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Masataka Yokoyama[a]; Hideo Togo[a]; Shinichi Kondo[a]
[a] Department of Chemistry, Faculty of Science, Chiba University, Yayoi-cho, Chiba City, Japan

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SYNTHESIS OF HETEROCYCLES FROM KETENE DITHIOACETALS

MASATAKA YOKOYAMA*, HIDEO TOGO, and SHINICHI KONDO
Department of Chemistry, Faculty of Science, Chiba University, Yayoi-cho, Chiba City 260, Japan

(Received October 9, 1989)

This review describes the synthesis of heterocycles starting from ketene dithioacetals and related compounds (ketene *S,S*-acetals, ketene *N,S*-acetals, ketene *N,N*-acetals, α-oxoketene *S,S*-acetals, α-oxoketene *N,S*-acetals, and α-oxoketene *N,N*-acetals). Post-1980 literature is mainly taken into account.

Key words: dithioacetals, synthesis of heterocycles, rearrangements.

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CONTENTS

1. INTRODUCTION

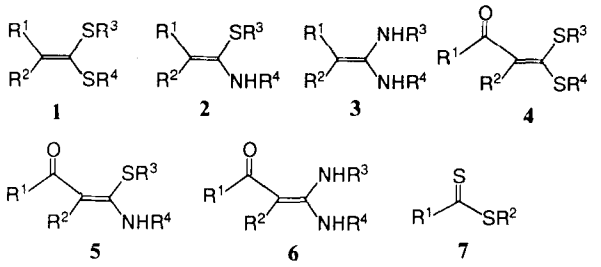
Ketene dithioacetals and related compounds are well known as useful starting materials for the synthesis of heterocycles. Among the ketene dithioacetals, the α-oxoketene dithioacetals have emerged in the field of heterocyclic synthesis as versatile 1,3-electrophilic three-carbon synthons[1] during the last ten years.

The ketene dithioacetals are simple synthetic intermediates which can be easily prepared by treatment of structurally diverse active methylene compounds with carbon disulfide in the presence of base, followed by alkylation.

The ketene dithioacetals so formed exhibit well-defined physical properties[2d] and can be purified by conventional purification methods. They are stable at room temperature

and can withstand mildly acidic and alkaline conditions and can be stored indefinitely without apparent decomposition.

Therefore, many reactions have been reported for the synthesis of heterocycles from ketene dithioacetals and related compounds, such as ketene *S,S*-acetals **1**, ketene *N,S*-acetals **2**, ketene *N,N*-acetals **3**, α-oxoketene *S,S*-acetals **4**, α-oxoketene *N,S*-acetals **5**, α-oxoketene *N,N*-acetals **6**, and dithioesters **7**.



All these reactions have been discussed in recent reviews and monographs devoted to various aspects of organosulfur chemistry.[2]

Here we wish to describe recent notable topics in the field as well as our work in this area.

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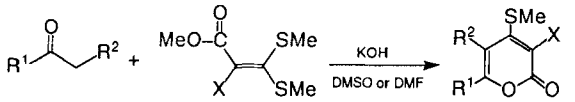
2. HETEROCYCLES FROM KETENE *S,S*-ACETALS

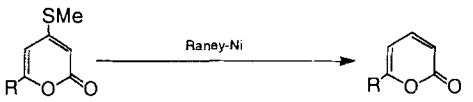
2*H*-Pyran-2-ones **10** have been synthesized by treatment of ketene *S,S*-acetals **9** with various kinds of ketones **8** under basic conditions at room temperature. The reaction pathway is as follows: the enolate anion of the corresponding ketone adds to the ketene *S,S*-acetal via Michael addition and then the methylthio group of the adduct is eliminated with formation of the corresponding 1,5-dicarbonyl derivative, which then cyclizes under basic conditions to give the above 2*H*-pyran-2-one.[3-9]



8 **9** **10**[7]

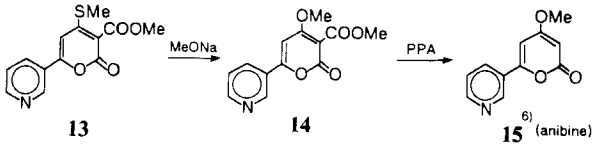
R^1 = Aryl, Alkyl
R^2 = H, Aryl, Alkyl
X = CN, COOMe

The natural products **12** and **15** have been prepared by this method.

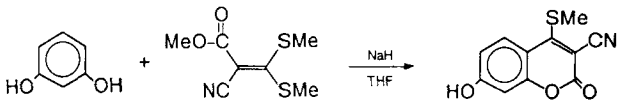


11 **12**

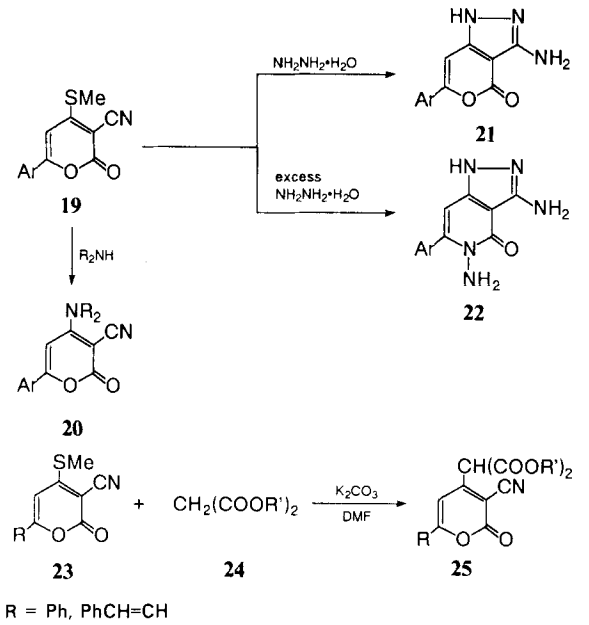
R = Ph (phenylcoumalin); 4-$MeOC_6H_4$ (paracotoin)



Resorcinol **16** reacts with methyl 1-cyano-2,2-*bis*(methylthio)-acrylate **17** to give the coumarin derivative **18**, 3-cyano-7-hydroxy-4-(methylthio)coumarin.



