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Cyanoacetamides and their thio- and selenocarbonyl analogues as promising reagents for fine organic synthesis

V P Litvinov

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Abstract. Published data on the use of cyanoacetamides, cyanothio- and -selenoacetamides in fine organic synthesis and the prospects of application of these compounds in combinatorial synthesis are analysed and generalised. The bibliography includes 653 references.

I. Introduction

Cyanoacetic acid derivatives are important intermediates for preparation of various organic and, especially, heterocyclic compounds possessing diverse biological activities and many other practically useful properties. In this group of compounds, cyanoacetamides and their thio- and selenocarbonyl analogues are of particular interest as very promising reagents for cascade heterocyclisation, which will undoubtedly become one of the main approaches to the targeted synthesis of heterocycles in the near future, and for combinatorial chemistry experiencing nowadays a sharp rise (see, for example, Refs 1 – 26). This new methodology based on automatic, high-tech synthetic methods enables synthesis of a large number (up to several thousand) of novel organic compounds as subjects for biological screening.

The present review systematises for the first time published data on the reactivity of cyanoacetamides and their thio- and selenocarbonyl analogues (for selected aspects of the chemistry of these compounds, see reviews [27 – 34]). The presence of several reactive centres in the molecules of these reagents provides ample opportunities to synthesise a great variety of novel compounds under relatively mild conditions and using rather simple laboratory equipment. Syntheses of many polyfunctional heterocyclic compounds, including those prepared by multicomponent condensations, are based on cyanoacetamides and their S- and Se-containing analogues. The reactions involving these reagents occur, as a rule, with high regioselectivity (often, stereoselectively) and their course can easily be controlled by changing reaction conditions and varying substituents in the molecules of initial compounds. All this makes cyanoacetamides and their S- and Se-analogues very useful reagents for combinatorial synthesis aimed at the design of novel biologically active compounds with a targeted mode of action.

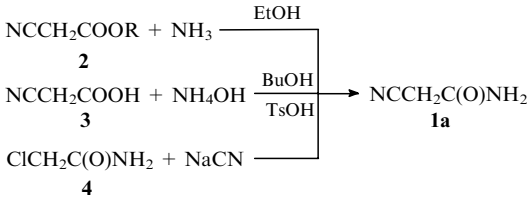
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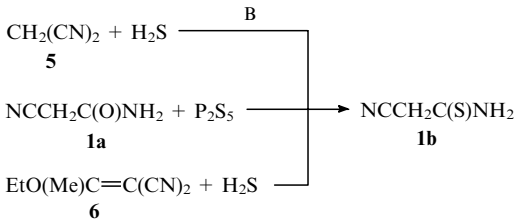
The present review aims to demonstrate the synthetic potential of cyanoacetamides in the synthesis of novel heterocyclic compounds. Primary attention is paid to the methods for preparation of the final products and much less to mechanistic aspects of the reactions surveyed. The structures of the feasible intermediates are considered only in the most synthetically important reactions.

II. Synthesis of cyanoacetamides, their thio- and selenocarbonyl analogues and aryl- and hetarylmethylidene derivatives

Cyanoacetamide (**1a**) can readily be obtained by several methods, *viz*., using the reactions of cyanoacetates (**2**) with ammonia,[35] of cyanoacetic acid (**3**) with NH_4OH [36] and of chloroacetamide (**4**) with NaCN.[37]

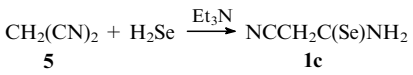


There are several methods for the preparation of cyanothioacetamide (**1b**), *viz*., treatment of an ethanolic solution of malononitrile with H_2S in the presence of triethylamine [38 – 41] or triethanolamine,[42, 43] the reaction of cyanoacetamide (**1a**) with phosphorus pentasulfide in pyridine or ethyl acetate [44 – 46] (the yield in the latter reaction does not exceed 36%), and the reaction of 1-ethoxyethylidenemalononitrile (**6**) with H_2S in ethanol.[39]

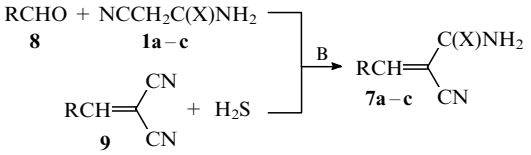


B = Et_3N, $(HOCH_2CH_2)_3N$.

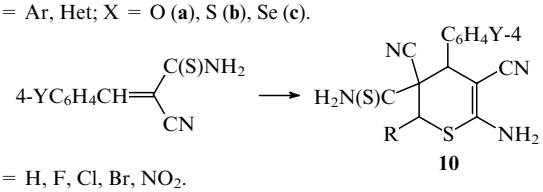
Cyanoselenoacetamide (**1c**) was synthesised for the first time in 1985 by the reaction of malononitrile with H_2Se in diethyl ether in the presence of triethylamine at 5 °C under argon in 75% yield.[47]



Aryl- and hetarylmethylidene cyanoacetamides **7** are also promising reagents for the synthesis of functionally substituted heterocycles. These compounds are obtained by the reactions of aromatic or heteroaromatic aldehydes **8** with amides **1a–c** in the presence of organic bases, under argon in the case of cyanoselenoacetamide (**1c**).[39,44,45,48–56] Thioamides **7b** can also be prepared by the reactions of arylmethylidenemalononitriles **9** with H_2S.[48] In some cases, amides **7b** (R = Ph, 4-FC_6H_4, 4-ClC_6H_4, 4-BrC_6H_4, 4-$NO_2C_6H_4$) readily undergo spontaneous [2+4]-cycloaddition to give 3,4-dihydro-2*H*-thiopyrans **10**.[48]

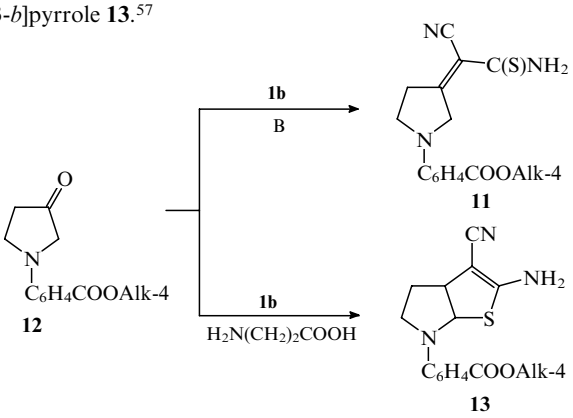


R = Ar, Het; X = O (**a**), S (**b**), Se (**c**).

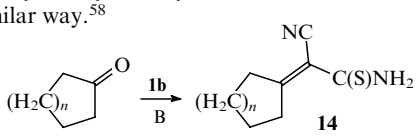


Y = H, F, Cl, Br, NO_2.

1-[(4-Alkoxycarbonylphenyl)pyrrolidin-3-ylidene]cyanothioacetamide (**11**) has been obtained by the reaction of pyrrolidone **12** with cyanothioacetamide (**1b**). The reaction of the same reactants in the presence of β-alanine with azeotropic distillation of water from the reaction mixture results in tetrahydrothieno-[2,3-*b*]pyrrole **13**.[57]

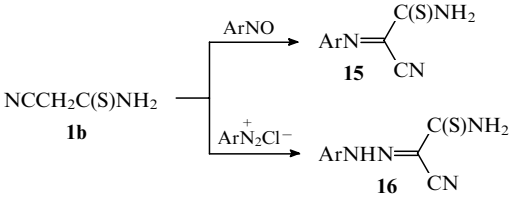


Cycloalkylidenecyanothioacetamides **14** were synthesised in a similar way.[58]

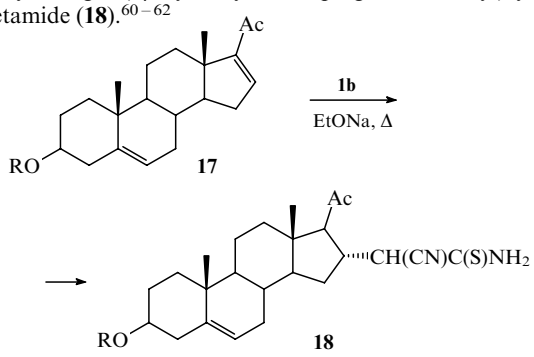


n = 1, 2.

Some other reactions involving the methylene group of cyanothioacetamide (**1b**) are known. Thus the condensation of this amide with nitroso-arenes affords the corresponding arylimino-cyanothioacetamides **15**[33] and the reaction with aryldiazonium salts affords compounds **16**.[59] The latter were used in the synthesis of thiazolidin-3-one and pyrimidine-2-thione derivatives.[59]

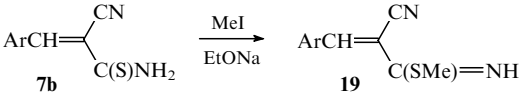


Cyanoacetamide **1b** adds unsaturated compounds. Thus its reaction with 3β-acetoxy pregna-5,16-dien-20-one (**17**) in the presence of sodium ethoxide involves the double bond of the ring *D* yielding (3β-hydroxy-20-oxopregn-5-en-16α-yl)cyanothioacetamide (**18**).[60–62]



R = H, Ac.

Arylmethylidenecyanothioacetamides **7b** are alkylated with methyl iodide to give the corresponding methyl thioimidates **19**, which can be used in the synthesis of heterocyclic systems.[63,64]



III. The use of cyanoacetamides and their thio- and selenocarbonyl analogues in the synthesis of heterocyclic compounds

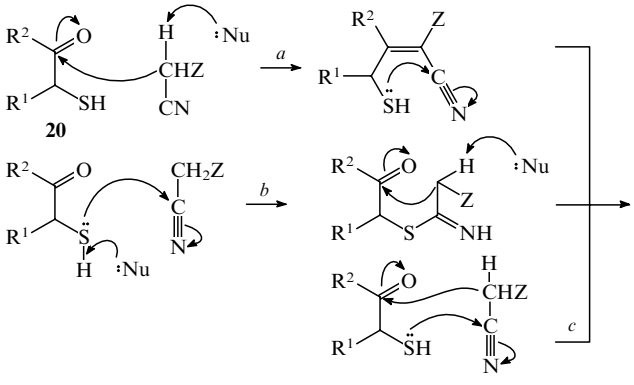
Owing to the presence of several highly reactive centres, first of all, the methylene and carbonyl or thio(seleno)carbonyl groups, cyanoacetamides have found wide use in the synthesis of diverse five- and six-membered heterocycles and their annelated analogues.

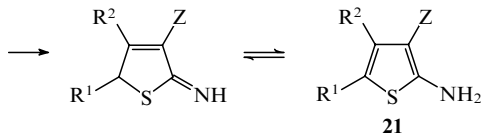
All reactions in this Section are systematised in accord with the size of the heterocycle, while each Subsection deals with a certain type of the reacting substrates.

1. Synthesis of five-membered heterocycles

a. Five-membered heterocycles with one heteroatom in the ring

Reactions of the α-mercapto carbonyl compounds **20** with nitriles that contain an active methylene group, including cyanoacetamide (**1a**), in the presence of bases at room temperature afford 2-aminothiophenes **21** in good yields (the Gewald reaction).[65–74] The first stage of these reactions presumably involves the condensation of a nitrile with the carbonyl group of compounds **20** (the Knoevenagel-like reaction) and formation of 4-mercapto nitriles (path *a*) the structures of which are suitable for intramolecular cyclisation. However, two other possible mechanisms involving the initial nucleophilic attack on the cyano group by thiolate (path *b*) and the concerted cyclocondensation (path *c*) cannot also be excluded from consideration.





21

R^1, R^2 = H, Alk, Ar; Z = CN, CO_2R^3, $CONH_2$, COAr, $4\text{-}NO_2C_6H_4SO_2R^4$; R^3, R^4 = H, Alk, Ar.

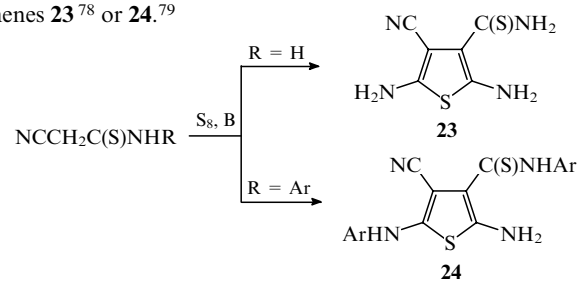
The use of dimeric 2,5-dihydroxy-1,4-dithianes [73] instead of the α-mercapto carbonyl compounds **20** in the reactions with amides **1a**,**b** and other CH acids essentially simplifies the synthesis of substituted 2-aminothiophenes **21**.

A yet more efficient version of the Gewald reaction consists in the use of a mixture of a carbonyl compound **22** (aldehyde, ketone or β-dicarbonyl compound) and sulfur in the presence of an organic base in ethanol or DMF instead of the α-mercapto carbonyl compounds **20**. This method results in higher yields of 2-aminothiophenes **21**.[66, 68, 69, 73–77]



22

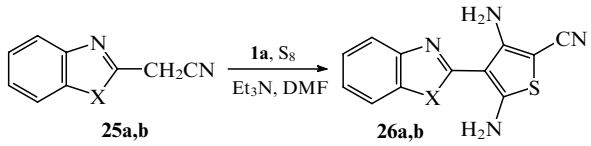
Treatment of cyanothioacetamide (**1b**) or its *N*-aryl derivatives with sulfur in the presence of bases affords 2,5-diaminothiophenes **23** [78] or **24**.[79]



23

24

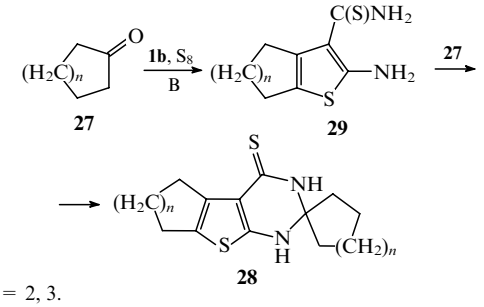
Heating of solutions of equimolar amounts of 2-cyanomethylbenzothiazole (**25a**) or 2-cyanomethyl-1*H*-benzoimidazole (**25b**) and sulfur in dry DMF in the presence of a base affords 3,5-diamino-4-[benzothiazol(or imidazol)-2-yl]-2-cyanothiophenes **26a**,**b** in 61%–64% yields.[80]



25a,b **26a,b**

X = S (**a**), NH (**b**).

Treatment of a mixture of cyanothioacetamide (**1b**) and cyclic ketones **27** with sulfur in the presence of a base gives rise to thieno[2,3-*d*]pyrimidine-4-thiones **28**. Compounds **29** are assumed to be the intermediates of this reaction.[78]

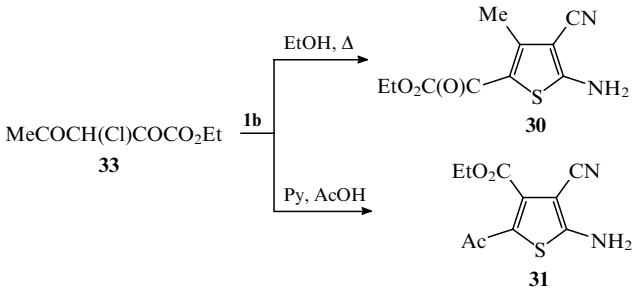


27 **29**

28

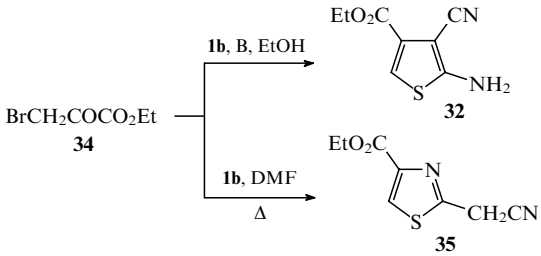
n = 2, 3.

