

Review

The Chemistry of α -Haloketones and Their Utility in Heterocyclic Synthesis

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Abstract: The molecular structures and spectral properties of α -haloketones as well as their syntheses are analyzed and reviewed. Their reactivity towards oxygen, nitrogen, and sulfur nucleophiles, carboxylic acids, carbon nucleophiles, alkenes, and alkynes are discussed.

Keywords: α -Haloketones; annelated heterocycles; oxygen nucleophiles; nitrogen nucleophiles; sulfur nucleophiles; carbon nucleophiles.

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I. Introduction

α -Haloketones, first obtained and described as early as the end of the eighteenth century [1], have been attracting increasing attention in view of their high reactivity as building blocks for the preparation of compounds of various classes due to their selective transformations with different reagents. Although over a century has been passed since the discovery of α -haloketones, no comprehensive review articles on their synthetic potential in heterocyclic synthesis have been published. Much information describing the synthesis and the chemistry of α -halogenated carbonyl

compounds are scattered throughout the literature. There are short chapters dealing with the synthesis and reactivity of halogenated ketones in Patai's series [2] and in Houben-Weyl's series "Methoden der Organischen Chemie" [3,4]. In addition, the Favorskii rearrangement of α -haloketones has been reviewed by several articles [5-9], and the reactivity of α -haloketones towards nucleophiles was described by Tchoubar in 1955 [10]. It is our hope that by assembling a comprehensive survey of the widely scattered information on the chemistry of α -haloketones as versatile synthons in heterocyclic synthesis, this will focus new attention on the broad potential of these compounds in the synthesis and mechanistic studies of heterocyclic compounds. This review will be restricted to halogenated ketones which carry one halogen atom on the carbon atom α - to a carbonyl function. The α -halogenated aldehydes and carboxylic acids will not be treated in this article. Phenacyl halides are discussed in particular depth due to their frequent appearance in the literature.

II. Molecular Structures and Spectral Properties

A series of papers have investigated the rotation isomerism of α -haloketones using Raman [11], IR [11-23] and NMR [24,25] spectroscopy. Although α -haloketones can exist as two stereoisomers [11], it has been established, however, that the steric repulsion between the Cl-atom and O-atom, in the liquid state, is much less than that between Cl-atom and an alkyl group. Both of the Cl and O atoms tend to adopt the *cisoid*-form ($\theta \simeq 0^\circ$) which makes it more stable than the *transoid*-form (Figure 1).

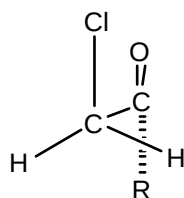


Figure 1. The *cis*-configuration of α -haloketones ($\theta \simeq 0^\circ$)

An intensive conformational and electronic interaction studies of α -substituted carbonyl compounds made by Olivato and co-workers [25]. These studies strongly indicate that α -haloacetophenones display a *cis* (I)/*gauche* (II) rotational isomerism. The values of geminal H,H coupling constants of some halomethyl ketones indicate and support that the halogen and oxygen atoms are eclipsed to each other (Figure 2) [24].

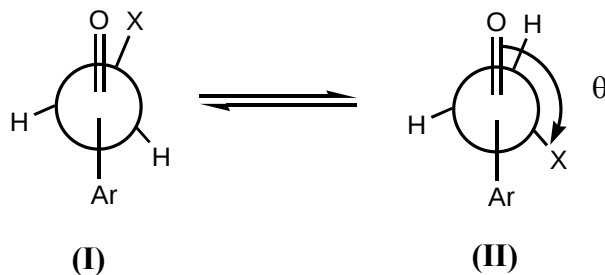


Figure 2. The *cis/gauche* rotational isomerism of α -haloacetophenones

Tables 1 and 2 show that the carbon in 4-substituted phenacyl bromides exhibits an upfield shift compared with the corresponding acetophenones. This is due to the inductive effect of the bromine atom [26-28].

Table 1. Physical and Spectral Data for Some 4-Substituted Phenacyl Bromides

Substituent	M.p.(°C)	ν_{CO} (cm ⁻¹) ^a	CH ₂ ^b	H-2,6 ^b	H-3,5 ^b
H	51	1710	4.46	7.7	7.7
Me	95-7	1709	4.47	7.4	8.0
Cl	108-9	1710	4.40	7.6	7.8
Br	52	1705	4.40	7.3	7.8
OMe	74-5	1700	4.40	6.9	7.8
NO ₂	97-8	1716	4.50	8.2	8.3

^a In CCl₄

^b ¹H-NMR chemical shifts relative to TMS in CDCl₃ solutions.

Table 2. ¹³C-NMR Chemical Shifts^a of 4-Substituted Phenacyl Bromides

Substituent	CH ₂	C=O	C-1	C-2,6	C-3,5	C-4
H	32.0	192.1	134.9	129.8	129.8	134.9
Me	32.1	190.6	131.2	128.8	129.4	145.5
Cl	31.6	189.9	132.0	130.1	129.4	140.2
Br	31.5	191.2	133.6	131.4	133.2	130.2
OMe	31.9	190.8	127.8	132.3	115.0	165.0
NO ₂	31.4	190.8	139.4	131.0	125.0	151.6

^a In δ (ppm) relative to TMS.

On the scale of carbonyl electrophilicities, measured by ¹⁷O-NMR spectroscopy [29], the presence of halogen atoms α - to the carbonyl group decreases the electron density around the oxygen atom (Table 3) [29,30].

Table 3. ¹⁷O-NMR Chemical Shifts of Phenacyl Compounds

Compound	$\delta(\text{CO})^a$
PhCOMe	549
PhCOCH ₂ Cl	542.2
PhCOCH ₂ Br	544.5
<i>p</i> -MeOC ₆ H ₄ COCH ₂ Br	528.4
<i>p</i> -NO ₂ C ₆ H ₄ COCH ₂ Br	650.5

^aIn MeCN solution at 40°C.

The strength of the electric fields at the bromine atoms in the series of phenacyl bromides were also measured by ^{79}Br -NQR spectroscopy which shows that the presence of carbonyl group decreases the electric fields at the bromine atom (Table 4) [31].

Table 4. ^{79}Br -NQR Spectra of BrCH_2R Derivatives

Compound	$\gamma(\text{MHz})$	$\alpha(\text{Hz cm})$
BrCH_2COPh	276.09	535
$\text{BrCH}_2\text{COAdBr}^*$	275.06	495
$\text{BrCH}_2\text{COC}_6\text{H}_4\text{Br-}p$	278.00	505
BrCH_2Ph	259.13	660
BrCH_2COOH	287.01	415

* Ad is 1-adamantyl

The only crystal and molecular structures of acetophenones found in the literature are reported for anti- α -bromoacetophenone oxime (Figure 3) [32,33].

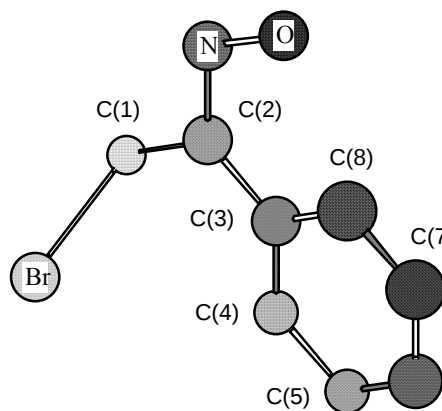


Figure 3. Structure of anti- α -bromoacetophenone oxime

III. Synthesis of α -Haloketones

A well-documented review by De Kimpe and Verhé [2] described in detail the synthesis of α -halogenated ketones. We shall mention here references dealing with newer methods in addition to some common synthetic methods.

A. General Methods

In general, reaction of aliphatic ketones with halogen most commonly affords mono-substituted haloketones with some side products (Eq 1) [2,34].



Direct fluorination, by using F_2 , often gives rise to side reactions leading to polyfluorinated and degradation products and are therefore of limited use. However, a number of reviews have been published by Erian [34] and others [35-37] on the preparation of α -fluoroketones.

During the monochlorination of acetone, minor amounts of dichloroacetone are always isolated [38]. However, good results for the monochlorination of acetone and higher ketones are possible when the chlorination was carried out in aqueous solution of calcium carbonate [39-62].

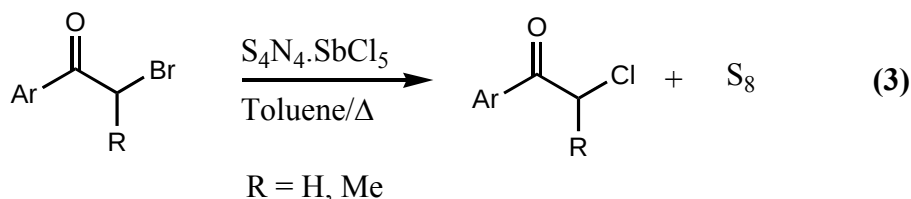
The bromination of ketones with bromine is a reversible process. In order to shift the equilibrium towards the bromoketones, preparations have to be proceeded with removal of hydrogen bromide [63-75].

B. Miscellaneous Halogenating Agents

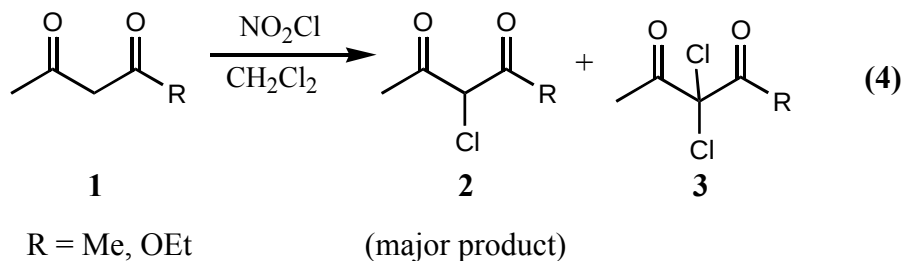
The nucleophilic fluorination of alkyl iodides, bromides and of α -bromo- or α -chloroketones is smoothly affected by tetrabutylammonium hydrogen difluoride in the presence of a catalytic amount of pyridine, in dioxane, to give good yield of fluorinated compounds (Eq 2) [76].



The reaction of sterically less hindered α -bromoalkyl and arylketones with tetrasulfur tetranitride-antimony pentachloride complex ($\text{S}_4\text{N}_4\cdot\text{SbCl}_5$) in toluene at reflux gave the corresponding α -chloroketones (Eq 3) [77].

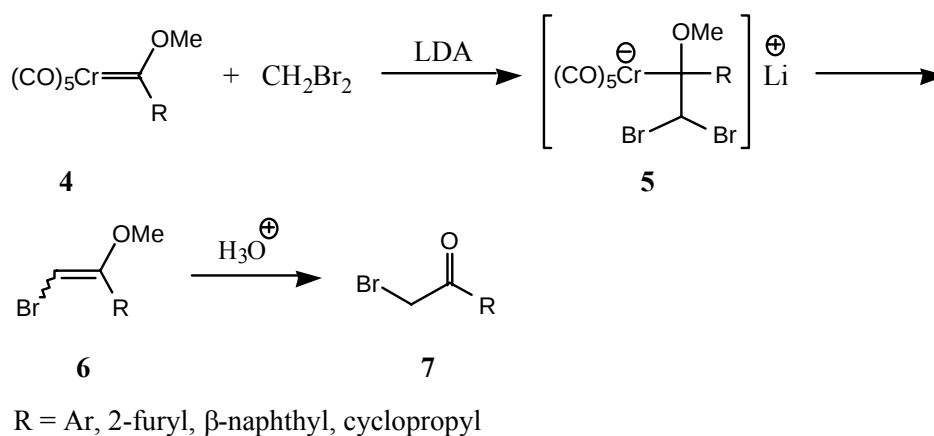


Reaction of 1,3-dicarbonyl compounds **1** with nitryl chloride resulted in the formation of the corresponding α -chloro and α,α -dichloro derivatives **2** and **3** through substitution on the activated methylene group (Eq 4) [78].



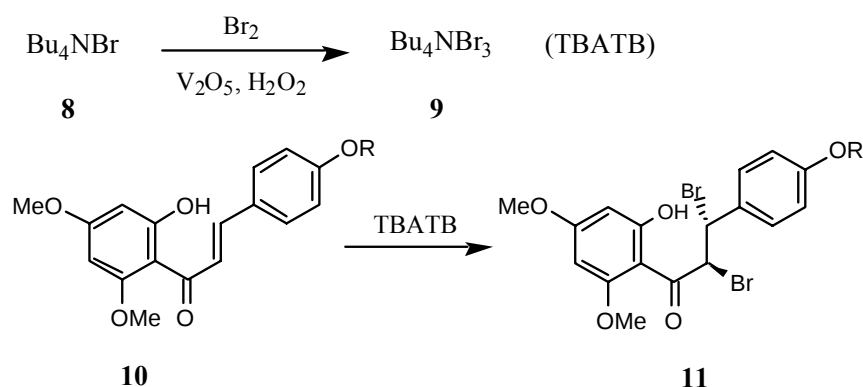
Fischer-type carbene complexes react *via* intermediate **5** and the bromoenolether **6** and *via* acid hydrolysis of the latter to afford bromomethyl ketones **7** (Scheme 1) [79].

Scheme 1



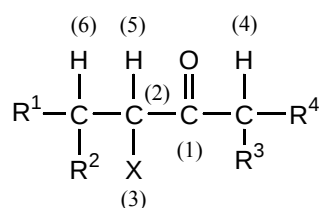
Tetrabutylammonium tribromide (TBATB) (**9**), an environmentally benign brominating agent, brominates a variety of organic substrates rather easily under mild conditions. It is also useful as a selective brominating agent for α,β -unsaturated ketones, cf. the preparation of **11** from **10** (Scheme 2) [80].

Scheme 2

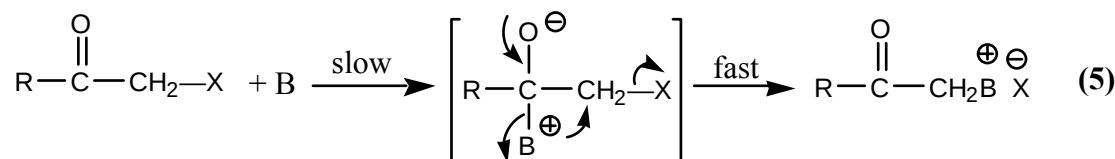


IV. Chemical Reactivity

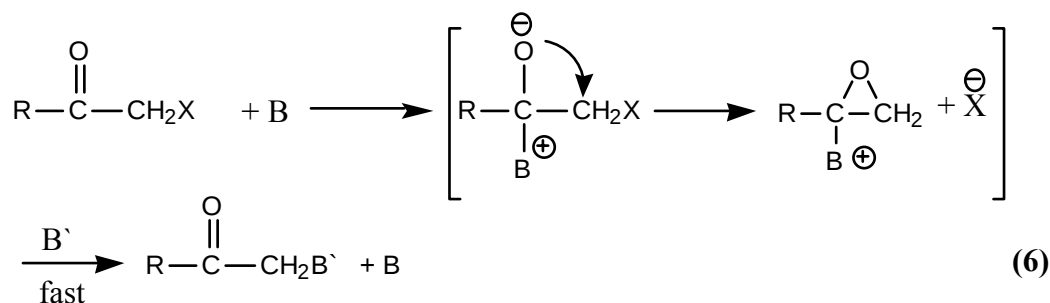
On treatment of an α -haloketone with various nucleophiles, the attack can take place at six possible electrophilic sites [2]: the nucleophile is able to attack the carbon of the carbonyl function (position 1), the carbon atom carrying the halogen atom (position 2) and the halogen atom (position 3). In addition, due to the presence of two polar electron-withdrawing groups, the hydrogen atoms at the α -, α' - and β -positions also become susceptible to attack by nucleophiles or bases (positions 4, 5, and 6).



The interaction between the carbonyl group and the nucleophile is mainly electrostatic and the SN_2 reactivity is due to polarization interaction caused by smaller steric requirement of RCO as compared to RCH_2 (Eq 5) [81-89].



The isolation of stable epoxides in the reaction of an α -haloketone with sodium methoxide and the evidence that these epoxides are reactive intermediates leading to other products gives rise to another explanation by Pearson [90] and others (Eq. 6) [6,91-94].



It is noteworthy that the reactivity of α -haloketones is due to the inductive effect of the carbonyl group which enhances the polarity of the carbon-halogen bond by increasing the electron deficiency at the α -carbon atom. Also, the more polar the C–X bond, the faster the reaction with nucleophiles [95]. The data shown in Table 5 summarize the enhanced reactivity of α -halogenated ketones relative to the corresponding alkyl halides in bimolecular nucleophilic substitution reactions [96-99].

Table 5. Reactivity Relative to that of C_3H_7X of α -Halocarbonyl Compounds in Nucleophilic Substitutions

Reaction	$n\text{-C}_3\text{H}_7\text{X}$	PhCH_2X	$\text{CH}_3\text{COCH}_2\text{X}$	PhCOCH_2X	ref.
$R\text{-Cl} + \text{KI/acetone}$	1	197	35700	105000	96
$R\text{-Cl} + \text{S}_2\text{O}_3^{2-}/\text{H}_2\text{O}$	1	—	1400	1600	98
$R\text{-Cl} + ^-\text{OAc/MeOH}$	1	—	198	228	98
$R\text{-Br} + \text{pyridine/MeOH}$	1	286	208	406	96
$R\text{-Br} + \text{thiourea/MeOH}$	1	300	—	10700	97
$R\text{-Cl} + ^-\text{SCN/MeOH}$	1	—	401	770	98

V. Reactions of α -Haloketones with Oxygen, Nitrogen and Sulfur Nucleophiles

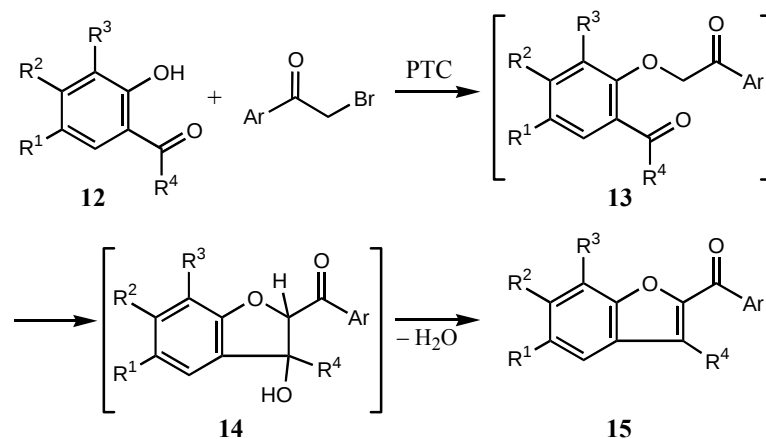
The reactions of α -haloketones with oxygen, nitrogen and sulfur nucleophiles are classified separately in one category due to the huge number of references. We have arranged this huge volume of data in terms of the type of the heterocycles formed, starting with five and six membered rings in order of increasing number of heteroatoms. Such systematic treatment provides a clear idea about the synthetic possibilities of the method and may be useful in selecting the direction of further research.