The methylthio group of the coumarin thus obtained is labile to some nucleophiles. The methylthio group on the pyran ring reacted readily with nucleophiles such as amines, active methylene compounds, and methoxy anion to yield the corresponding displacement products **20, 21, 22**, and **25** in good yields.[5]



The ring-condensed 2*H*-pyran-2-ones **29** have been synthesized by reaction of ketene *S*,*S*-acetals **27** with heterocyclic compounds **26** bearing active methylene groups.[10-14] The reaction is shown below with 2-oxindoles and ketene *S*,*S*-acetals.[10]

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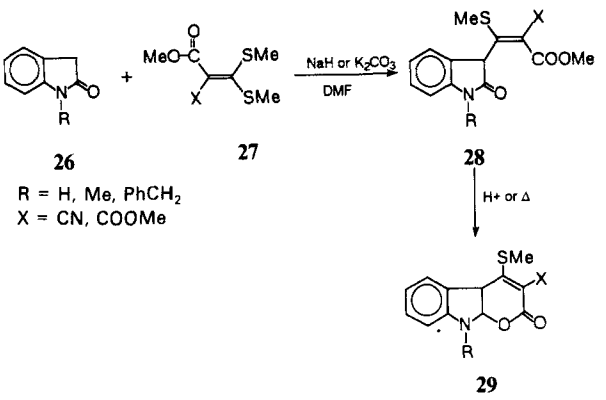
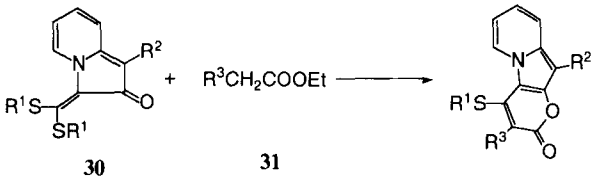


26 **27** **28**

R = H, Me, $PhCH_2$
X = CN, COOMe

29

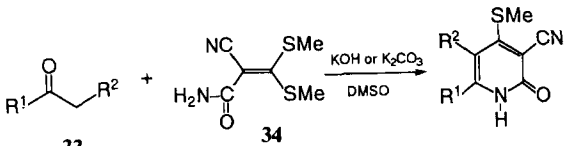
Furthermore, various kinds of pyranoindolidines **32** have been synthesized by reaction of indolidines **30** with active methylene compounds **31** via the same reaction pathways.[15]



30 **31** **32**

R^1 = H, Me, Ph
R^2 = Me, Et
R^3 = CN, COPh, COMe, COOEt, Ph

The reaction of various active methylene compounds **33** with ketene *S,S*-acetals, *bis*(methylthio)methylenemalononitrile or *bis*(methylthio)methylenecyanoacetamide **34** gave the corresponding 3-cyano-4-(methylthio)-2(1*H*)-pyridones, **35**.[16]



33 **34** **35**

R^1 = Aryl, Alkyl
R^2 = H, Me, COOEt, COMe

The reaction products **36** and **38** which were obtained by the reaction of dimedone and 1,3-indanedione with ketene *S,S*-acetals could be converted to the corresponding pyridones **37** and **39** by treatment with hydrochloric acid.[9]

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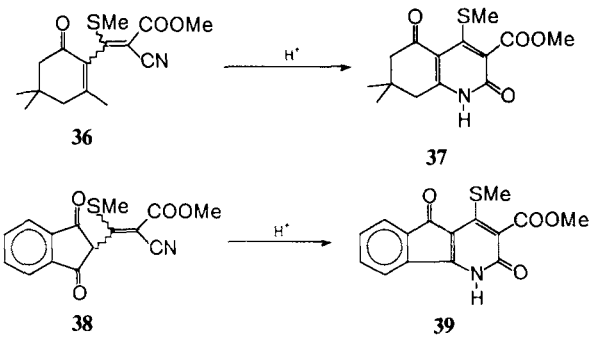
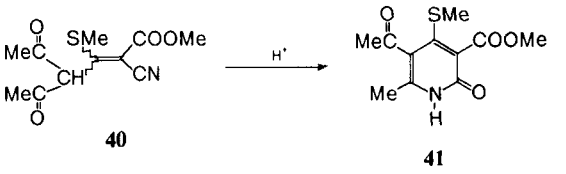
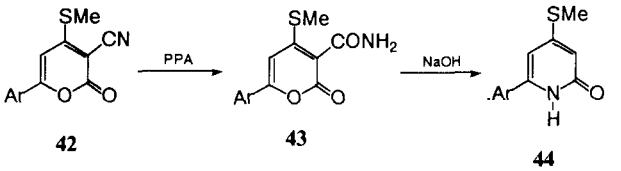


The following compound **40** derived from a ketene *S,S*-acetal and acetylacetone was converted to the corresponding pyridone derivative **41** by the same treatment.[15]



A methylthio group in the 4-position of a pyridone derivative is not reactive enough towards nucleophiles. However, that of the corresponding 1-methyl derivative is reactive to give the 4-substituted product by reaction with hydrazine or guanidine.

4-(Methylthio)-2-oxo-2*H*-pyran-3-carbonitriles **42** react with polyphosphoric acid (PPA) to give-4-(methylthio)-2-oxo-2*H*-pyran-3-carboxamides **43**, which are easily converted to 2-(1*H*)-pyridones **44** via ring cleavage reaction at 60 °C in 10% sodium hydroxide solution.[16]



Fujisawa *et al.* showed the utility of lithiated dimethylketene diisopropyl *S,S*-acetals as homoenolate dianion equivalents of isobutyric acid esters in the synthesis of α-methylenelactones **48**, including a natural product, tulipalin A.[17]

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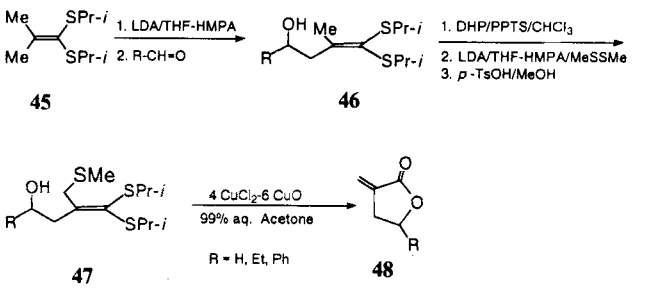
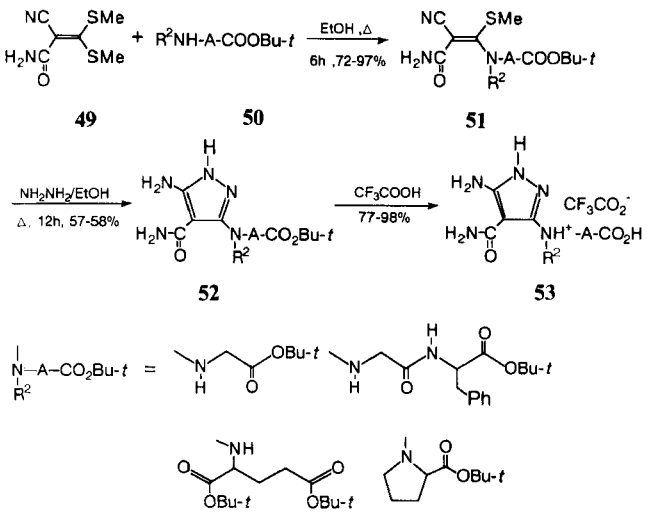


