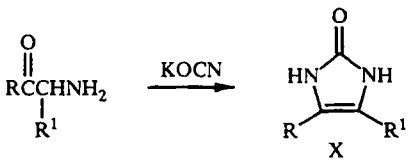


As was mentioned above α- and β-amino ketones are most frequently used in the synthesis of five-membered heterocycles containing two hetero atoms. N-Acylamino ketones are formed by the action of acid chlorides on α-amino ketones and are cyclized under the action of PCl_5 (or $AcONH_4$) in the presence of ammonia into imidazoles IX [22,23] or under the action of amines into 1,2,3,4,5-pentasubstituted imidazolium salts.



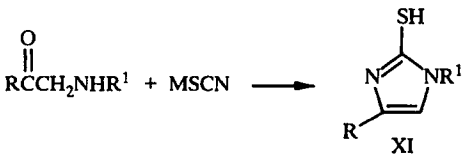
R = Ar, thienyl-2; R^1 = Ar; R^2 = H, Ar; R^3 = Alk, Ar, 2-thienyl

Imidazolin-2-ones X may be obtained by treating primary α-amino ketones with potassium cyanate [24,25].



R = Ar; R^1 = H; Alk

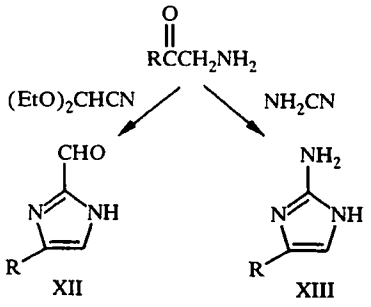
The synthesis of 2-mercaptoimidazoles XI by the reaction of α-amino ketones with sodium, potassium, or ammonium thiocyanate is described widely in the literature [26-34].



M = Na, R = 3-coumarinyl, R^1 = Ar [26]; M = NH_4, R = 1-adamantyl, R^1 = H [27]; M = K, R = R^1 = *t*-Bu [28]; M = Na, R = 5,6-benzo-3-coumarinyl, R^1 = Ph, 4-ClC_6H_4, 4-BrC_6H_4, α-$C_{10}H_7$ [29]; M = K, R = *n*-Pr, R^1 = H [30]; M = Na, R = chloro-3-coumarinyl, R^1 = Ar [31]; M = NH_4, R = Alk, R^1 = Ar [32]; M = K, R = 1-adamantyl, R^1 = Me, 4-MeC_6H_4 [33]; M = K, R = 4-$EtOCH_2C_6H_4$, R^1 = Ph [34]

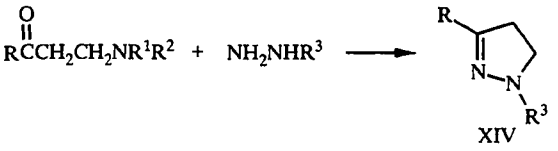
Reaction with aryl thiocyanates proceeds analogously, 1-aryl-2-mercaptoimidazoles being formed [35-37]. Thiols may serve as starting materials for preparation of other heterocycles such as benzocoumarins [29].

By varying the reactants acting on α-amino ketones it is possible to synthesize 2-formyl- and 2-amino-substituted imidazoles XII and XIII respectively [38-40]:



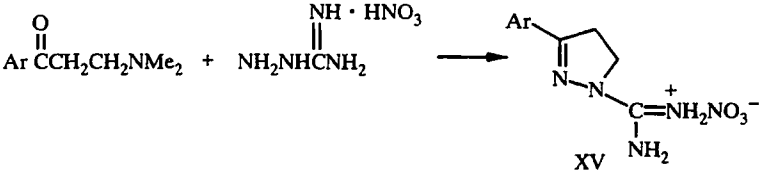
R = Ph [38]; R = Me, Ph [39, 40]

β-Amino ketones traditionally serve as starting materials for the synthesis of pyrazolines. The latter are obtained from the reaction of ketones with hydrazine hydrate or arylhydrazines in alcohols or in acetic acid [41-49]. The authors proposed that hydrazones or arylhydrazones are formed initially, and are then cyclized into pyrazolines XIV.