A series of thiophene derivatives **30**–**32** has been obtained by the reactions of cyanothioacetamide (**1b**) with ethyl 3-chloro-2,4-dioxopentanoate (**33**)[81] or ethyl bromopyruvate (**34**).[82] In ethanol in the presence of a base, the reaction of the amide **1b** with compound **33** involves its acetyl group, whereas the reaction of the same compounds in a mixture of pyridine and acetic acid involves the α-carbonyl group of compound **33** and results in ethyl 5-acetyl-2-amino-3-cyanothiophene-4-carboxylate (**31**).[81]



33 **30** **31**

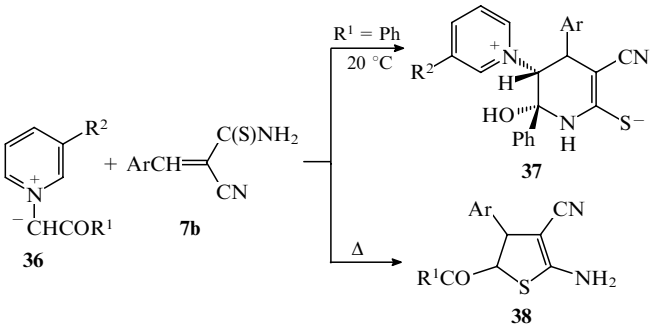
The course of the reaction of the amide **1b** with ethyl bromopyruvate (**34**) also depends on the solvent. Thus the reaction of these compounds in a mixture of pyridine and acetic acid results in ethyl 2-amino-3-cyanothiophene-4-carboxylate (**32**), whereas ethyl 2-cyanomethylthiazole-4-carboxylate (**35**) is formed in DMF.[81]



34 **32** **35**

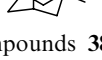
The use of pyridinium ylides in reactions with nitriles containing the activated methylene group has led to the creation, in recent years, of methods of regio- and stereoselective synthesis of partially hydrogenated five-membered heterocycles.[83–91]

The course of the reactions of pyridinium ylides **36** (prepared *in situ* by treatment of the corresponding pyridinium salts with an equimolar amount of triethylamine) with aryl- or hetarylmethylidene cyanothioacetamides **7b** depends on the temperature. Thus the condensations of these compounds at 20 °C follow the $Ad_N\text{-}E_{1,6}$ mechanism to yield stereoselectively substituted 3,4-*trans*-1,2,3,4-tetrahydropyridines **37**, whereas the reactions of these compounds under reflux follow the $Ad_N\text{-}E_{1,5}$ mechanism and give rise to 2,3-dihydrothiophenes **38**.[83–89]



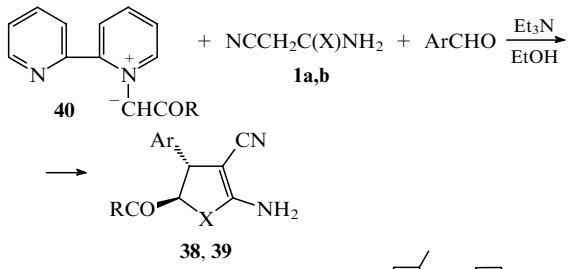
36 **7b** **37** **38**

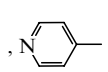
Ar = 4-FC_6H_4, 4-ClC_6H_4, 4-BrC_6H_4, 4-$MeOC_6H_4$, ;

R^1 = Ph,  ; R^2 = H, Br.

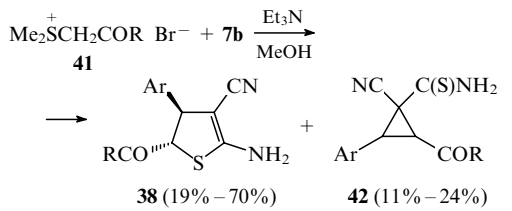
Compounds **38** were also obtained by a three-component condensation of pyridinium ylide **36** (R^1 = 1-adamantyl) with aromatic or heteroaromatic aldehydes and cyanothioacetamide (**1b**).[83, 84, 87, 88]

A novel stereoselective method for the preparation of *trans*-dihydrothiophenes **38** and *trans*-dihydrofurans **39** has been developed based on the three-component condensation of ylides **40** with aldehydes and amides **1a**,**b** in ethanol in the presence of triethylamine.[89]



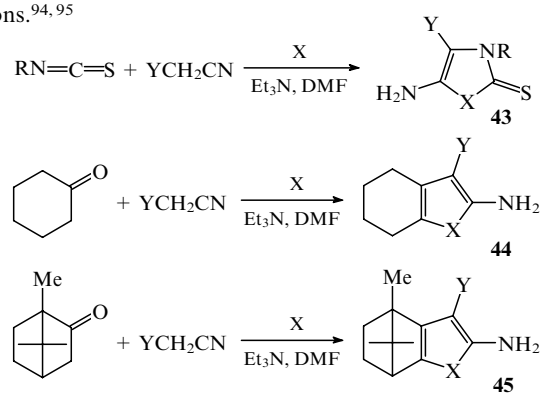
R = MeO, Ph; Ar = 2-$MeOC_6H_4$, 4-FC_6H_4,  ,  ;
X = S (**38**), O (**39**).

Functionally substituted *trans*-dihydrothiophenes **38** have also been obtained by the reactions of arylmethylidenecyanothioacetamides **7b** with stabilised sulfonium ylides generated *in situ* from bromides **41**. Cyclopropanethiocarboxamides **42** were found to be the minor products of these reactions.[92,93]



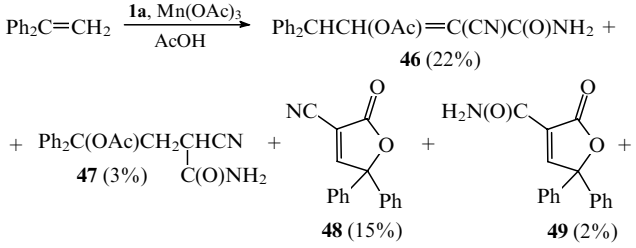
R = Ph,  ;
Ar = 2-MeC_6H_4, 2-$NO_2C_6H_4$, 4-$MeOC_6H_4$,  ,  .

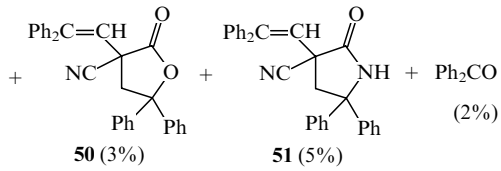
First publications on the use of the Gewald reaction in the synthesis of sulfur-, selenium- and tellurium-containing five-membered heterocycles have appeared recently.[65–74] Compounds **43**–**45** were prepared in 60%–85% yields by treating mixtures of ethyl cyanoacetate (cyanoacetamide, malononitrile) and isothiocyanates, cyclohexanone or camphor with sulfur, selenium or tellurium. It has been found that ultrasound or microwave irradiation considerably accelerate these reactions.[94,95]



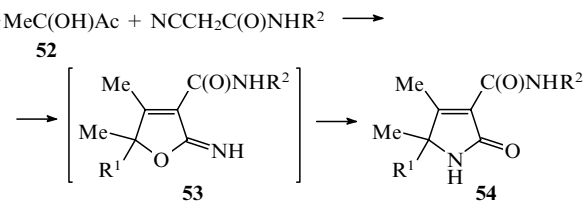
R = Ph, PhCO, $CH_2=CHCH_2$, Bu^tCO; X = S, Se, Te;
Y = CO_2Et, $CONH_2$, CN.

The addition of cyanoacetamide (**1a**) to 1,1-diphenylethylene in acetic acid in the presence of $Mn(OAc)_3$ results in a complex mixture of amides **46** and **47**, lactones **48**–**50**, lactam **51** and benzophenone.[96]



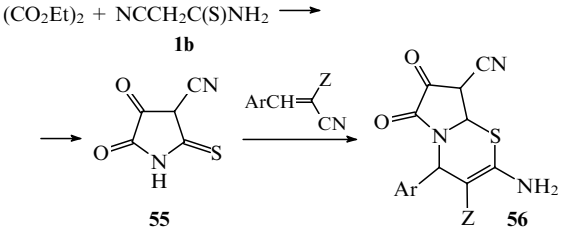


A new approach to the synthesis of substituted pyrrolinone derivatives has been developed using the Knoevenagel condensation of α-hydroxy ketones **52** with substituted cyanoacetamides aimed at comparing biological activities of oxygen- and nitrogen-containing five-membered heterocycles. These reactions occur *via* iminolactams **53**, which are readily converted into the corresponding pyrrolinones **54** under the reaction conditions.[97]



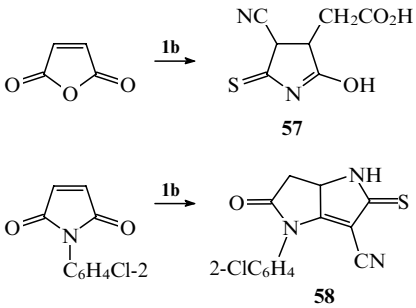
R^1 = Alk, $CH_2=CHCH_2$, Bn; R^2 = H, Alk, Bn, Het.

The condensation of cyanothioacetamide (**1b**) with diethyl oxalate results in 4-cyano-5-thioxopyrrolidine-2,3-dione (**55**). The latter reacts with arylmethylidene malonates yielding pyrrolidino[1,2-*b*]-1,3-thiazine-5,6-diones **56**.[42,43,98]

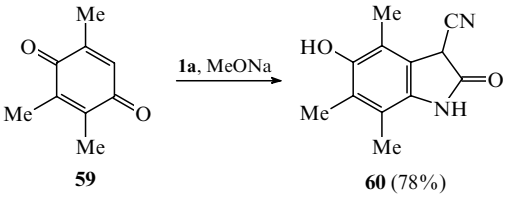


Z = CN, $C(S)NH_2$, CO_2Et.

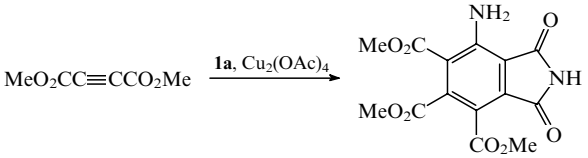
The reactions of amide **1b** with maleic anhydride or *N*-(2-chlorophenyl)maleimide afford pyrrolidine (**57**) or pyrrolo[3,2-*b*]pyrrole (**58**) derivatives.[99]



2,3,6-Trimethylbenzoquinone (**59**) reacts with cyanoacetamide (**1a**) in the presence of sodium methoxide yielding 5-hydroxy-4,6,7-trimethyl-3-cyanoindolin-2-one (**60**).[100,101]

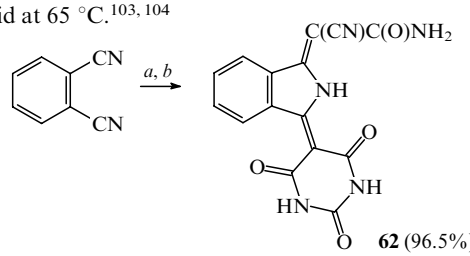


Polysubstituted phthalimide **61** has been obtained by condensation of methyl acetylenedicarboxylate with the amide **1a** in the presence of $Cu_2(OAc)_4$ in dioxane.[102]



61 (16%)

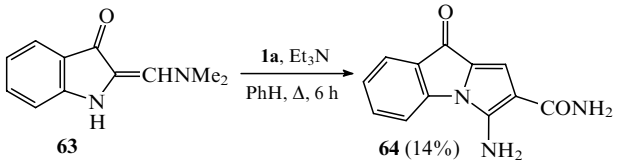
Cyanoacetamide (**1a**) has been used as the starting material in the synthesis of dye **62**. The cyclocondensation of phthalonitrile with the amide **1a** was carried out in methanol in the presence of NaOMe and then the reaction mixture was treated with barbituric acid at 65 °C.[103, 104]



62 (96.5%)

(*a*) **1a**, MeONa, MeOH; (*b*) H_2C(CO–NH)(CO–NH), 65 °C.

The reaction of enamino ketone of the indoline series **63** with the amide **1a** (refluxing in benzene in the presence of triethylamine) gives rise to 3-amino-2-carbamoyl-9-oxopyrrolo[1,2-*a*]indole **64**.[105]



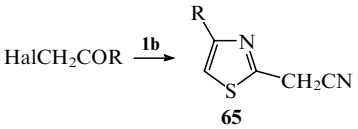
63 **64** (14%)

A series of pyrrolothiolates has been synthesised by reaction of the amide **1a** with sulfur in the presence of catalytic amount of diethylamine.[106]

b. Five-membered heterocycles with two heteroatoms in the ring

Cyanoacetamides and their chalcogen analogues have been successfully used in syntheses of five-membered heterocycles with two heteroatoms in the ring: thiazoles, isothiazoles, thiazolinones, oxazoles, pyrazoles, imidazoles, dithioles, *etc*.

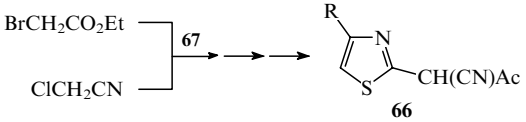
Thus the condensation of cyanothioacetamide (**1b**) with α-halogeno carbonyl compounds involving their methylene group has been employed in the synthesis of 2-thiazolylacetonitriles **65** as well as thiazolylthiones and other five-membered heterocycles.[34, 59, 80, 81, 107]



65

R = Alk, Ar, CO_2Et.

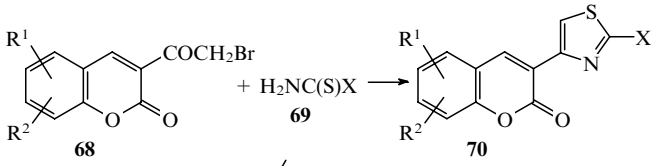
Thiazole derivatives **66** were synthesised by the reaction of 2-acetyl-2-cyanothioacetamide **67** (prepared by the acetylation of the amide **1b**) with ethyl bromoacetate or 1-chloroacetonitrile in good yields.[108]



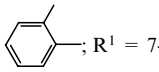
66

R = OH, NH_2.

3-(Bromoacetyl)coumarins **68** react with activated thioamides **69** on heating in ethanol to give substituted thiazoles **70** in yields of up to 93%.[109]

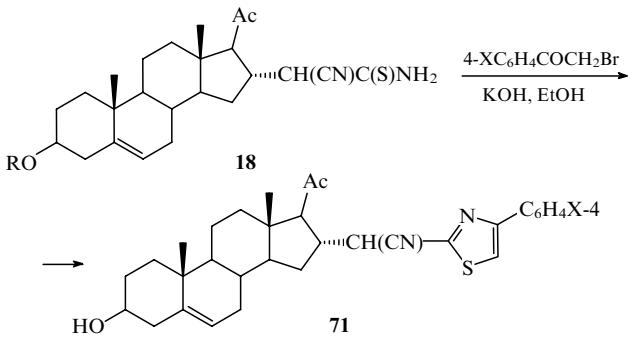


68 **70**

$R^1 = R^2 = H$; $R^1–R^2$ = ; R^1 = 7-NEt_2, R^2 = H;

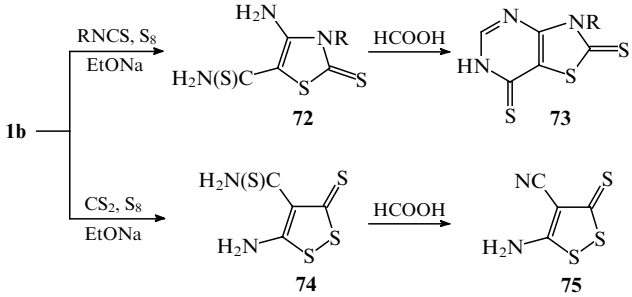
X = CH_2CN, NH_2, $NHNH_2$, $C(S)NMe_2$.

The reaction of the pregnenolone derivative **18** containing the cyanothioacetamide fragment with phenacyl bromide was employed for the synthesis of thiazolyl-substituted steroids **71** (yields 85% – 97%).[60, 62, 110]



R = Alk; X = H, Cl, Br.

Cyanothioacetamide (**1b**) reacts with sulfur and isothiocyanates in the presence of bases yielding thiazoline-2-thione derivatives **72**. The latter are cyclised on treatment with formic acid to give thiazolo[4,5-*d*]pyrimidine-2,7-dithiones **73**.[78] Similar reaction of the amide **1b** with sulfur and hydrogen sulfide affords 1,2-dithiol-3-thione derivative **74**, which is converted into 5-amino-4-cyano-1,2-dithiol-3-thione (**75**) on treatment with formic acid rather than undergoes cyclisation.[78]

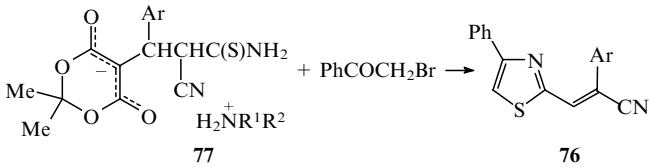


72 **73** **74** **75**

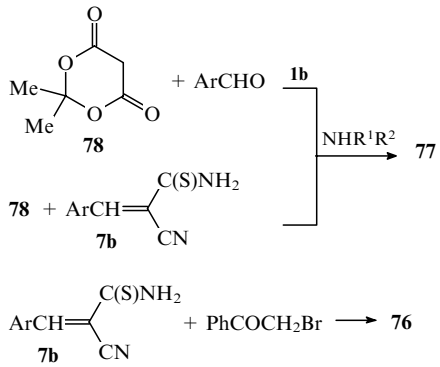
R = Me, Ph, $CH_2=CHCH_2$.