45 46 47 48

DHP = 2,3-dihydro-4*H*-pyran
PPTS = pyridinium *p*-toluenesulfonate

For the purpose of the synthesis of pharmaceutically interesting pyrazoles and triazoles, some *N*-(5-aminopyrazol-3-yl) **53** and *N*-(5-amino-1,2,4-triazol-3-yl) derivatives of amino acids or dipeptides were synthesized in good yield by reaction of α-(aminocarbonyl)-α-cyanoketene dimethyl *S,S*-acetal **49** with amino acids or dipeptide *t*-butyl esters **50** and cyclocondensation of the resultant α-(aminocarbonyl)-α-cyanoketene *N,S*-acetals **51** with hydrazine hydrate.[18]



49 50 51 52 53

Ketene *S,S*-acetals have been used for the synthesis of nucleosides.[19] Several unnatural pyrazole and 1,2,4-triazole nucleosides were synthesized in a regio- and stereoselective manner by the reaction of readily available ketene *S,S*-acetals with 1-ribofuranosylhydrazine.

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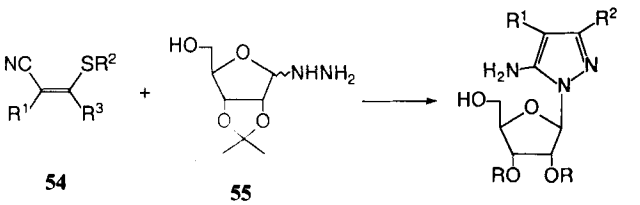
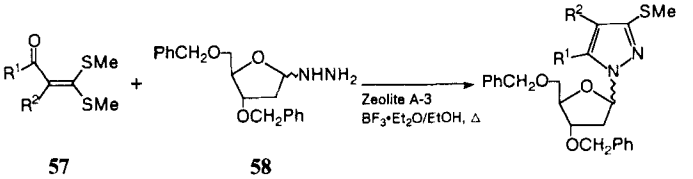


54 55 56

R^1 = CN, $CONH_2$
R^2 = Me, $PhCH_2$, $(CH_3)_3SiCH_2$
R^3 = SR^2, $CH_3(CH_2)_3$, Ph

R,R = CMe_2, R = H

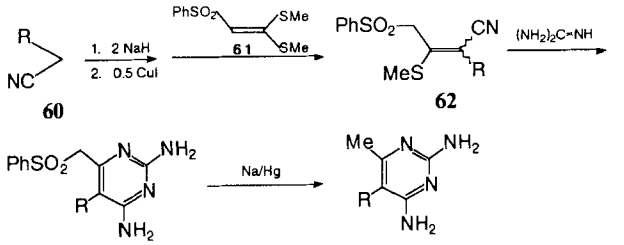
The reaction was extended to the synthesis of the unnatural deoxynucleosides **59**.[20]



57 58 59

R^1 = Ph, R^2 = H; R^1 = Me, R^2 = H; R^1 = R^2 = $(CH_2)_4$

(E)-2-(*p*-Chlorophenyl)-3-(methylthio)-4-(phenylsulfonyl)-2-butenenitrile **62**, prepared by reaction of (*p*-chlorophenyl)acetonitrile **60** and 1,1-*bis*(methylthio)-2-(phenylsulfonyl)ethene **61**, was treated with guanidine to give 5-(*p*-chlorophenyl)-2,4-diamino-6-(phenylsulfonylmethyl)pyrimidine **63** in 92% yield. Compound **63** could in turn be quantitatively converted to pyrimethamine **64**, an antimalarial agent, upon treatment with Na/Hg.[21]



60 61 62 63 64

R = 4-ClC_6H_4

4*H*-1,3-Thiazin-4-ones have been synthesized by condensation of β-(methylthio)-β-selenolo-α-cyanoacrylamide with a variety of ketones and aldehydes in an acidic medium.[22] This reaction has been applied to the synthesis of the 1,3-selenazin-4-ones **66** and **67**.[23]

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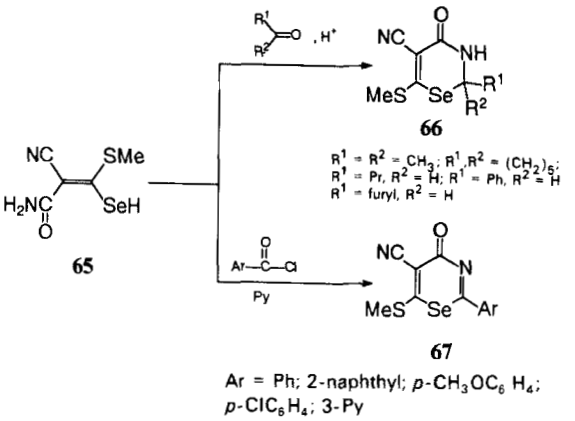
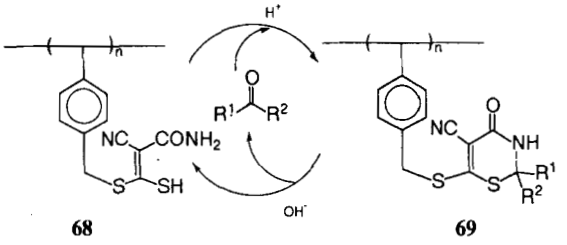


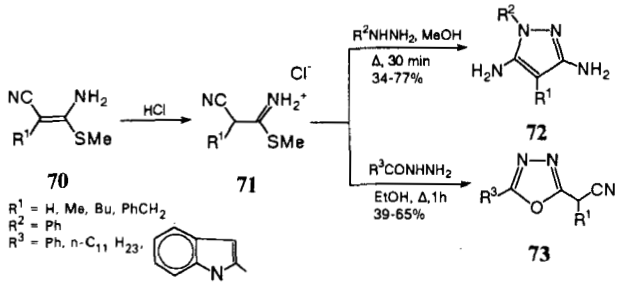
A new functionalized polymer **68** was synthesized by the reaction of poly(vinylbenzyl chloride) with *bis*(sodiomercapto)methylenecyanoacetamide.[24]

Interestingly, this polymer has a capability both for the fixation and release of various aldehydes and ketones depending on the pH. Thus, it functioned as excellent carrier reagent for various carbonyl compounds including pharmaceutically important ketones such as Loxonin®.