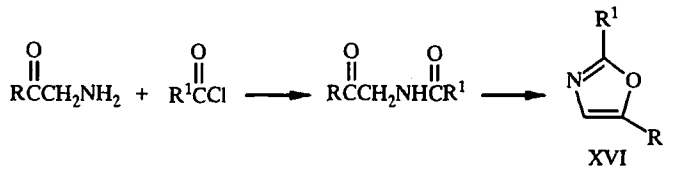
R = 5-nitrofuryl, R^1 = R^2 = Me, R^3 = 4-MeC_6H_4, 3-MeC_6H_4, 4-BrC_6H_4, 4-ClC_6H_4, 4-$NH_2SO_2C_6H_4$ [41]; R = Ar, R^1 = R^2 = Me, R^3 = Ph [42]; R = Ar, R^1 = R^2 = Me, R^3 = benzothiazol-2-yl [43]; R = 4-*i*-PrC_6H_4, R^1 = R^2 = Me, R^3 = H [44]; R = Ar, R^1 = R^2 = Me, R^3 = Ar [45]; R = Ph, R^1 = R^2 = Me, R^3 = H [46]; R = Ar, R^1 = R^2 = Me, R^3 = 1-pyrazolyl [47]; R = 5-methyl-2-thienyl, R^1 = R^2 = Me, R^3 = H [48]; R = 1,4-benzodioxan-6-yl, R^1 = R^2 = Et, R^3 = H [49]

Refluxing β-arylamino ketones with aminoguanidine nitrate in alcohol leads to amidinopyrazoline salts XV [50].



A two-stage method for obtaining pyrazoles has also been developed by nitrosation of β-amino ketones with subsequent reduction and cyclization of the nitroso derivative [51,52].

The preparation of oxazoles XVI from primary α-amino ketones is carried out in two stages. Acyl derivatives are obtained by reaction with acid chlorides and are then subjected to cyclization [53-60].



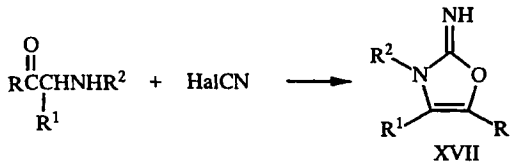
XVI

R = Ph, R^1 = 2-phenyl-4-quinolyl, 4-pyridyl, 2,2'-diquinolin-4-yl [53];
R = Ph, R^1 = 4-(3,5-diphenyl-1-pyrazolyl)phenyl [54]; R = Ph, R^1 = 4-napthyl [55];
R = 3,4-$(MeO)_2C_6H_3$, R^1 = 3,4-$(MeO)_2C_6H_3$ [56]; R = 3,4-$(MeO)_2C_6H_3$, R^1 = Alk [57];
R = R^1 = Ar [58]; R = Ar, R^1 = 2-thienyl [59]; R = R^1 = 4-BrC_6H_4 [60]

2-Hetaryl-5-aryloxazoles are known to be efficient luminophores and are used widely in scintillation technology and quantum electronics [53].

Reaction of α-amino ketones with diphenic acid dichloride gives 2,2'-di-(5-aryl-2-oxazolyl)diphenyls [61]. The reaction proceeds analogously with secondary α-amino ketones, however oxazolium salts are formed in this case [62]. Oxazoles may also be obtained in one stage by replacing acid chlorides with ortho esters [63] or by the reaction of β-amino ketones with acetohydroxamic acid chlorides [64].