A. Synthesis of Five-Membered Rings with One Heteroatom

1. Furans and Their Fused Derivatives

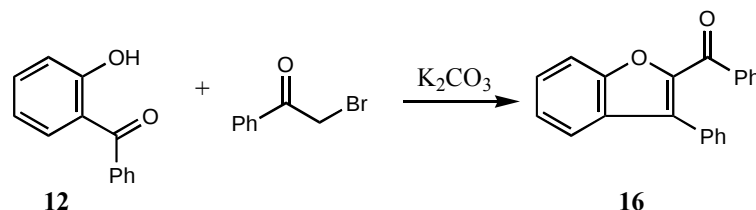
The condensation of *o*-hydroxyacetophenone derivatives **12** with phenacyl bromides under PTC (phase transfer catalysis) conditions in a two phase system, using aqueous K_2CO_3 (20%) as a base, dichloromethane or benzene as solvent and tetrabutylammonium hydrogen sulfate as the phase transfer catalyst, furnished 2-aroylebenzofurans **15** in a good yield and high purity as well (Scheme 3) [100-102].

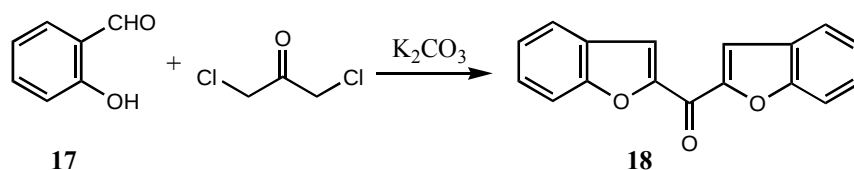
Scheme 3



The reaction of α -haloketones with *o*-hydroxycarbonyl compounds gave a variety of substituted benzofurans. Benzofuran compounds **16** and **18** were produced *via* cyclocondensation of α -haloketones with *o*-hydroxybenzophenone and salicylaldehyde, respectively (Scheme 4) [103-105].

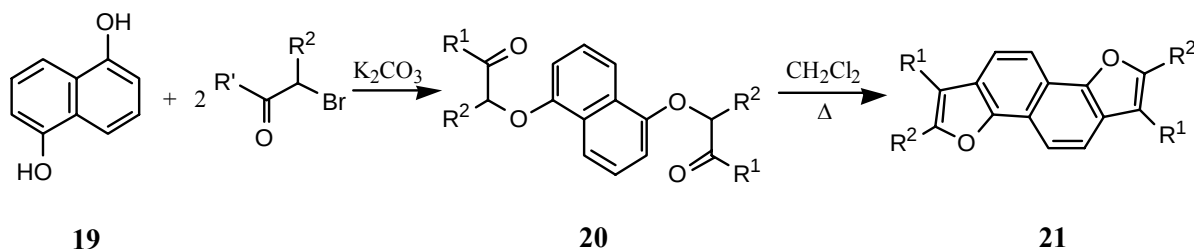
Scheme 4





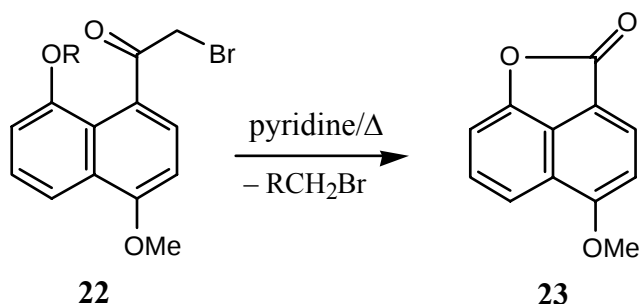
Difurano[2,3-*a*:2',3'-*f*]naphthalenes **21** are easily synthesized in two steps starting with 1,5-dihydroxynaphthalene (**19**) (Scheme 5) [106].

Scheme 5



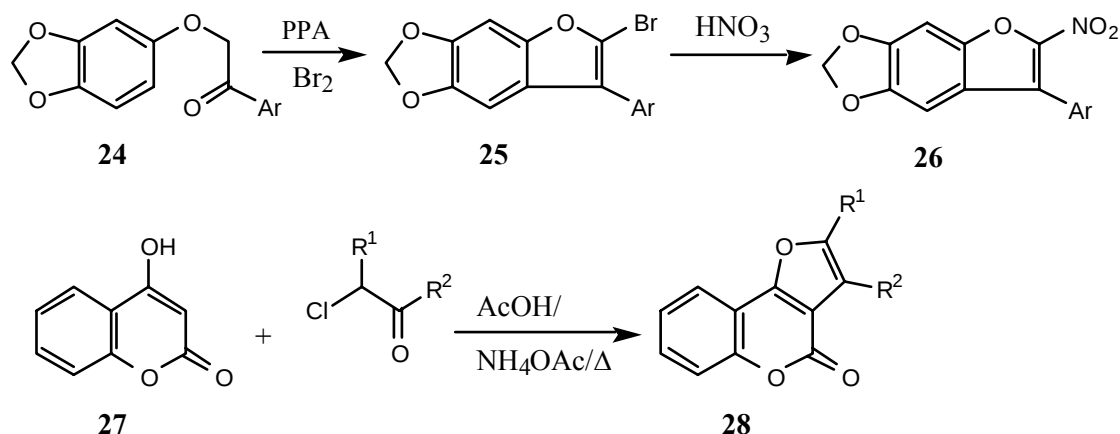
It is claimed that heating peri-(acyloxy)naphthyl- α -bromomethyl ketones **22** in pyridine gave naphthofuranone **23** (Scheme 6) [107].

Scheme 6



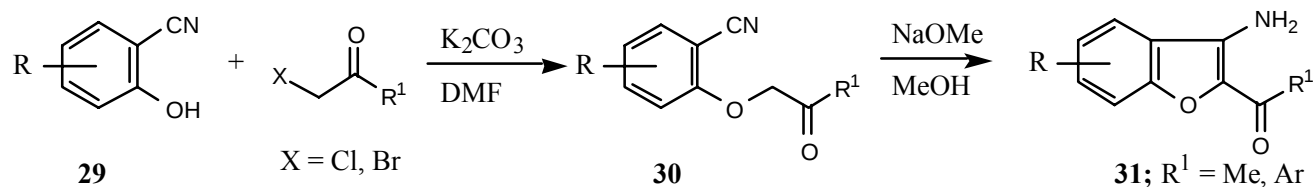
3-Aryl-5,6-methylenedioxy-2-nitrobenzofurans **26**, effective bactericides against *Staphylococcus aureus* at 100 mg/kg in mice, were prepared by cyclization of acetophenone derivatives **24** with poly phosphoric acid followed by bromination and nitration [108,109]. Similarly, 4-hydroxycoumarin (**27**) condensed with α -chloroketones to give furocoumarins **28** (Scheme 7) [110].

Scheme 7



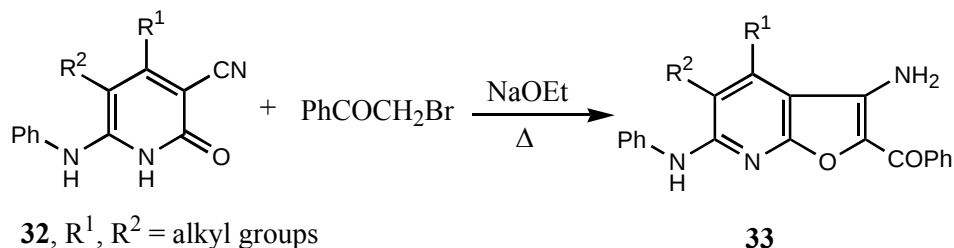
o-Hydroxybenzonitriles **29** reacted with α-haloketones to give 3-aminobenzofuran derivatives **31** (Scheme 8) [111,112].

Scheme 8



3-Aminofuro[2,3-*b*]pyridine derivatives **33** could be synthesized *via* the condensation of pyridones **32** with phenacyl bromide (Scheme 9) [113].

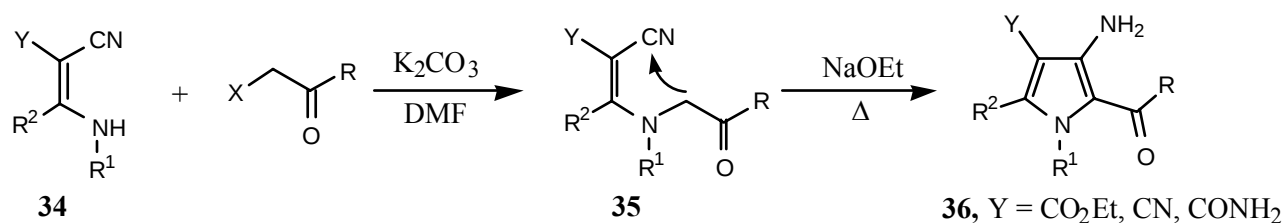
Scheme 9



2. Pyrroles and Their Fused Derivatives

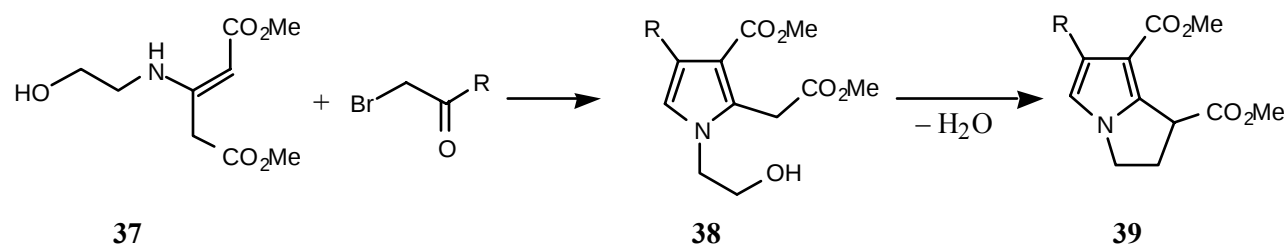
A general methodology for the synthesis of a wide variety of substituted 3-aminopyrroles **36** *via* the reaction of *N*-aryl and *N*-alkylaminomethylenecyanoacetic acid derivatives **34** with α-halogenated carbonyl compounds in the presence of potassium carbonate or sodium ethoxide has been reported (Scheme 10) [114-120].

Scheme 10



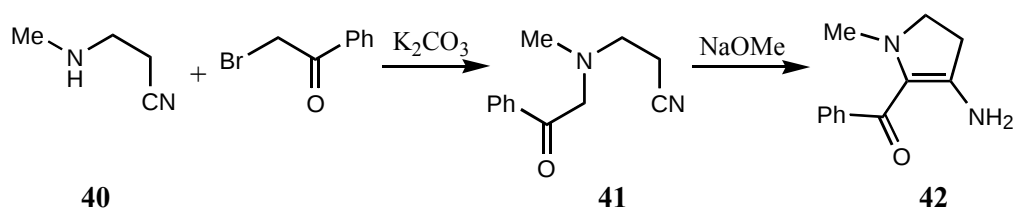
The Hantzsch pyrrole synthesis using enamine **37**, derived from dimethyl acetone dicarboxylate and ethanolamine, was used similarly for the preparation of the pyrrole diesters **38**. The latter were converted into compounds **39** (Scheme 11) [121].

Scheme 11



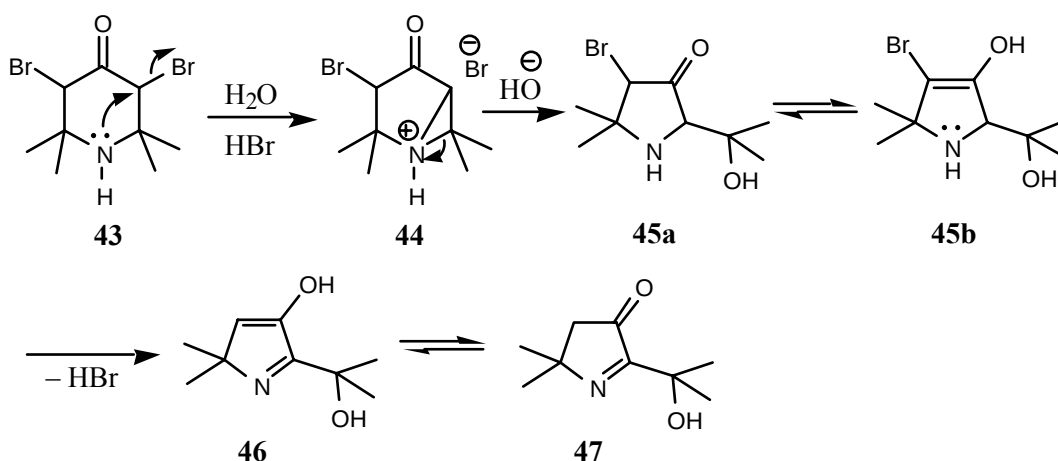
The pyrrolidine derivative **42** could be prepared *via* alkylation of the dialkylamine **40** with phenacyl bromide to give **41**, which cyclized upon treatment with sodium methoxide (Scheme 12) [122,123].

Scheme 12



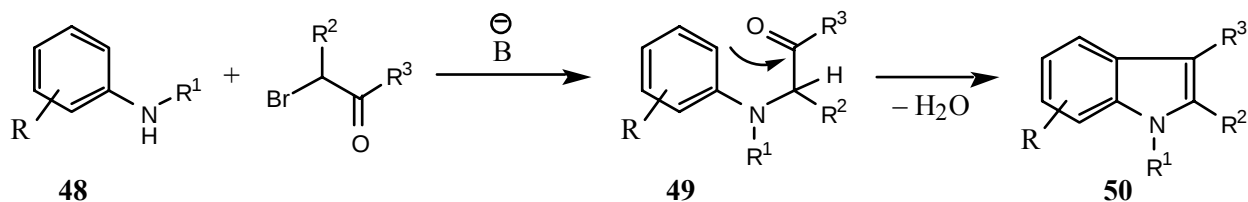
The acid hydrolysis of 3,5-dibromopiperidin-4-one derivative **43** gives the pyrrolidine **46** *via* the intermediate **45** (Scheme 13) [124,125].

Scheme 13



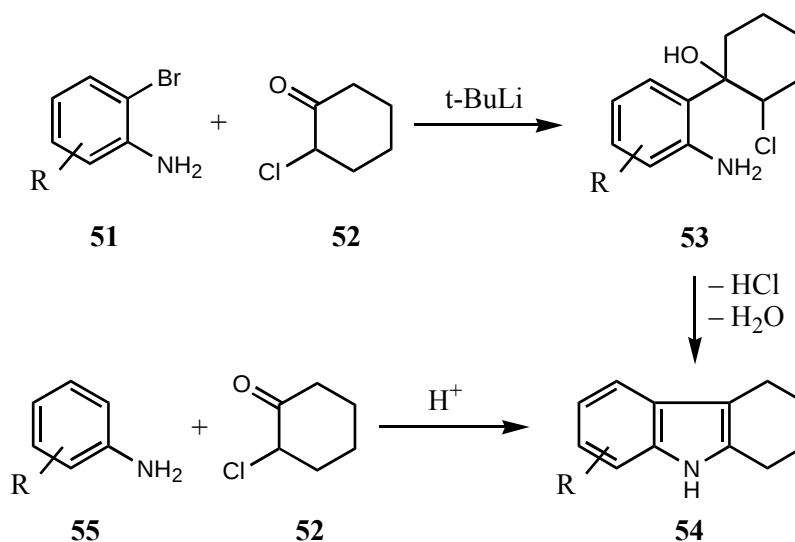
The reaction of aromatic amines **48** with α -bromoketones is an attractive synthetic route to indoles **50** (Scheme 14) [126-132].

Scheme 14



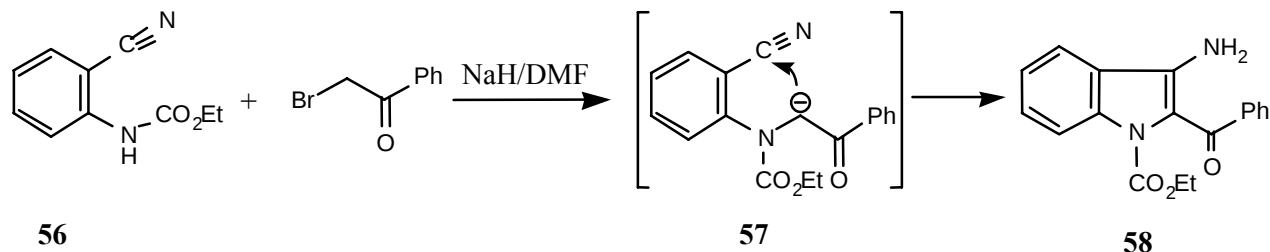
Carbazole derivatives **54** could be obtained *via* the reaction of primary aromatic amines **51** or **55** with α -chlorocyclohexanone (**52**) (Scheme 15) [133-135].

Scheme 15



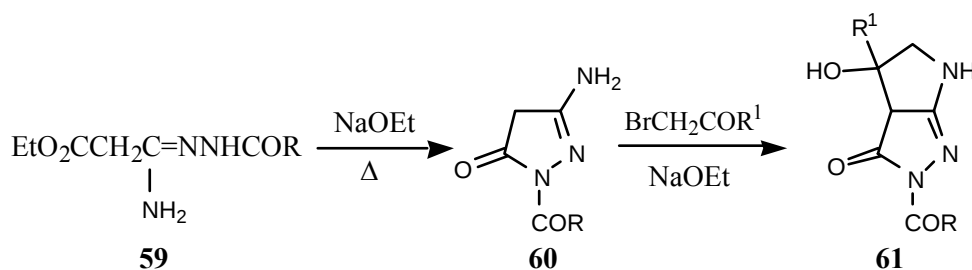
When *N*-carbethoxyanthranilonitrile (**56**) was treated with sodium hydride and phenacyl bromide in DMF, the corresponding 3-amino-2-benzoylindole derivative **58** was obtained in good yield (Scheme 16) [136,137].