3. HETEROCYCLES FROM KETENE *N,S*- AND *N,N*-ACETALS

The reaction of alcoholic solutions of monosubstituted malononitriles with a 15% aqueous solution of sodium methanethiolate affords the corresponding ketene *N,S*-acetals in moderate yield. Thus, the so formed 2-substituted 3-amino-3-(methylthio)-acrylonitriles **70** were found to be good starting materials for the synthesis of 4-substituted 3,5-diaminopyrazoles **72** and 2,5-disubstituted 1,3,5-oxadiazoles **73**.[25]



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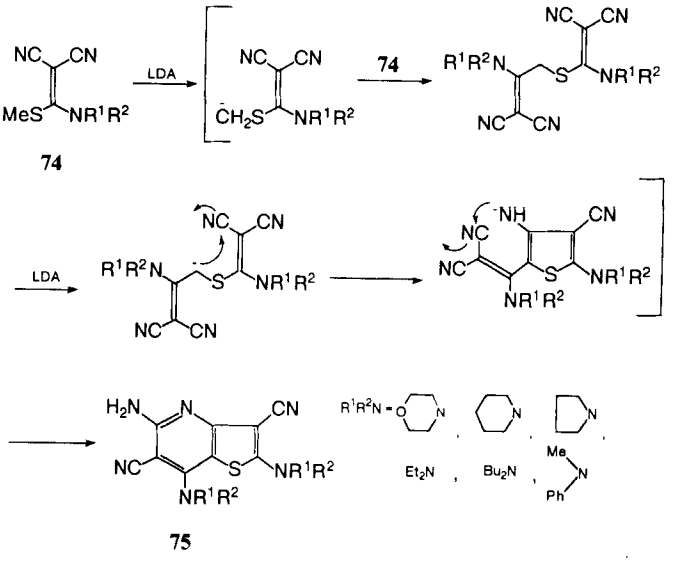
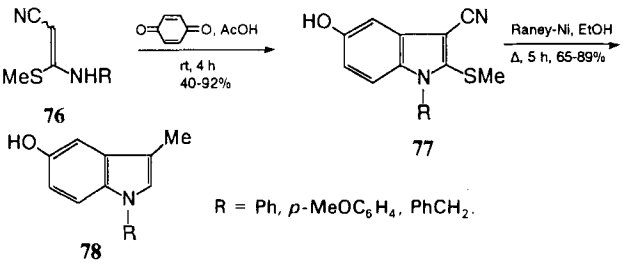
Several thieno[3,2-*b*]pyridines **75** could be easily synthesized by metallation of 3-(disubstituted amino)-2-cyano-3-methylthioacrylonitriles **74** using LDA directly.[26]



In order to increase the yield of the physiologically important 5-hydroxyindoles **78** the cyanoketene *N,S*-acetals **76** were employed as the enamines in the Nenitzescu reaction to give successful results.[27]



4. HETEROCYCLES FROM KETENE DITHIOACETALS VIA REARRANGEMENT

A new rearrangement reaction was observed when the α-cyanoketene dithioacetals **79** were allowed to react with carboxylic acids with polyphosphoric acid ethyl ester (PPE) as a condensation reagent to give the corresponding 1,3-thiazines **80**. This novel reaction was termed "*N,S*-double rearrangement". Namely, an interchange of N and S atoms took place in this condensation reaction.[28]

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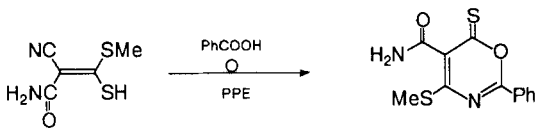
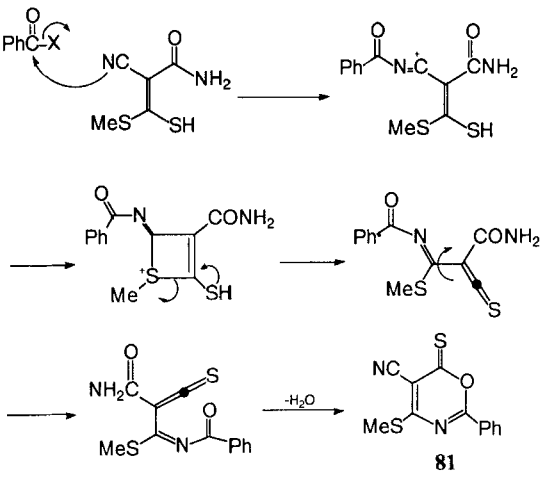


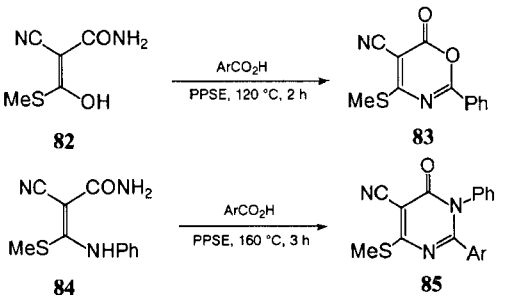
79 80

The reaction mechanism was elucidated by a ^{13}C-labeling experiment.[29] The key step of this reaction is considered to be the acylation of the cyano group of the acrylonitrile, followed by a 1,3-transfer of the methylthio group.



When the reaction was carried out strictly anhydrously compound **81** was obtained as the main product. Therefore, the ring transformation of **81** to **80** is considered to take place under the influence of the water formed in this reaction.

The reaction was extended to the α-cyanoketene *O*,*S*-acetals **82** and the *N*,*S*-acetals **84**. The *N*,*O*-and *N*,*N*-double rearrangements were also observed with polyphosphoric acid trimethylsilyl ester (PPSE), a stable dehydrating reagent at high temperature.[30]