Reactions of the amide **1a** and its derivatives with isothiocyanates have also been employed in the synthesis of other substituted thiazoles.[111, 112]

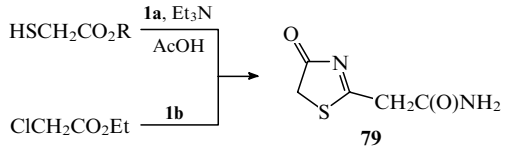
Thiazolyl-substituted acrylonitriles **76** can be prepared in two ways. The first one is the reaction of phenacyl bromide with salts **77**. The latter are obtained by the reaction of Meldrum's acid (**78**) with aromatic aldehydes and cyanothioacetamide (**1b**) or with arylmethylidenecyanothioacetamides **7b** (ethanol, 20 – 25 °C, equimolar amount of the secondary amine). The second method of preparation of acrylonitriles **76** is based on the reaction of phenacyl bromide with amides **7b**.[113 – 117]



77 **76**

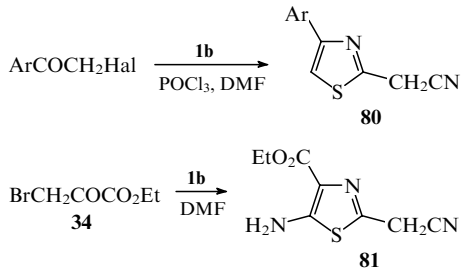


Thiazolinone **79** was obtained by the reaction of cyanoacetamide (**1a**) with mercaptoacetic acid or its ester.[118, 119] This compound can also be synthesised from cyanothioacetamide (**1b**) and ethyl chloroacetate.[120]

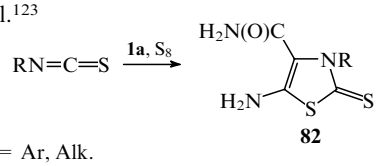


R = H, Alk.

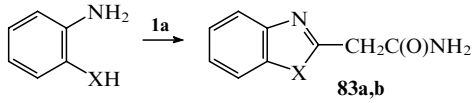
Thiazole derivatives **80** and **81** also have been synthesised using the amide (**1b**).[81, 82, 109]



4-Thiazoline-2-thione derivatives **82** were obtained by the reaction of cyanoacetamide (**1a**) with isothiocyanates in the presence of elemental sulfur.[121] The reaction of the same amide with 2-mercaptoaniline gives 2-carbamoylmethylbenzothiazole (**83a**).[122] The latter is employed in light- and waterproof azodyes used in polycaprolactam manufacture. Benzooxazole **83b** is obtained in a similar way from the amide **1a** and 2-aminophenol.[123]



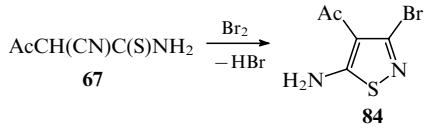
R = Ar, Alk.



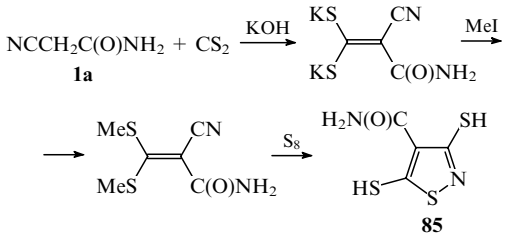
X = S (**a**), O (**b**).

Reactions of the amide **1a** with phenacyl benzoates in boiling xylene in the presence of BF_3 afford 2-aryl-4-phenyloxazoles in 75%–90% yields.[124]

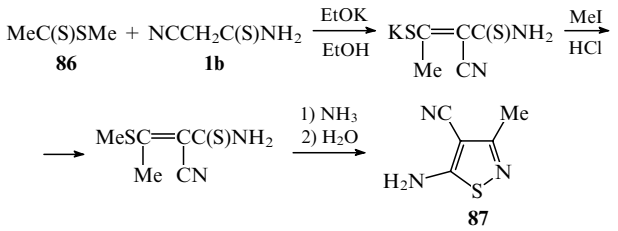
Isothiazole **84** has been obtained in good yield by bromination of acetyl(cyano)thioacetamide **67**.[108]



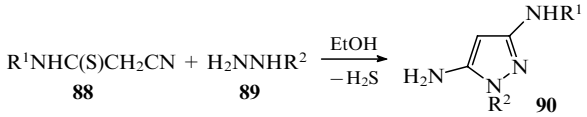
The condensation of the amide **1a** with CS_2 in the presence of KOH results in 3,5-dimercaptoisothiazole-4-carboxamide **85**.[125]



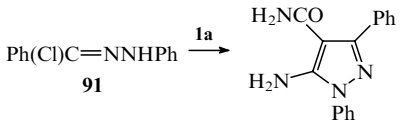
5-Amino-3-methyl-4-cyanoisothiazole (**87**) has been synthesised by the reaction of the amide **1b** with methyl dithioacetate (**86**).[126]



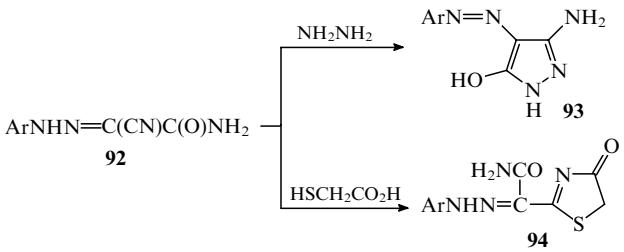
N-Substituted cyanothioacetamides **88** were prepared from ethyl cyanoacetates and aryl isothiocyanates,[127] their reactions with hydrazines **89** yielded 5-amino-3-arylaminopyrazoles **90**.[128] The analogous reaction of the amide **1a** with hydrazonoyl chloride **91** afforded 5-amino-1,3-diphenylpyrazole-4-carboxamide.[129]



R^1 = Ar; R^2 = H, Ar.

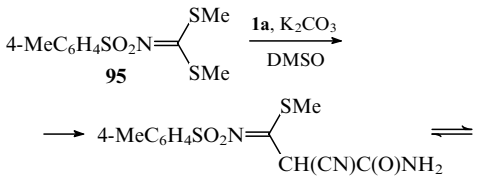


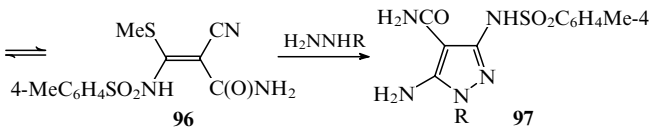
Cyanoacetamide derivatives **92** on heating with hydrazine give pyrazoles **93**, while their reactions with mercaptoacetic acid result in thiazolinones **94**.[130]



Ar = Ph, 4-MeC_6H_4, 4-ClC_6H_4.

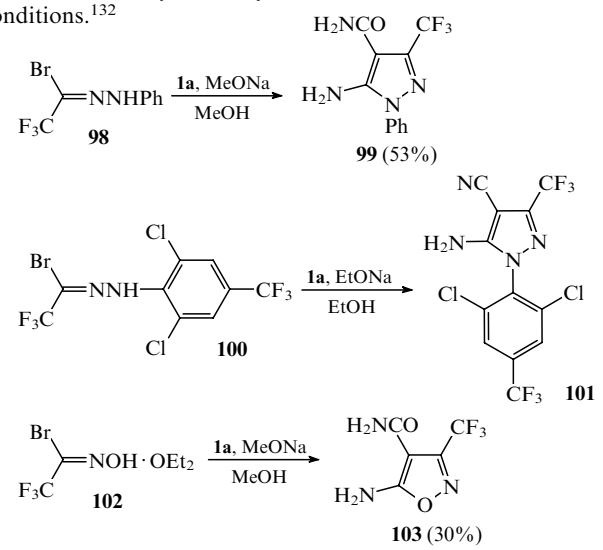
The reaction of *N*-[bis(methylthio)methylidene]toluene-4-sulfonamide (**95**) with the amide **1a** affords compound **96** in 94% yield. The latter gives pyrazoles **97** in yields of up to 98% on treatment with hydrazines.[131]



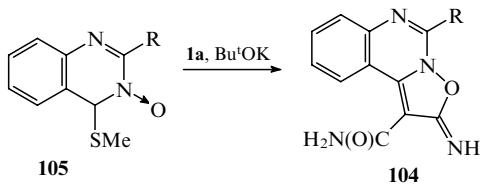


R = H, Ph, 4-ClC_6H_4, 4-$NO_2C_6H_4$.

Phenylhydrazone **98** reacts with the amide **1a** in methanol in the presence of sodium methoxide yielding pyrazole **99**.[132] An analogous reaction of hydrazone **100** with a bulky substituent affords pyrazole **101** in only 6% yield.[133] Isooxazole **103** is obtained from hydroximoyl bromide etherate under similar conditions.[132]

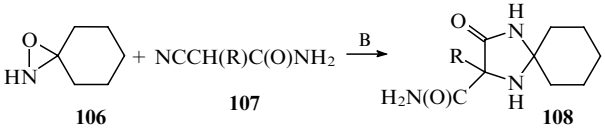


High yields of isoxazoloquinazolines **104** were obtained upon cyclocondensation of 4-methylthioquinazoline 3-oxides **105** with the amide **1a** in the presence of Bu^tOK.[134]



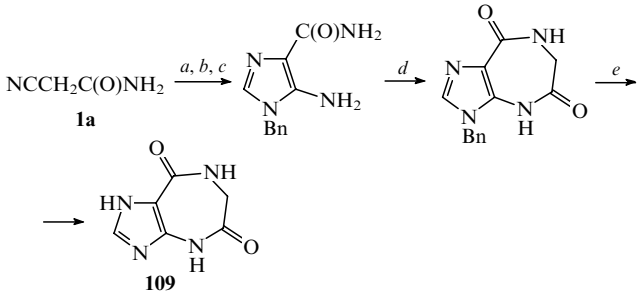
R = Ph, 4-MeC_6H_4.

Reactions of 1-oxa-2-azaspiro[2.5]octane (**106**) with cyanoamides **107** give spiroimidazoline derivatives **108** in high yields.[135]



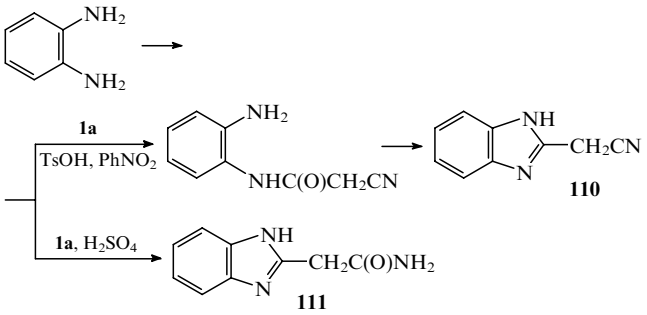
R = cyclo-C_5H_9, cyclo-C_6H_{11}, $Me(CH_2)_7$, $PhCH_2$.

A four-step synthesis of a xanthine analogue, *viz*., 5,6,7,8-tetrahydro-4*H*-imidazo[4,5-*c*]-1,4-diazepine-5,8-dione (**109**), has been developed starting from cyanoacetamide (**1a**).[136]

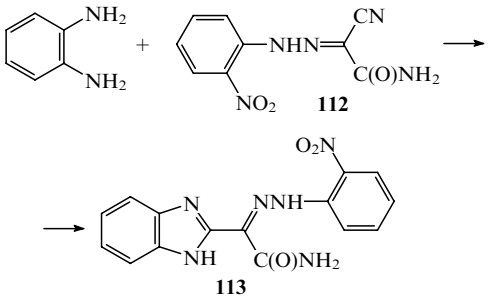


(*a*) HNO_2; (*b*) [H]; (*c*) $CH_2(OEt)_2$, $BnNH_2$; (*d*) KOH; (*e*) H_2/Pd.

2-Cyanomethylbenzoimidazole (**110**) has been obtained by condensation of cyanoacetamide (**1a**) with *o*-phenylenediamine in good yield.[137] This reaction, carried out in the presence of concentrated sulfuric acid, was accompanied by hydrolysis of the cyano group resulting in 2-carbamoylmethylbenzoimidazole **111**.[138, 139]



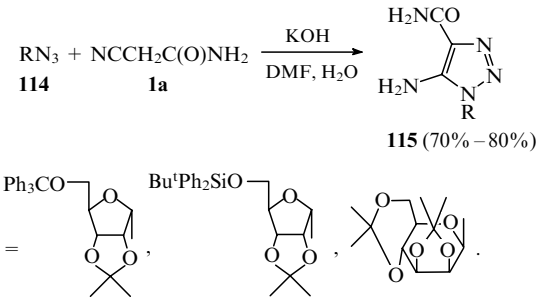
The reaction of *o*-phenylenediamine with substituted cyanoacetamide **112** under similar conditions gives rise to benzimidazole derivative **113**.[140]



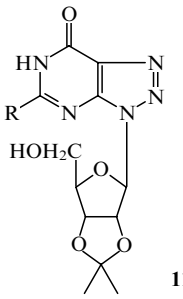
c. Five-membered heterocycles with three heteroatoms in the ring

The amide (**1a**) and thioamide (**1b**) are widely used in the synthesis of five-membered heterocycles with three heteroatoms in the ring, in particular, of 1,2,3-triazoles possessing a wide range of biological activities. Synthesis of substituted 1,2,3-triazoles by the reactions of cyanoacetamide (**1a**) with alkyl-, aryl- and hetarylazides can be mentioned as an example.[141–145] It is noteworthy that the preparation of 1,2,3-triazole derivatives from compounds with an activated methylene group and phenyl azide was first reported by Dimroth in 1902.[146, 147]

1-Azidoglycosides **114** react with the amide **1a** in aqueous DMF in the presence of KOH to give triazolonucleosides **115** in high yields. The reactions proceed with inversion of configuration yielding 1,2-*trans* adducts from 1,2-*cis* azides.[144]

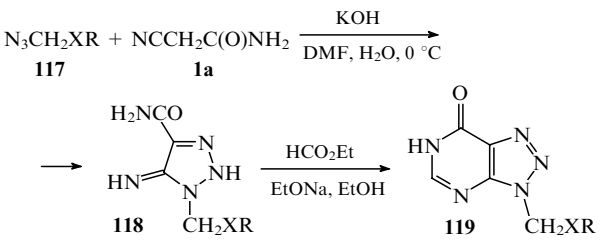


The synthesis of 2-substituted 9-β-D-ribofuranosyl-8-azahypoxanthines **116** from β-D-ribofuranosyl azide, sodium salt of the amide **1a** and carboxylic acid esters has also been described.[145]



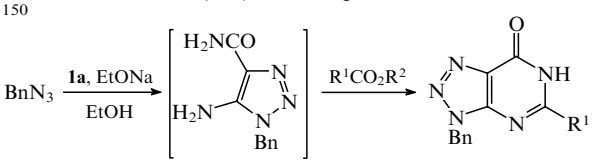
116 (R = H, Alk, Ar)

Synthesis of nucleosides with 1,2,3-triazoles and 8-azapurines as aglycones by reactions of azides **117** with the amide **1a** has been undertaken aimed at access to novel potential pharmaceutical preparations. In the presence of KOH in aqueous DMF at 0 °C, these reactions gave rise to 1,2,3-triazole derivatives **118**. The latter were readily converted into 8-azapurine derivatives **119** on treatment with ethyl formate.[148, 149]



R = $PhCH_2O(CH_2)_2$, $(PhCH_2OCH_2)_2CH$; X = O, S.

The reaction of benzyl azide with the amide **1a** in EtOH in the presence of NaOEt followed by treatment of the reaction mixture with carboxylic acid esters affords 3,5-disubstituted 7-hydroxy-3*H*-1,2.3-triazolo[4,5-*d*]pyrimidines **120**. 5-Amino-1-benzyl-1,2,3-triazole-5-carboxamide (**121**) has been postulated as an intermediate.[150]

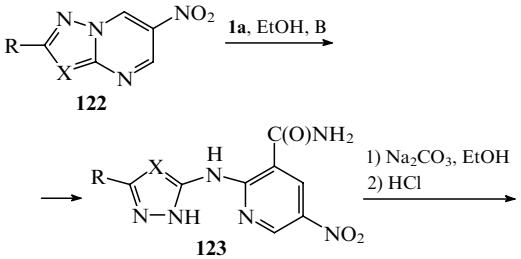


121 **120** (43% – 95%)

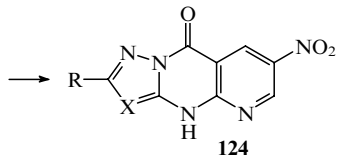
R^1 = H, Me, Et, Pr, CH_2OCH_2Me, $CH(OCH_2Me)_2$, $(CH_2)_2CO_2H$, CO_2Et, Ph, PhCO, $CH_2NHCOPh$; R^2 = Me, Et.

Many other 1,2,3-triazole derivatives possessing coccidiostatic, anticonvulsive, antiproliferative and other types of biological activities have been synthesised using the reactions of aromatic and aliphatic azides with the amide **1a**.[151 – 157]

The reaction of 6-nitroazolo[1,5-*a*]pyrimidines **122** with the amide **1a** in refluxing ethanol involves transformation of the pyrimidine ring into the pyridine ring and affords substituted 2-azolyl-2-pyridylamines **123**. The latter are converted into 7-nitro-9-oxo-4,9-dihydroazolo[1,5-*a*]pyrido[2,3-*d*]pyrimidines **124** on subsequent treatment with sodium carbonate in ethanol and acidification with HCl.[158, 159]



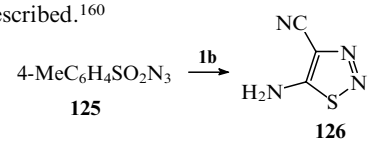
122 **123**



124

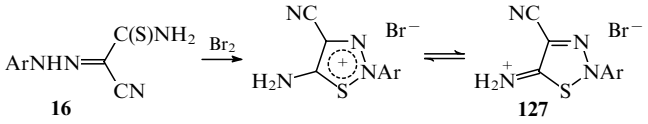
R = H, Me, SMe, CF_3, Cl, NH_2, NMe_2, Ph; X = N, CH, CNO_2.