Scheme 16



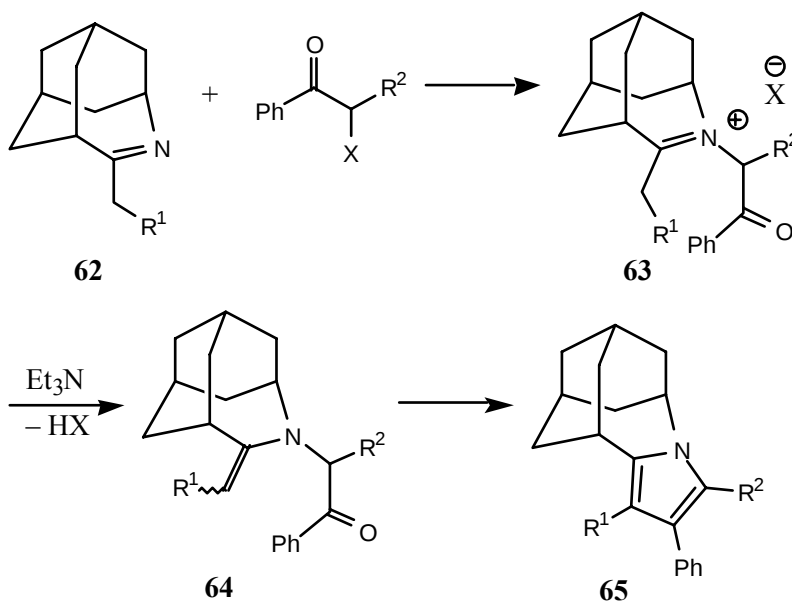
The pyrrolidino[2,3-*c*]pyrazol-3-ones **61** were prepared by Cocco *et al.* [138,139] via reaction of *N*-acyl-2-ethoxycarbonylacetamidrazones **59** with α -bromoketones (Scheme 17).

Scheme 17

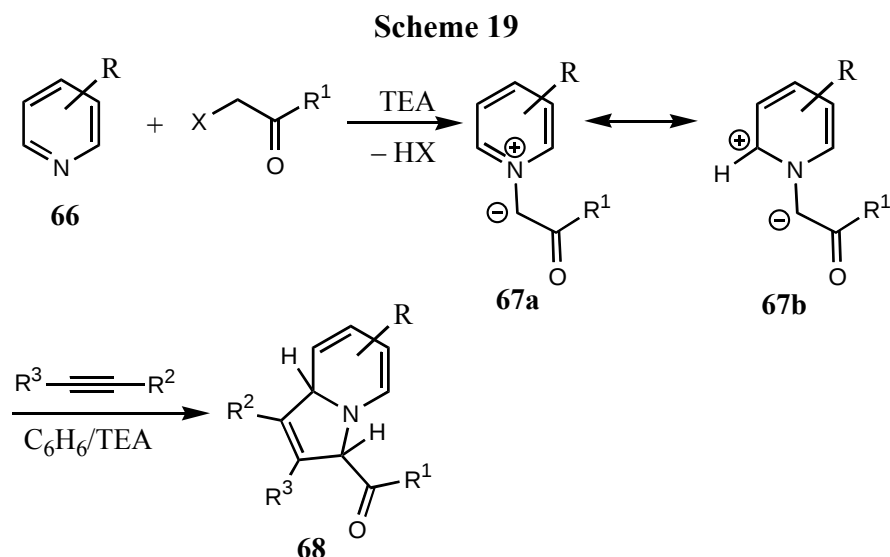


Few reports have dealt with the reactivity of α -haloketones with imines to give pyrroles. The synthesis of 4-azahomoadamantano[4,5]pyrroles **65** was attained via substitution and imine cyclization by reaction of imines **62** with α -haloketones (Scheme 18) [140].

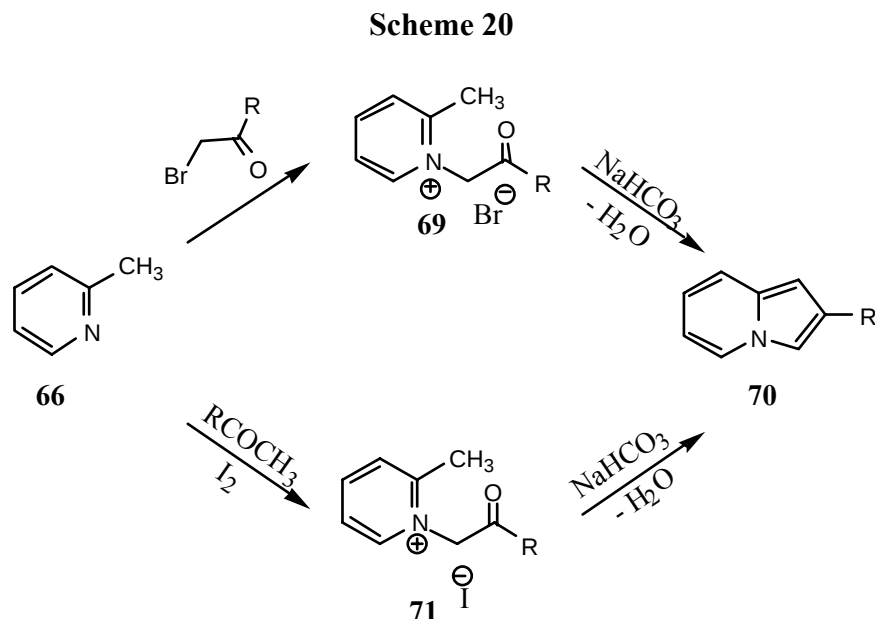
Scheme 18



The reaction of α -haloketones with *N*-heterocyclic compounds shown in Scheme 19 is completely different and leads to quaternization in most cases [141,142]. This reaction has been used in the Chichibabin quaternization followed by cycloaddition of the obtained ylides with alkenes or alkynes to give the corresponding fused pyrrole derivatives starting from pyridines [143-151], pyridazines [152], pyrimidines [153,154], pyrazines [155-157], imidazoles [158-161], thiazoles [162,163], and triazoles [164-168]. This general synthetic route to pyrroles *a*-fused to a heterocyclic ring may be represented by the preparation of **68** starting from **66** (Scheme 19).

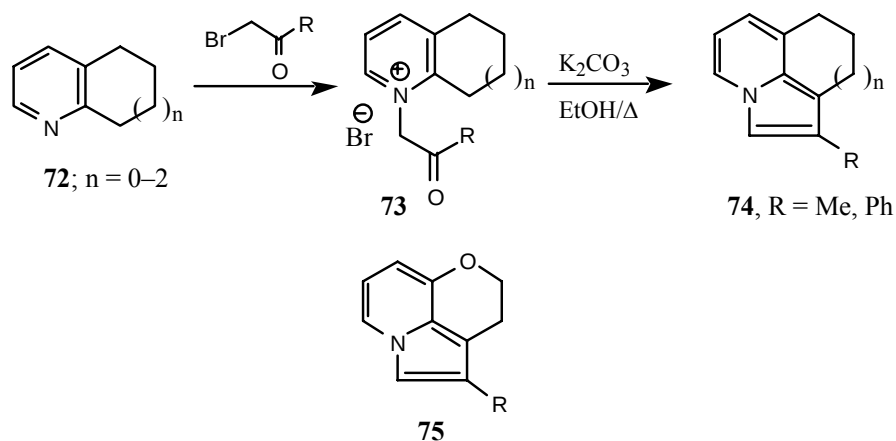


Indolizine derivatives **70** were synthesized by Anitha and Rajan [196] using two methods: by quaternization of α -picolone (**66**) with acylmethylene bromide then cyclization or by King's method (Scheme 20).



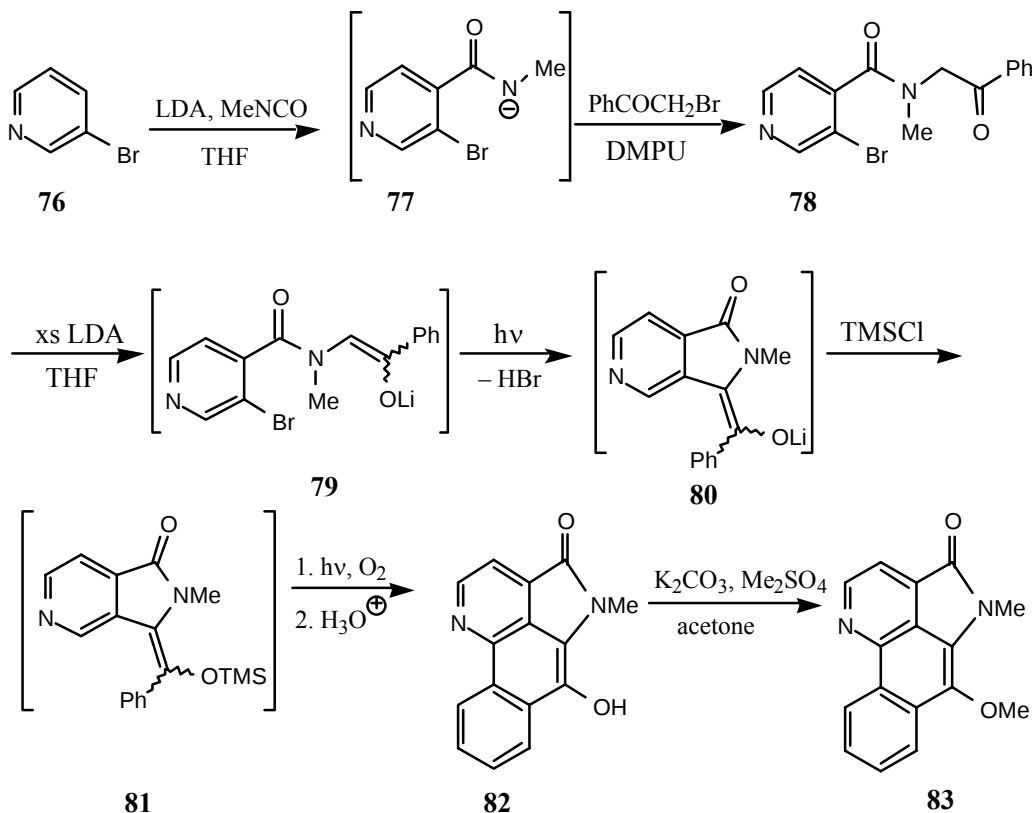
The reaction of 2,3-cycloalkenopyridines **72** with bromoacetone or phenacyl bromide in dry acetone gave the corresponding pyridinium salts **73**, which could be cyclized into indolizine derivatives **74** upon boiling in ethanol containing potassium carbonate [170]. Similarly, 2-substituted-3,4-dihydro-pyranoindolizines **75** was obtained (Scheme 21) [171].

Scheme 21



Synthesis of the azaphenanthrene alkaloid eupoulauramine **83** has been achieved starting from 3-bromopyridine (**76**) (Scheme 22) [172].

Scheme 22

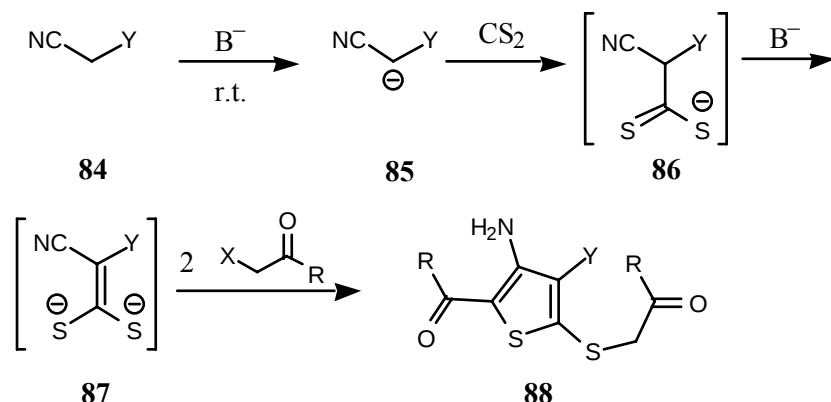


DMPU = dimethylpropyleneurea
 TMSCl = trimethylsilyl chloride

3. Thiophenes and Their Fused Derivatives

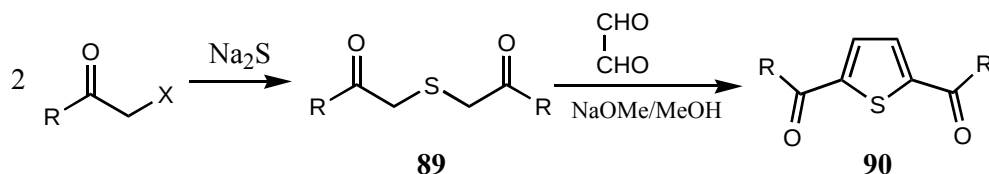
The reaction of carbon disulfide with active methylenes have been studied with many groups [173-181], especially with a view of thiophene synthesis. Scheme 23 summarizes the use of carbon disulfide in thiophene synthesis.

Scheme 23



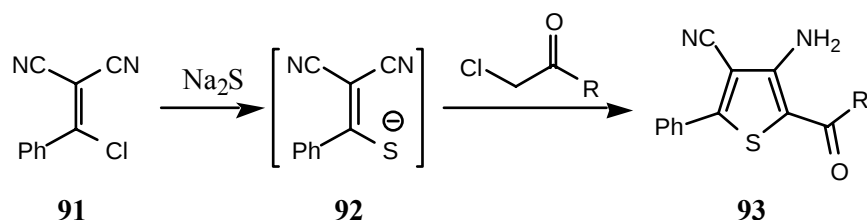
Reaction of α -haloketones with inorganic sulfide salts give diketosulfides **89** in 50-80% yields (Scheme 24). The latter could be reacted with glyoxal to give thiophene derivatives **90** [182-187].

Scheme 24



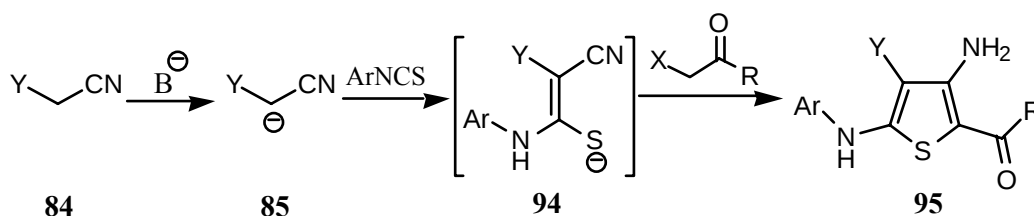
β -Chloro- α -cyanocinnamionitrile (**91**) reacted in one step with Na_2S and α -chlorocarbonyl compounds to form 3-aminothiophenes **93** (Scheme 25) [188].

Scheme 25



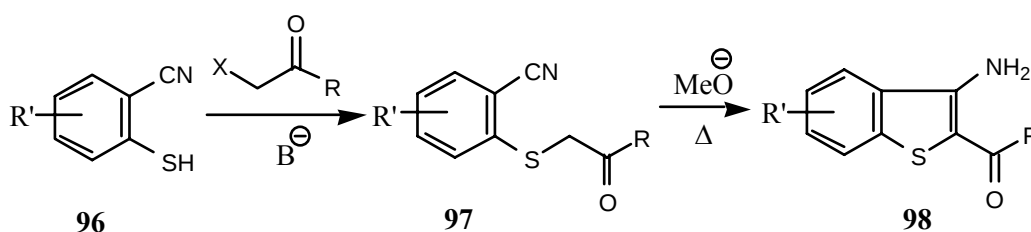
One of the most successful methods for the synthesis of 3-aminothiophenes **95** is the addition of an aryl isothiocyanate to active methylenenitrile compounds **84** in an alkaline medium, followed by heterocyclization of intermediate **94** with α -haloketones (Scheme 26) [174,189-198].

Scheme 26



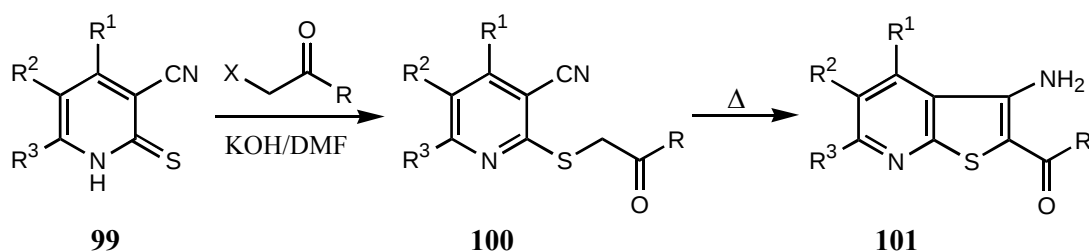
o-Mercaptonitriles **96** reacted with α -haloketones to give 3-aminothiophenes **98** (Scheme 27) [199-201].