Ar = Ph, 2-naph, 4-ClC_6H_4, 4-$MeOC_6H_4$, 4-$O_2NC_6H_4$, 2-furyl

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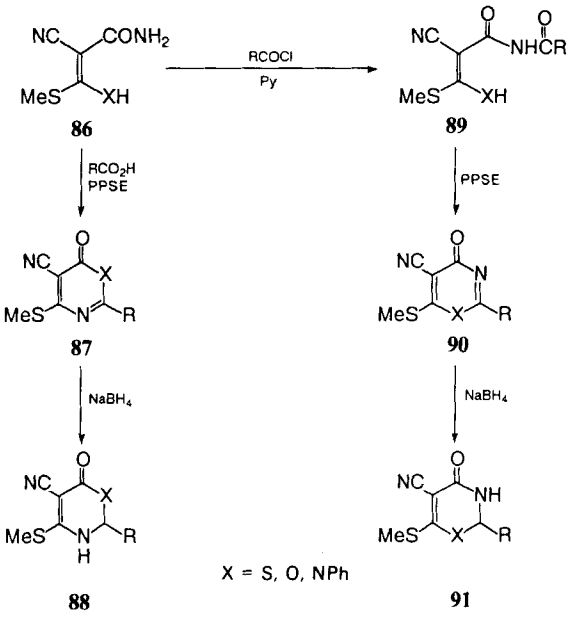
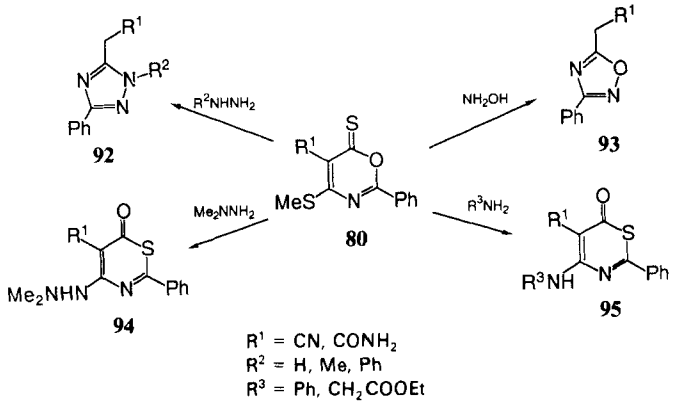
In conclusion, rearrangements such as *N,S*-, *N,O*-, and *N,N*-double rearrangements were observed when acrylonitrile derivatives substituted with two β-heteroatom groups (MeS or MeSe) and (SH, OH, or NHPh) were condensed with aromatic and aliphatic carboxylic acids in the presence of dehydrating agents bearing phosphorus atoms, such as PPE, PPSE, phosphorus trichloride, and propyl-1-phosphonic acid cyclic anhydride (PPCA).[31] Thus, the discovery of this rearrangement led to convenient syntheses of various 1,3-thiazine, 1,3-oxazine, and pyrimidine derivatives.



Furthermore, **80** could be converted to various heterocycles as below.[32]



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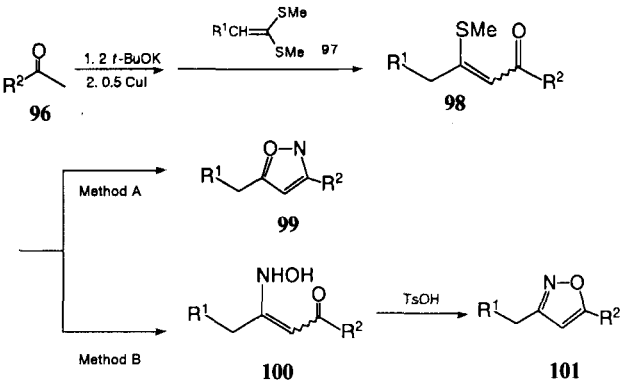
5. HETEROCYCLES FROM α-OXOKETENE *S,S*-ACETALS

α-Oxoketene *S,S*-acetals are easily available by reaction of ketones with carbon disulfide in the presence of base, followed by alkylation. Cycloalkanone ketene *S,S*-acetals are typically prepared by Thuillier's method,[33] the NaH method,[2e] or Corey's method.[34] Corey's method is preferred, both with respect to simplicity and yield.

The 3-aryl-5- and 5-aryl-3-(benzenesulfonylmethyl)isoxazoles **99** and **101**, respectively, have been regioselectively prepared by method A (NH_2OH-NaOH) and method B (NH_2OH) from 1-aryl-3-(methylthio)-4-(benzenesulfonyl)ethene **97** and α-metallated ketones.[35] 3-Methyl-5-(4-pyridyl)isoxazole synthesized by this reaction lowers blood sugar levels.



R^1 = $PhSO_2$
R^2 = Ph, 4-$MeOC_6H_4$, 2-naph, 2-pyridyl, 4-pyridyl, 2-thienyl, Me

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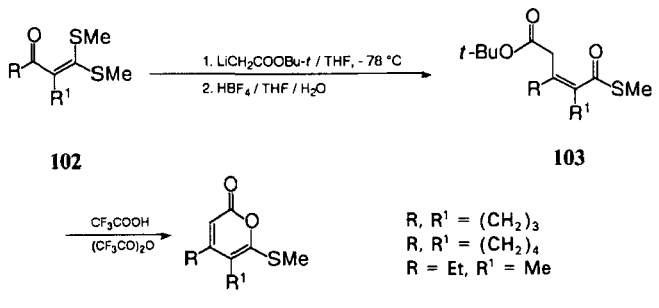
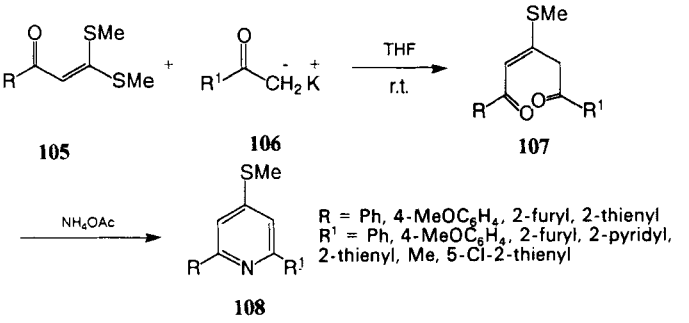
Dieter *et al.* have reported a synthetic method for α-pyrones starting from α-oxoketene *S,S*-acetals.[36] This is a convenient preparative procedure for α-pyrones **104** from α-oxoketene *S,S*-acetals with ester, ketones, or hydrazone enolate anions.



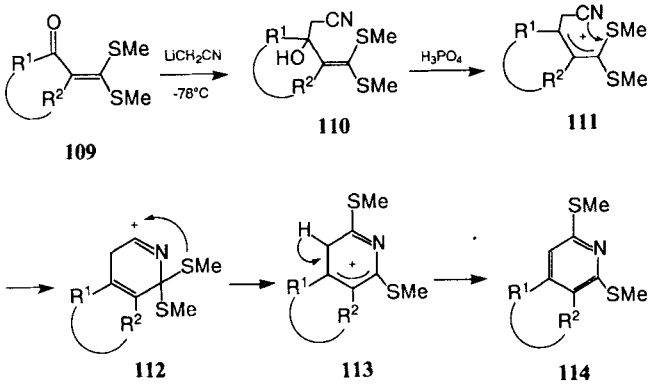
R, R^1 = $(CH_2)_3$
R, R^1 = $(CH_2)_4$
R = Et, R^1 = Me