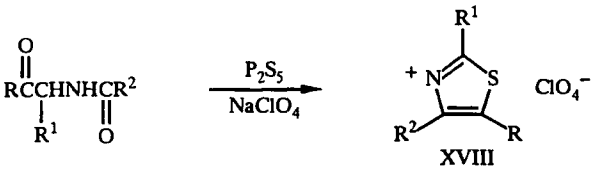
Secondary α-amino ketones form 2-iminooxazolines XVII on cyclization with halonitriles (such as cyanogen bromide) in 50-80% yield [65-67]:



XVII

R = Ph, R^1 = Me, R^2 = Alk, $PhCH_2$, $Ph(CH_2)_3$, $Ph(CH_2)_4$ [65];
R = thienyl, R^1 = Me, R^2 = Alk [66]; R = R^1 = Ph, R^2 = *n*-Pr, Ph [67]

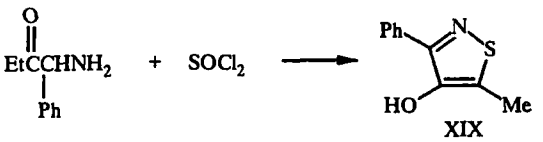
The synthesis of thiazoles XVIII is carried out in a manner analogous to the synthesis of oxazoles, but in this case cyclization of the acyl derivative in the second stage occurs under the action of P_2S_5 [68,69]. The final products were isolated as 3,5-diarylthiazolium perchlorates [69], which were then converted into the cyanine dyes – monomethinecyanines and dimethinemerocyanines.



XVIII

R = Ph, Ar; R^1 = Ph, Ar; R^2 = Me, Ph

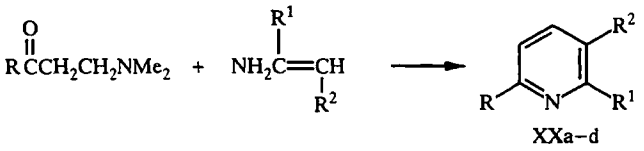
4-Hydroxy-5-methylisothiazoles XIX were synthesized by the reaction of primary α-amino ketones with thionyl chloride in DMF at room temperature [70]:



XIX

2. SYNTHESIS OF SIX-MEMBERED HETEROCYCLES

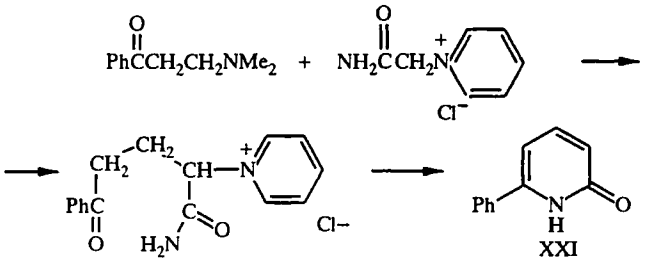
Both α- and β-amino ketones are starting materials for the synthesis of a series of six-membered heterocycles containing one or two heteroatoms. Reaction of equivalent quantities of Mannich bases with substituted enamines gives various derivatives of substituted nicotinic acid XX [71-73] such as esters, nitriles, and amides.



XXa–d

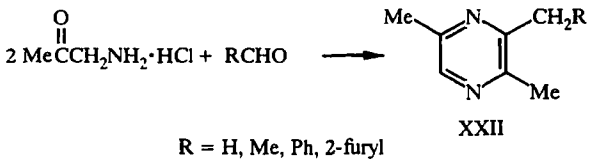
a R = 2-thienyl, 2-furyl; $R^1 = H_2N$, R^2 = EtOOC; b = R^1 = Me, R^2 = MeOOC;
c R^1 = Me, R^2 = NC; d R^1 = Me, $R^2 = NH_2CO$

6-Phenylpyrid-2-one XXI was synthesized from β-dimethylaminoethyl phenyl ketone and carbamoylpyridinium N-salts [74]:



XXI

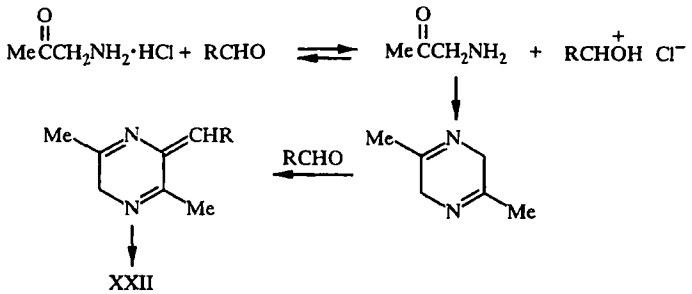
A new synthesis of six-membered heterocycles with two nitrogen atoms – substituted pyrazines XXII by the reaction of α-aminoacetone hydrochloride with aldehydes in boiling alcohol has been described [75,76].



XXII

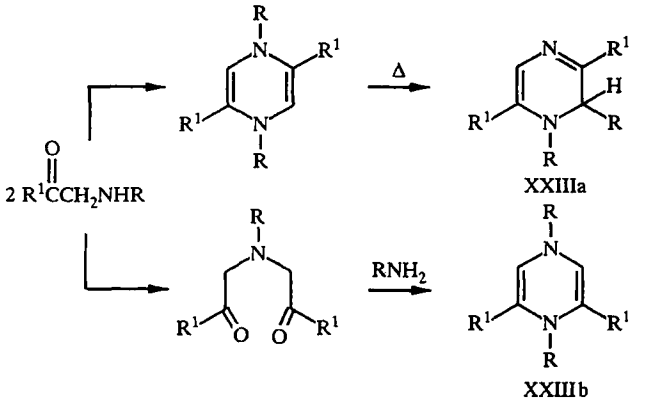
R = H, Me, Ph, 2-furyl

The formation of compounds XXII may be represented as a multistage process, comprising a stage of formation of free aminoacetone, its selfcondensation into 2,5-dimethyldihydropyrazine and crotonic condensation of the latter with RCHO [75].

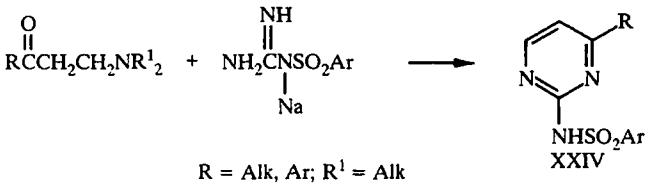


XXII

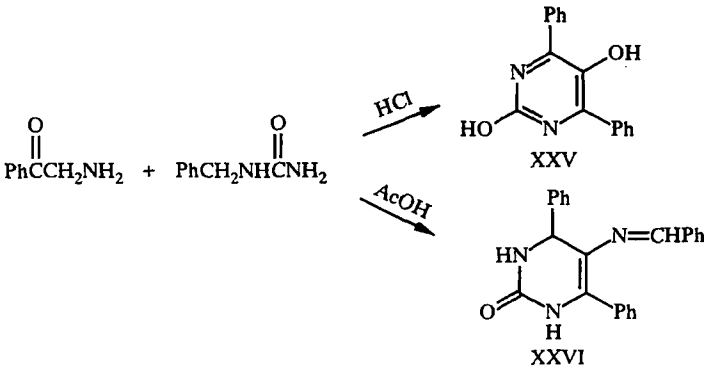
1,2-Dihydropyrazines XXIIIa and 1,4-dihydropyrazines XXIIIb have been obtained from α-amino ketones depending on the conditions and on the nature of substituents [77-79].



The synthesis of sulfonylamidopyrimidines XXIV, widely used as antibacterial preparations, has been carried out by the reaction of Mannich bases with sulfonylguanidines in DMSO [80]. Only the sodium salts of the sulfonylguanidines react, which the authors link with the electron-withdrawing effect of the SO_2Ar group.

