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REACTIONS OF ACETOACETIC ESTER WITH ARYL- AND HETEROARYLAMINES (Review)

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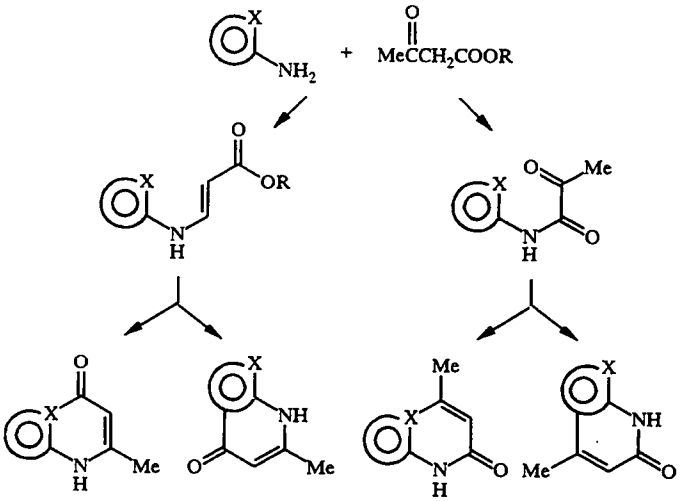
Published data on the cyclocondensation of acetoacetic ester with aryl- and heteroarylamines over the last 20 years are reviewed.

1,3-Dicarbonyl compounds in general and β-keto esters in particular are used very widely as acyclic "building blocks" for the formation of various heterocyclic rings. One of the prominent positions among compounds of this type belongs to acetoacetic ester.

The reactions of acetoacetic ester with aryl- and heteroarylamines provide a convenient method for the annelation of the azine fragment. The polyfunctionality of acetoacetic ester (the ability to react at the ketone, ester, or active methylene groups) makes it possible to vary the structure of the newly formed heterocycle. In addition, the different regioorientation of the cyclocondensations in the reactions with the α-amino derivatives of the nitrogen heterocycles, due to the possibility of attack both at the carbon atoms and at the endo heteroatom of the initial heteroarylamine, makes it possible to synthesize both mono- and diazine condensed systems.

The main directions of cyclocondensations involving participation of the ketone and alkoxycarbonyl groups of acetoacetic ester are determined by the initial formation of aryl (heteroaryl) aminocrotonates (path A) or amides of acetoacetic acid (path B). These versions of the cyclization can be represented by the general formal scheme presented below.



X = CH, N

In the case where both path A and path B are realized, the concluding stage in the formation of the ring involves electrophilic attack by the carbonyl or alkoxycarbonyl group at the nucleophilic *ortho* position to the amino group. In these cases the new heterocyclic ring is formed through the three-carbon fragment of acetoacetic ester, the amino group, and the

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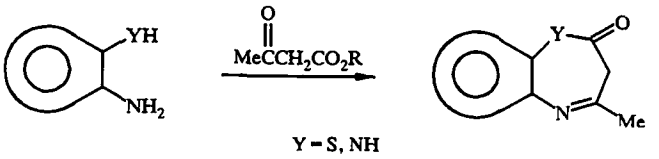
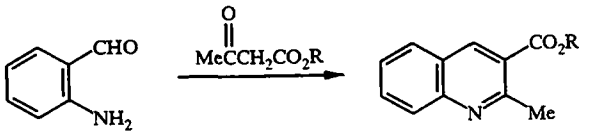
ortho position of the aromatic or heterocyclic ring. If there is a reactive functional substituent at the *ortho* position to the amino group, cyclocondensation with the participation of this substituent may occur.

If the *ortho* substituent is a nucleophilic group, closure of a seven-membered ring with the participation of both electrophilic carbonyl groups of acetoacetic ester is observed (path C).



Y = S, NH

If there is an electrophilic substituent at the *ortho* position, the formation of the C–C bond during the annelation of the new ring in basic media results from the CH-acidic characteristics of the methylene group of acetoacetic ester (path D). An example of such a path of ring formation is the classical synthesis of the quinoline system by the Friedlander method.

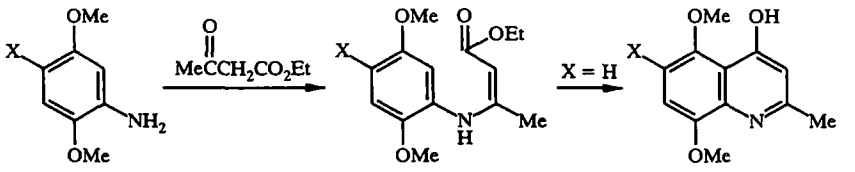


Various modes of participation of other *ortho* electrophilic groups in cyclization will also be considered in this review.

In view of the great synthetic possibilities of the indicated paths and the different variations in each of them, we considered it expedient to classify the published data according to these reaction paths (A, B, C, and D).

1. CYCLOCONDENSATION OF ARYL (HETEROARYL) AMINOCROTONATES

It is known (1-3) that the aminocrotonates in the reactions of aryl(heteroaryl)amines with acetoacetic ester are formed under conditions of kinetic control. The thermal cyclization of aryl aminocrotonates with a free *ortho* position in relation to the amino group forms the basis of the classical method for the synthesis of quinoline structures by the Conrad–Limpach method. The only paper of recent years [4] was devoted to optimization of the conditions for the production of polyfunctional derivatives of quinoline:

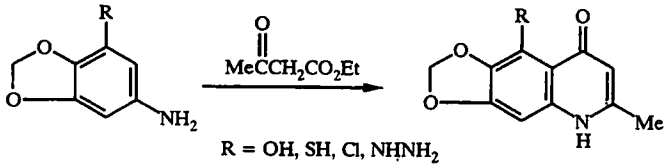


X = H, NAlk

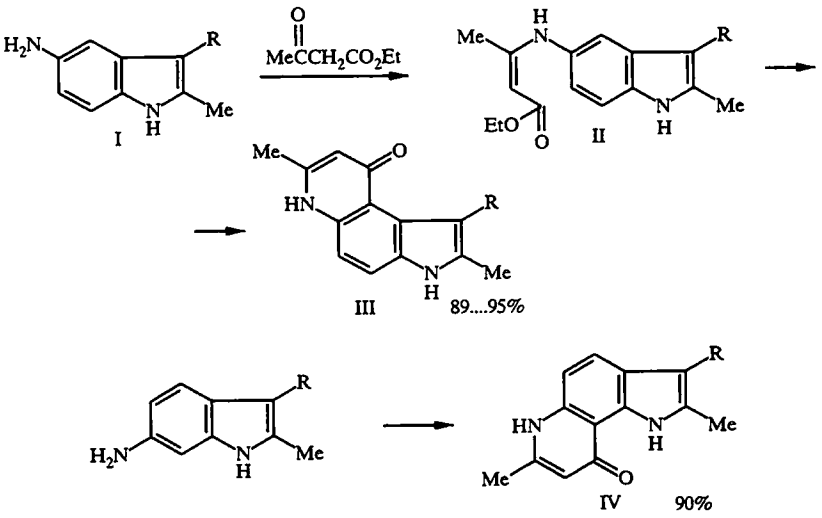
72...85%

It was shown that thermal cyclization in biphenyl gives satisfactory results only when the very pure enamine is used. If polyphosphoric acid is used as condensing agent, the initial enamines can be used without prior purification. The presence of an additional strong donating group (NHAlk) at the *meta* position to the cyclization point fully blocks the process.

The cyclization of the respective aminocrotonates takes place successfully with matching orientation of the two donating substituents. Thus, in the case of 5-substituted 3,4-methylenedioxyanilines the respective 1,3-dioxolo[4,5-*g*]quinolines are formed during cyclocondensation with acetoacetic ester [5]:

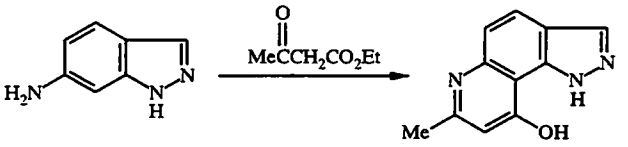


For the benzamino derivatives of indoles (5- and 6-aminoindoles) condensation with acetoacetic ester can in principle lead to pyrroloquinolines with angular or linear fusion of the rings. However, as shown in [6], irrespective of the steric demands of the substituent at position 3 of indole under the rigorous conditions of thermal cyclization only the angular structures are as a rule formed with high yields.

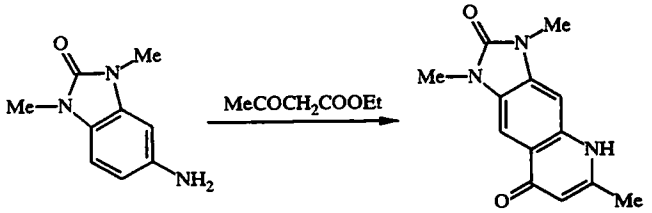


I-IIIa 5-NH_2, R = Me; b 6-NH_2, R = H; c 6-NH_2, R = Me

The reaction with acetoacetic ester also leads to an analogous result for 6-aminoindole, i.e., to the formation of the angular fused 7-methyl-1H-pyrazolo[3,4-*f*]quinolin-9-ol. However, the authors assign the final form the hydroxy and not the oxo structure [7].

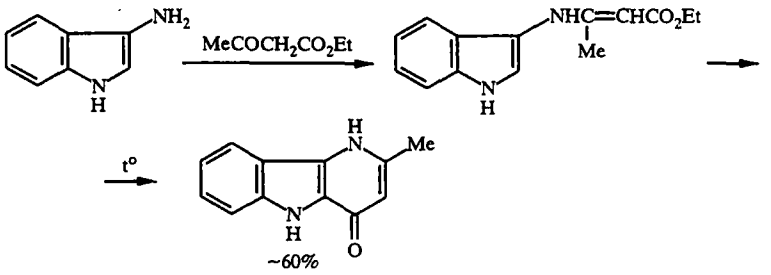


If N,N-dimethyl-6-aminobenzimidazolone is used as amine component, cyclocondensation with acetoacetic ester in Dowtherm A at 210-250°C leads with a 39% yield to a tricyclic structure with linear fusion of the rings [8].

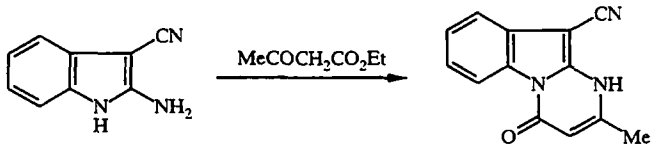


This result is probably due to steric hindrances, created by the N−CH_3 group, for the formation of the angular structure.

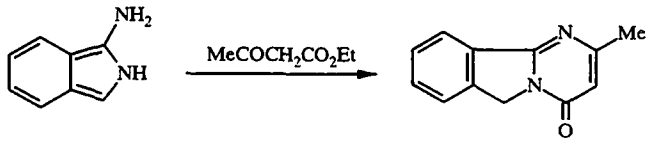
The presence of the amino group at position 3 of the indole ring creates the unique possibility of condensation with acetoacetic ester, leading to the formation of derivatives of σ-carbolines [9]. The process takes place when 3-aminoindole is heated with acetoacetic ester at 200-220°C without a solvent.



The examples presented above demonstrate the possibility of annelation of the pyridine ring to aryl(heteroaryl)amines as a result of condensation of the aminocrotonate at the carbon atom of the aromatic ring. For α-aminoheterocycles there is the additional possibility of condensation at the nitrogen atom of the heterocycle, leading to annelation of the pyrimidine ring. Such a possibility is the only path for 3-substituted 2-aminoindoles [10] and 2-aminoisoindoles [11-14].

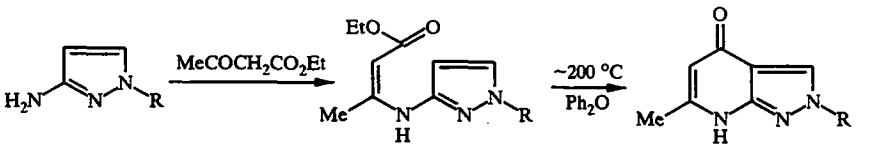


Initially the authors of [11] supposed that the cyclocondensation of 2-aminoisoindole with acetoacetic ester took place through the corresponding aminocrotonate and led to the formation of 2-methyl-6H-pyrido[2,1-*a*]isoindol-4-one:

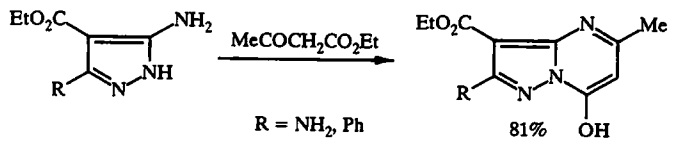


Subsequently, however, they found isomeric structures, the formation of which was due to cyclization not of the aminocrotonate but of the corresponding acetoacetamide, among the reaction products [12-14]. (This reaction path will be examined in detail in the section on the cyclization of amides.) Very often the cyclizations of aminocrotonates and the corresponding amides take place in parallel, but in this section we will only discuss the processes whose intermediates are aminocrotonates.