The conjugate addition of methyl ketone carbanions **106** to the α-oxoketene *S,S*-acetal **105** affords the unsaturated 1,5-diketones **107**, which can easily be converted into the corresponding pyridines **108**.[37]



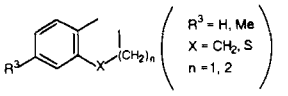
105 **106** **107** **108**

Junjappa *et al.* have reported many heterocyclic syntheses starting from α-oxoketene *S,S*-acetals. The 4-substituted and 4,5-annelated pyridines **114** were synthesized by 1,2-addition of lithioacetonitrile to α-oxoketene *S,S*-acetals **109**, followed by cycloaromatization of the resulting carbinol acetals **110** in the presence of phosphoric acid with a concomitant 1,3-methylthio shift.[38]



109 **110** **111** **112** **113** **114**

R1 = Ph, 2-naphthyl, 2-thienyl, 2-furyl, 3-pyridyl, Me
R2 = H, Me
R1, R2 = (CH2)4
R1, R2 =



The substituted ethyl 2-hydroxy-3-(methylthio)benzoates **120** were prepared by condensation of α-oxoketene *S,S*-acetals **115** with excess of the Reformatsky reagent **116** from ethyl bromoacetate.[39]

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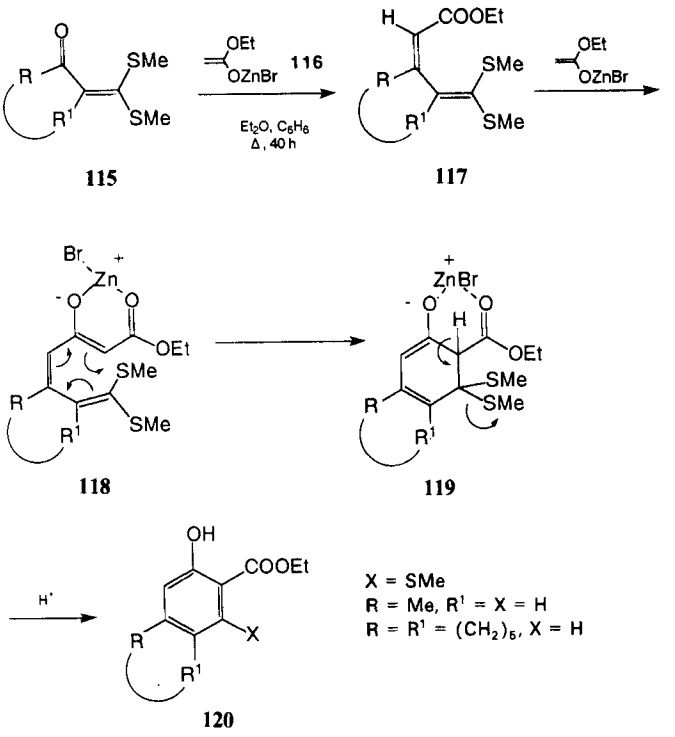
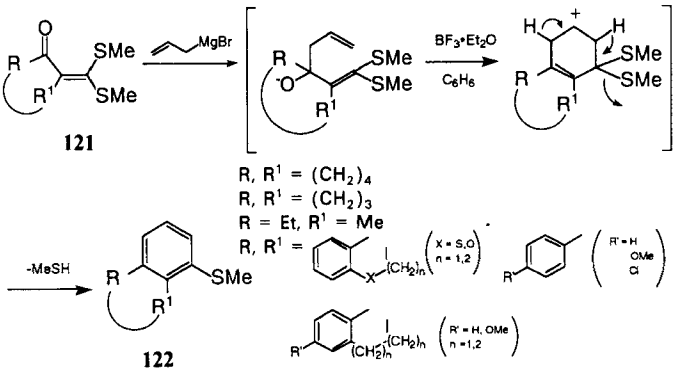


A similar reaction took place between α-oxoketene *S,S*-acetals **121** and allylmagnesium bromide.[40]



Also the α-oxoketene *S,S*-acetals **123** reacted with benzylmagnesium chloride to give the naphthoannelated aromatic compounds **124** by sequential 1,4- and 1,2-additions, followed by subsequent cycloaromatization of the resulting carbinols.[41]

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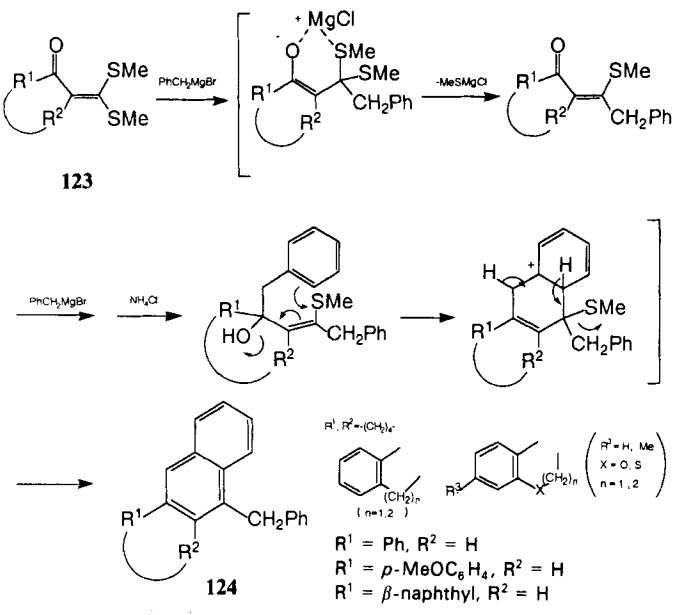
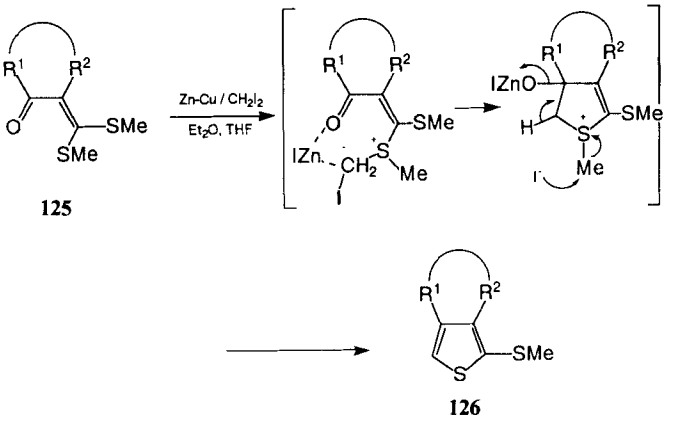


123 124

The 3,4-substituted and annelated thiophenes **126** were synthesized by an intramolecular cyclocondensation of sulfonium ylide intermediates under Simmons-Smith conditions starting with α-oxoketene *S*,*S*-acetals **125**.[42]