Synthesis of 5-amino-4-cyano-1,2,3-thiadiazole (**126**) by the reaction of tosyl azide **125** with cyanothioacetamide (**1b**) has been described.[160]



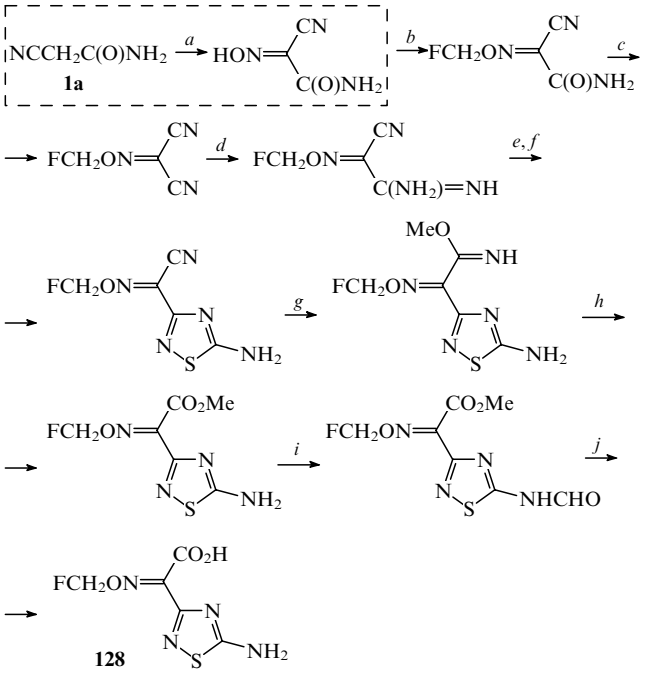
125 **126**

Later,[161] 1,2,3-thiadiazolium bromides **127** were obtained by bromination of arylhydrazonocyanothioacetamides **16**.



16 **127**

A group of Japanese scientists [162] have developed a nine-step method of synthesis of an useful chemical modificator of cephalosporin antibiotics, *viz*., (*Z*)-2-(5-amino-1,2,4-thiadiazol-3-yl)-2-[(fluoromethoxy)imino]acetic acid (**128**), from cyanoacetamide (**1a**) according to the scheme shown below. The yield of the target product with respect to the initial cyanoacetamide was 17.6%.



128

(*a*) HNO_2 (81%); (*b*) $BrCH_2F$, Et_3N (75%); (*c*) $POCl_3$ (72%); (*d*) NH_4OH, NH_4Cl (84%); (*e*) Br_2; (*f*) KSCN, Et_3N (88%); (*g*) MeONa, MeOH (92%); (*h*) H_2SO_4, H_2O (84%); (*i*) HCO_2H, Ac_2O (84%); (*j*) NaOH, H_2O (84%).

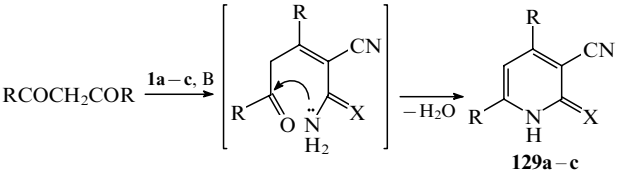
2. Synthesis of six-membered carbo- and heterocycles

Of six-membered heterocycles synthesised on the basis of cyanoacetamides, pyridin-2-one (-thione and -selenone) derivatives are of major interest (see, for example, reviews [27, 28, 30 – 34, 74] and papers [163 – 175]). Many of these compounds have been found to be highly effective cardiotonics [176 – 251] (*e.g*., 'amrinone' and 'milrinone' [242 – 251]), non-nucleoside inhibitors of HIV reverse transcriptase (potential pharmaceuticals for treatment of

AIDS [252–265]), tranquilisers,[266–278] compounds with antiinflamatory, hypoglycemic, anticonvulsant, antiulcerous, fungicidal, bactericidal, pesticidal and many other types of biological activity.[272–308] Pyridin-2-ones are also very useful starting materials in the design of novel calcium antagonists,[309,310] CH antioxidants,[311–315] folic acid analogues,[316] vitamin B_6,[317] and other biologically active compounds,[311,316–324] as well as models of redox coenzymes NAD and NADP.[285] As an example, the use of substituted spiro[cyclopentane-piperidone] in the synthesis of 'buspirone', which is an antidepressant and an analgesic, and also prevents an affection to narcotics,[269] can be mentioned. The only known natural alkaloid with the cyano group in a molecule is a representative of the class of pyridones ('ricyane', 3-cyano-4-methoxy-1-methylpyridin-2-one).[325] Pyridin-2-one derivatives are employed as stabilisers of polymers and lacquers,[326] as pigments and diazo-components in the synthesis of dyes,[327–334] as acid–base indicators in titrimetric analysis;[335] they possess liquid-crystalline [336] and some other practically useful properties.

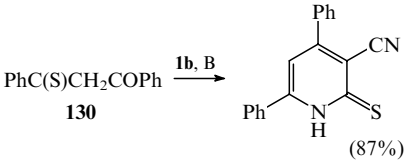
The simplest and most convenient methods for the preparation of functionally substituted pyridin-2(1*H*)-ones, -thiones and -selenones are based on the use of cyclisation reactions of carbonyl compounds and their enamines with compounds containing the activated methylene group, first of all, malononitrile and cyanoacetamides. This opens an indirect route to regioselective synthesis of functionally substituted pyridines. Direct functionalisation of the rather inert pyridine ring by electrophilic substitution reactions is quite difficult.

Condensations of symmetrical 1,3-dicarbonyl compounds with cyano(chalcogeno)acetamides **1a–c** occur smoothly in the presence of basic catalysts to give 3-cyanopyridin-2(1*H*)-ones, -thiones and selenones **129** in high yields.[28,85,337–352] Similar reaction of monothiodibenzoylmethane (**130**) with cyanothioacetamide (**1b**) in ethanol in the presence of piperidine gives rise to 3-cyano-4,6-diphenylpyridine-2(1*H*)-thione.[353]



129a–c

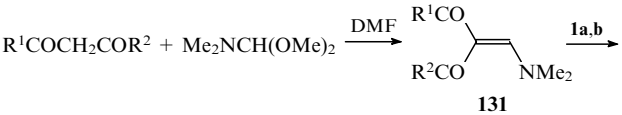
R = Alk, Ar, Het; X = O (**a**), S (**b**), Se (**c**).



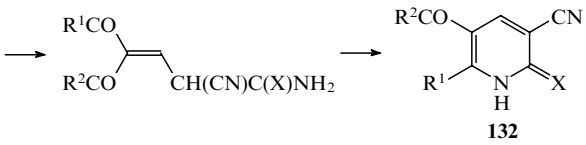
130 (87%)

It is noteworthy that pyridin-2(1*H*)-ones **129a** were obtained by the above method as far back as the end of the past century, while the first thione analogue, *viz*., 3-cyano-4,6-dimethylpyridine-2(1*H*)-thione, was synthesised by condensation of acetylacetone with the amide **1b** at the end of the 1950's,[338,341] and the first selenone analogue was prepared in a similar way from the amide **1c** only in 1985.[47] Reactions of cyanothioacetamide (**1b**) occur under milder conditions and give higher yields of pyridines than with cyanoacetamide (**1a**). The reactions of cyanoselenoacetamide (**1c**) also occur under mild conditions, though an inert atmosphere is required in this case.

The reaction of 1,3-diketones with dimethylformamide dimethyl acetal affords β-enamino carbonyl compounds **131**, which are condensed with amides **1a**,**b** yielding functionally substituted pyridones and pyridinethiones **132**.[232,235,354–356]



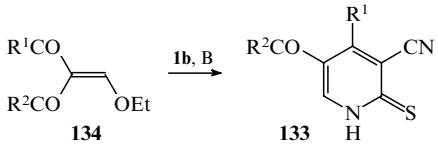
131



132

R^1 = Me, Et, CH_2CO_2Et; R^2 = Me, MeO, EtO; X = O, S.

Synthesis of pyridinethiones **133** by the reaction of ethoxymethylidene diketones **134** with the amide **1b** in ethanol in the presence of piperidine has also been described.[357]

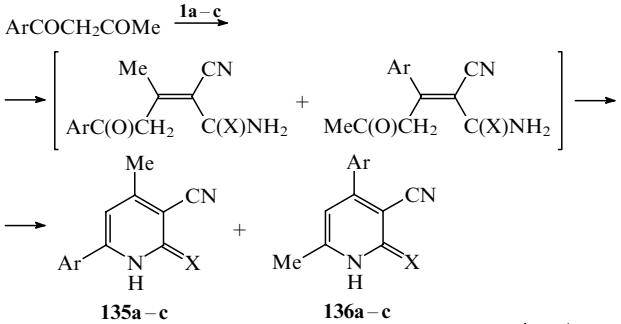


134 **133**

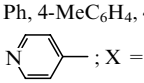
Condensations of unsymmetrical 1,3-dicarbonyl compounds with cyano(thio, seleno)acetamides **1a-c** leads to two isomeric pyridines with different substituents in positions 4 and 6, which allows one to elucidate the effect of the reactivities of the carbonyl groups on the regioselectivity of reactions. Thus it was shown [85,337,347,358] that the condensation of benzoylacetone with the amide **1b** gives both isomeric pyridinethiones **135b** and **136b** (Ar = Ph) in the ratio 2 : 1. Earlier, only the isomer **135b** was thought to be the reaction product.[341] However, the analogous reaction of benzoylacetone with cyanoselenoacetamide (**1c**) occurs with high regioselectivity to give only one isomer, *viz*., 3-cyano-4-methyl-6-phenylpyridine-2(1*H*)-selenone (**135c**, Ar = Ph).[358,359]

Reactions of cyanothioacetamide (**1b**) with other unsymmetrical 1,3-diketones **137** (Ar = 4-MeC_6H_4, 4-$MeOC_6H_4$, 4-BrC_6H_4, 2-thienyl) also give rise to mixtures of the corresponding isomers **135b** and **136b**.[360,361]

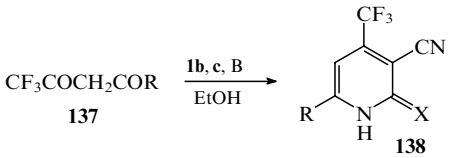
Only the isomer **135a** (Ar = Ph) was earlier assumed [362,363] to be the reaction product of benzoylacetone with cyanoacetamide (**1a**) or ethyl cyanoacetate in the presence of ammonia or diethylamine. In the condensation of nicotinoylacetone with cyanoacetamide (**1a**), a mixture of isomers **135a** and **136a** (Ar = 3-pyridyl) in the ratio 3 : 2 is formed, while in the reaction of 4-pyridylcarbonylacetone, the isomer **136a** (Ar = 4-pyridyl) is the major product.[167]



135a–c **136a–c**

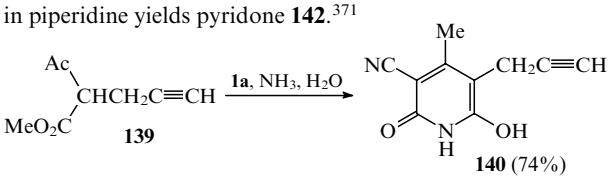
Ar = Ph, 4-MeC_6H_4, 4-$MeOC_6H_4$, 4-BrC_6H_4, , , ; X = O (**a**), S (**b**), Se (**c**).

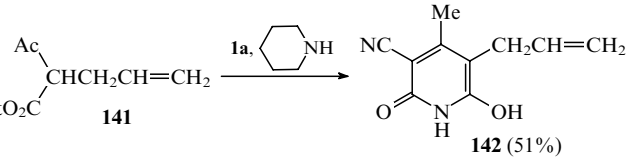
The regioselectivity of the reaction is the higher the stronger are the electron-withdrawing properties of a substituent at one of the carbonyl groups. Thus 1,3-diketones with the trifluoromethyl group **137** react with cyanoacetamides **1b**,**c** to give only 3-cyano-6-R-4-trifluoromethylpyridine-2(1*H*)-thiones and -selenones **138** in fairly high yields.[345,364–366] The change in the order of operations, *i.e*., the preliminary generation of a carbanion from the thioamide **1b** by treating it with sodium ethoxide followed by the addition of trifluoroacetylacetone has led to the other isomer, *viz*., 3-cyano-4-methyl-6-trifluoromethylpyridine-2(1*H*)-thione, in 94% yield.[365,367]



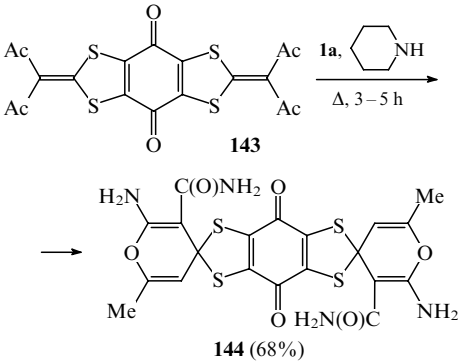
R = Alk, Ph, 4-MeC_6H_4, 4-$MeOC_6H_4$, 4-ClC_6H_4, ; X = S, Se.

Reactions of cyanoacetamide (**1a**) with 1,3-dicarbonyl compounds and their derivatives have successfully been employed in the synthesis of pyrimidines, pyrazines and other heterocycles.[368–380] Thus the condensation of the amide (**1a**) with methyl 2-acetylpent-4-ynoate (**139**) in concentrated aqueous ammonia solution gives rise to pyridone **140**. An analogous reaction of ethyl 2-acetylpent-4-enoate (**141**) with the amide **1a** in piperidine yields pyridone **142**.[371]



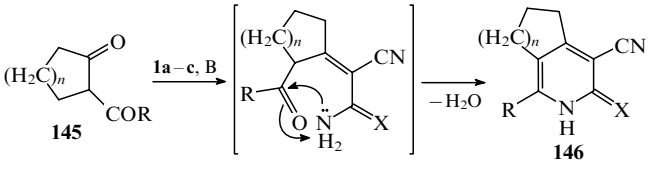


Substituted dispiro(dipyrano[2,4′ : 6,4′]bisdithiolo[4,5-*b* : 4′,5′-*e*])-4,8-benzoquinone **144** was obtained by the reaction of 2,6-bis(diacetylmethylidene)bisdithiolo[4,5-*b* : 4′,5′-*e*]benzoquinone (**143**) with a twofold excess of the amide **1a** in ethanol in the presence of piperidine.[380]



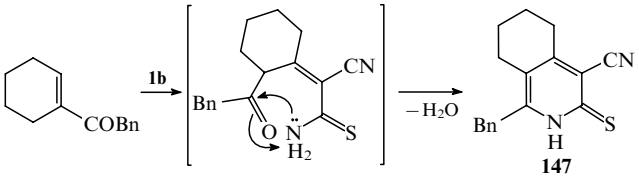
The kinetics of condensation of symmetrical and unsymmetrical 1,3-diketones (pentane-2,4-dione, 5-methylhexane-2,4-dione, 5,5-dimethylhexane-2,4-dione) with cyanoacetamide (**1a**) in the presence of piperidine as a catalyst under various reaction conditions has been studied. Judging from the rate constants and activation parameters as well as the structure of unsymmetrical 4,6-disubstituted 3-cyanopyridin-2(1*H*)-ones obtained, a plausible scheme for the reaction mechanism was suggested, which gives a rationale for the regioselectivity of the condensation and the positions of the substituents in the reaction products.[381]

Cyclic 1,3-diketones have been successfully employed as substrates in the reactions with cyanoacetamides **1a-c**, and regioselective syntheses of bicyclic 3-cyanopyridin-2(1*H*)-ones, -thiones and -selenones **146** were proposed.[85, 346, 382–394]

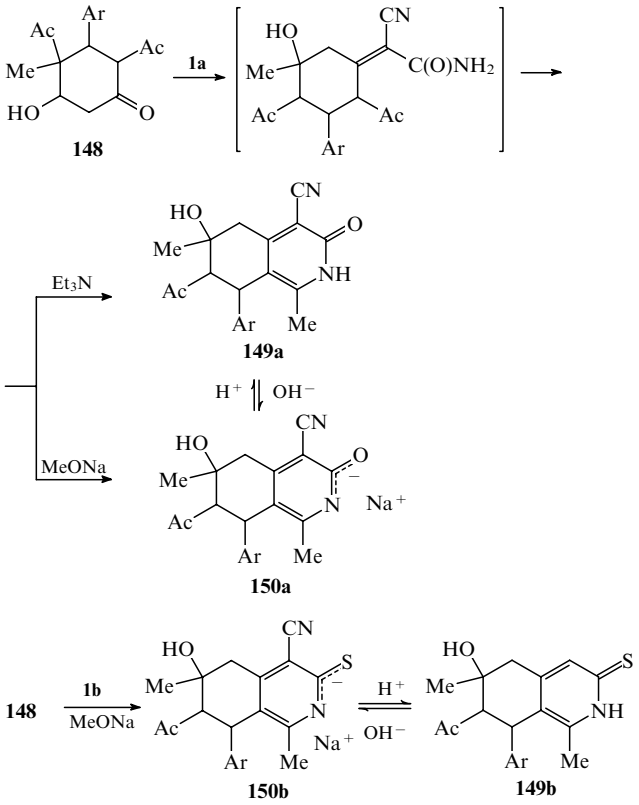


n = 1, 2; R = Alk, Ar; X = O, S, Se.