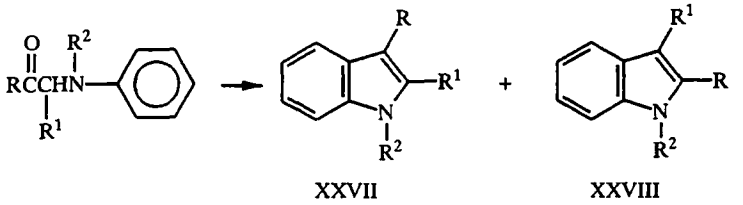


2,5-Dihydroxy-4,6-diphenylpyrimidine XXV was obtained from α-amino ketones and N-benzylurea in the presence of HCl. However on using acetic acid as catalyst 5-benzylideneamino-2-oxo-4,6-diphenyl-1,2,3,4-tetrahydropyrimidine (XXVI) was formed [81].

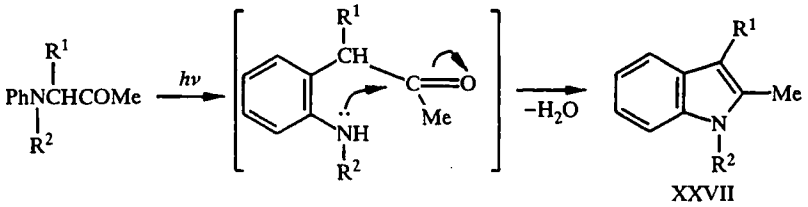


3. SYNTHESIS OF CONDENSED HETEROCYCLES

One of the ways of preparation of the indole derivatives XXVII, known as the Bischler synthesis, is the cyclization of α-arylamino ketones under the action of $ZnCl_2$ and PPA on boiling in ethylene glycol, irradiation with a mercury lamp, or by the action of BuLi [82-93]. A mixture of isomers XXVII and XXVIII is usually formed by the reaction, however under milder conditions only the first isomer may be formed [82].

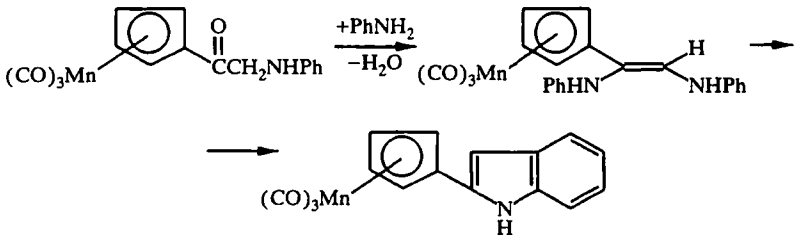


Under the action of light this process proceeds according to the following mechanism, as proposed in [83]:

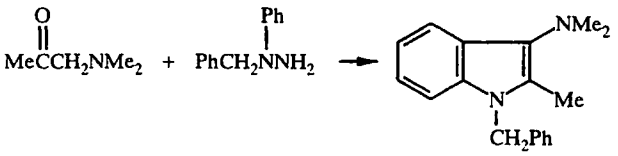


XXVII

When R is cyclopentadienylmanganesetricarbonyl this conversion occurs through the following stages [88]:

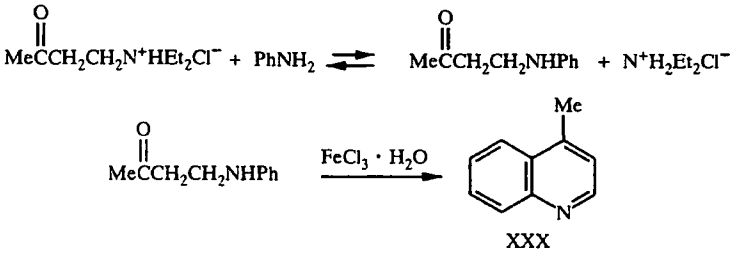


Reaction of α-amino ketones with 1-benzyl-1-phenylhydrazine leads to 3-aminoindoles XXIX [94]:



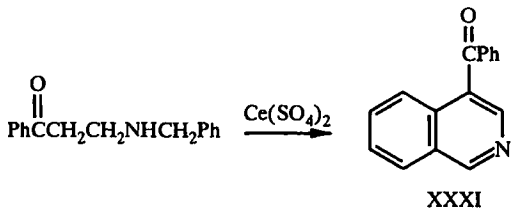
XXIX

Quinolines XXX were obtained by the cyclization of β-arylamino ketones [95]. Synthesis was carried out by the reaction of 4-diethylamino-2-butanone with aniline, 4-anilino-2-butanone being formed as an intermediate. The authors considered that it was necessary to take one component in the form of a salt and the other as a free base for the successful conducting of the process. In this case the equilibrium in the first stage is shifted to the right since the more basic amine retains the proton catalyzing the exchange reaction. Since cyclization of 4-anilinobutanone occurs only in acidic medium, and to obtain 4-anilino-2-butanone the ratio of acid:4-diethylamino-2-butanone:aniline must be strictly defined, it is expedient to carry out each stage separately.



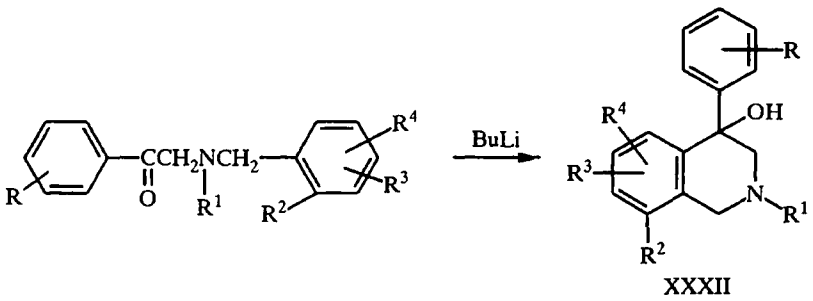
XXX

Isoquinoline XXXI has been synthesized from β-(benzylamino)propiophenone by the action of cerium sulfate in 3N sulfuric acid [96]:



XXXI

Similarly the cyclization of β-amino ketones leads to formation of 1,2,3,4-hydrogenated isoquinolines XXXII [97-100]. For example, 4-phenyl-1,2,3,4-tetrahydroisoquinolin-4-ol was synthesized by the intramolecular reaction of N-(2-iodobenzyl)phenacylamines in the presence of butyllithium [97].

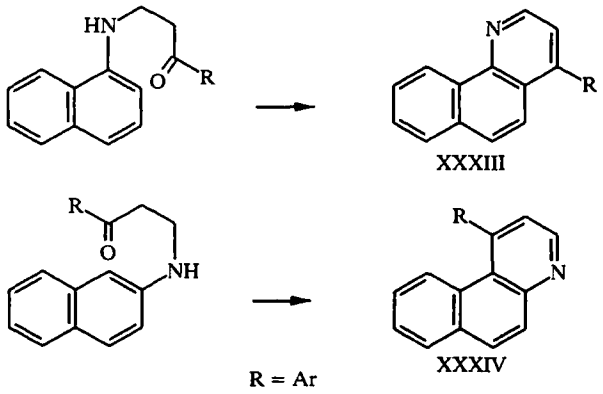


XXXII

R = H, 4'-MeO, 4'-Cl, 3'-Cl, 4'-Br, 4'-F; R^1 = Me, $PhCH_2$; R^2 = I, Br;

R^3 = R^4 = H, R^3 = 6(7)-MeO, R^4 = 5(6)-MeO

If β-(N-naphthyl)amino ketones are used in this reaction, benzoquinolines are obtained [101-103]. Isomeric products XXXIII and XXXIV are formed in the case of 1-naphthylamine and 2-naphthylamine [101].