125 126

The reactions of α-aroyl-α-bromoketene *S*,*S*-acetals **127** with hydrazine hydrate yielded 3(5)-aryl-5(3),4-*bis*(alkylthio)pyrazoles **128**, 3(5)-arylpyrazoles **129**, and 4-amino-5(3)-(alkylthio)pyrazoles **130** in varying yields.[43]

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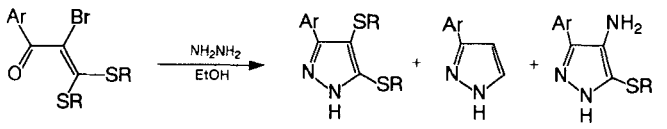
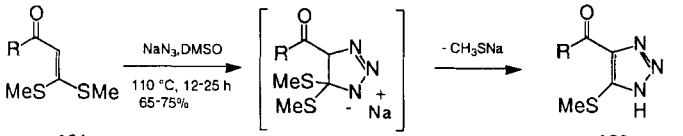


127 128 129 130

Ar = Ph, R = Me
Ar = *p*-ClC_6H_4, = Me
Ar = *p*-MeC_6H_4, R = Me
Ar = Ph, R = Et

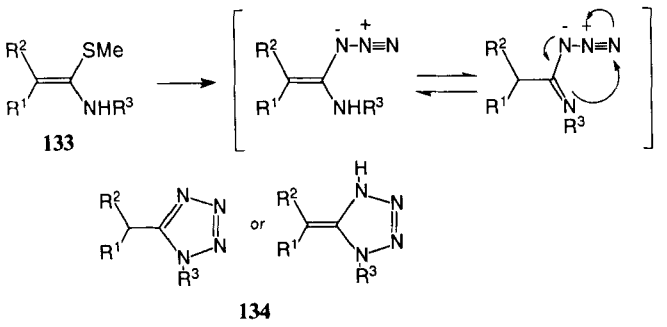
The thermal [3 + 2] cycloaddition of aroylketene *S,S*-acetals **131** with sodium azide afforded the novel 4-aroyl-5-methylthio-1*H*-1,2,3-triazoles **132**.[44]



131 132

R = Ph, 4-MeC_6H_4, 3,4-$Cl_2C_6H_3$, Me

The corresponding *N,S*-acetals **133** react with sodium azide via a different pathway involving the cyclization of an initially formed imidoxyl azide intermediate to give the 1,5-disubstituted tetrazoles **134**.



133 134

R^1 = 4-MeC_6H_4CO, 4-ClC_6H_4CO, PhCO, 4-$MeOC_6H_4CO$, MeCO, Ph, EtOCO, CN
R^2 = H, CN
R^3 = Ph, $PhCH_2$, Me, Et, $CH_3(CH_2)_2$, $(CH_3)_2CH$, *c*-C_6H_{11}, 4-ClC_6H_4

The reaction was extended to tosyl azide **136** to give novel regiospecifically substituted triazoles **139**.[45]

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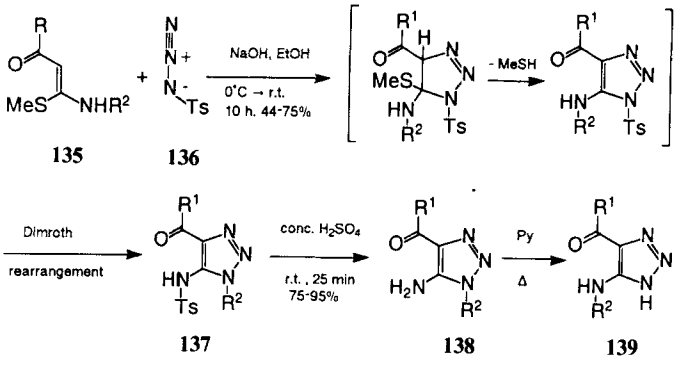


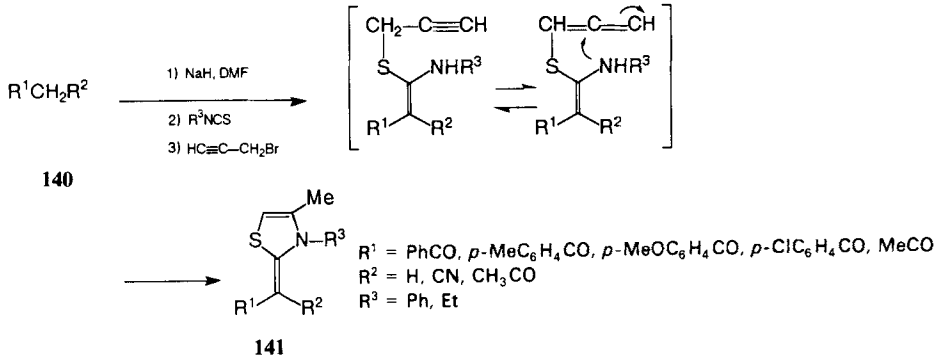
135 136 137 138 139

R^1 = Ph, 4-ClC_6H_4
R^2 = Ph, $PhCH_2$, Me, Et, $CH_3(CH_2)_2$, $(CH_3)_2CH$, $CH_3(CH_2)_3$, c-C_6H_{11}, $CH_2CH(OEt)_2$

6. HETEROCYCLES FROM α-OXOKETENE *N,S*- AND *N,N*-ACETALS

α-Oxoketene *N,S*-dithioacetals can be prepared directly in a one-pot reaction by treating the enolate anions of ketones with appropriate isocyanates, followed by alkylation, in good to excellent yields.[46]

When acetophenone was treated with phenyl isothiocyanate and propargyl bromide in the presence of sodium hydride, 3-phenyl-4-methyl-2-(benzoylmethylene)-2,3-dihydro-1,3-thiazoles **141** were formed.[47]



140

R^1 = PhCO, p-MeC_6H_4CO, p-$MeOC_6H_4CO$, p-ClC_6H_4CO, MeCO
R^2 = H, CN, CH_3CO
R^3 = Ph, Et

141

The reaction of α-oxoketene *N,S*-acetals **142** with cyanoacetamides **143** in the presence of sodium isopropoxide yielded the corresponding pyridones **144** or naphthyridines **145**.[48]