Scheme 27



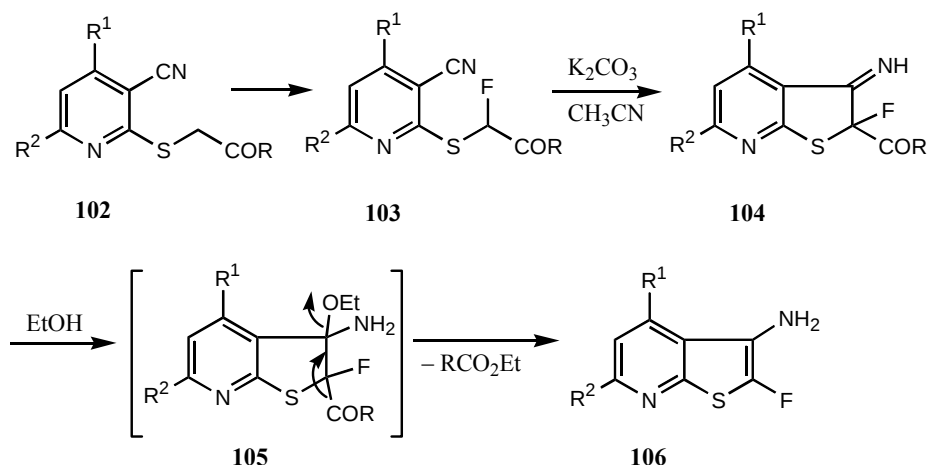
Some of the most interesting derivatives of thiophenes are 3-aminothieno[2,3-*b*]pyridines, in view of their wide spectrum of high biological activities and valuable properties in many applications [202-204]. It is noteworthy that investigators [205-229] have devoted considerable attention in recent years to the synthesis of thieno[2,3-*b*]pyridines **101** via *S*-alkylation of 3-cyanopyridine-2(1*H*)-thiones **99** with α -haloketones (Scheme 28).

Scheme 28



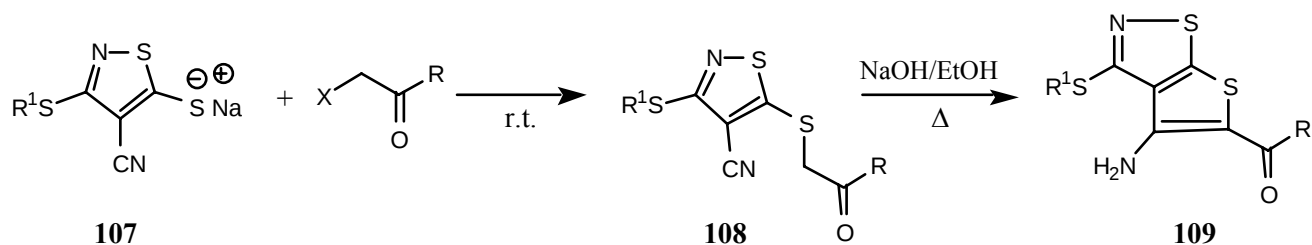
Anodic monofluorination of 2-pyridinyl sulfides **102** yields the corresponding α -fluoromethylpyridinyl sulfides **103**. The latter were cyclized readily in a basic medium to give the thienopyridine-3-imines **104**. Compounds **104** form, in the presence of ethanol, the intermediates **105** which, in turn, are aromatized to give 3-amino-2-fluorothieno[2,3-*b*]pyridines **106** (Scheme 29) [230-234].

Scheme 29



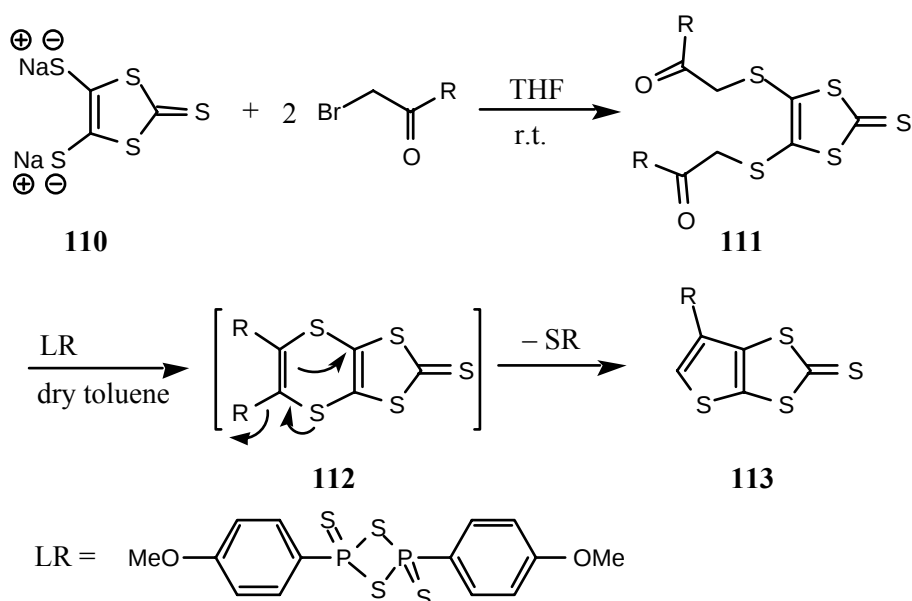
The reaction of 5-mercaptoisothiazole-4-carbonitriles **107** with α -haloketones in an alkaline medium gave thieno[2,3-*c*]isothiazole derivatives **109** (Scheme 30) [235,236].

Scheme 30



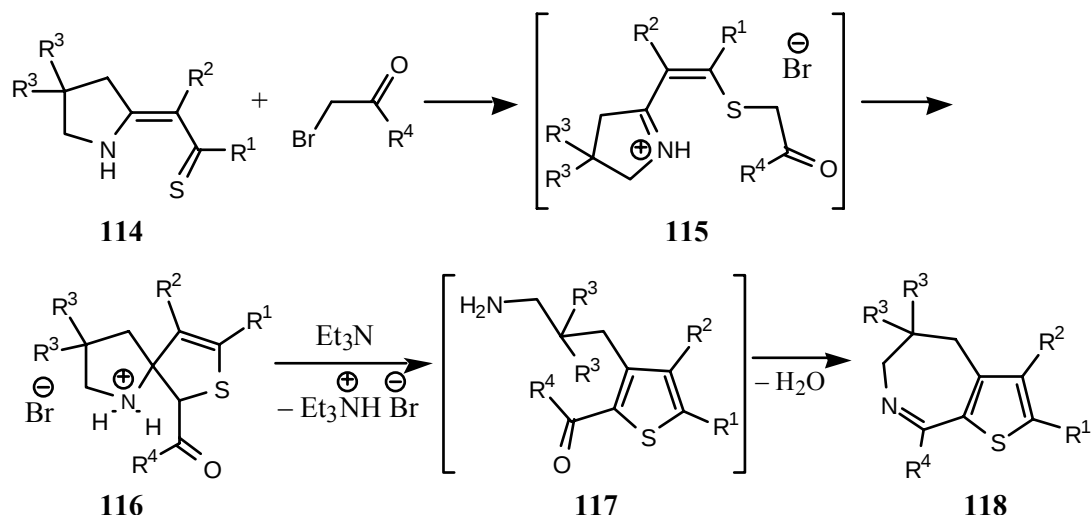
Reaction of 1,8-diketones **111** with Lawesson's reagent resulted in the formation of the corresponding thienodithiolane derivatives **113** in good yields (Scheme 31) [237].

Scheme 31



Addition of the pyrrolidine enaminothiones **114**, with a semicyclic C=C bond, to α -bromocarbonyl compounds leads to the corresponding 4,5-dihydro-6*H*-thieno[2,3-*c*]azepines **118** (Scheme 32) [238].

Scheme 32

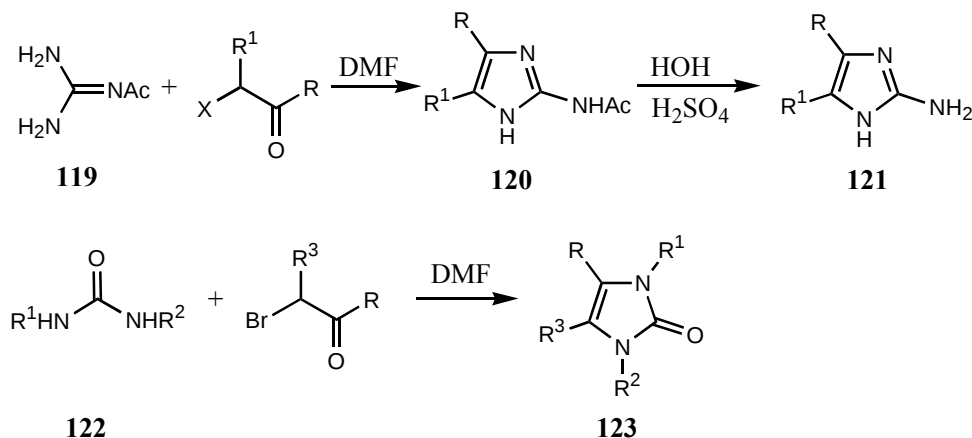


B. Synthesis of Five-Membered Rings with Two Heteroatoms

1. Imidazoles and Their Fused Derivatives

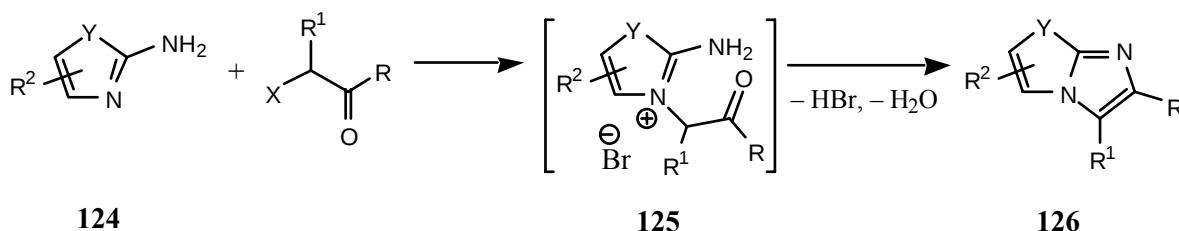
Several attempts were made for the synthesis of 2-aminoimidazole derivatives by reacting α -bromoketones with guanidines [239-249]. Little and Webber [239] have observed a clean reaction when α -haloketones were stirred, at room temperature in anhydrous DMF, with excess of *N*-acetylguanidine (**119**) to yield the corresponding 4,5-disubstituted *N*-(1*H*-imidazol-2-yl)acetamides **120**, which are then hydrolyzed into **121**. On the other hand, the reaction of α -bromoketones with urea derivatives **122** gives the corresponding imidazolone derivatives **123** (Scheme 33) [250-253].

Scheme 33



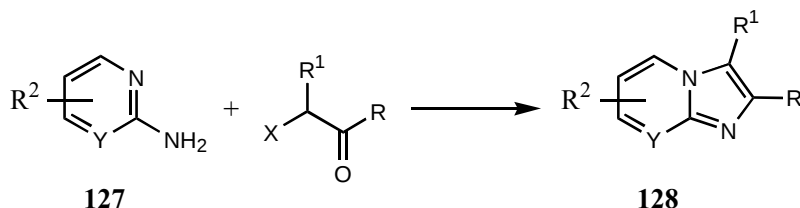
Various ring systems containing the $-\text{C}(\text{NH}_2)=\text{N}-$ moiety as a part of the ring have been found to condense with α -bromoketones to yield condensed imidazo-heterocyclic systems; the ring nitrogen attacks the CH_2Br unit rather than the primary exocyclic amino group and imidazo[1,2-*b*]-pyrazoles [254,255], imidazo[1,2-*a*]benzimidazoles [256-259], imidazo[2,1-*b*]oxazoles [260], imidazo-[2,1-*b*]thiazoles [261-267], imidazo[2,1-*b*]-1,3,4-thiadiazoles [268-272], imidazo[1,2-*d*]tetrazoles [273, 274], are the well-known condensed imidazo-heterocyclic systems thus prepared. A general systematic preparative route for such fused imidazoles **126** can be presented starting from **124** (Scheme 34).

Scheme 34



Similarly, imidazo[1,2-*a*]pyridines [275-282], imidazo[1,2-*c*]pyrimidines [283-288] and imidazo-[2,1-*c*][1,4]benzoxazines [289] were obtained starting with 2-aminoazines **127** (Scheme 35).

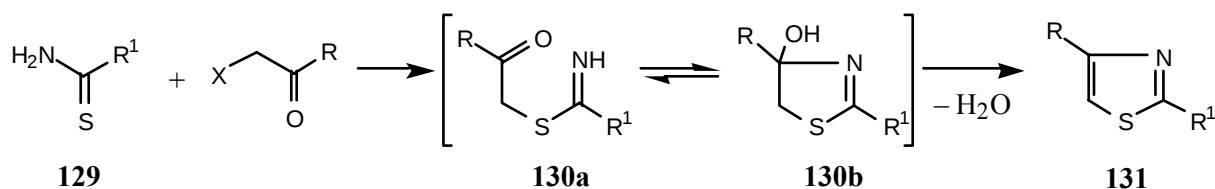
Scheme 35



2. Thiazoles and Their Fused Derivatives

The Hantzsch thiazole synthesis using the condensation of α -haloketones with thioureas [290-305] or thioamides [306-321] **129** was established a century ago [290,291]. It is well-known that during Hantzsch thiazole synthesis an intermediate **130a** and/or a cyclic hydroxy isomer **130b** is formed (Scheme 36).

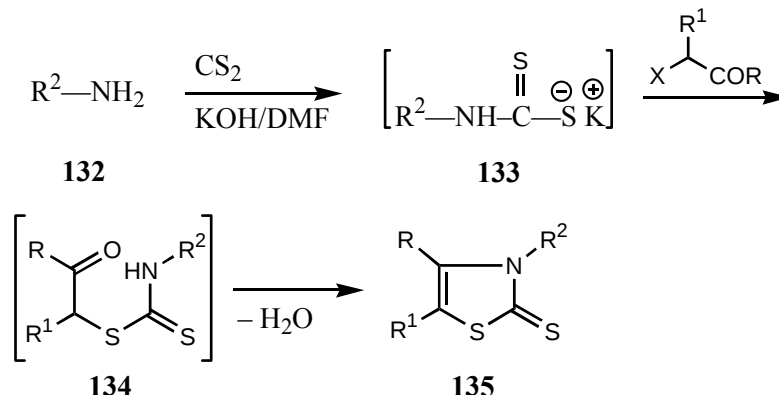
Scheme 36



Thiazole-2-thiones **135** could be synthesized according to the method of Sandstrom [322,323]. The reaction of primary alkyl, aryl or heterocyclic amines **132** with carbon disulfide in dry DMF,

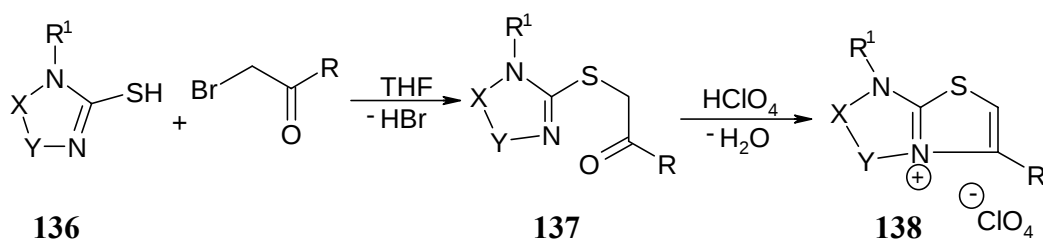
containing potassium hydroxide, afford the potassium thiocarbamate intermediate salt **133**. The latter reacted, *in situ*, with α -haloketones to give the corresponding thiazole-2-thione derivatives **135** via the *S*-alkyl intermediate **134** (Scheme 37) [324-329].

Scheme 37



Various ring systems containing the moiety —C(SH)=N— as a part of the ring have been found to condense with α -haloketones to yield pyrrolo[2,1-*b*]thiazoles [330], thiazolo[3,2-*b*]isothiazolines [331], thiazolo[3,2-*b*]-1,2,4-triazoles [332,333], thiazolo[3,2-*d*]tetrazoles [334], thiazolo[3,2-*a*]-pyridines [335], thiazolo[3,2-*a*]pyrimidines [336-339], thiazolo[3,2-*a*]-1,3,5-triazines [340], thiazolo[3,2-*b*]tetrazines [341-342], and thiazolo[1,2,4]triazepines [343]. Scheme 38 represents an example for preparation of such condensed systems.

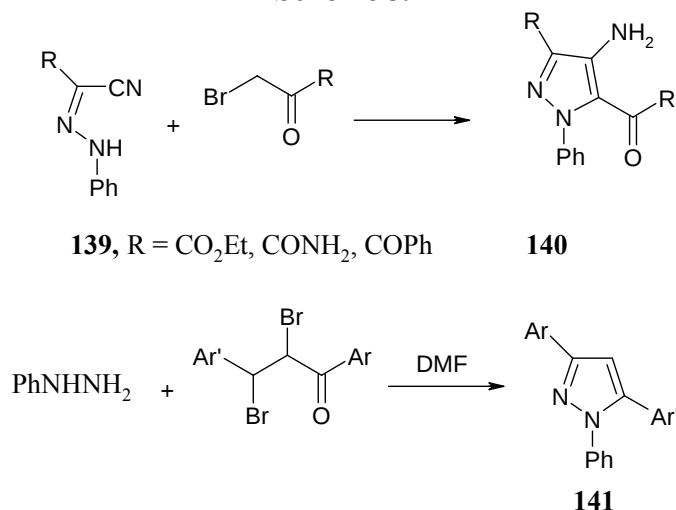
Scheme 38



C. Synthesis of Five-Membered Rings with Two or Three Miscellaneous Heteroatoms

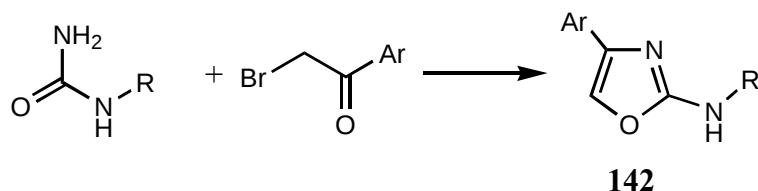
4-Aminopyrazoles **140** were prepared in 52-93% yields *via* reacting phenylhydrazones **139** with α -bromoketones [344]. Also, *N*-phenylpyrazoles **141**, could be obtained *via* the cyclocondensation reaction of phenylhydrazine with α -bromoketones (Scheme 39) [345].

Scheme 39



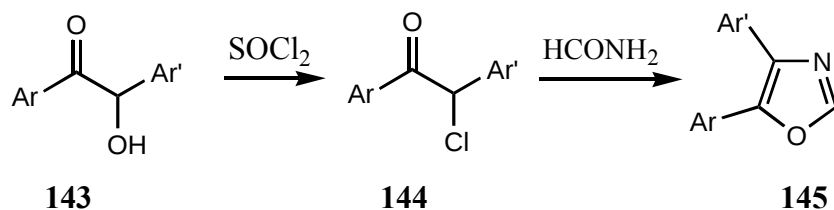
2-Aminooxazoles **142** were achieved by a clean, efficient, and economical technology through microwave-induced reaction of phenacyl bromides with urea derivatives on alumina as solid inorganic support [346]. Similar results have been reported by Ulbricht (Scheme 40) [347].