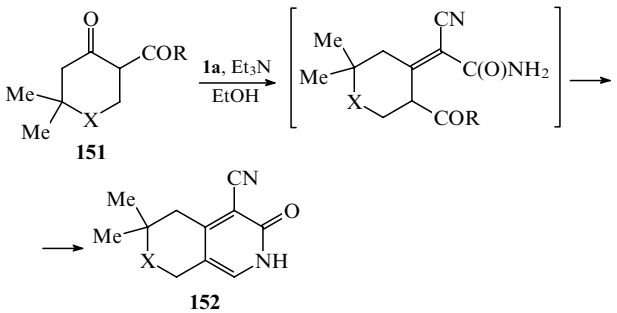
This approach has also been applied to the preparation of pyridone **147** having the skeleton of the alkaloid papaverine.[386]



7-Acetyl-8-aryl-4-cyano-1,6-dimethyl-6-hydroxy-5,6,7,8-tetrahydroisoquinolin-2(1*H*)-ones **149a**, -thiones **149b** and their sodium salts **150a**,**b** were obtained in high yields in reactions of the amides **1a**,**b** with 2,4-diacetyl-3-aryl-5-hydroxy-5-methylcyclohexanones **148**.[394]



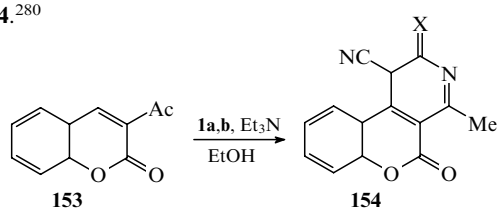
Of reactions of cyclic 1,3-diketones with cyanoacetamide (**1a**),[277, 280, 395–399] the condensations of pyrans or thiopyrans **151** in ethanol in the presence of triethylamine can be noted as the method for preparation of 3-oxopyrano(thiopyrano)[3,4-*c*]-pyridines **152**.[277, 395, 396]



R = Alk, Ar; X = O, S.

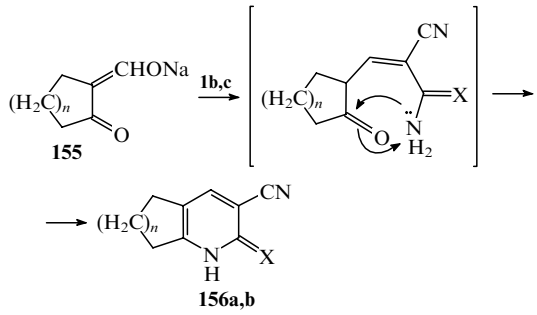
It is known that substituted coumarins and their annelated analogues exhibit bactericidal, spasmolytic and hypothermic activities. In order to develop methods of synthesis of substituted coumarins, which could be used in design of novel pharmaceuticals, it was necessary to study reactions of 3-acetylcoumarin

(**153**) with the amides **1a**,**b** in the presence of bases. This resulted in oxo- and thioxo-derivatives of benzopyrano[3,4-*c*]pyridines **154**.[280]



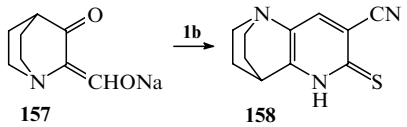
X = O (**a**), S (**b**).

Compared with cyclic 1,3-diketones, cyclic β-oxo aldehydes react with cyanoacetamides more selectively. Thus the condensations of sodium salts of 2-hydroxymethylidenecycloalkanones **155** with amides **1b**,**c** occur regioselectively to give only one of two possible isomers, *viz*., 5,6-annelated 3-cyanopyridine-2(1*H*)-thiones **156a** and -selenones **156b**.[85, 387, 400–406]

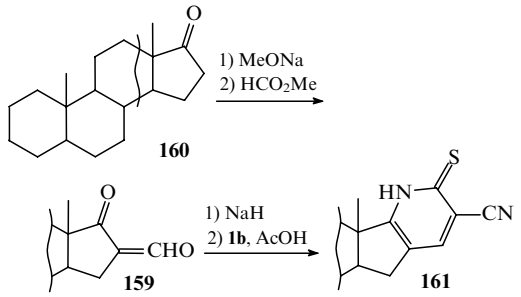


n = 1, 2; X = S (**a**), Se (**b**).

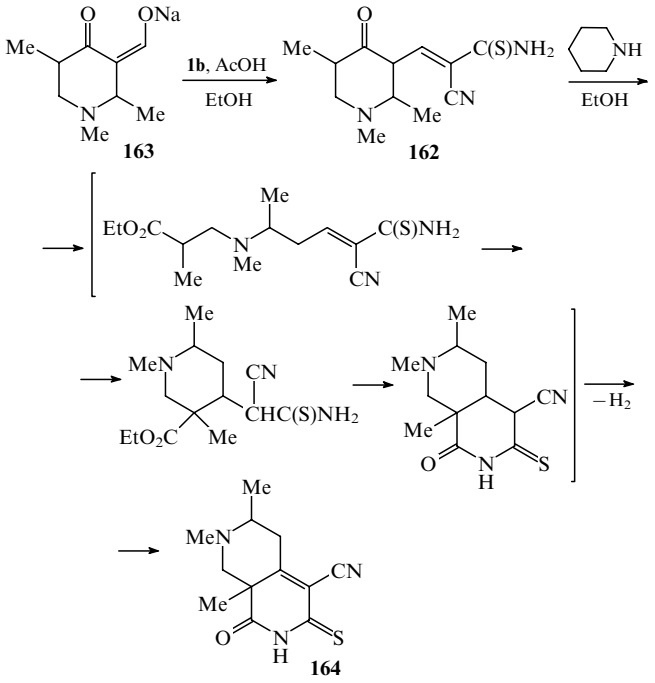
Yet another example of high regioselectivity is the reaction of sodium salt of 2-formylquinuclidone (**157**) with cyanothioacetamide (**1b**), which affords only bridged 3-cyano-1,5-naphthyridine-2(1*H*)-thione (**158**).[407]



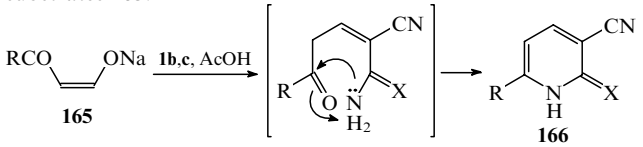
Cyanothioacetamide has been used in the synthesis of steroids annelated with the pyridine ring. Thus pyridinethione **161** was obtained in 80% yield by condensation of the amide **1b** with the sodium enolate of 16-formyl-5α-androstan-17-one (**159**).[60, 408] The latter has been prepared by formylation of 5α-androstan-17-one (**160**) with methyl formate.



An example of recyclisation of (1,2,5-trimethyl-4-oxopiperid-3-ylmethylidene)cyanothioacetamide (**162**), prepared from the sodium salt of 1,2,5-trimethyl-3-formylpiperid-4-one (**163**) and the amide **1b**, into 4-cyano-6,7,8a-trimethyl-3-thioxo-1,2,3,5,6,7,8,8a-octahydro-2,7-naphthyridin-1-one (**164**) has been described.[173, 409]

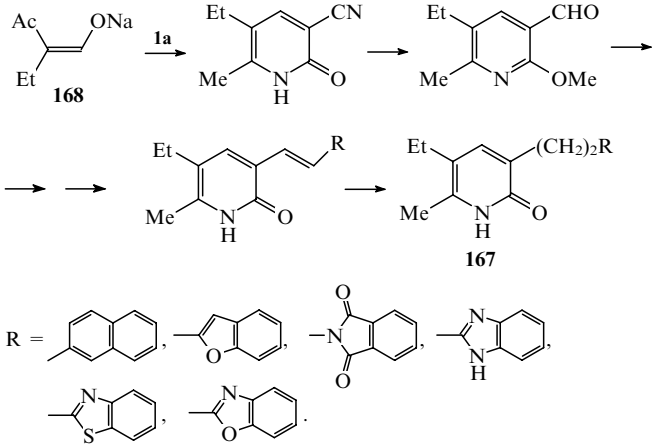


Similarly to cyclic β-oxo aldehydes, their acyclic analogues also react with high regioselectivity.[38, 85, 174, 395, 410–422] Thus 6-substituted 3-cyanopyridine-2(1*H*)-thiones and -selenones (**166**) are formed in the reaction of amides **1b**,**c** with sodium enolates of β-oxo aldehydes (**165**). The regioselectivity of the reaction is independent of the nature of substituents (electron-donating or electron-withdrawing) in the benzene ring of the substrates **165**.[85, 397–399, 402]



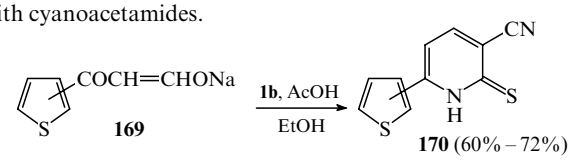
R = Pr, Ph, 4-ClC_6H_4, 4-BrC_6H_4, 3,4-$Cl_2C_6H_3$, 4-$MeOC_6H_4$, 2,4-$(MeO)_2C_6H_3$,  ; X = S, Se.

The key step in the synthesis of 2-pyridinone derivatives **167** possessing high anti-HIV activity[253, 257] is the condensation of sodium enolate of 2-ethyl-3-oxobutane (**168**) with cyanoacetamide (**1a**) in the presence of piperidinium acetate.



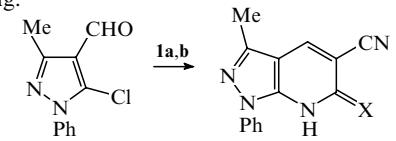
The regioselective reaction of sodium enolates of 2- and 3-thenoylacetaldehydes **169** with cyanothioacetamide (**1b**) in ethanol in the presence of acetic acid, giving rise to the corresponding 3-cyano-6-thienylpyridine-2(1*H*)-thiones **170**,[421]

presents yet another example of successful synthesis of substituted pyridine-2-chalcogenones using condensations of oxo aldehydes with cyanoacetamides.



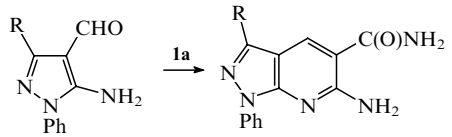
169 **170** (60% – 72%)

The condensations of cyanoacetamides with aliphatic, aromatic and heterocyclic aldehydes also lead to functionalised heterocycles.[423–436] Among this type of the reactions, cyclocondensations of 5-chloro-3-methyl-1-phenylpyrazole-4-carboxaldehyde (**171**) with amides **1a**,**b**, giving rise to pyrazolopyridines **172a**,**b**,[426] and of 5-aminopyrazole-4-carboxaldehydes **173** with the amide **1a**, which makes possible the preparation of aminosubstituted pyrazolo[3,4-*b*]pyridines **174**,[429] are worth mentioning.



171 **172a,b**

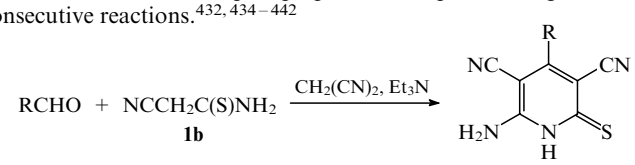
X = O (**a**), S (**b**).



173 **174**

R = Me, Pr, Ph.

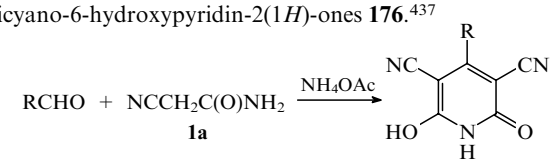
4-Substituted 6-amino-3,5-dicyanopyridine-2(1*H*)-thiones **175** are convenient building blocks in the synthesis of biologically active compounds. It is remarkable that they can be prepared from aliphatic or aromatic aldehydes, cyanothioacetamide (**1b**) and malononitrile in a one-pot preparative step including three consecutive reactions.[432, 434–442]



175

R = Alk, Ar.

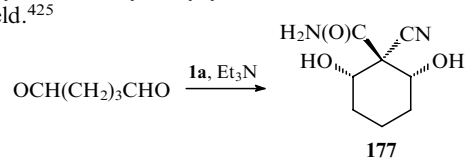
Analogous reactions of aromatic and heterocyclic aldehydes with cyanoacetamide (**1a**) in the presence of ammonium acetate had earlier been employed for the synthesis of 4-substituted 3,5-dicyano-6-hydroxypyridin-2(1*H*)-ones **176**.[437]



176

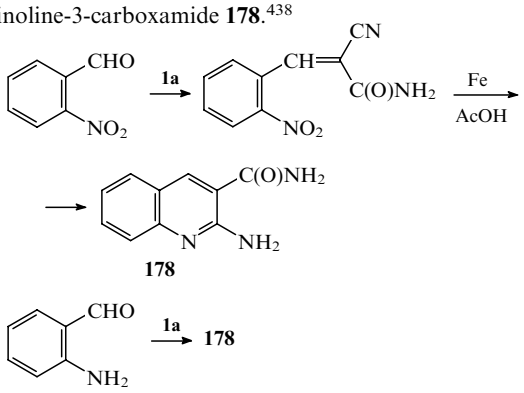
R = Ar, Het.

The cyclisation of glutaraldehyde with cyanoacetamide (**1a**) occurs in aqueous dioxane in the presence of triethylamine to give 1-cyano-2,6-dihydroxycyclohexane-1-carboxamide (**177**) in 75% yield.[425]

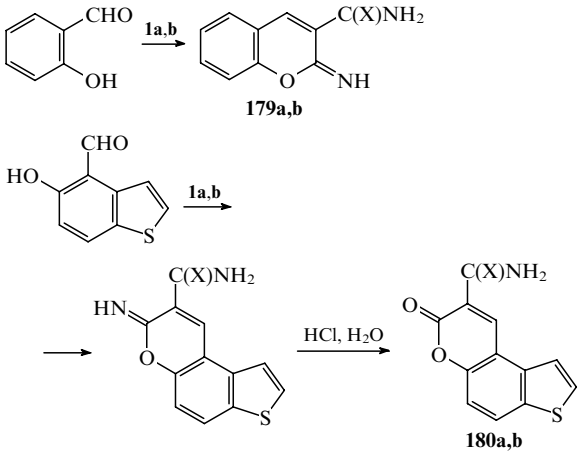


177

Reactions of the amide **1a** with 2-nitro- and 2-aminobenzaldehyde have been successfully used in the synthesis of 2-aminoquinoline-3-carboxamide **178**.[438]

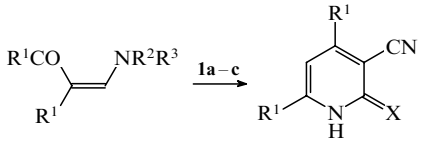


Analogous reactions of amides **1a**,**b** with hydroxybenzaldehyde and hydroxybenzo[*b*]thiophenecarbaldehyde have led to coumarin derivatives **179a**,**b** and **180a**,**b**.[439–442]



X = O (**a**), S (**b**).

β-Enamino carbonyl compounds are widely used in the synthesis of various heterocyclic compounds. They are successfully employed for regioselective synthesis of 3-cyanopyridin-2-(1*H*)-ones, -thiones and -selenones **129a**–**c** (see, for example, reviews [28, 30, 85] and papers [443–454]).

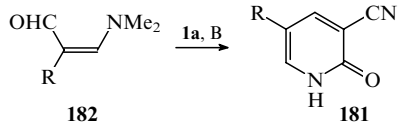


129a–c

R^1 = Alk, Ar, Het; R^2, R^3 = H, Ph;
R^2–R^3 = $(CH_2)_5$, $(CH_2)_2O(CH_2)_2$; X = O (**a**), S (**b**), Se (**c**).

It was found [47, 85, 341–343, 349, 353, 387] that unlike the condensations of 1,3-dicarbonyl compounds, the reactions of the corresponding symmetrical β-enamines with cyanoacetamides occur under mild conditions (20–40 °C) and in the absence of basic catalysts to give selectively and in higher yields 4,6-disubstituted 3-cyanopyridin-2(1*H*)-ones, -thiones and -selenones **129a**–**c**.