Thermal cyclization of the aminocrotonates, obtained from the 3-aminopyrazoles, in Dowtherm and diphenyl ether takes place at the $C_{(4)}$ carbon atom with the formation of pyrazolo[3,4-*b*]pyrimidin-4-ones. The alternative path of cyclization at the $N_{(2)}$ nitrogen atom is not realized under these conditions [15, 16]:



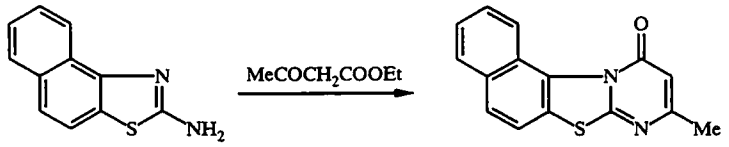
Cyclization in such a direction can be realized if position 4 of 3-aminopyrazole is substituted. Thus, 7-hydroxypyrazolo[1,5-*a*]pyrimidines are formed in the reaction of 4-ethoxycarbonyl-3-aminopyrazoles with acetoacetic ester at 120-150°C without a solvent [17]. The preferential existence of these compounds in the hydroxy form was demonstrated by the authors on the basis of IR spectroscopy.



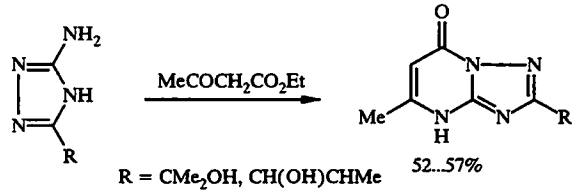
The cyclization of the aminocrotonate based on unsubstituted 4-aminopyrazole can only lead to pyrazolo[4,3-*b*]pyridin-7-one [18].

Naturally, annelation of only the pyrimidine ring is possible if 2-aminobenzimidazoles are used in reaction with acetoacetic ester. Of the two possible isomers, the formation of the linear tricycle was described [19].

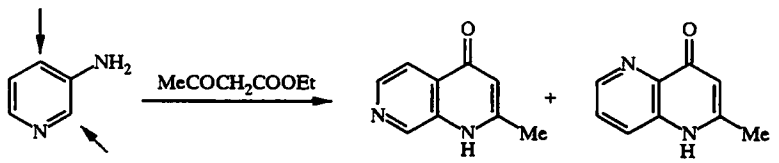
The condensed derivative of 2-aminothiazole behaves similarly [20].



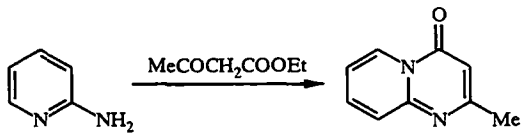
In the case of the aminocrotonates obtained from 5-substituted 3-amino-*sym*-triazoles, cyclization takes place at the pyridine nitrogen atom $N_{(2)}$ [21].



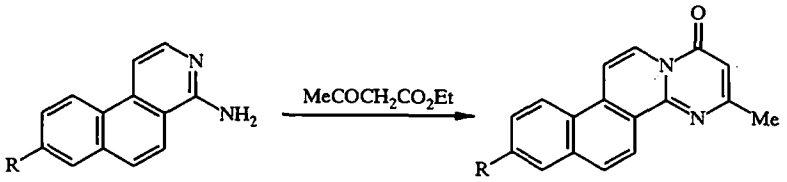
As expected, the condensation of β-aminopyridine with acetoacetic ester followed by thermal cyclization of the intermediate aminocrotonate leads to a mixture of 1,5- and 1,7-naphthiridines. This is due to the possibility of cyclization both at the α and at the γ position of the pyridine ring [22].



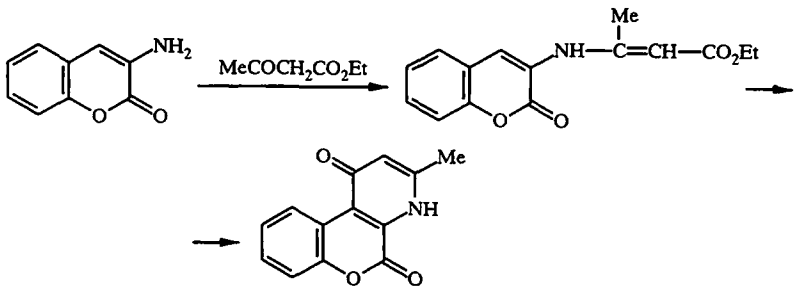
If 3-unsubstituted α-aminopyridines are used, condensation with acetoacetic ester leads to annelation of only the pyrimidine ring, i.e., cyclization takes place at the more nucleophilic position — the pyridine nitrogen atom [23-25].



The reaction of condensed derivatives of α-aminopyridine with acetoacetic ester leads to azasteroids — benzo[*f*]-pyrimido[2,1-*a*]isoquinolines [26].



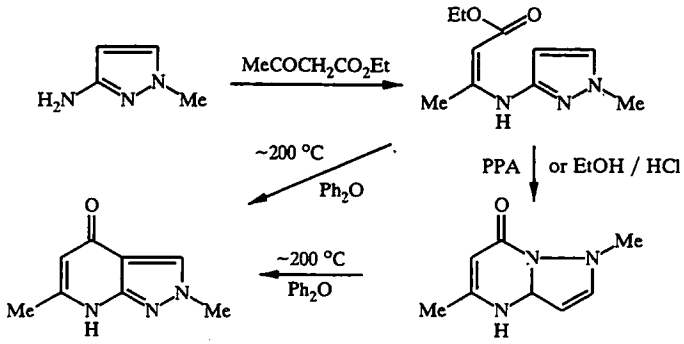
During cyclocondensation with acetoacetic ester with heat in Dowtherm 3-aminocoumarin forms the new heterocyclic system 5H-[1]benzopyrano[3,4-*b*]pyridin-5-one [27].