Scheme 40



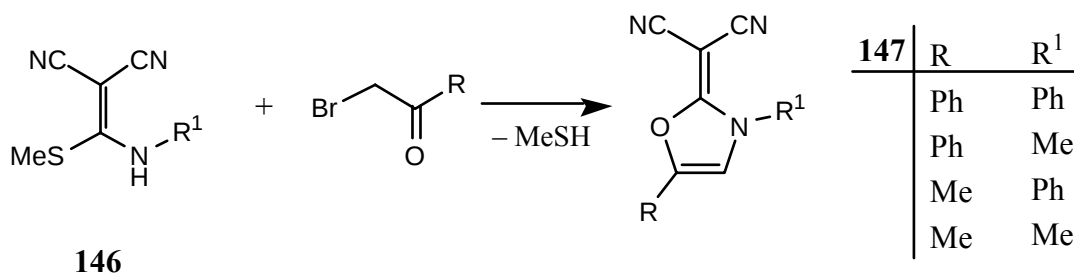
The synthetic methodology of 4,5-diaryloxazoles **145** were improved by Pei *et al.* [348] using α -hydroxyketones **143** as starting materials (Scheme 41) [349-351].

Scheme 41



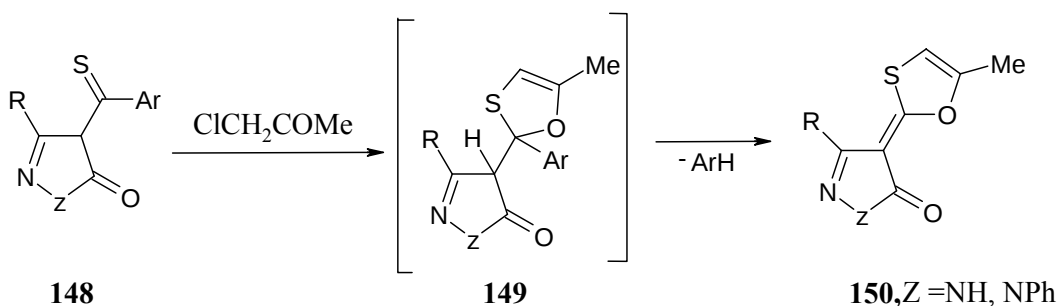
Oxazolin-2-ylidenemalononitriles **147** could be obtained from the reaction of thioketeneaminals **146** with α -bromoketones (Scheme 42) [352-354].

Scheme 42



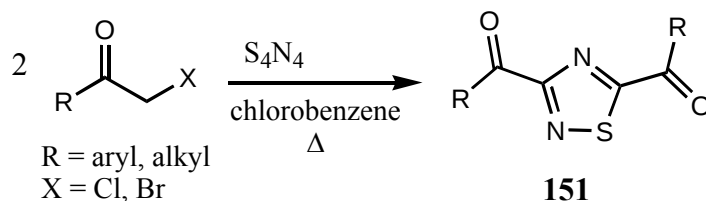
Active chloromethyl ketones *e.g.* chloroacetone reacted with 2-pyrazoline-4-thiocarboxanilides **148** to give the corresponding 1,3-oxathiol-2-ylidenes **150**. It is believed that the reaction proceeds *via* the sulfide intermediates **149** which spontaneously heterocyclized *via* loss of ArH (Scheme 43) [355].

Scheme 43



Reaction of tetrasulfur tetranitride (S_4N_4) with aryl and alkyl halomethyl ketones in chlorobenzene gave 3,5-diaroyl and 3,5-diacyl-1,2,4-thiadiazoles **151** in 17–60% yields (Scheme 44) [356,357].

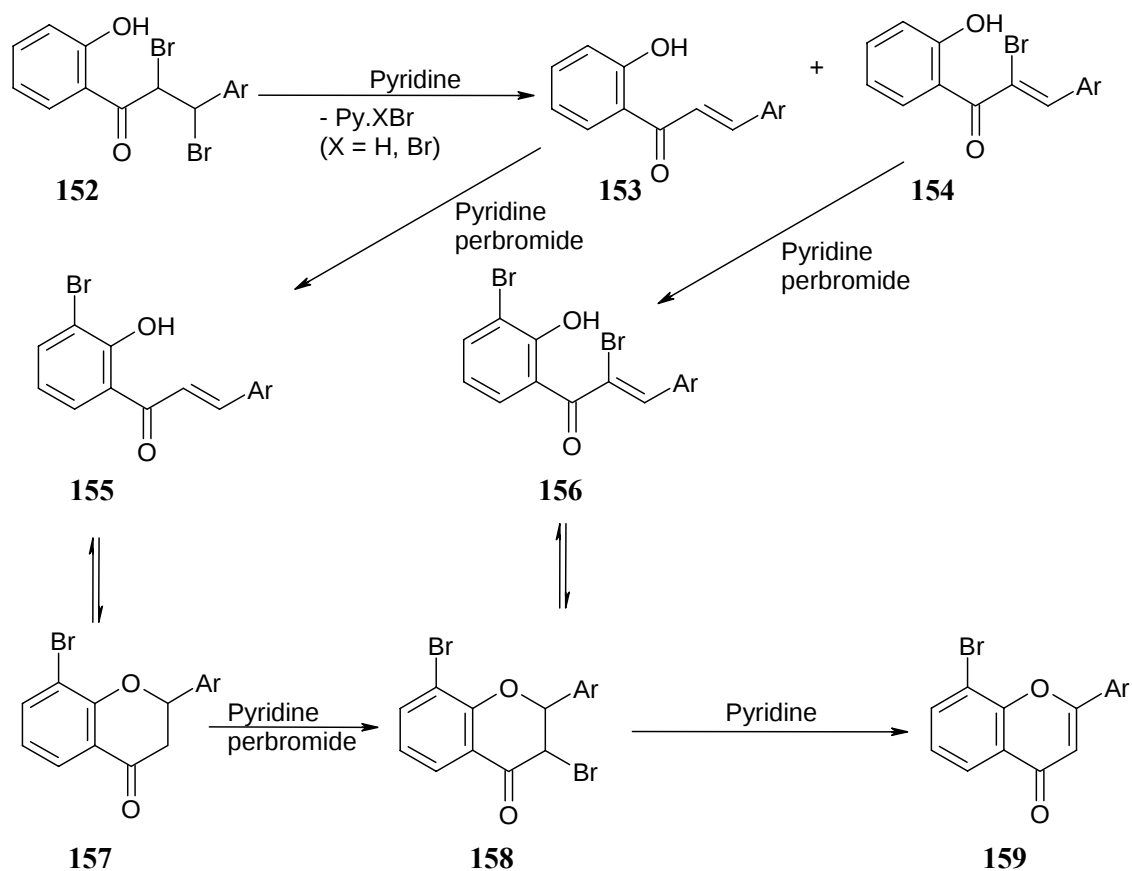
Scheme 44



D. Synthesis of Six-Membered Rings with One Heteroatom

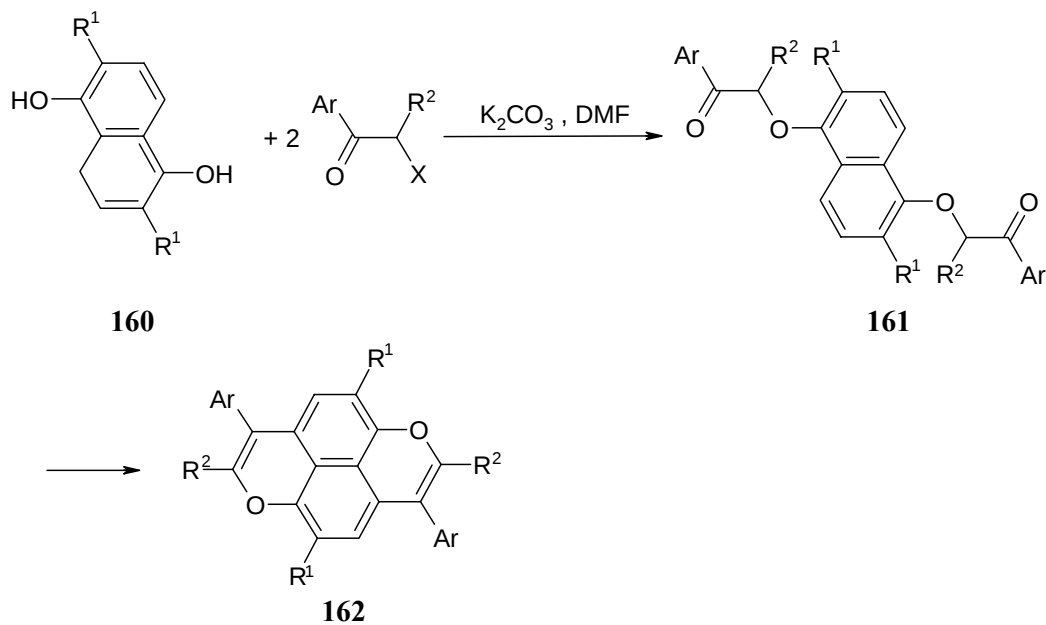
The literature survey on the reactions of α -haloketones with oxygen, nitrogen or sulfur nucleophiles offers a few examples for the synthesis of six-membered heterocycles with one heteroatom. For example, 2-hydroxychalcone dibromides **152** gave 8-bromoflavone **159** on treatment with pyridine. It is assumed that the first stage involved both debromination and dehydrobromination, ring closure and dehydrobromination (Scheme 45) [358]. Similar results have been reported [359,360].

Scheme 45



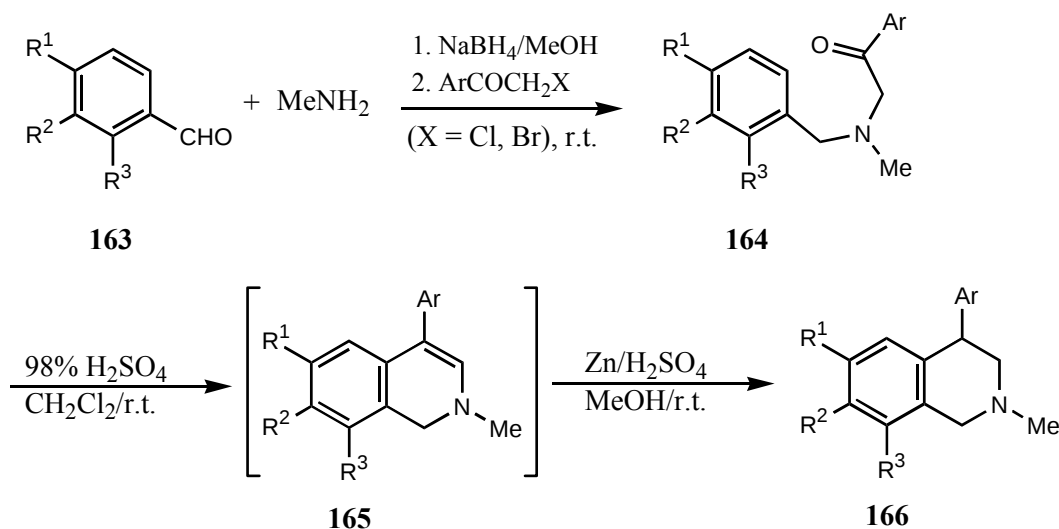
The synthesis of substituted 1,6-dioxapyrenes **162** from 2,6-dialkyl-1,5-naphthalenediols **160** is described by Christensen and co-workers (Scheme 46) [361].

Scheme 46



A general synthetic route for 4-aryl-1,2,3,4-tetrahydroisoquinolines **166** was reported from the reaction of aromatic aldehydes **163**, methyl amine and α -haloacetophenones in the presence of sodium borohydride followed by cyclization with sulfuric acid and zinc in methanol (Scheme 47) [362-365].

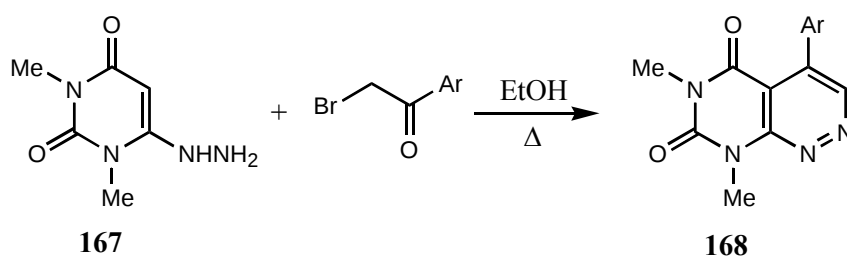
Scheme 47



E. Synthesis of Six-Membered Rings with Two Heteroatoms

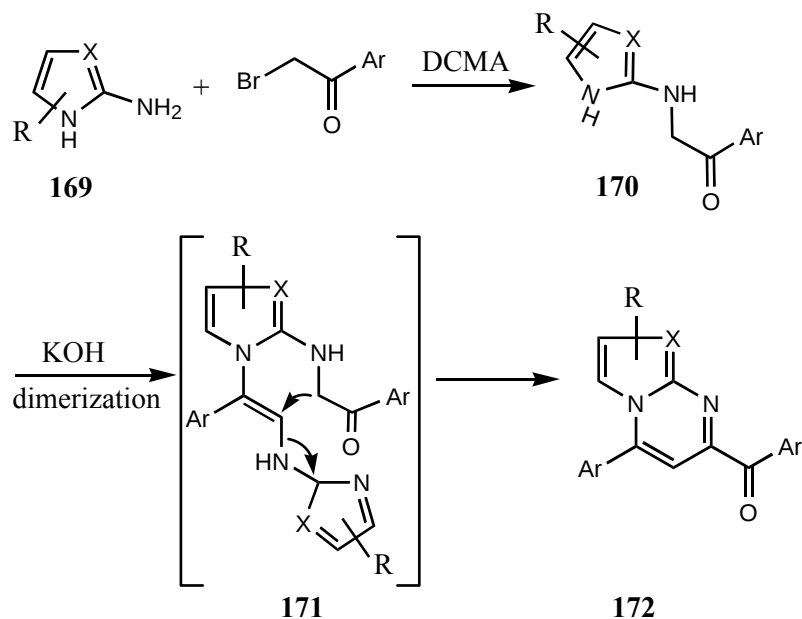
Treatment of 6-hydrazino-1,3-dimethyluracil (**167**) with phenacyl bromides afforded the corresponding 4-aryl-6,8-dimethylpyrimido[4,5-c]pyridazine derivatives **168** (Scheme 48) [366].

Scheme 48



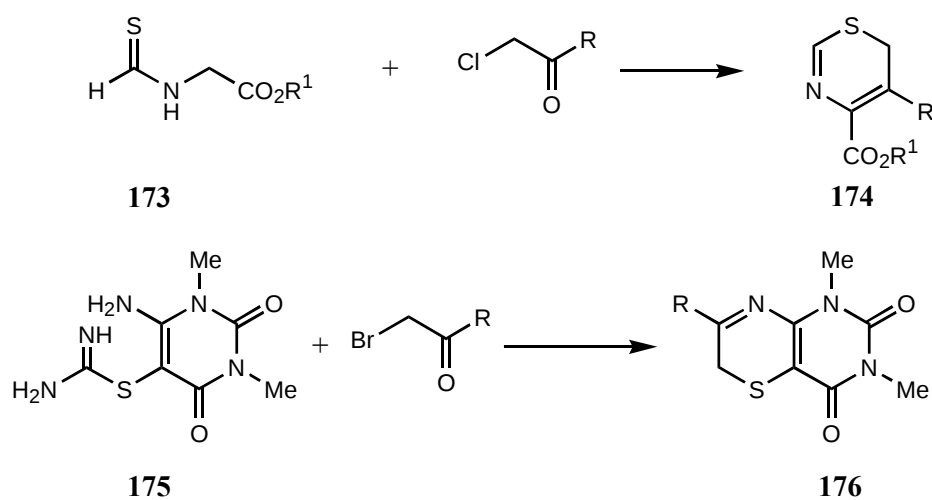
Reaction of 2-aminoazoles **169** with phenacyl bromides in the presence of dicyclohexylmethylamine gave the corresponding monoalkylated products **170**. The latter upon treatment with a strong base afforded fused pyrimidine derivatives **172** (Scheme 49) [367-370].

Scheme 49



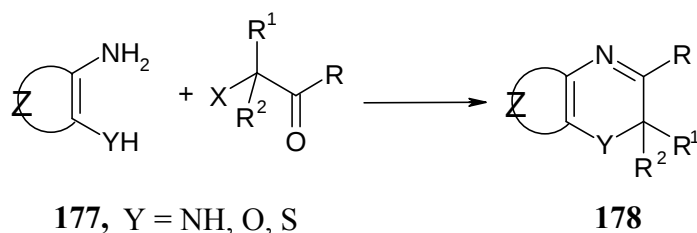
Efficient syntheses of 1,3-thiazines **174** [371] and 1,4-thiazines **176** [372] were achieved *via* cyclocondensation of α -haloketones with the corresponding *N*-substituted thioacyl derivatives **173** and **175**, respectively (Scheme 50).

Scheme 50



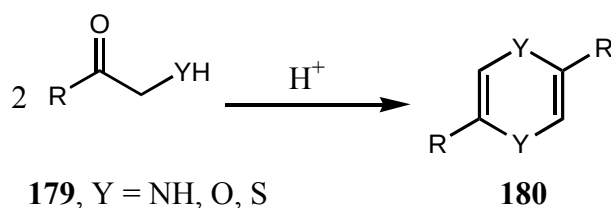
Several pyrazines [373-381], oxazines [382-385], and thiazines [386-393] were obtained when **177** were treated with α -haloketones (Scheme 51).

Scheme 51



The dimerization of the phenacyl derivatives **179**, readily obtainable from α -haloketones and amines, NaOH or Lawesson's reagent, is one of the convenient synthetic route for the preparation of pyrazine [394-398], 1,4-dioxin [399-401] and 1,4-dithiin [402,403] derivatives **180** (Scheme 52).