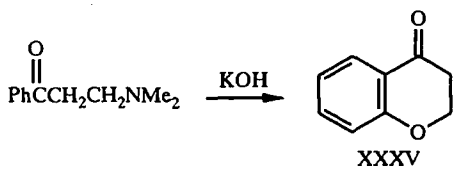


XXXIII

XXXIV

R = Ar

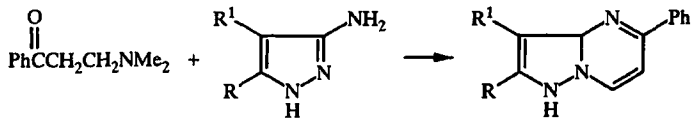
Cyclization of β-amino ketones by the action of a weak KOH solution leads to formation of chroman-4-ones XXXV [104].



XXXV

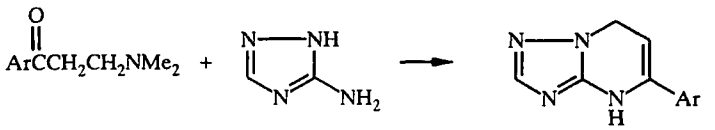
4. REACTIONS OF MANNICH BASES WITH 2-AMINOHETEROCYCLES

β-Amino ketones may participate in cyclization reactions with amino-substituted heterocycles with the formation of condensed systems of two or more rings containing nitrogen atoms. For example, the reaction of 3-aminopyrazoles with 3-dimethylamino-1-phenyl-1-propanone in DMF leads to pyrazolo[1,5-a]pyrimidines XXXVI [105]:



XXXVI

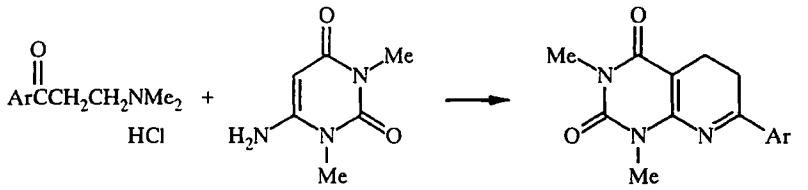
5-Aryl-4,7-dihydro-1,2,4-triazolo[2,3-a]pyrimidines XXXVII were synthesized by the condensation of 3-amino-1,2,4-triazole with β-amino ketones in DMF [106,107]:



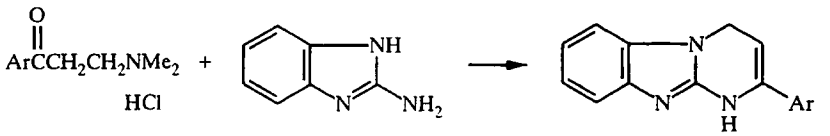
XXXVII

Ar = Ph, 3-MeC_6H_4, 4-ClC_6H_4, 4-$O_2NC_6H_4$, 4-$MeOC_6H_4$

Hydrochlorides of Mannich bases form 1,3-dimethyl-5,6-dihydropyrido[2,3-d]pyrimidine-2,4-diones XXXVIII with 6-amino-1,3-dimethyluracil [108], but 1,4(3,4)-dihydropyrimido[1,2-a]benzimidazoles XXXIX are formed in reaction with 2-aminobenzimidazole [109].

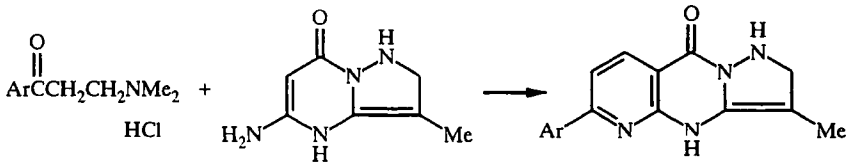


XXXVIII



XXXIX

Pyrazolo[3,2-a]pyrido[2',3':4,5]pyrimidin-9(1H)-ones XL have been synthesized in the case of 5-amino-3-methylpyrazolo[2,3-a]pyrimidin-7(1H)-one [110]:



XL

The present review therefore illustrates the wide synthetic possibilities of amino ketones enabling various heterocyclic compounds to be obtained.

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