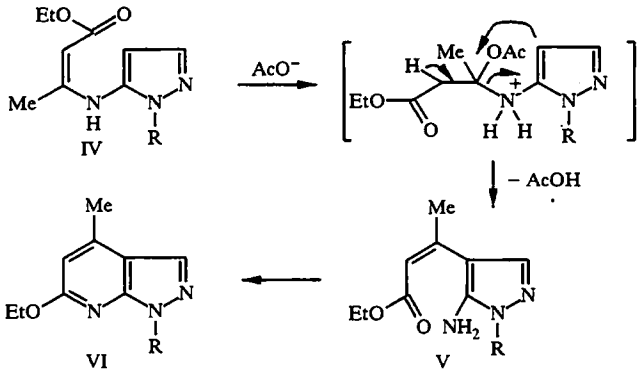
1.1. Acid Cyclization of Aryl(heteroaryl)aminocrotonates

The cyclization of the aminocrotonates obtained from aryl(heteroaryl)amines can be conducted under the conditions not only of a thermal but also of an acid-catalyzed process. In some cases this leads to identical results. For example, the aminocrotonate obtained from 2,3-dimethyl-5-aminoindole in trifluoroacetic acid at 150°C in a sealed tube leads, as in the case of the thermal process, to the formation of the angular isomer (IIIa) [6]. In contrast, the conditions of the cyclization of the aminocrotonates obtained from 3-aminopyrazoles with acetoacetic ester have a significant effect on the direction of cyclization. Thus, whereas the main products from thermal cyclization (e.g., see [16]) are pyrazolo[3,4-*b*]pyridines, the use of an ethanol solution of hydrogen chloride as cyclizing agent leads to the result that the main reaction products are pyrazolo[[1,5-*a*]pyrimidines [16, 28, 29].

The authors of [16] discovered that pyrazolo[1,5-*a*]pyrimidines are capable of undergoing thermal recyclization (diphenyl ether, >200°C) to pyrazolo[3,4-*b*]pyridines.

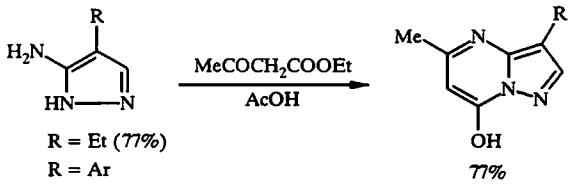


The cyclization of the crotonate (IV) in an acidic medium is preceded by a very unusual isomerization [30]:

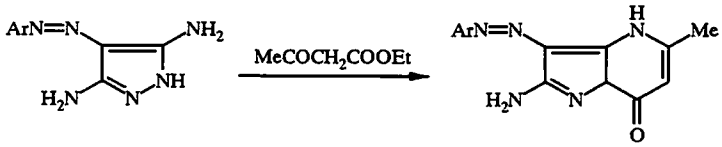


In acetic acid protonation of the aminocrotonate (IV) at the nitrogen atom probably takes place initially with subsequent addition at the double bond of the nucleophile (the acetate ion). A new C–C bond is then formed as a result of nucleophilic attack by the $C_{(4)}$ carbon atom with the displacement of an acetate ion. Such a sequence of transformations leads to the intermediate (V), which then undergoes intramolecular cyclization to the imidic ester (VI).

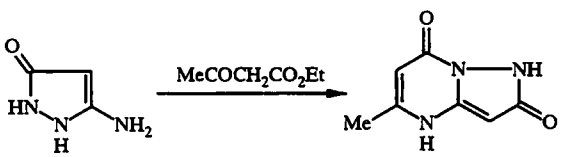
4-Substituted 3-aminopyrazoles react with acetoacetic ester in acetic acid with the formation of 7-hydroxy-3R-5-methylpyrazolo[1,5-*a*]pyrimidines [31, 32]:



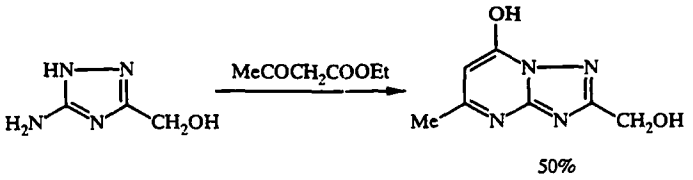
Under analogous conditions 3,5-diamino-4-phenylazopyrazoles form pyrazolo[1,5-*a*]pyrimidines. The process takes place without affecting the 5-amino and 4-phenylazo groups [33].



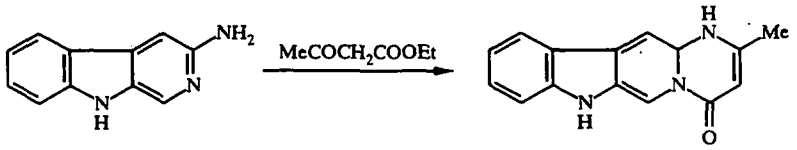
The pyrazolopyrimidine system is likewise formed as the main product from the cyclocondensation of 5-amino-3-pyrazolone with acetoacetic ester in acetic acid [34].



The synthesis of derivatives of *s*-triazolo[1,5-*a*]pyrimidines was realized by this scheme in order to obtain biologically active compounds having antileukemia, cardiovascular, and antibromocytic activity [35, 36].

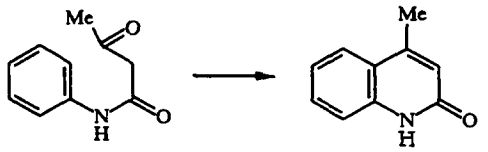


During the cyclization of the aminocrotonates obtained from 3-amino-9H-pyrido[3,4-*b*]indole in aliphatic carboxylic acids, annelation of the pyrimidine ring occurs with the formation of the linear tetracyclic structure of 4-oxopyrimido[2.1-6.1]pyrido[3,4-*b*]indole. Whereas the yield in formic acid amounts to 30%, in acetic acid it is increased to 48% and in propionic acid to 80%. Annelation of the pyridine ring with the formation of an angular structure does not occur under these conditions [37, 38].