Synthesis of 5-substituted 3-cyanopyridin-2(1*H*)-ones **181** is based on the use of the reactions of β-enamino aldehydes **182** with cyanoacetamide (**1a**) in the presence of a base.[272, 455–462]

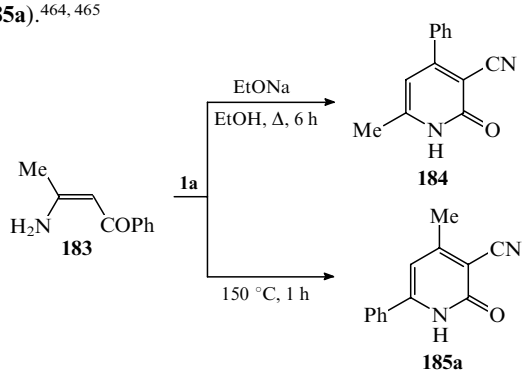


182 **181**

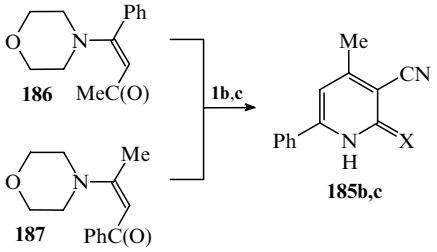
R = Ar, Het.

Condensations of β-enamines prepared from unsymmetrical 1,3-diketones with amides **1a**–**c** can afford isomeric 4,6-disubstituted 3-cyanopyridin-2(1*H*)-ones, -thiones and -selenones depending on whether the keto or the amino group of enamines is subjected to the initial nucleophilic attack by amides.[85, 339, 345, 347, 358, 387, 446, 463–467] Varying the substituents in initial enamines and the reaction conditions, one may control the direction of these reactions leading to one particular isomer or to a mixture of both isomers.

Thus 2-amino-1-benzoylpropene (**183**) reacts with cyanoacetamide (**1a**) in the presence of sodium ethoxide to give 3-cyano-6-methyl-4-phenylpyridin-2(1*H*)-one (**184**),[339, 464, 466, 467] while the condensation of these compounds at 150 °C for 1 h results in the isomeric 3-cyano-4-methyl-6-phenylpyridin-2(1*H*)-one (**185a**).[464, 465]

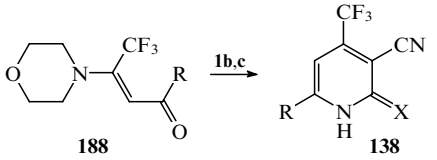


Only 3-cyanopyridine-2(1*H*)-thione **185b** and -selenone **185c** are obtained on brief refluxing of a mixture of β-enamino ketones **186** or **187** and amide **1b** or **1c** in ethanol in the presence of acetic acid.[85, 347, 358, 446, 463]



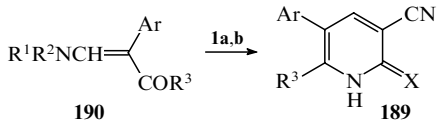
X = S (**b**), Se (**c**).

The stronger the electron-withdrawing properties of the substituent at the sp^2 hybridised carbon atom linked with the amino group, the higher the regioselectivity of the reaction. This is true even for those enamines which have the phenyl and 2-thienyl substituents at the carbonyl group. Thus condensations of trifluoromethyl-substituted enamino ketones **188** with amides **1b**,**c** in ethanol at 25–30 °C in the absence of a catalyst afford 3-cyano-6-phenyl- or -6-(2-thienyl)-4-trifluoromethylpyridine-2(1*H*)-thiones and -selenones **138**.[85, 345]



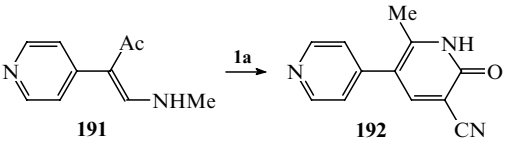
R = Ph, ; X = S, Se.

β-Enamino ketones can also be used for the preparation of 5,6-disubstituted 3-cyanopyridin-2(1*H*)-ones. Thus 6-alkyl-5-aryl-3-cyanopyridin-2(1*H*)-ones **189** possessing cardiotonic activity have been obtained by condensation of enamino ketones **190** ($R^1 = R^2 = Me$) with cyanoacetamide (**1a**) in the presence of sodium methoxide.[86, 87, 468–472] 3-Cyano-5-aryl-6-methylpyridine-2(1*H*)-thiones **189** (X = S) were synthesised in a similar way from the enamino ketone **190** [$R^1 - R^2 = (CH_2)_2O(CH_2)_2$].[473]

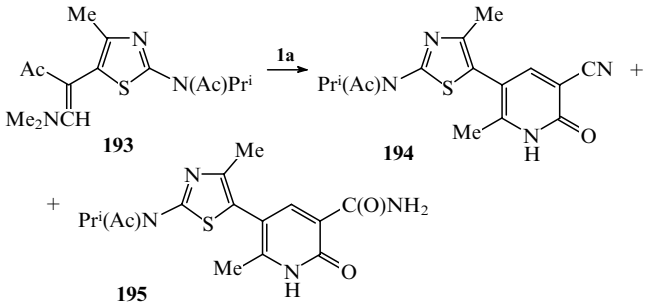


Ar = Ph, 4-$MeSC_6H_4$, 4-$SO_2C_6H_4$, 3,4-$(MeO)_2C_6H_3$; R^1, R^2 = Alk; R^1–R^2 = $(CH_2)_2O(CH_2)_2$; R^3 = Me, $CH(OMe)_2$, cyclo-Alk; X = O, S.

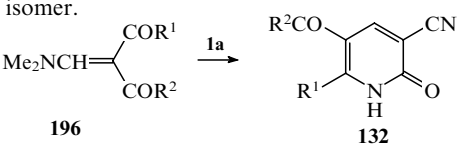
The well-known cardiotonic preparation 'milrinone' (**192**) is obtained by the condensation of the enamine **191** with cyanoacetamide (**1a**) or malononitrile (**5**).[474]



The reaction of enamine **193** with the amide **1a** in isopropyl alcohol in the presence of sodium alkoxides is nonselective and results in a mixture of pyridones **194** and **195**.[475]

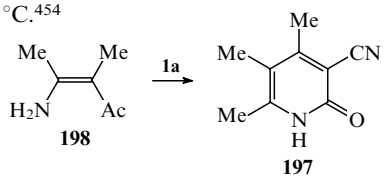


5,6-Disubstituted 3-cyanopyridin-2(1*H*)-ones **132** have been obtained by the reactions of 2-aminomethylidene derivatives of 1,3-dicarbonyl compounds **196** with the amide **1a** in the presence of sodium hydride in THF [369] or sodium methoxide in DMF.[476] Both symmetrical [369, 476] and unsymmetrical [477–479] β-diketones were used in these reactions. Compounds **196** with substituents R^1 = Bu^n, OEt and R^2 = Me react regioselectively yielding only one isomer.

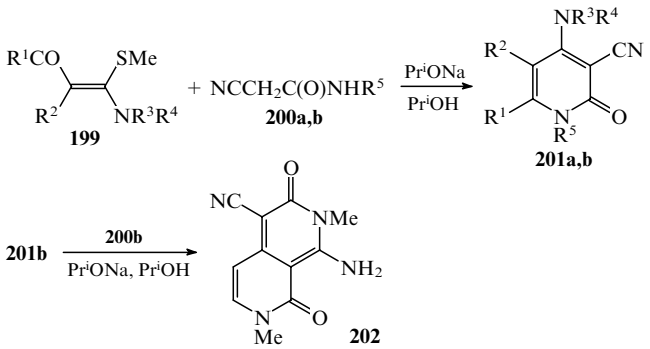


R^1, R^2 = Me, Pr^i, Bu^t, Bu^n, OEt, Ph.

3-Cyano-4,4,6-trimethylpyridin-2(1*H*)-one (**197**) has been obtained by the reaction of 4-amino-3-methylpent-3-en-2-one (**198**) with the amide **1a** at 150 °C for 30 min.[465] This compound was also synthesised by the condensation of the enamine **198** with malononitrile (**5**) in THF in the presence of triethylamine at 20 °C.[454]

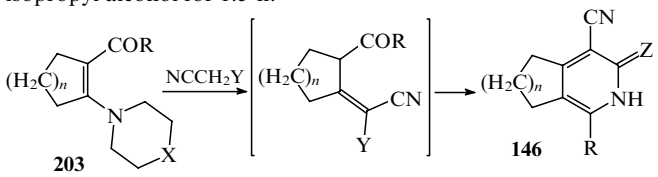


The condensations of β-enamino carbonyl compounds **199** with substituted cyanoacetamides **200a**,**b** occur with the elimination of methanethiol and result in 4-dialkylaminopyridones **201a**,**b** bearing the β-enamino amide fragment. Compound **201b** reacts with *N*-methylcyanoacetamide **200b** to give naphthyridinedione **202**.[480]



R^1 = Me, Ph, 4-ClC_6H_4, 4-$MeOC_6H_4$; R^2 = H;
R^3 = Et, Ph, $CH_2CH(OEt)_2$, Bn; R^4 = H;
R^3–R^4 = $(CH_2)_2O(CH_2)_2$; R^5 = H (**a**), Me (**b**).

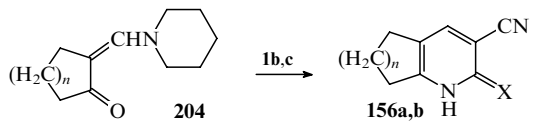
Synthesis of fused pyridinones, -thiones and selenones takes advantage of the high reactivity of cyclic β-enamino ketones with respect to cyanoacetamides **1a**–**c**.[28, 30, 85, 346, 349, 382, 384–387, 446, 481, 482] Condensations of enamino ketones **203** with amides **1a**–**c** occur regioselectively to give in each case only one of two possible isomers in the absence of basic catalysts and afford the products in higher yields (on average, by 10%) than those obtained from 1,3-dicarbonyl compounds. Condensations of β-enamino ketones **203** bearing an aryl substituent instead of an alkyl one, demand more drastic reaction conditions. Thus 3-cyano-6-phenyltetrahydroisoquinolin-3-one **146** (n = 4, X = O, R = Ph) can be obtained on refluxing of the equimolar amounts of the starting compounds in isopropyl alcohol for 1.5 h.[384]



n = 1, 2; R = Alk, Ar; X = O, CH_2; Y = CN, C(Z)NH_2; Z = O, S, Se.

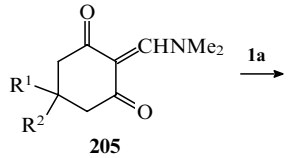
It has been noted[369, 481] that condensations of enamines prepared from cyclic oxo aldehydes and secondary amines are more regioselective.

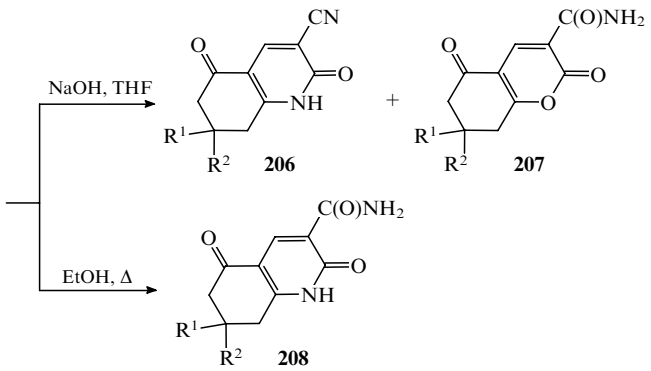
Hydrogenated 3-cyanoquinoline-2(1*H*)-thiones and -selenones **156a**,**b** were obtained by the condensation of 2-piperidinomethylidenecycloalkanones **204** with amides **1b**,**c** in ethanol in the presence of acetic acid in high yields.[85, 352, 387, 402–404, 446]



n = 2, 3; X = S (**a**), Se (**b**).

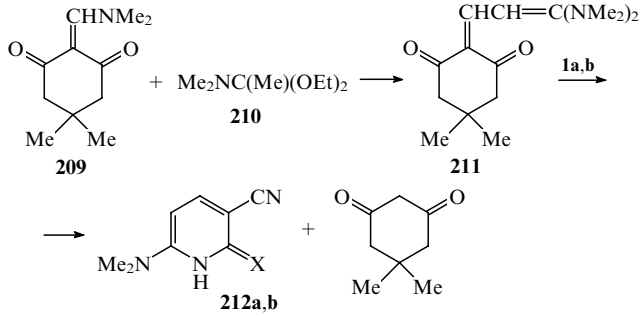
The reaction of 2-dimethylaminomethylidenenecyclohexanediones **205** with cyanoacetamide (**1a**) in THF in the presence of NaOH gives rise to a mixture of cyanopyridones **206** and carbamoylpyrones **207**. When enamines **205** are made to react with the amide **1a** in ethanol under reflux, only carbamoylpyridones **208** are obtained in high yields.[369]





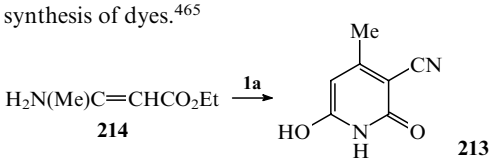
R^1 = H, Me; R^2 = H, Me, Ph.

The reaction of the enamine **209** prepared from dimedone with *N*,*N*-dimethylacetamide diethyl acetal (**210**) affords diaminodiene **211** in high yield. The latter reacts with amides **1a**,**b** with the elimination of dimedone to give 3-cyano-6-dimethylaminopyridin-2(1*H*)-one (**212a**) or -thione **212b**, respectively.[449]

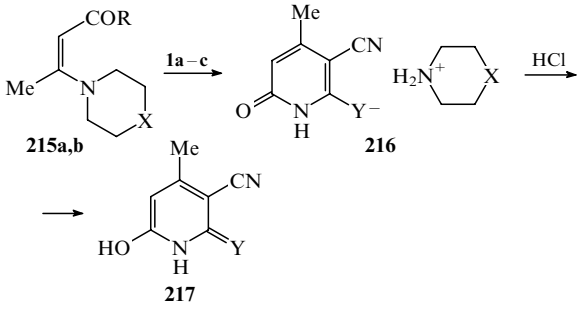


X = O (**a**), S (**b**).

3-Cyanopyridin-2(1*H*)-ones, -thiones and -selenones can also be synthesised from β-enamino esters. Thus the condensation of the β-enamino ester **214** with the amide **1a** affords 3-cyano-6-hydroxy-4-methylpyridin-2(1*H*)-one (**213**), which is employed in the synthesis of dyes.[465]

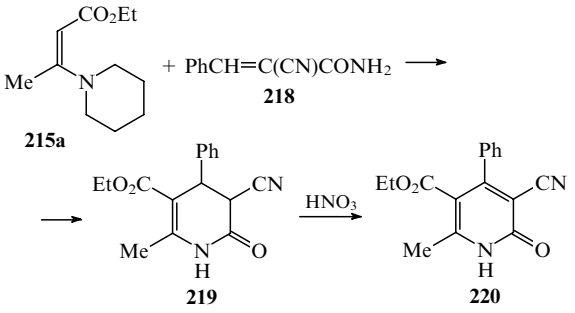


It was found[447, 483, 484] that enamines **215a** and **215b** prepared from ethyl acetoacetate or acetoacetanilide are more reactive toward amides **1a**–**c** than ethyl acetoacetate itself. Thus the reactions of enamines **215a**,**b** with amides **1a**–**c** in ethanol at room temperature afford salts **216** in high yields. The latter are readily converted into the corresponding pyridinones, -thiones or -selenones **217** on treatment with HCl. The pyridone **217** (X = O) was also prepared by the reaction of the enamine **215a** (X = CH_2) with the amide **1a** in water followed by acidification of the reaction mixture.

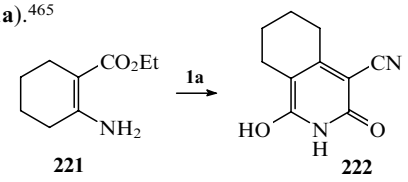


R = OEt (**215a**), NHPh (**215b**); X = O, CH_2; Y = O, S, Se.