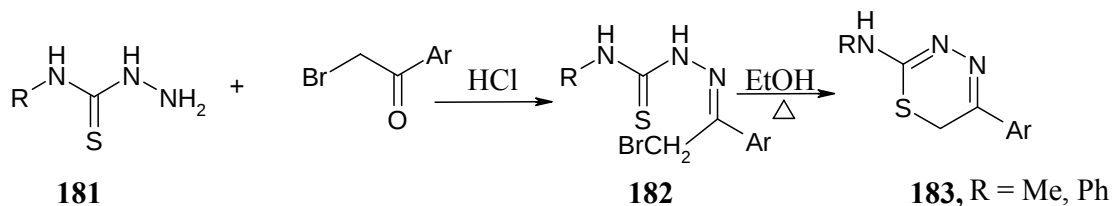
Scheme 52



F. Synthesis of Six-Membered Rings with Three Heteroatoms

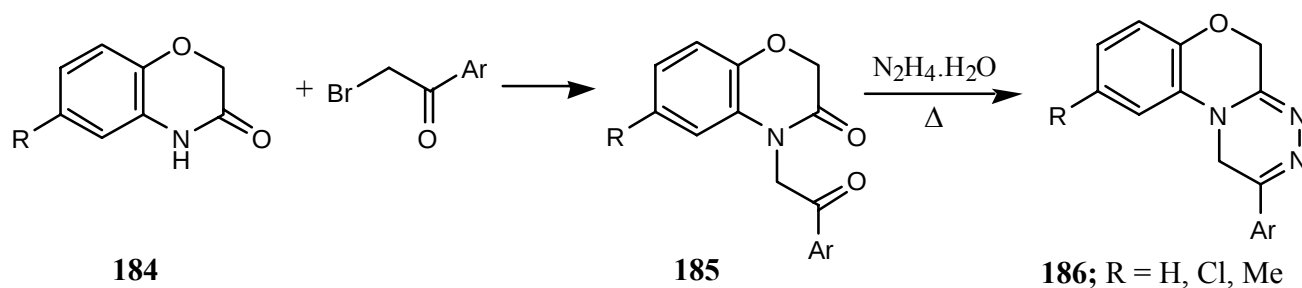
The reaction of 4-substituted thiosemicarbazides **181** with α -bromoacetophenones in 2M hydrochloric acid initially provide the corresponding thiosemicarbazones **182**, followed by cyclization to 2-amino-5-aryl-6H-1,3,4-thiazines **183** upon boiling in ethanol (Scheme 53) [404-406].

Scheme 53



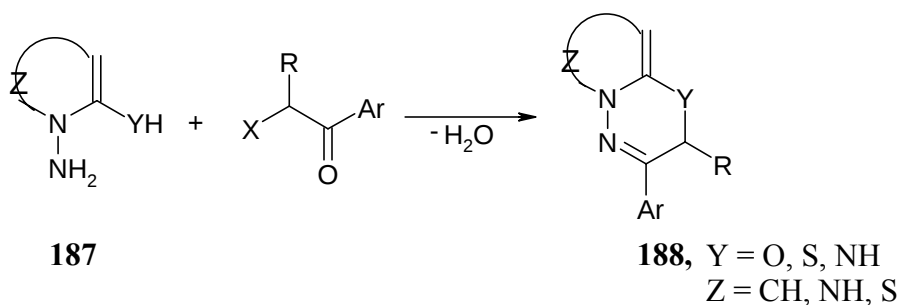
A number of 4H-1,2,4-triazino[3,4-c]-1,4-benzoxazines **186** could be prepared as antiinflammatory agents via the *N*-alkylation of benzoxazines **184** with α -bromoacetophenones to give **185** which cyclized with hydrazine hydrate to give **186** (Scheme 54) [407].

Scheme 54



Several pyridooxadiazines [408], imidazo[2,1-*b*]thiadiazines [409], thiazolo[2,3-*b*]-1,3,4-thiadiazines [410,411], triazolothiadiazines [412-421], pyrimido[2,1-*b*]thiadiazines [422-424], thiazolo[3,4-*c*]-1,2,4-triazines [425], and pyrimido[2,1-*c*]-as-triazines [426,427] **188** were obtained *via* the cyclocondensation of α -haloacetophenones with 1-amino-2-pyridones, 1-aminobenzimidazoline-2-thiones, 3-amino-thiazoline-2-thiones, 3-mercapto-1,2,4-triazoles, 1-amino-2(1*H*)-pyrimidinethiones, 4-phenylhydrazino-2-thiazolidenethiones and 2-hydrazinopyrimidines, respectively (Scheme 55).

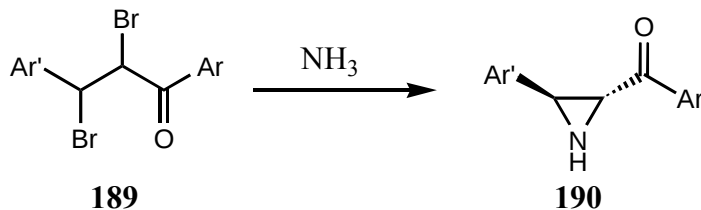
Scheme 55



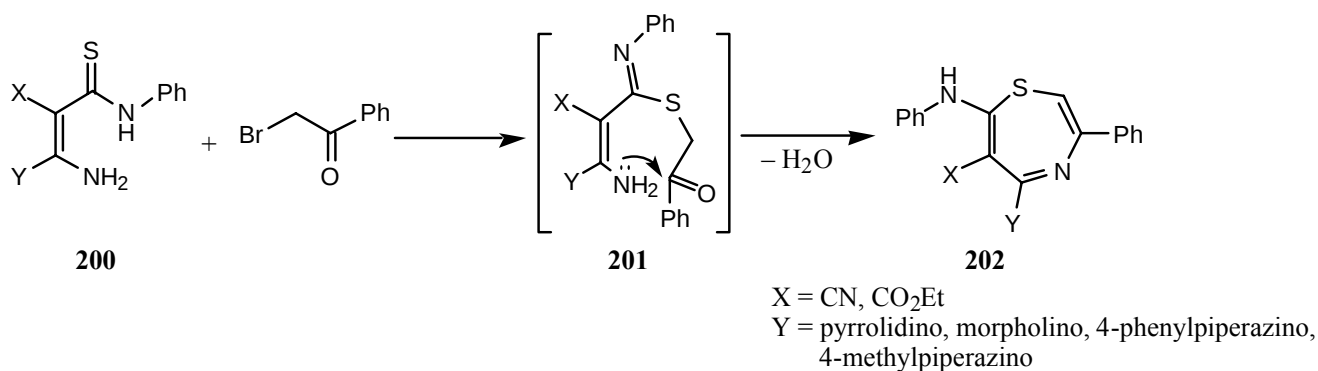
G. Synthesis of Miscellaneous Heterocyclic Rings

Trans-aziridines **190** were formed from the reaction of α,β -dibromoketones **189** with ammonia (Scheme 56) [428].

Scheme 56

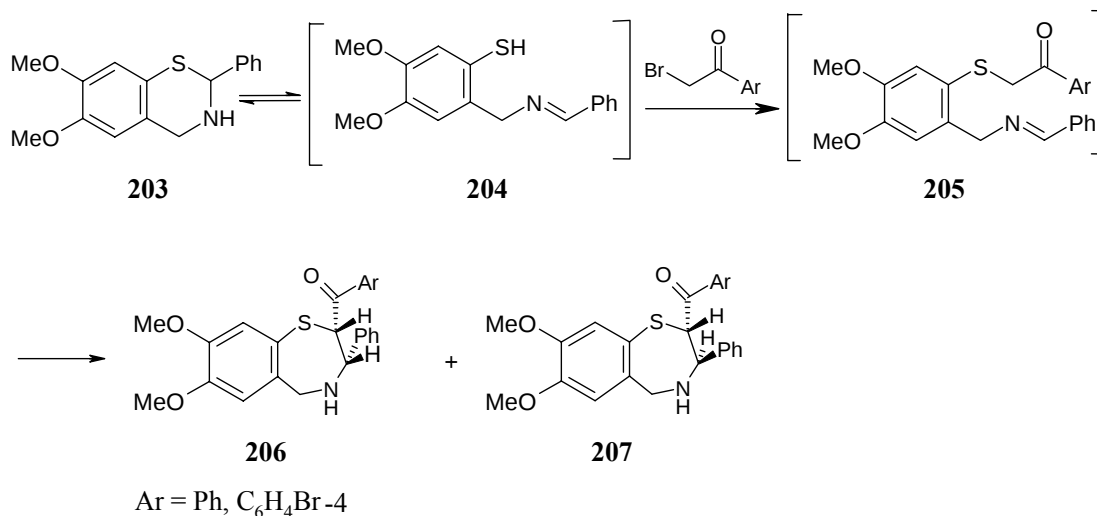


Scheme 59



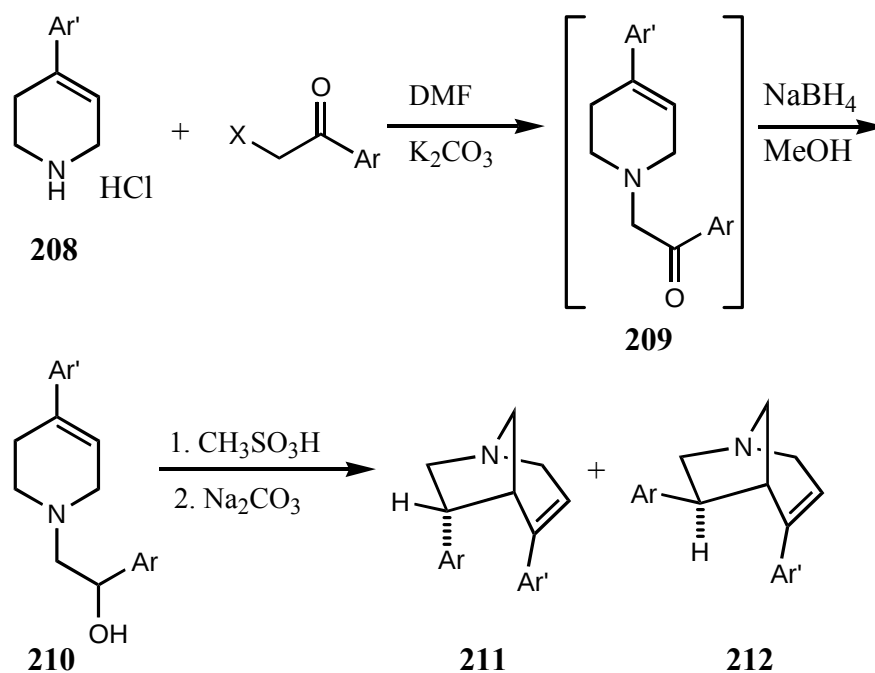
Tetrahydro-1,4-benzothiazepines **206** and **207** were prepared *via* ring expansion of the 1,3-benzothiazine derivative **203**. The suggested mechanism could be as depicted in Scheme 60 [434,435].

Scheme 60



The hydroxybenzyl group in the 1,2,5,6-tetrahydropyridine derivatives **210** initiated the intramolecular cyclization reactions to provide racemic *endo-exo* isomers of 4,6-diaryl-1-azabicyclo[3.2.1]-oct-3-ene derivatives **211** and **212** (Scheme 61) [436,437].

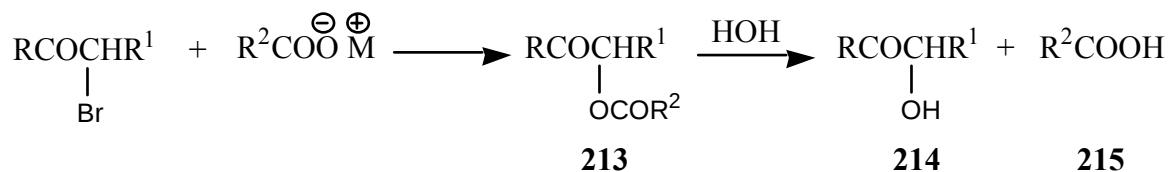
Scheme 61



VI. Reactions of α -Haloketones with Carboxylic Acids and Their Derivatives

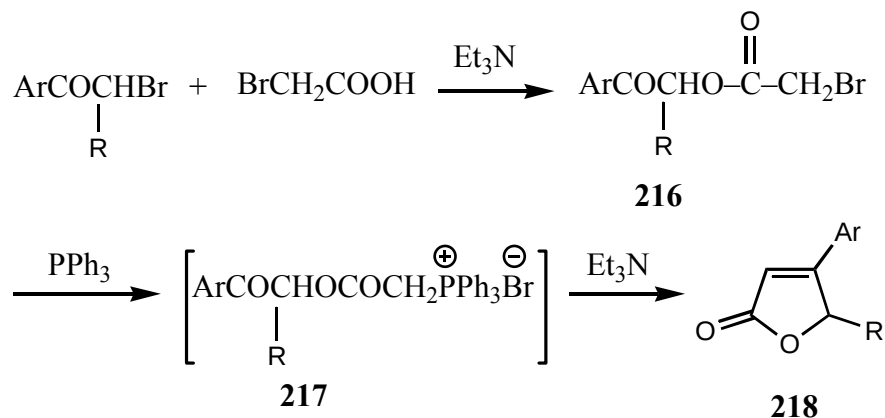
α -Haloketones react with carboxylic acid salts to give substituted ester products **213**. Hydrolysis of these esters affords the corresponding α -hydroxyketones **214**. No major side products were reported (Scheme 62) [438-448].

Scheme 62



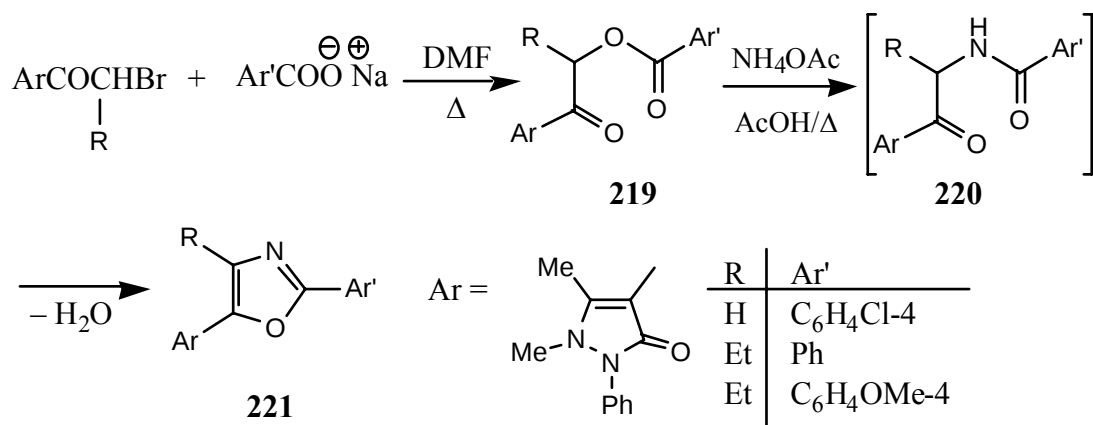
α -Bromoketones react with bromoacetic acid in the presence of a catalytic amount of triethylamine to give the substitution products **216**, which, via the intermediate phosphonium salts **217**, heterocyclized to the corresponding α,β -unsaturated lactones **218** (Scheme 63) [449].

Scheme 63



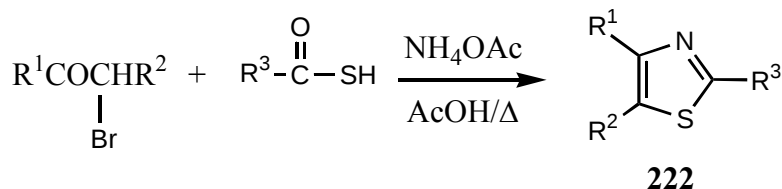
The oxazole derivatives **221** could be obtained *via* reaction of α -bromoketones with the sodium salts of carboxylic acids in the presence of ammonium acetate. The oxazole derivatives **221** show some analgesic activity (Scheme 64) [450,451].

Scheme 64



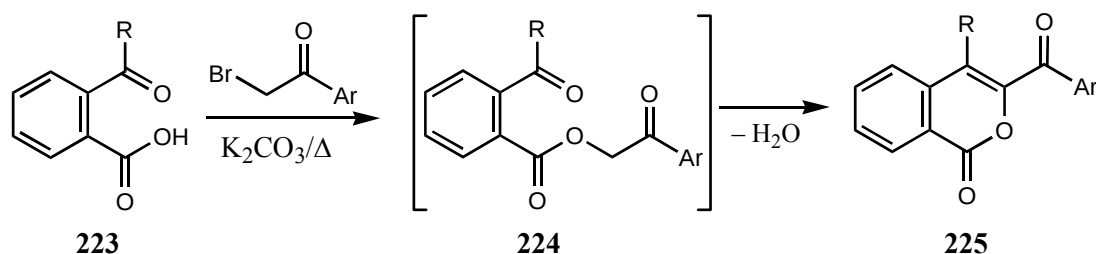
Thiocarboxylic acids and their derivatives react readily with α -bromoketones. The reaction of α -bromoketones with thioacids in the presence of ammonium acetate in refluxing acetic acid affords the 1,3-thiazole derivatives **222** (Scheme 65) [452-455].

Scheme 65



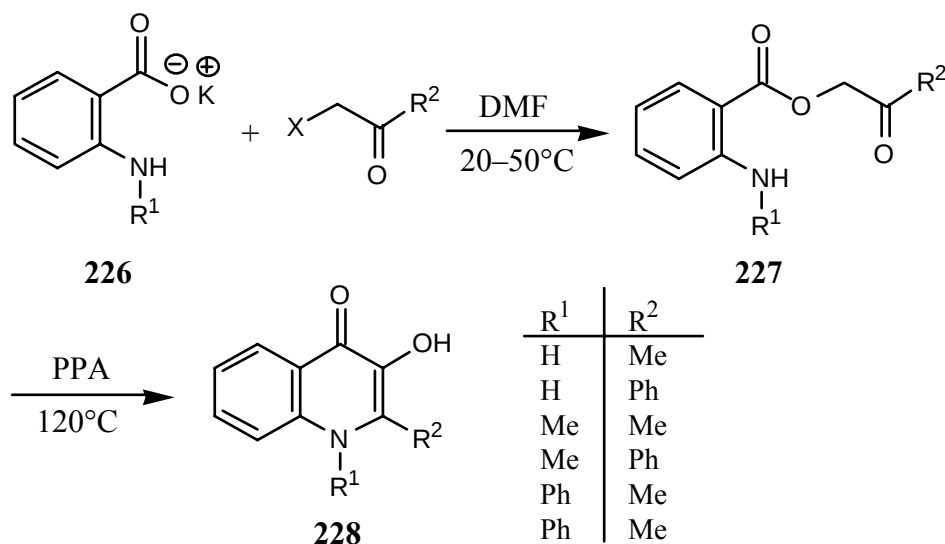
The reaction of *o*-acylbenzoic acids **223** with α -bromoacetophenones in the presence of K_2CO_3 affords benzopyran-1*H*-1-one derivatives **225**. The pyranones **225** show, *in vivo*, significant blood pressure effect on rats (Scheme 66) [456-460].