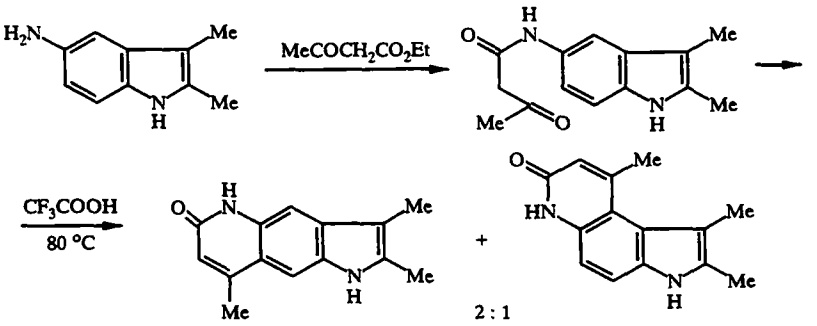
2. CONDENSATION OF THE ARYL(HETEROARYL)AMIDES OF ACETOACETIC ACID

As shown in the previous section, under the conditions of kinetic control acetoacetic ester reacts with aryl(heteroaryl)amines with the formation of the corresponding enamines. As a rule the aryl(heteroaryl)amides of acetoacetic acid are formed under the conditions of thermodynamic control. The intramolecular cyclization of such amides must lead to annelation of the α-pyridone system.



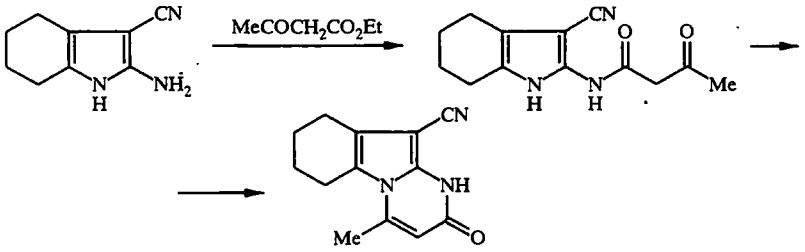
It is possible to obtain isomeric systems by varying the conditions of the reaction of aryl(heteroaryl)amines with acetoacetic ester. Very often aminocrotonates and amides are formed in parallel during condensation, and this leads to a mixture of isomeric condensed structures.

The formation of both the linear and the angular isomer of the corresponding pyrroloquinoline as a result of attack at position 6 or 4 of the benzene ring is possible during the acid-catalyzed condensation of the (2,3-dimethyl-5-indolyl)amide of acetoacetic acid. In fact the reaction gives a mixture of tricycles, but the ratio of the linear and angular isomers amounts to 2:1. This ratio of the isomers is probably due to the large steric hindrances for the formation of the angular isomer, created by the CH_3 groups of the indole ring [6].

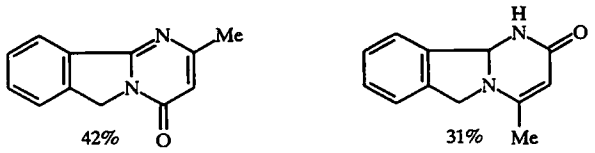


The cyclocondensation of 1,2,3,3-tetramethyl-6-aminoindoline with acetoacetic ester, which takes place with the preliminary formation of the corresponding amide, also leads to the linear isomer [39]. In this case, probably, the presence of the methyl substituent at the indole nitrogen atom prevents the formation of the angular isomer.

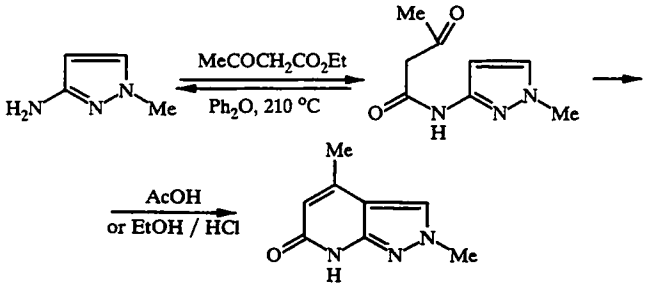
With 2-amino-3-cyano-4,5,6,7-tetrahydroindole as amine component either the aminocrotonate or the amide is formed, depending on the conditions of the reaction with acetoacetic ester [10]. As expected, the amide is formed under the conditions of thermodynamic control (140°C), and its cyclization in acetic acid takes place at the nitrogen atom of the indole ring. This leads to the formation of 4-methyl-2-oxo-10-cyano-6,7,8,9-tetrahydropyrimido[1,2-*a*]indole.



The reaction of 1H-3-aminoisoindole with acetoacetic ester leads to the formation of a mixture of the isomeric pyrimidoisoindoles as a result of the cyclization of the crotonates and amides, which are formed in parallel [12-14].

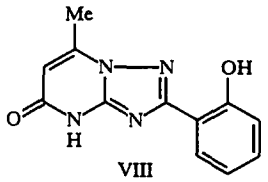


The effect of the reaction conditions on the direction of cyclocondensation was demonstrated for the case of 3-aminopyrazole with acetoacetic ester. Thus, whereas the aminocrotonate is formed by heating in alcohol (low temperature, kinetic control), the use of diphenyl ethyl as solvent (220°C) leads to the formation of the corresponding amide. The latter then undergoes cyclization in alcohol saturated with hydrogen chloride or in acetic acid with the formation of the condensed system 2,4-dimethyl-2H-pyrazolo[3,4-*b*]pyridin-6-(7H)one [15, 16, 30, 40].



5-Amino-3-pyrazolones react with acetoacetic ester in acetic acid [34, 41] with the formation of the corresponding amides (the crotonates are formed in parallel) [34]. The cyclocondensation of such amides takes place at the $C_{(4)}$ carbon atom and leads to derivatives of pyrazolo[3,4-*b*]pyridines, whereas the cyclization of the corresponding crotonates under these conditions leads to the formation of pyrazolo[1,5-*a*]pyrimidines [34].