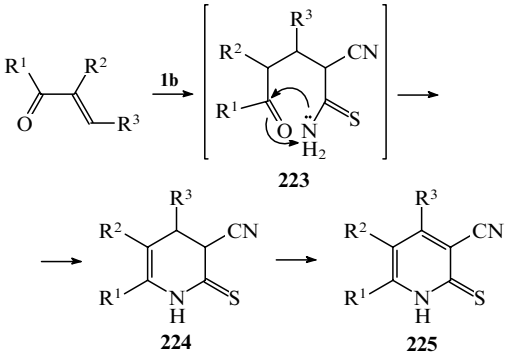
The β-enamino ester **215a** (X = CH_2) reacts with benzylidenecyanoacetamide **218** to give substituted 3,4-dihydropyridone **219**. The latter is transformed into ethyl 3-cyano-6-methyl-4-phenyl-1,2-dihydropyridine-5-carboxylate (**220**) on treatment with dilute nitric acid.[485]



Tetrahydroisoquinolinone **222** has been obtained by the condensation of β-enamino ester **221** with cyanoacetamide (**1a**).[465]



α,β-Unsaturated carbonyl compounds, owing to the substantial difference in reactivities of their nucleophilic centres, react with cyanothioacetamides yet more regioselectively than the corresponding enamino carbonyl compounds. In this case, the synthetic strategy consists in the condensation of unsaturated carbonyl compounds with cyanothioacetamide (**1b**) leading to 5-oxo thioamides **223**. The reason for regioselectivity is that Michael addition occurs preferentially, rather than the Knoevenagel condensation involving the carbonyl group. Further intramolecular cyclisation of the adducts **223** and dehydrogenation of pyridinethiones **224** result in 3-cyanopyridine-2(1*H*)-thiones **225** (see reviews [28, 41] and papers [486–500]).

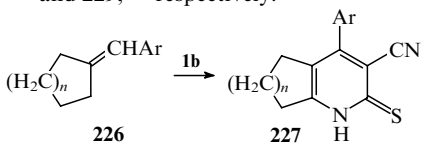


R^1 = H, Alk, Ph, Bn,  ; R^2 = H; R^3 = Ph, 4-ClC_6H_4, 4-$MeOC_6H_4$, 4-$NO_2C_6H_4$, 4-$MeNC_6H_4$; R^2–R^3 = $(CH_2)_4$.

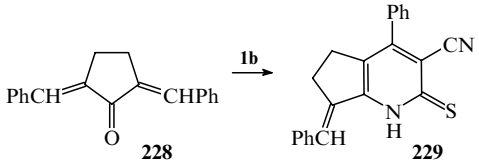
These reactions were initially used in the synthesis of 4,5-diaryl-3-cyanopyridine-2(1*H*)-thiones.[343, 486, 488] Later, a series of 4,6-dihetaryl-pyridinethiones was obtained.[352, 491, 492] α,β-Unsaturated carbonyl compounds were also employed in the synthesis of 4-aryl-6-methyl-[347, 358] and 4,5,6-trisubstituted 3-cyanopyridine-2(1*H*)-thiones.[41, 346, 385, 386, 487, 490] The direction of any particular reaction depends on the structure of the initial compounds, reaction conditions and catalyst. Thus reactions with the amide **1b** in boiling ethanol or methanol in the presence of sodium alkoxides result in the formation of substituted 3-cyanopyridine-2(1*H*)-thiones **225**.[41, 343, 346, 347, 352, 358, 385, 386, 486, 487, 491, 492] However, if the sodium alkoxide catalyst is replaced by an equimolar amount of an organic base and the reaction is carried out at 20 °C, only tetrahydropyridines **224** are formed.[489, 493–498] In this case, an organic base acts not only as a catalyst but also as a stabiliser of the partially hydrogenated pyridinethiones, which can be isolated as stable salts. The latter are converted into pyridinethiones **225** on treatment with HCl and oxidation with atmospheric oxygen.

Although the condensations of α,β-unsaturated ketones with cyanothioacetamide (**1b**) afford the final products in somewhat lower yields compared to those obtained from 1,3-dicarbonyl compounds, this is counterbalanced by the selectivity of the reaction. Thus only the corresponding 3,4- or 4,4-bipyridines are formed from 1-(3- or 4-pyridyl)but-1-en-3-ones, respectively.[167]

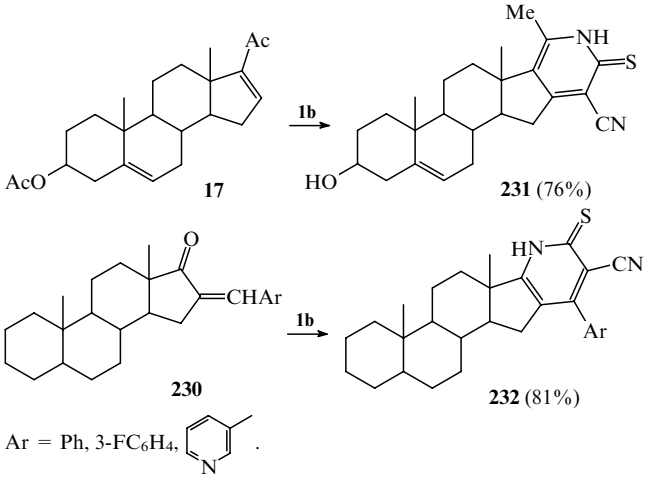
The reactions of 2-arylidenecyclopentan(or -hexan)ones **226** and of 2,5-dibenzylidenecyclopentanone (**228**) with the amide **1b** give rise to 5,6-annelated 4-aryl–3-cyanopyridine-2(1*H*)-thiones **227** [499] and **229**,[501] respectively.



n = 1, 2; Ar = Ph, 4-ClC_6H_4.

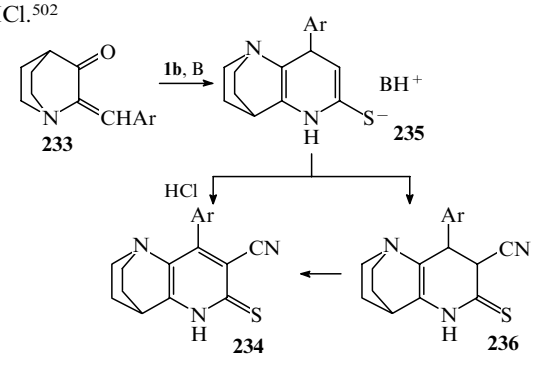


Pyridinethiones annelated with steroids were obtained using the reactions of the amide **1b** with α,β-unsaturated ketones **17** and **230**. The condensation of the amide **1b** with the ketone **17** is accompanied by hydrolysis of the acetoxy group and results in pyridinethione **231**. The reaction of the amide **1b** with arylideneketone **230** affords 4-arylpyridinethione **232**.[60, 62]

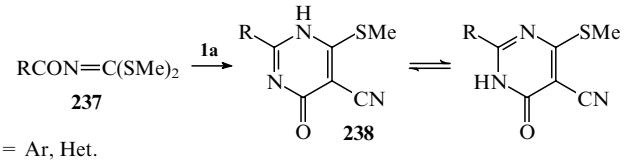


Ar = Ph, 3-FC_6H_4, .

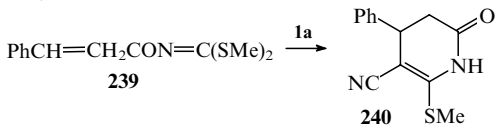
The condensations of 2-arylmethylidene-3-oxoquinuclidines **233** with the amide **1b** lead to the formation of stable salts **235**, which are converted into partially hydrogenated 4-aryl-3-cyano-1,5-naphthyridine-2(1*H*)-thiones **234** or **236** on treatment with HCl.[502]



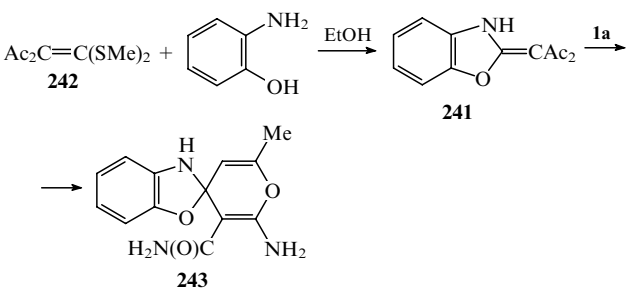
Reactions of cyanoacetamides with aroyl- and hetaroylimino dithioethers have been described.[273, 503–506] Thus reactions of compounds **237** with cyanoacetamide (**1a**) in methanol in the presence of sodium methoxide afford 2-aryl(hetaryl)-5-cyano-6-methylthio-3,4-dihydropyrimidin-4-ones **238** in 40%–60% yields. However, a vinylogue of compounds **237**, *viz*., iminodithioether **239**, gives under similar conditions 5-cyano-6-methylthio-4-phenyl-3,4-dihydropyridin-2-one (**240**) in 70% yield.[504]



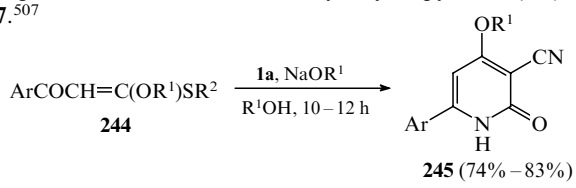
R = Ar, Het.

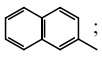


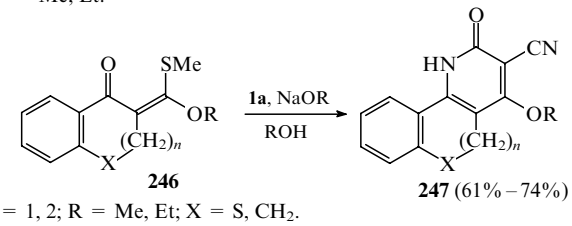
2-(Diacetylmethylidene)benzooxazole (**241**) prepared from dimethyl dithio acetal **242** and 2-aminophenol reacts with the amide **1a** in boiling methanol in the presence of piperidine to give spiro compound **243** in 58% yield.[505]



O,*S*-Acetals **244** react with the amide **1a** on heating in ethanol in the presence of sodium alkoxides yielding 4-alkoxy-3-cyanopyridin-2(1*H*)-ones **245**. Similar reactions of cyclic *O*,*S*-acetals **246** give rise to annelated 4-alkoxy-3-cyanopyridin-2(1*H*)-ones **247**.[507]

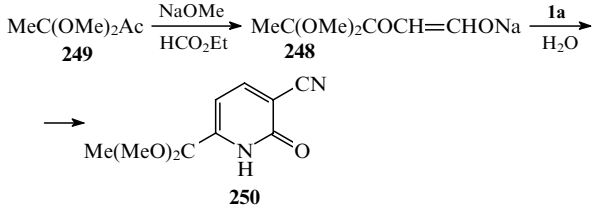


Ar = Ph, 4-MeOC$_6$H$_4$, 4-ClC$_6$H$_4$,  ; R^1 = Me, Et, Pr^n; R^2 = Me, Et.

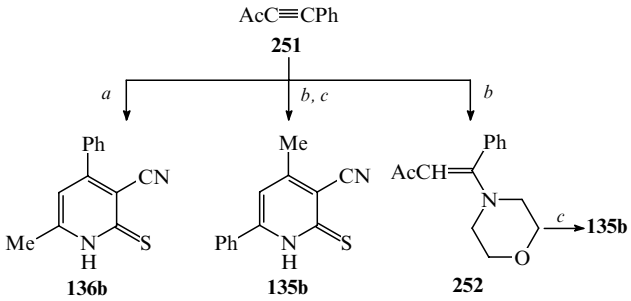


n = 1, 2; R = Me, Et; X = S, CH$_2$.

Salt **248** prepared by the reaction of diacetyl dimethyl ketal **249** with methyl formate in the presence of sodium methoxide reacts with the amide **1a** to give trimethyl orthopicolinate **250**.[508]

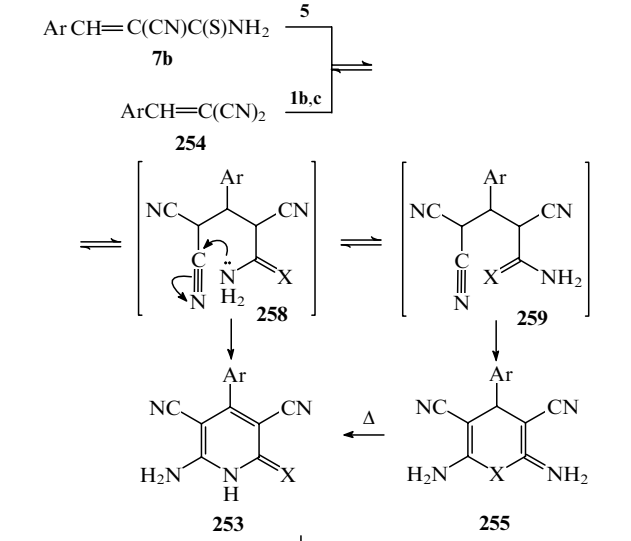


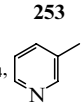
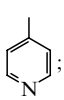
The use of α,β-ynones as substrates in the reactions with cyanoacetamides has been described.[85, 347, 463, 509] 3-Cyano-6-methyl-4-phenylpyridine-2(1*H*)-thione (**136b**) was obtained on stirring a solution of acetylphenylacetylene (**251**) with cyanothioacetamide (**1b**) in ethanol in the presence of morpholine at 25 °C.[85, 347, 463] However, the reaction of equimolar amounts of the ketone **251** with morpholine followed by the addition of the amide **1b** to the reaction mixture gave isomeric 3-cyano-4-methyl-6-phenylpyridine-2(1*H*)-thione **135b**. It was concluded [85] that the Michael addition of the amide **1b** to the ketone **251** is realised in the first reaction (path *a*) in which morpholine plays the role of a basic catalyst increasing the nucleophilicity of the methylene group in the amide **1b**. In the latter case (path *b*), β-enamino ketone **252** is initially formed, which then reacts with the amide **1b** in a selective manner to give the isomer **135b**. This mechanism was proved by isolation of intermediate β-enamino ketone **252**.[85]

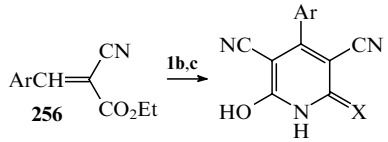


(*a*) **1b**, HN O, EtOH; (*b*) HN O, EtOH, 25 °C, 3–4 h; (*c*) **1b**.

The use of α,β-unsaturated nitriles in reactions with amides **1b,c** for the preparation of 3-cyanopyridine-2(1*H*)-thiones and selenones is based on the formation of δ-oxothio- and δ-oxoselenoamide intermediates, which easily cyclise into a pyridine ring under the reaction conditions.[49, 115, 353, 447, 498, 510–521] This is exemplified in the preparation of 6-amino-4-aryl(hetaryl)-3,5-dicyanopyridine-2(1*H*)-thiones and -selenones **253** by the reactions of arylmethylidenecyanothioacetamides **7b** with malononitrile (**5**) or of arylmethylidenemalononitriles **254** with thio- and selenoamides **1b,c**. Pyridinethiones and -selenones **253** can also be prepared by recyclisation of intermediate 2,6-diamino-4-aryl-3,5-dicyanothio(or -seleno)pyranones **255**. The latter were isolated in some cases.[49, 510, 512, 515–518] 4-Aryl-3,5-dicyano-6-hydroxypyridine-2(1*H*)-thiones and -selenones **257** are synthesised by analogous reactions of arylmethylidenecyanoacetates **256** with amides **1b,c**.[519–521]



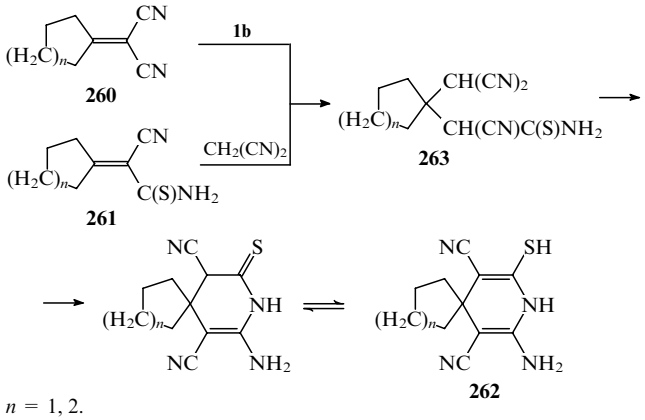
Ar = Ph, 4-BrC$_6$H$_4$,  ,  ; X = S, Se.



X = S, Se.

The ambident nature of the thioamide and selenoamide groups in intermediates **258** and **259** is believed to be the reason for the formation of pyridinechalcogenones **253** or thio(seleno)pyrans **255**, the outcome of the reactions depends on the reaction conditions. Thio(seleno)pyrans **255** are formed under kinetically controlled conditions, while pyridinechalcogenones **253** are formed under thermodynamic control. Such a dual chemical behaviour of intermediates **258** and **258** often prevents the unambiguous elucidation of the structure of the reaction products and often leads to misinterpretations. Thus the structure of 5-arylmethylidenpyridinethione has been erroneously attributed to the products of thermodynamically controlled reactions of arylmethylidenemalononitriles **254** with cyanothioacetamide **1b**.[520, 521] This incorrect structure was then reproduced in reviews.[34, 166] Another similar mistake has been made with respect to the product obtained in the reaction of (1-amino-2,2,2-trichloroethylidene)malononitrile with the amide **1b**;[522] this is not pyridinethione derivative **253** (X = S), but rather the thiopyran derivative **255**.[523] Once again, no evidence in favour of earlier [524] postulated formation of 3,4-dihydropyridinethiones has been found later.