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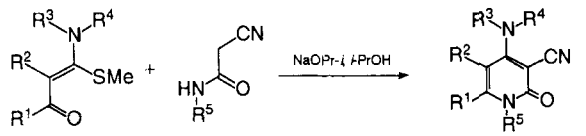
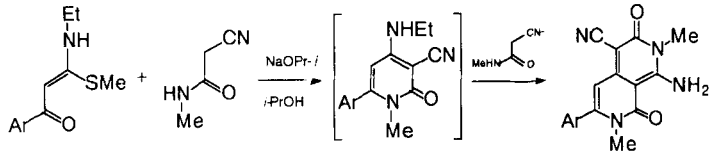


142 143 144

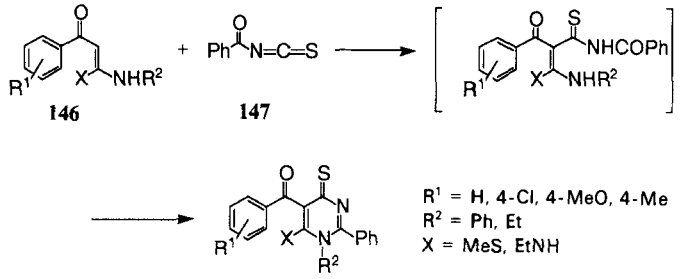
R^1 = Ph, p-ClC_6H_4, p-$MeOC_6H_4$, p-MeC_6H_4, Me
R^2 = H
R^3 = Ph, Et, Pr, $PhCH_2$
R^4 = H
R^5 = H, Me



145

Ar = Ph, p-ClC_6H_4, p-$MeOC_6H_4$

Reaction of α-oxoketene *N,S*- an *N,N*-acetals **146** with benzoyl isothiocyanate **147** gave the corresponding 5,6-functionalized 4-thioxopyrimidines **148**.[49]

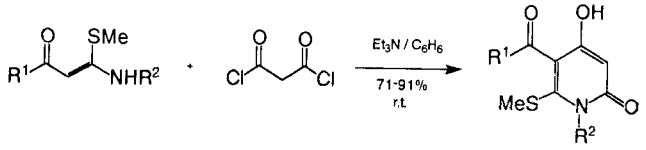


146 147

R^1 = H, 4-Cl, 4-MeO, 4-Me
R^2 = Ph, Et
X = MeS, EtNH

148

The acylketene *N,S*-acetals **149** react with one equivalent of malonyl chloride **150** in the presence of triethylamine to give 1,5-substituted 4-hydroxy-6-methylthio-2(1*H*)-pyridones **151** in good yields. In this reaction, the use of excess malonyl chloride gives the corresponding pyrano[3,2-*c*]pyridones **152**.[50]



149 150 151

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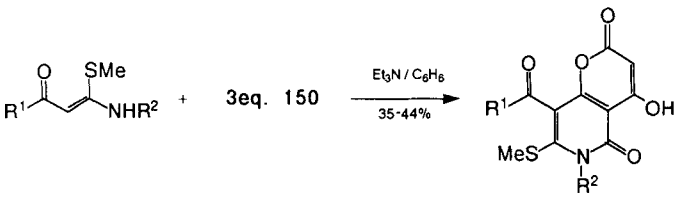
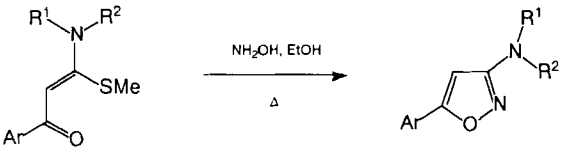


152

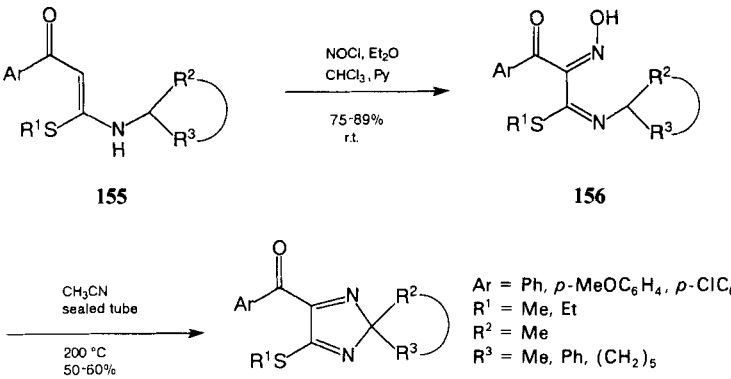
5-Aryl-3-(*N*-arylamino, -alkylamino, or -azacycloalkyl)isoxazoles **154** have been prepared by the reaction of α-oxoketene *N*,*S*-acetals **153** with hydroxylamine.[51]



153 **154**

Ar = Ph, *p*-MeC_6H_4, *p*-$MeOC_6H_4$, *p*-ClC_6H_4
R^1 = Ph, $PhCH_2$
R^2 = H, $(CH_2)_4$, $(CH_2)_5$, $(CH_2)_2$-O-$(CH_2)_2$, -NPh-$(CH_2)_2$

2,2-Disubstituted 5-(alkylthio)-4-aroyl-2*H*-imidazoles **157** have been prepared in moderate to good yields by nitrosation of the appropriate *N*,*S*-acetals **155** with nitrosyl chloride and subsequent thermal cyclodehydrations of the resulting hydroxyiminoimines **156**.[52]



155 **156** **157**

Ar = Ph, *p*-$MeOC_6H_4$, *p*-ClC_6H_4
R^1 = Me, Et
R^2 = Me
R^3 = Me, Ph, $(CH_2)_5$

The reaction of α-oxoketene *N*,*N*-acetals **158** with dimethyl acetylenedicarboxylate **159** afforded the corresponding Michael adducts **160** which were subsequently cyclized to the pyridones **161** in the presence of methanolic triethylamine.[53]

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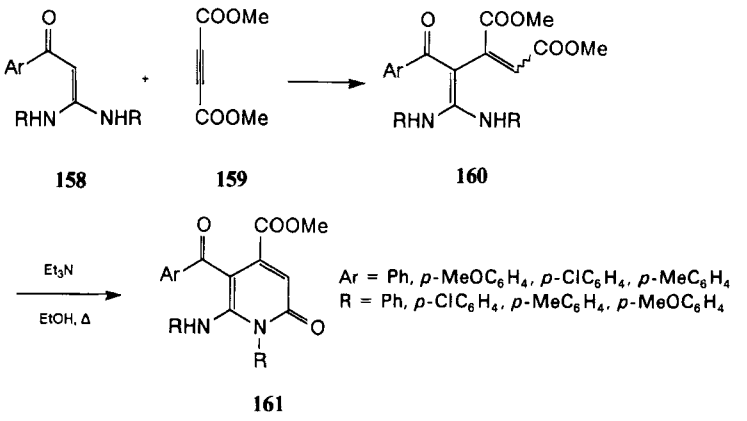

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