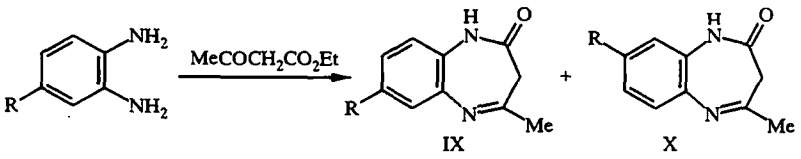
The direction of the thermal condensation of 5-substituted 3-amino-*sym*-triazoles with acetoacetic ester depends on the nature of the substituent at position 5 [21]. As shown earlier, in the presence of an alkoxyl substituent at position 5 the aminocrotonates are mainly formed. However, if there is an *ortho*-hydroxyphenyl substituent at position 5, the process takes place through the intermediate formation of the corresponding amide and leads with a high yield to the bicyclic structure (VIII):



VIII

3. REACTIONS OF FUNCTIONALLY *ortho*-SUBSTITUTED ARYL(HETEROARYL)AMINES WITH ACETOACETIC ESTER

In reaction with aromatic *ortho*-diamines, acetoacetic ester acts as a bifunctional compound capable of condensing with the amino group simultaneously at the ketone and ester groups. In the general case this leads to the formation of a new dihydrodiazepine ring. The main question in the case of 4-substituted phenylenediamines is the regioorientation of such cyclocondensation. Under mild conditions the most electrophilic carbonyl carbon atom reacts with the more basic nitrogen atom. However, researches of more recent years have shown that under severe conditions (boiling in xylene) the process takes place in a more complicated way and leads to a mixture of two isomeric dihydro-1,5-benzodiazepines (IX) and (X) with the preferred formation of compound (IX).

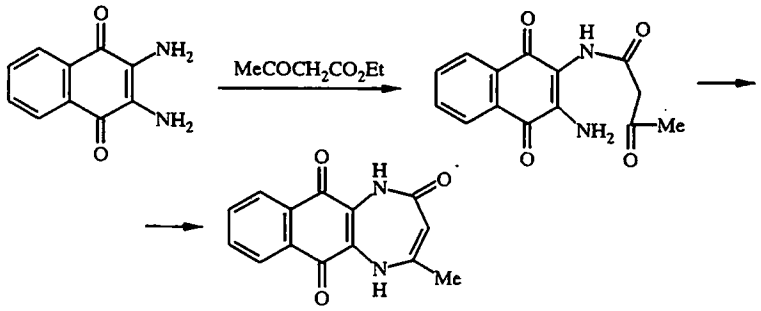


IX X

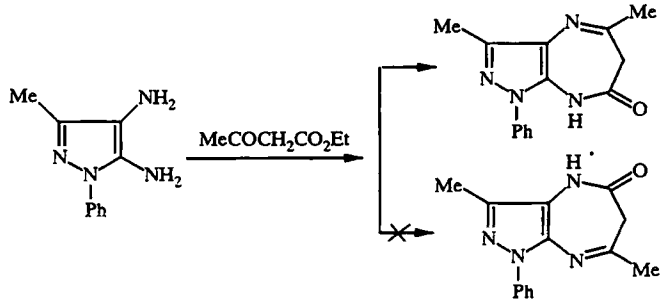
R	IX		X
CH_3	63	:	37
Cl	63	:	37
OCH_3	72	:	28

The nature of the substituent at position 4 does not have a significant effect on the ratio of the isomers.

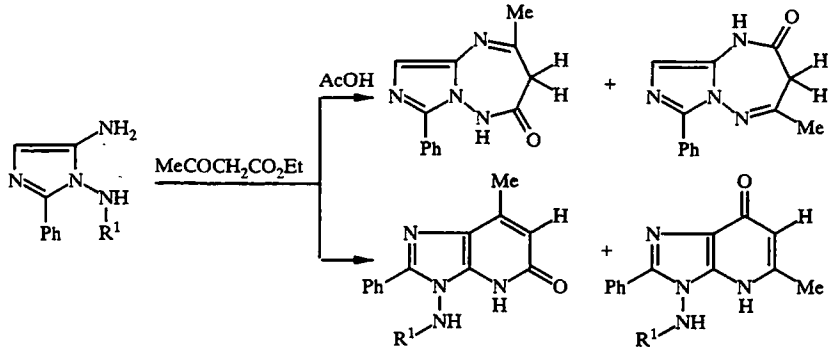
In the reaction of 2,3-diaminonaphthoquinone with acetoacetic ester with boiling the amide was isolated as intermediate compound. This then underwent cyclization to the corresponding 2,5-dihydro-1H-4-methylnaphtho[2,3-*b*]-1,4-diazepine-2,6,11-trione. As a rule, the reaction gives a mixture of the cyclization product and its acyclic precursor. The ratio of these compounds in the mixture is determined by the duration of the reaction. Thus, after 7 h the formation of only the intermediate amide (63%) is observed. After 10-15 h both substances are formed in a ratio of 1:1, and after 20-30 h the main product is the cyclization product (66%) [43].



With acetoacetic ester under analogous conditions (boiling in xylene or toluene) 1-phenyl-3-methyl-4,5-diaminopyrazole mainly forms only one of the two possible diazepines. This is due to the initial formation of the aminocrotonate during the reaction of the more basic amino group at position 4 with the ketone carbonyl of the acetoacetic ester [44].

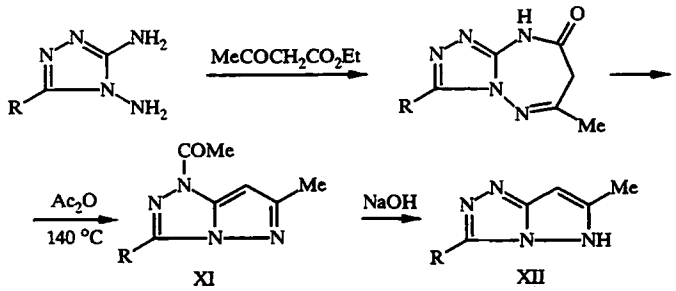


For 1,5-diaminoimidazoles there two possibilities for cyclization of the aminocrotonates or amides formed during reaction with acetoacetic ester at position 4 (annelation of the pyridine system) or at the nitrogen atom of the amino group with the formation of a new seven-membered triazepine ring [45]. The realization of one or the other direction depends on the reaction conditions. Thus, whereas the new pyridine ring is mainly formed during cyclocondensation in xylene, cyclization takes place at the hydrazine nitrogen atom when the reaction is conducted in acetic acid.