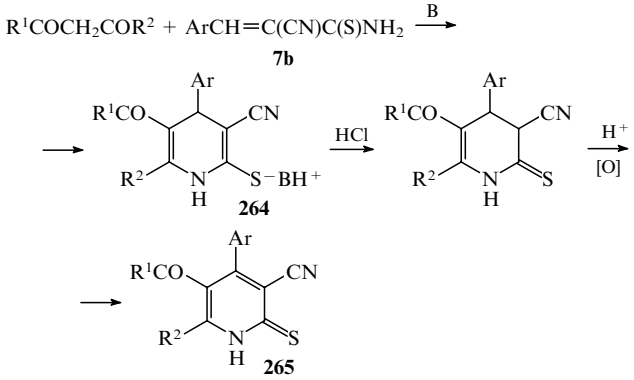
One-step condensation of cycloalkylidenemalononitriles **260** with cyanothioacetamide (**1b**) or the corresponding cyanothioamides **261** with malononitrlile proceeds *via* intermediates **263** and results in spirodihydropyridines **262**.[58]



n = 1, 2.

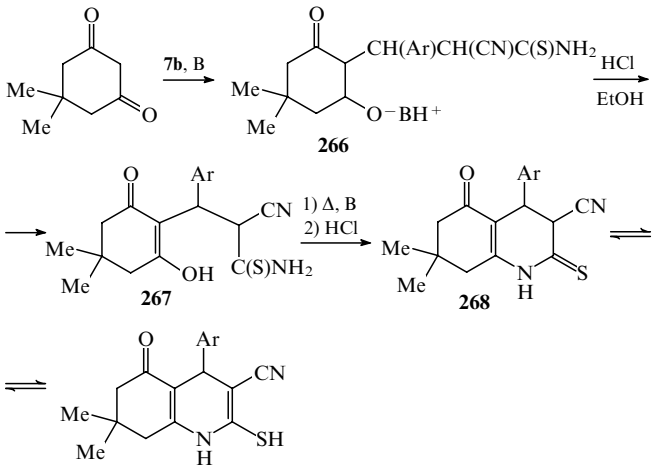
The reactions of arylmethylidenecyanothioacetamides **7b** with aldehydes, ketones, esters, amides and the corresponding enamines are also widely used in the synthesis of 4-aryl-3-cyanopyridine-2(1*H*)-thiones. Depending on the structure of the initial carbonyl compound and the reaction conditions, these reactions can stop in the stage of either hydrogenated pyridinethiones or their oxidised forms.[49, 510–512, 525–530]

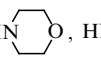
Unlike monocarbonyl compounds, acyclic 1,3-dicarbonyl compounds and β-enamino carbonyl derivatives react with the amides **7b** in ethanol in the presence of an organic base (piperidine, morpholine, diethylamine, hexamethyleneimine) at 25 °C to give 1,4-dihydropyridine-2-thiolates **264**. The latter are converted into 3-cyano-3,4-dihydropyridine-2(1*H*)-thiones **265** upon treatment with 10% HCl.[49, 113, 349, 352, 446, 488, 495, 510–512, 529, 531–538]



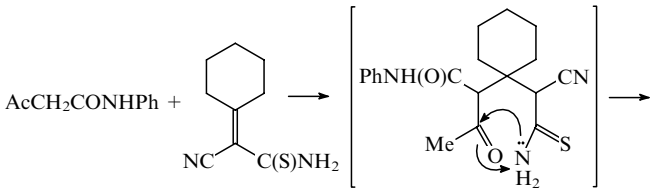
R^1 = Me, OEt, Ph; R^2 = Me, CF_3; Ar = 4-FC_6H_4, 4-BrC_6H_4, 4-ClC_6H_4, 2-$NO_2C_6H_4$, , .

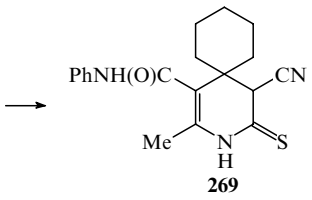
The reaction of the amide **7b** with a cyclic dicarbonyl compound such as dimedone allowed one to isolate the intermediate Michael adducts **266** as salts.[113, 512] Acidification of the salts **266** affords compounds **267**. Heating of the latter in ethanol in the presence of a base followed by acidification results in 3,4-dihydropyridinethiones **268**.



Ar = 4-FC_6H_4, , , B = , .

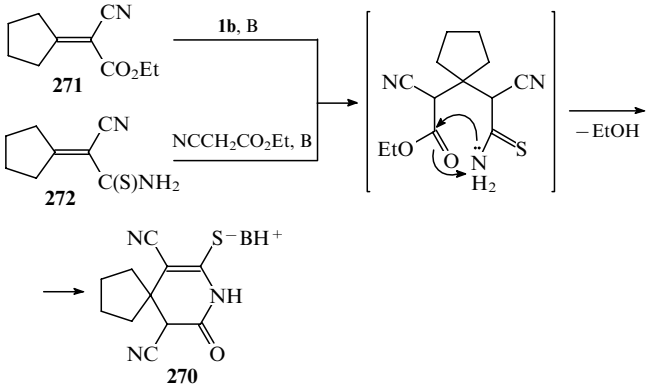
4-Aryl-5-arylcarbamoyl-3-cyano-6-methylpyridine-2(1*H*)-thiones,[539] stable 3-cyano-4-[2-furyl(thienyl)]-3,4-dihydropyridine-2(1*H*)-thiones,[540] 3-cyano-4-(2-furyl)-6-methyl-5-phenylcarbamoyl-1,4-dihydropyridine-2-thiolates and -selenolates [54] have been synthesised using the reactions of acetoacetanilides with the amides **7b**. This approach was also used for the preparation of 6′-methyl-5′-phenylcarbamoyl-3′,4′-dihydro-spiro[cyclohexane-1,4′-pyridine]-2′(1′*H*)-thione (**269**) from acetoacetanilide and cyclohexylidenecyanothioacetamide.[541]





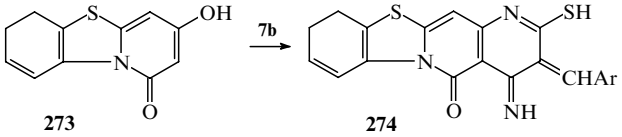
269

Synthesis of 3′,5′-dicyano-6′-oxo-spiro[cyclopentane-1,4′-1′,4′,5′,6′-tetrahydropyridine]-2′-thiolate **270** by the reaction of ethyl cyclopentylidenecyanoacetate (**271**) with cyanothioacetamide (**1b**) in the presence of *N*-methylmorpholine or by the reaction of cyclopentylidenecyanothioacetamide (**272**) with ethyl cyanoacetate under similar conditions is yet another example of the design of spiro-fused hydrogenated pyridine derivatives.[542]



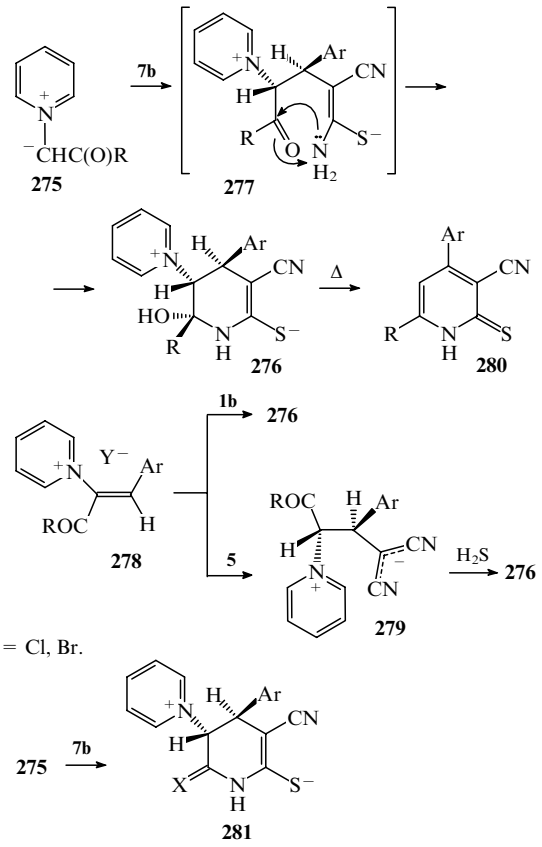
270

All experimental results suggest that reactions of arylmethylidenecyanothioacetamides **7b** and their carbocyclic derivatives with 1,3-dicarbonyl compounds and their enamines always involve the stage of intermediate formation of Michael adducts such as 1,4-dihydropyridine-2-thiolates and 3,4-dihydropyridine-2(1*H*)-thiones. The only exception is the condensation of benzothiazolone **273** with the amides **7b**, which results in the formation of annelated system **274**.[543]



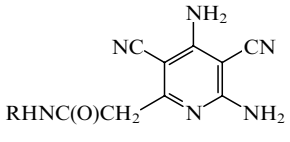
273 **274**

As to the stereochemical aspects of reactions of cyanoacetamides and their S- and Se-analogues, they remained unexplored until recently. Pyridinium ylides are very convenient model compounds for the study of regio- and stereoselectivity of formation of 3-cyanopyridin-2(1*H*)-thiones.[58, 71, 83, 85–88, 288, 492, 544–559] It has been shown that condensations of arylmethylidenecyanothioacetamides **7b** with pyridinium ylides **275** generated *in situ* from the corresponding salts result in 3,4-*trans*-1,2,3,4-tetrahydropyridine-6-thiolates **276**. The high selectivity of these reactions is due to the stereoselective addition of the ylides **275** (X = S) to the amides **7b**. Subsequent cyclisation of adducts **277** into betaines **276** occurs with retention of the *trans*-arrangement of the hydrogen atoms.[549, 554] Betaines **276** were obtained in high yields from pyridinium salts **278** and cyanothioacetamide (**1b**).[551] When malononitrile (**5**) was used in the reaction instead of amide **1b**, *trans*-adducts **279** were obtained from compounds (*E*)-**278**; these were isolated and examined. Treatment of the adducts **279** with H_2S afforded *trans*-tetrahydropyridines **276**. The latter gave 3-cyanopyridin-2(1*H*)-thiones **280** upon boiling in AcOH in the presence of ammonium acetate. The condensations of amides **7b** with pyridinium ylides **275** (X = O, S) give 2-oxo(thioxo)tetrahydropyridine-6-thiolates **281** in high yields.

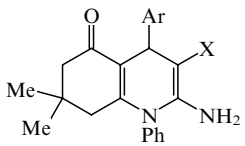


275 **277** **276** **280** **278** **279**

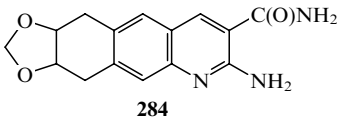
A great number of other examples of the use of cyanoacetamides and their S- and Se-derivatives in the synthesis of functionalised 3-cyanopyridin-2(1*H*)-ones, -thiones and -selenones,[560–604] 3-cyanopyridin-2-olates and -thiolates,[605–609] pyrimidin-2-ones,[610–616] tetrahydroquinolin-2-ones,[617, 618] 5-cyano-3,4-diphenylpyridazin-6-one,[619] 2-aryl-5-cyano-4-methylthio-1,3-oxazin-6-ones[620] and other heterocyclic compounds are also documented. Cyanoacetamides **1a**,**b** have found application in the synthesis of substituted pyridines **282**,[621] quinolines **178**,[438] **283**,[622] **284**,[623, 624] isoquinolines **285**,[388, 389, 625] **286**,[626] pyrazine **287**,[627] dihydropyrrolopyrimidines **288**[628] and triazines **289**.[629, 630] Among other heterocyclic systems synthesised with the use of amides **1a**–**c** and their derivatives, thio- and selenopyrans,[49, 510, 512, 515–518, 631, 632] coumarins and thiocoumarins,[439, 442, 633, 634] and thiazines[635] are worth mentioning. Apart from that, the preparation of carbocyclic systems of the cyclohexane series from cyanoacetamide (**1a**) has been described.[425, 636]



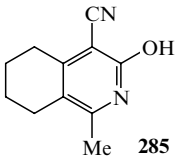
282 (R = H, Ph)



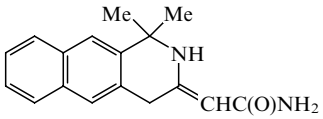
283 (X = CN, CO_2Et, $CONH_2$; Ar = Ph, 4-ClC_6H_4, 4-BrC_6H_4, 3-$NO_2C_6H_4$)



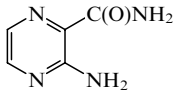
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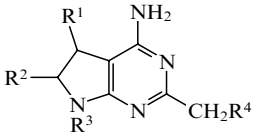
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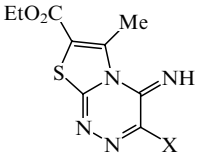
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287

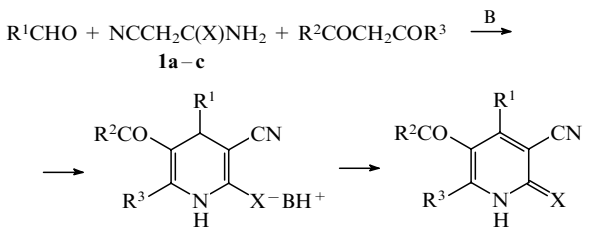


288 (R^1 = H, Ph; R^2 = H, Me; R^3 = CO_2Et; R^4 = OMe, OEt, NH_2)



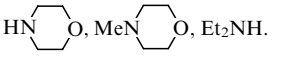
289 (X = CN, $C(O)NH_2$, $C(S)NH_2$)

In the last decade, many derivatives of pyridin-2(1*H*)-ones, -thiones, -selenones and their salts have successfully been synthesised using a three-component condensation of cyanoacetamides or their derivatives with aliphatic, aromatic or heterocyclic aldehydes and 1,3-dicarbonyl compounds or their derivatives in the presence of an excess of organic base.[495, 531, 532, 534, 535, 637–653]

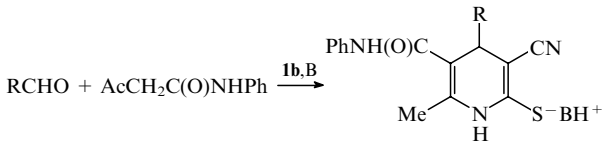


1a–c

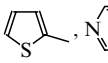
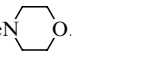
R^1 = Alk, Ar, Het; R^2 = Alk, 2-MeC_6H_4NH; R^3 = Alk, NHPh;

X = O, S, Se; B = 

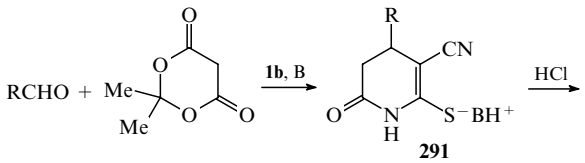
Synthesis of 4-(aryl or hetaryl)-3-cyano-6-methyl-5-phenylcarbamoyl-1,4-dihydropyridine-2-thiolates **290** from aromatic or heterocyclic aldehydes, amide **1b** and acetoacetanilide in the presence of an excess of *N*-methylmorpholine may be regarded as an illustration of such an approach.[642–644]



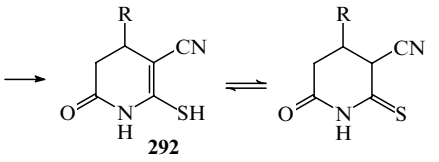
290

R = Ph, 2-ClC_6H_4, 2-MeC_6H_4, ; B = 

Cyclic diketones (cyclohexane-1,3-dione, dimedone, Meldrum's acid) were also used as 1,3-dicarbonyl components.[645–647, 651] Thus 3-cyano-4-[aryl(or hetaryl)]-2-oxo-1,2,3,4-tetrahydropyridine-2-thiolates **291** have been obtained on refluxing an equimolar mixture of the corresponding aldehyde, the amide **1b** and Meldrum's acid in ethanol in the presence of *N*-methylmorpholine. Compounds **291** are converted into 5-amino-4-[aryl (or hetaryl)]-6-mercapto-3,4-dihydropyridin-2(1*H*)-ones **292** on acidification with 10% HCl to pH 5.[646]



291



292

R = 4-MeC_6H_4, , , ; B = .

The use of pyridinium ylides **275** (X = O) in the three-component condensations allows one to perform a one-pot synthesis of 3-cyanopyridin-2(1*H*)-ones without preliminary synthesis of arylmethylidenecyanothioacetamides **7b**.[58, 83, 85, 288, 492, 549, 550]

IV. Conclusion

The data considered here clearly demonstrate the high synthetic potential of cyanoacetamides and their thio- and selenocarbonyl analogues. Many biologically active heterocyclic compounds have been obtained based on these reagents. This suggests that cyanoacetamides and their arylmethylidene and hetarylmethylidene derivatives can be particularly promising starting materials in combinatorial synthesis of functionalised carbo- and heterocyclic compounds used in the design of novel highly effective pharmaceuticals with a broad range of action. The great interest of chemists in these reagents is confirmed by the fact that more than 490 papers of 653 cited in this review are dated to the last 10–15 years and more than 20% of them are patents.

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