Scheme 66



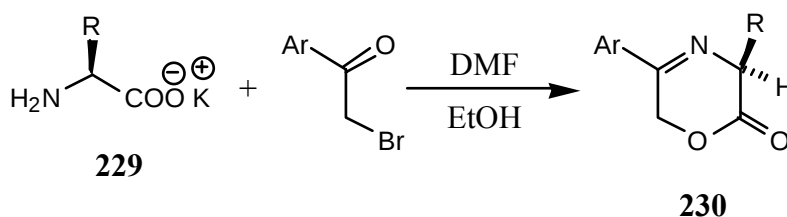
1,2-Disubstituted-3-hydroxy-4(1H)-quinolinones **228** were prepared by the reaction of potassium salts of anthranilic acids **226** with α -haloketones to give the corresponding acetonyl or phenacyl anthranilates **227**. On heating with polyphosphoric acid, the latter compounds afford **228** (Scheme 67) [461,462].

Scheme 67



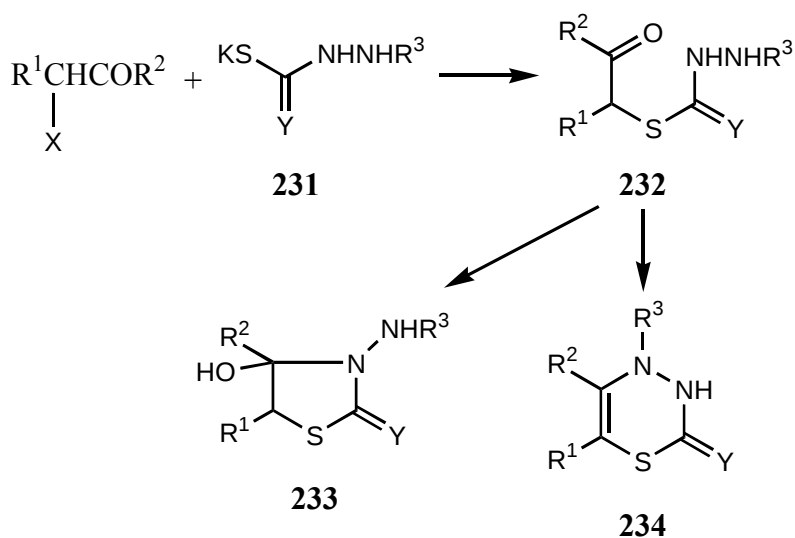
5-Aryl-3,6-dihydro-2H-1,4-oxazin-2-ones **230** were synthesized *via* reacting the potassium salts of α -amino acids **229** with α -bromoacetophenones (Scheme 68) [441-463].

Scheme 68



S-Potassium hydrazinomonothio- and dithioformates **231** react with α -haloketones to give acylmethyl (hydrazino)thioformates **232**. The latter can be cyclized to 1,3-thiazolines **233** or 1,3,4-thiadiazines **234** depending upon the substitution pattern of the ketone (Scheme 69) [464].

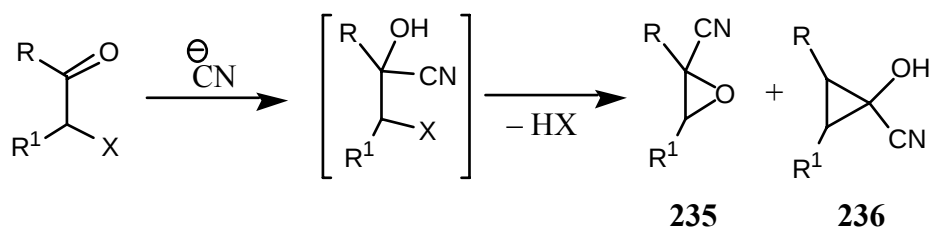
Scheme 69



VII. Reactions of α -Haloketones with Carbon Nucleophiles

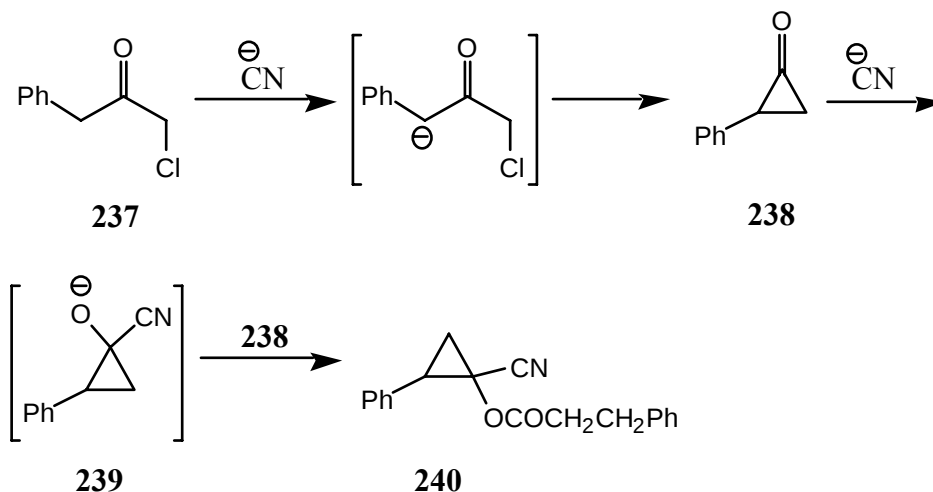
α -Haloketones may undergo two competitive reactions with sodium or potassium cyanide. Nucleophilic addition and intramolecular substitution lead to 2-cyanooxiranes **235** [465-479] (Scheme 70).

Scheme 70



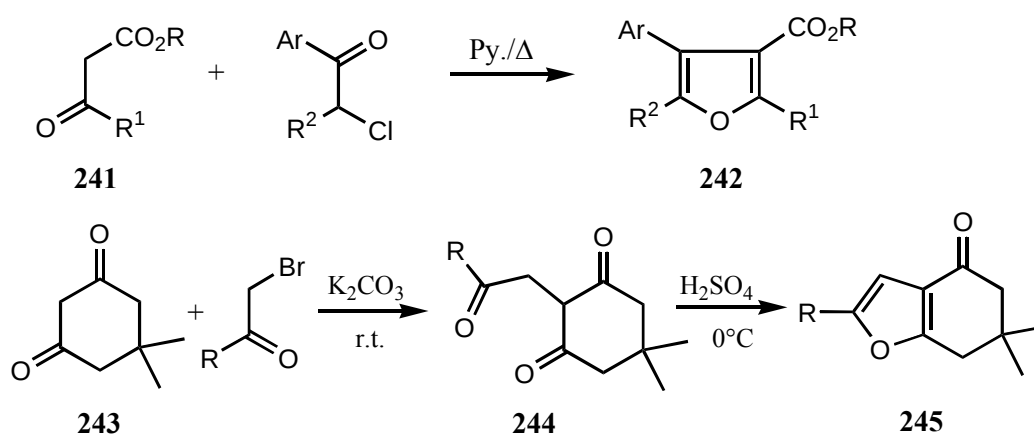
Whereas Favorskii rearrangement and nucleophilic addition generate cyanocyclopropanols **236** [471,473,474] depending on the solvent and the reaction conditions. A typical example for Favorskii-type rearrangement is obtained on reaction of 1-chloro-3-phenyl-2-propanone (**237**) with alkali cyanides to yield the cyclopropane derivative **240** (Scheme 71) [480].

Scheme 71



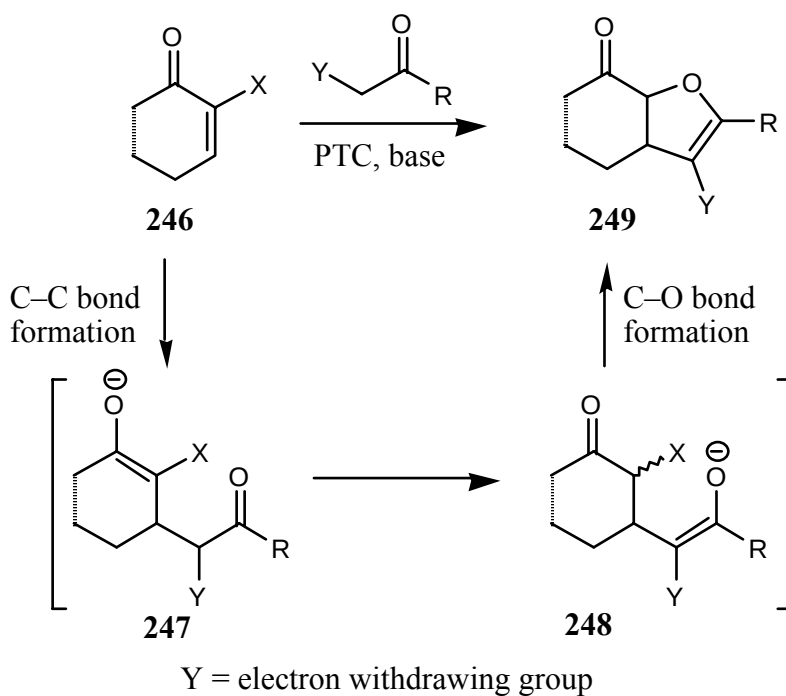
Condensation of α -haloketones with each of β -keto esters **241** and dimedone (**243**) affords the corresponding furans **242** [481] and 6,6-dimethyl-4-oxo-4,5,6,7-tetrahydrobenzofurans **245**, respectively (Scheme 72) [482-486].

Scheme 72



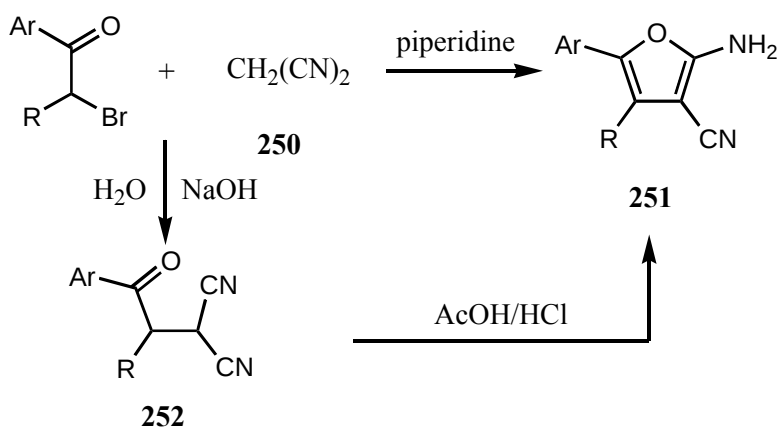
Treatment of cyclic or acyclic α -haloenones **246** with various carbon nucleophiles involving active methylene functions, under PTC conditions, afforded the corresponding dihydrofurans **249** (Scheme 73) [487,488].

Scheme 73



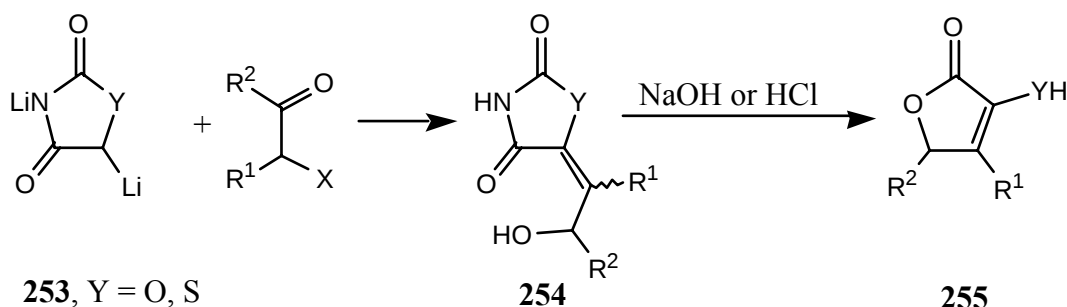
The reaction of α -bromoacetophenones with malononitrile (**250**) affords 2-amino-3-cyanofuran derivatives **251**. This reaction, aimed at heterocyclic synthesis of furans and their fused systems, was first reported by Gewald and subsequently explored by other groups (Scheme 74) [490-501].

Scheme 74



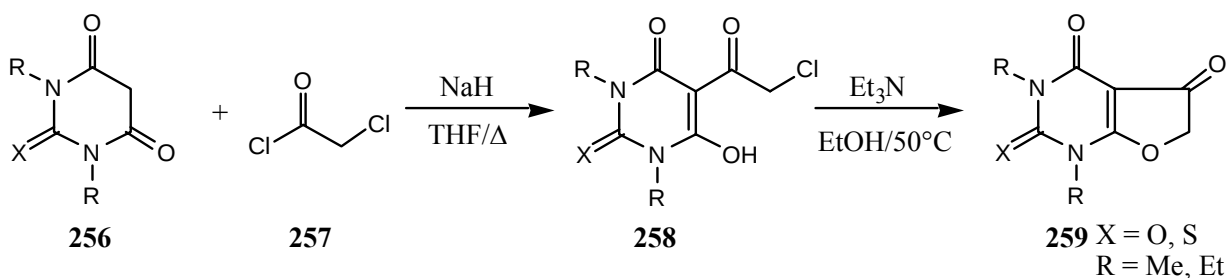
Dilithio-2,4-oxa(thia)zolidinediones **253** coupled with α -haloketones to give the allylic derivatives **254** which upon alkaline hydrolysis afford the corresponding 2-(5*H*)-furanones **255** (Scheme 75) [502-505].

Scheme 75



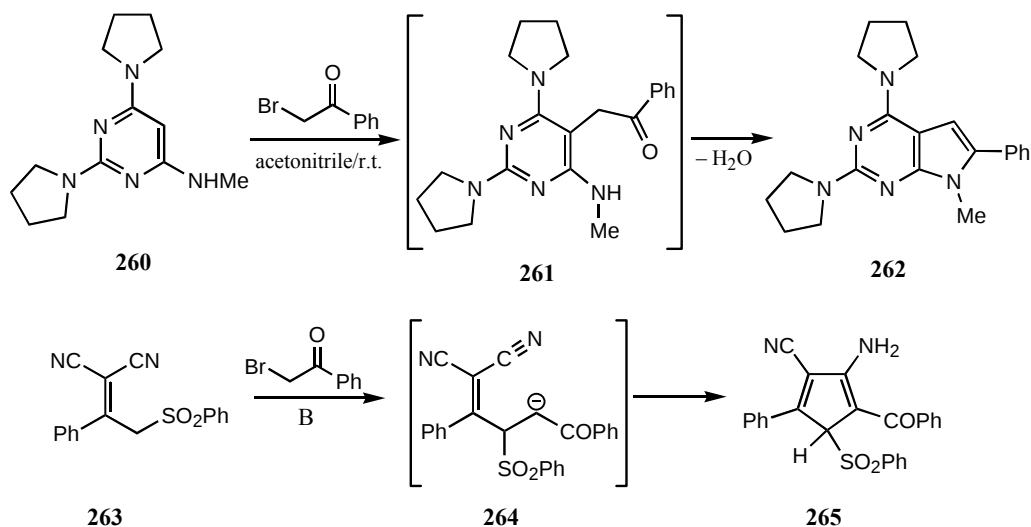
The sodium derivatives of 1,3-dialkylbarbituric acid or 2-thiobarbituric acid derivatives **256** undergo monoacylation at C-5 to give the corresponding 5-chloroacetyl derivatives **258** which cyclized on treatment with Et₃N in ethanol to give the corresponding furano[3,2-*e*]pyrimidindione derivatives **259** (Scheme 76) [506].

Scheme 76



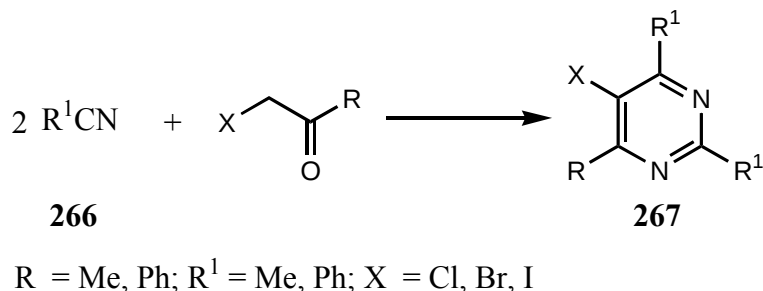
7-Methyl-6-phenyl-2,4-di-1-pyrrolidinyl-7*H*-pyrrolo[2,3-*d*]pyrimidine (**262**), used as a potent anti-oxidant (PNU-87663), could be synthesized *via* reaction of the pyrimidine derivative **260** with phenacyl bromide [507,508]. The active methylene group in 1,1-dicyano-2-phenyl-3-phenylsulfonyl-propene (**263**) reacted with phenacyl bromide in the presence of potassium *tert*-butoxide to give the corresponding cyclopentadiene derivative **265** (Scheme 77) [509].

Scheme 77



The reaction of alkyl and aryl α -halomethyl ketones with aliphatic or aromatic nitriles **266** in the presence of trifluoromethanesulfonic anhydride in dichloromethane affords the corresponding halo-pyrimidines **267** (Scheme 78) [510].