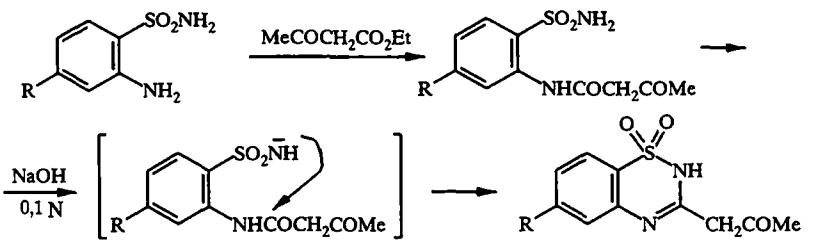


R^1 = H, Ph

In the case of diaminotriazoles one of the possible 7,8-dihydrotriazolo[3,4-*b*]triazepines (XI) is formed preferentially during condensation with acetoacetic ester. It is transformed by the action of acetic anhydride into the acetyl derivative of imidazo[1,2-*b*]-*s*-triazole, the alkaline hydrolysis of which leads to the base (XII) [46].



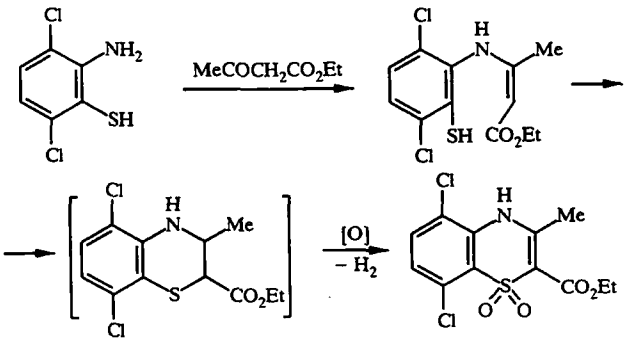
In reaction with acetoacetic ester *ortho*-aminobenzenesulfonamides form amides which undergo cyclization with dilute alkali to 3-acetonyl-2H-1,2,4-benzothiadiazine 1,1-dioxide [47].



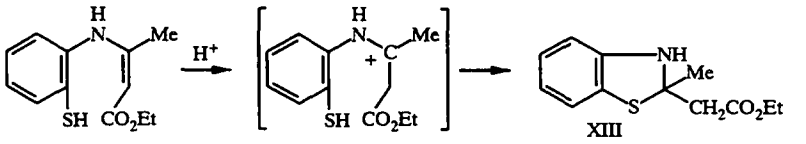
R = H, OMe, Cl, Br

Such a reaction path is probably due to the energy favorability of the formation of the six-membered thiadiazine ring, which takes place as a result of attack by the sulfonamide anion on the less active amide carbonyl.

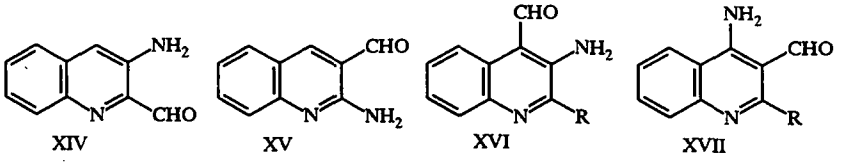
In the presence of a mercapto group at the *ortho* position to the amino group, the reaction with acetoacetic ester can take place in various directions, depending on the conditions. Thus, on heating in DMSO the corresponding aminocrotonate is formed initially. The mercapto group then adds at the double bond of the enamine fragment, and subsequent oxidation with hydrogen peroxide leads to dehydrogenation and oxidation of the sulfur atom of the heterocycle. As a result, 4H-1,4-benzothiazine dioxide is formed [48].



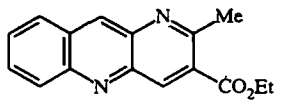
In an acidic medium (in the presence of small amounts of hydrogen chloride) the mercapto group attacks not the β but the α position of the enamine system, which is probably due to previous protonation. Attack in this direction results in the formation of the substituted benzothiazoline (XIII) with a quantitative yield [49].



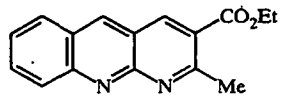
The condensation of *ortho*-aminoaryl(heteroaryl)aldehydes with acetoacetic ester is the classical Friedlander method for annelation of the pyridine ring. Thus, for example, with isomeric *ortho*-aminoformylquinolines it is possible to synthesize various isomeric benzonaphthiridines [50, 51]:



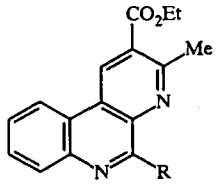
In condensation with acetoacetic ester in the presence of piperidine, compounds (XIV-XVII) give benzo[*b*][1,5]-, benzo[*b*][1,8]-, benzo[*f*][1,7]-, and benzo[*h*][1,6]naphthiridines (XIV', XV', XVI', XVII').



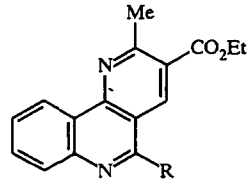
XIV' 70%



XV' 60%

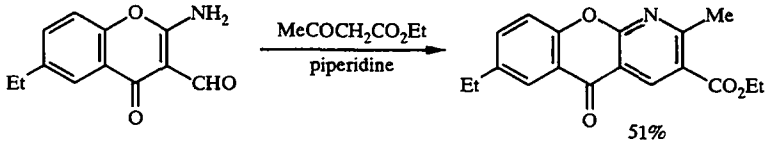


XVI' 62%



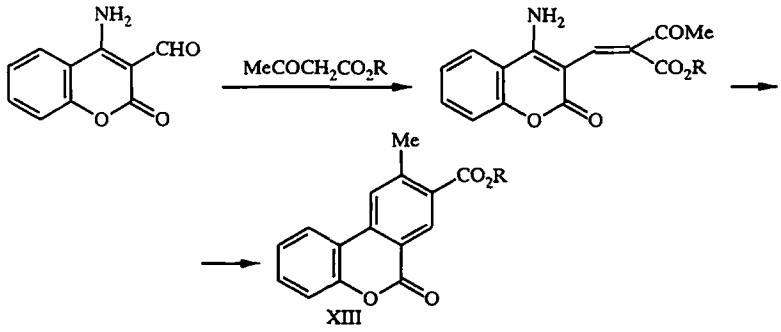
XVII' 40%

The analogous cyclization of 2-amino-6-ethyl-4-oxo-4H-1-benzopyran-3-carbaldehyde with acetoacetic ester leads to ethyl 7-ethyl-2-methyl-1-azaxanthone-3-carboxylate [52].



51%

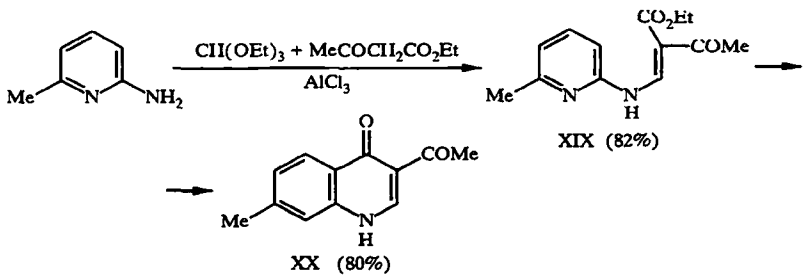
During formylation by the Vilsmeier method, 4-aminocoumarin forms the corresponding 3-formyl derivative smoothly and with a very high yield. The formyl derivative reacts with acetoacetic ester in the presence of piperidine [53]. The authors suggest (probably, as in all the examples of the Friedlander reaction that we have described) that the acetoacetic ester reacts as a CH acid at the first stage of the process, condensing at the aldehyde group in a reaction of the Knoevenagel type. Subsequent condensation leads with high yields to the formation of the tricyclic structure (XVIII).



XIII

R = Me (91%); Et (86%)

The properties of acetoacetic ester as a CH acid also appear in the three-component condensation of 2-amino-6-methylpyridine with orthoformic ester in the presence of aluminum chloride (45 min, 125-140°C). This leads to the intermediate (XIX), which undergoes thermal cyclization to 3-acetyl-7-methyl-1,8-naphthirid-4-one (XX) [54].

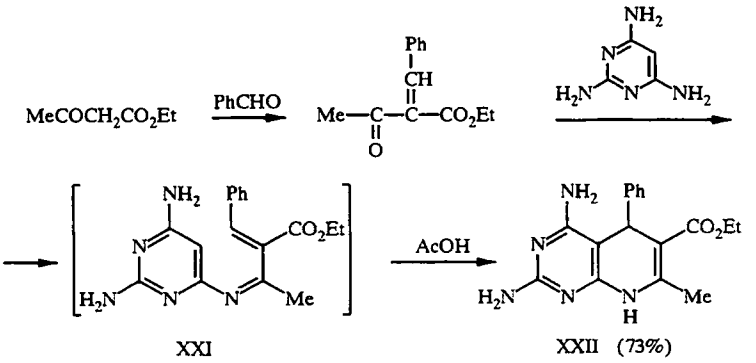


XIX (82%)

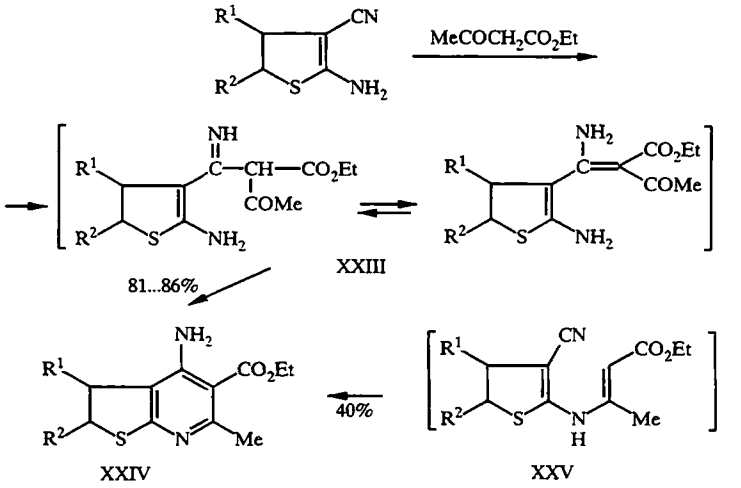
XX (80%)

This method demonstrates another possibility of using acetoacetic ester for the synthesis of functionally substituted 1,8-naphthiridines.

The preliminary Knoevenagel condensation of acetoacetic ester with benzaldehyde leads to benzylideneacetoacetic ester. During condensation with 2,4,6-triaminopyrimidine the product forms the imine (XXI), which undergoes cyclization of the Diels–Alder type to 2,4-diaminopyrido[2,3-*d*]pyrimidine (XXII) with a high yield [55].

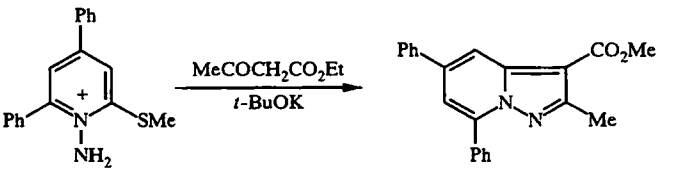


Acetoacetic ester also exhibits the characteristics of a CH acid in reaction with 2-amino-4,5-dihydro-3-thiophenecarbonitriles, since at the first stage of the reaction they add at the nitrile group with the formation of the β-enaminones (XXIII). The latter then undergo cyclization to ethyl 4-amino-2,3-dihydro-6-methylthieno[2,3-*b*]pyridine-5-carboxylates (XXIV). The reaction takes place in the presence of titanium tetrachloride, which catalyzes not only the last stage of cyclization (the formation of the C–N bond) but also the addition of acetoacetic ester at the nitrile group (the formation of the C–C bond) [56].



$R^1 = R^2 = H$, $R^1 = Ph$, $R^2 = H$

The alternative version of the initial formation of the aminocrotonate (XXV) was rejected by the authors by virtue of the fact that authentic crotonates undergo cyclization in the presence of titanium tetrachloride to the corresponding thienopyridines with much smaller yields (40%). Finally, another possibility of reaction of acetoacetic ester as a CH acid (the ability to undergo nucleophilic substitution by the stabilized carbanion formed by acetoacetic ester in basic media) was realized in the synthesis of 2,3-disubstituted pyrazolo[1,5-*a*]pyridines from 2-alkylthio-N-aminopyridinium salts in polar aprotic solvents (DMFA) in the presence of a strong base (potassium *tert*-butoxide) [57].



Thus, the various ways of using acetoacetic ester in reactions with aryl(heteroaryl)amines described in the review demonstrate the broad possibilities of this β-dicarbonyl compound in the synthesis of the most diverse condensed nitrogen heterocycles.

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