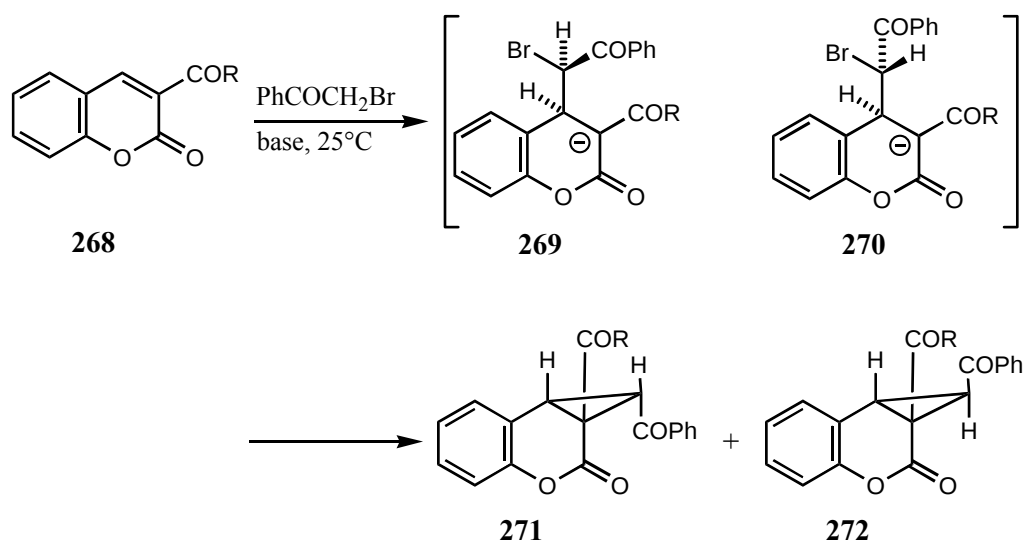
Scheme 78



VIII. Reactions of α -Haloketones with Alkenes and Alkynes

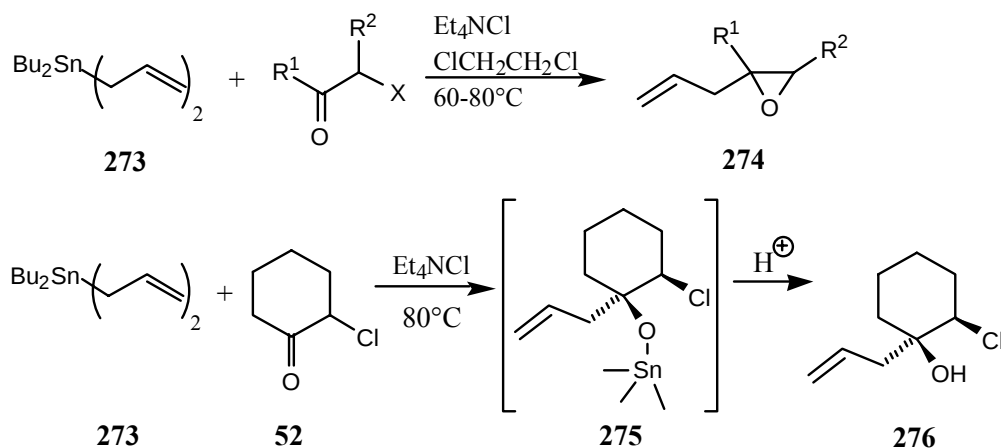
3-Acyl-2*H*-1-benzopyran-2-ones **268** reacted with phenacyl bromide in the presence of a base to give the cyclopropane derivatives **271** and **272** in moderate yields *via* intermediates **269** and **270** [511-518]. The mechanism and the stereoselectivity of such reactions have been well-studied (Scheme 79) [511].

Scheme 79



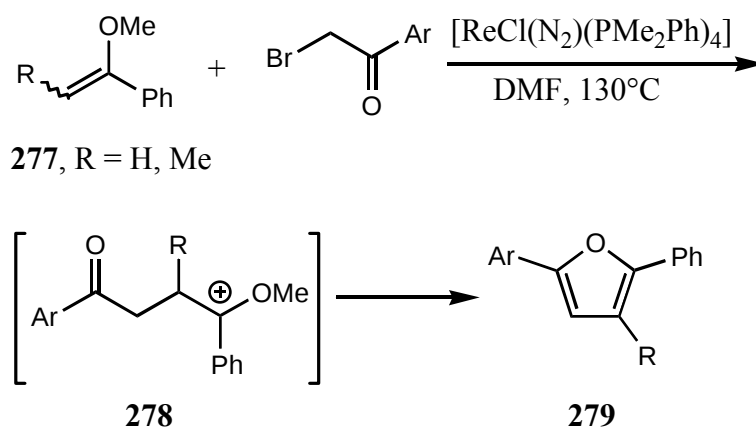
The palladium-catalyzed reaction of α -haloketones with allyl-substituted tin compounds such as diallyldibutyltin (**273**) is a useful route to 2-allyloxirans **274** [519-522]. The allylation of 2-chlorocyclohexan-1-one (**52**) gave the corresponding *cis*-1-allyl-2-chlorohexanol **276** (Scheme 80) [521].

Scheme 80



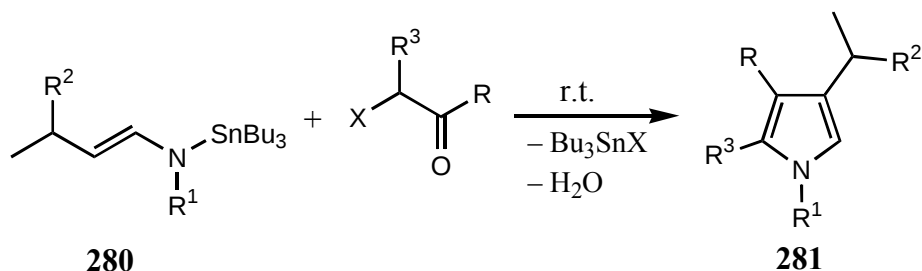
By catalytic use of rhenium(I) nitrogen complex, $[\text{ReCl}(\text{N}_2)(\text{PMe}_2\text{Ph})_4]$, α -keto radicals, generated from α -bromoketones react with vinyl ethers **277** to give 2,5-diarylfurans **279** via **278** (Scheme 81) [523,524].

Scheme 81



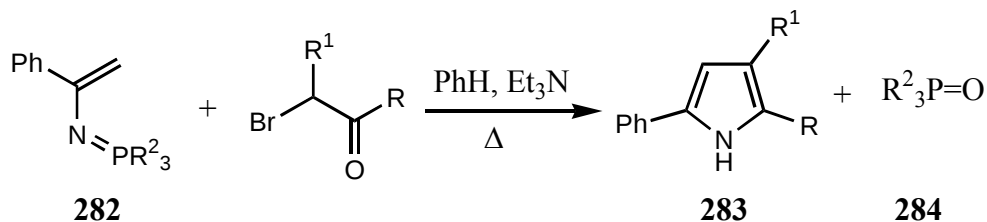
Effective coupling of organotin(IV) enamines **280** with α -haloketones gave the corresponding 2,4-disubstituted pyrroles **281** at room temperature even under aqueous conditions (Scheme 82) [525-532].

Scheme 82



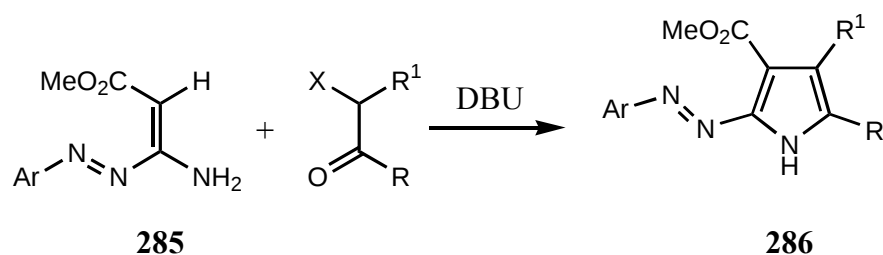
N-(1-Phenylvinyl)iminophosphoranes **282** reacted with α -bromoketones to give substituted pyrroles **283** via C–C bond formation followed by an aza-Wittig reaction (Scheme 83) [533-535].

Scheme 83



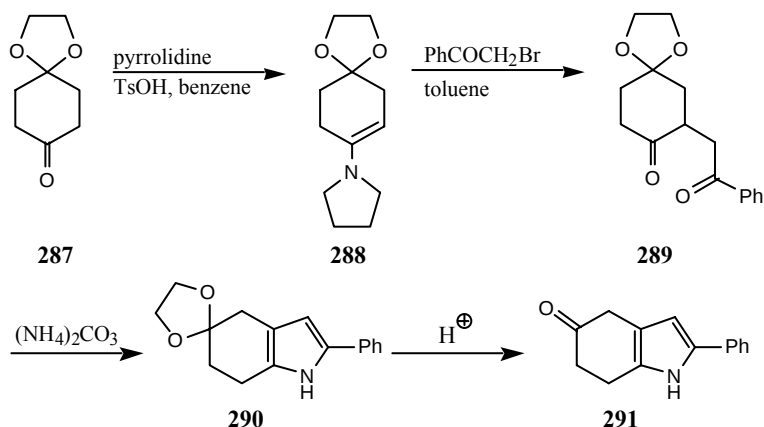
Methyl 3-amino-3-arylazopropenates **285** react with α -haloketones in the presence of 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) to furnish the pyrrole derivatives **286** on the pattern of the Hantzsch-pyrrole synthesis (Scheme 84) [536,537].

Scheme 84



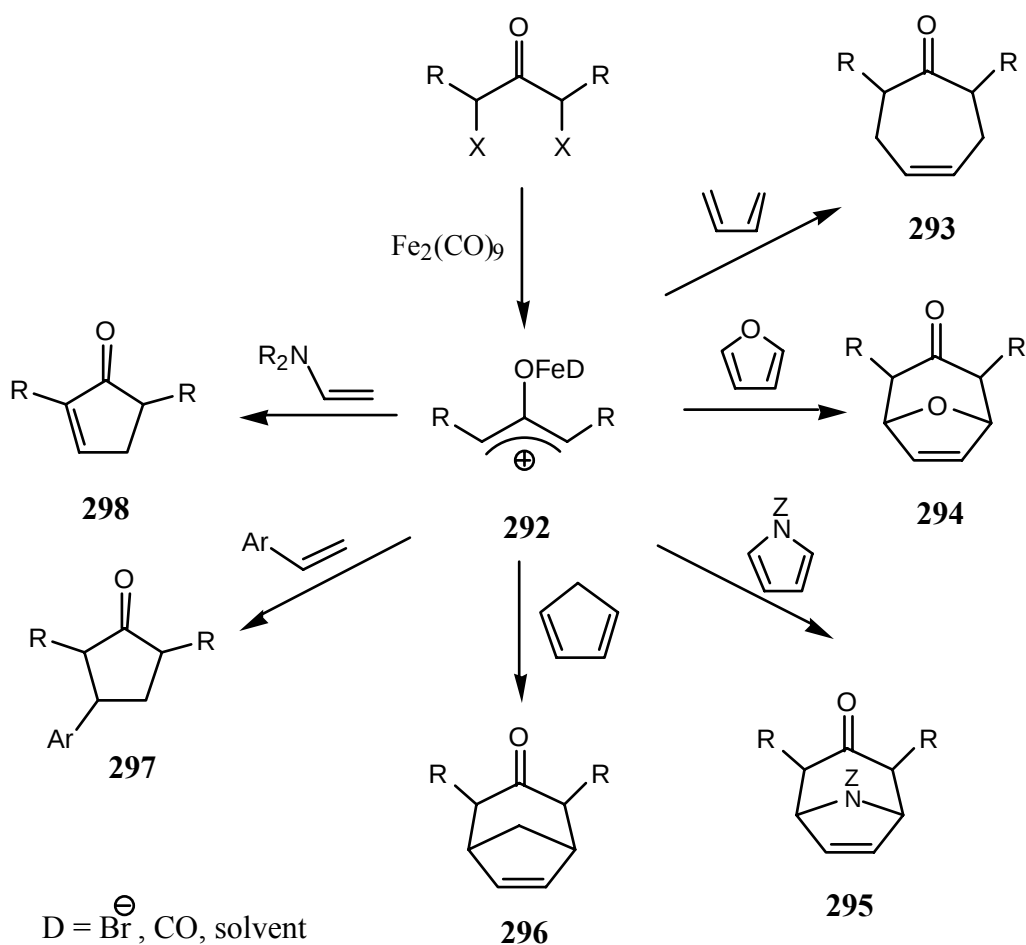
The preparation of 1-phenacylcyclohexan-1,4-dione mono(ethyleneketal) (**289**) was achieved by alkylation of the pyrrolidine enamine **288** with phenacyl bromide. The latter could be cyclized by the effect of ammonium carbonate, as the source of nitrogen to give 2-phenyl-4,5,6,7-tetrahydroindol-5-one (**291**) (Scheme 85) [538,539].

Scheme 85



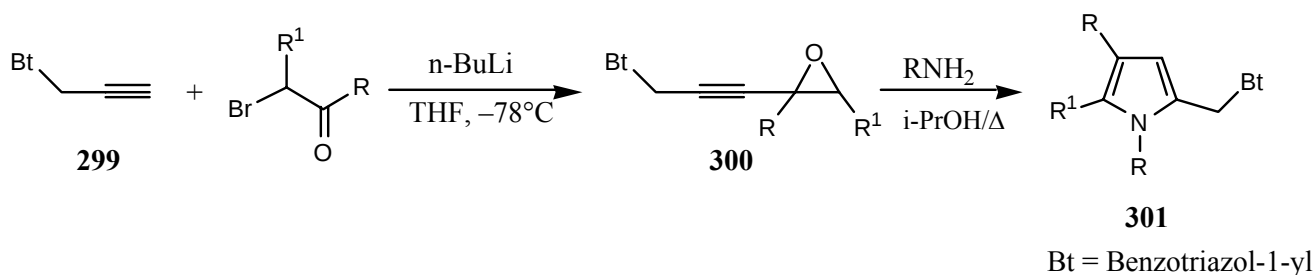
Reaction of α,α' -dihaloketones with a variety of metal complexes especially Fe₂(CO)₉, generates oxyallyl cations **292**. The latter undergo ring closure with alkenes to various carbocycles and heterocycles **293–298** (Scheme 86) [540–544].

Scheme 86



Katritzky and coworkers [545-548] have prepared several pyrrole derivatives **301** by the reaction of alkynyloxirans **300**, derived from 1-propargylbenzotriazole (**299**) with α -bromoketones, with primary amines (Scheme 87).

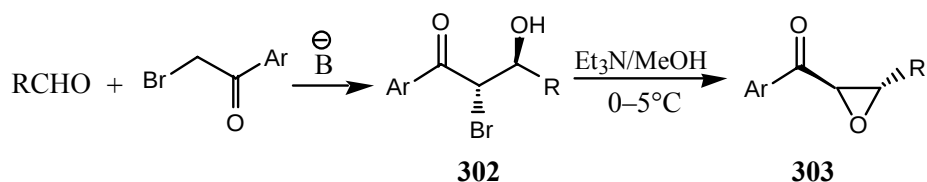
Scheme 87



IX. Reactions of α -Haloketones with Aldehydes and Ketones

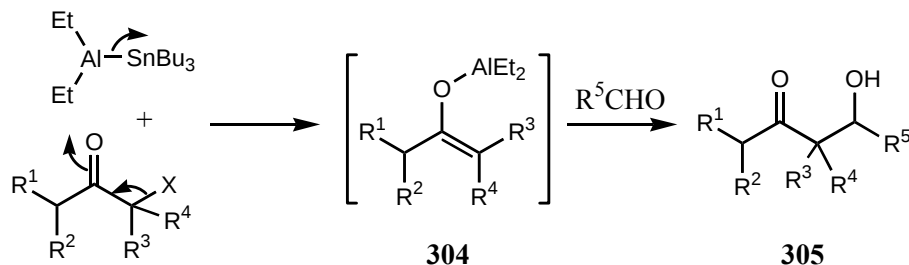
The condensation of phenacyl halides with aldehydes has been used for the preparation of oxiranes **303**. The reaction proceeds *via* the cross aldol condensation mechanism (Scheme 88) [549-555].

Scheme 88



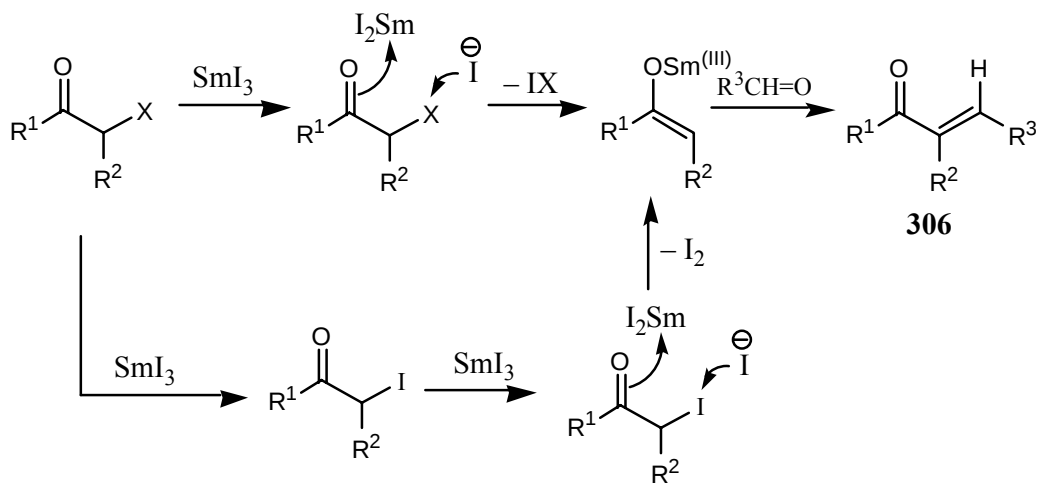
Regiospecific cross aldol-type condensation have been demonstrated by the simultaneous addition of α -haloketones and aldehydes or ketones to a mixture of diethylaluminum chloride and zinc [556-558], or by means of $Bu_3SnAlEt_2$ complex [559-561], titanium(II) chloride [562], Co(0) [563], Sm(II) [564], In(0) [565], $CrCl_2$ [566] or cobalt(0) trimethylphosphine complex [567,568] to give similar results of the kinetic crossed aldol products **305** (Scheme 89).

Scheme 89



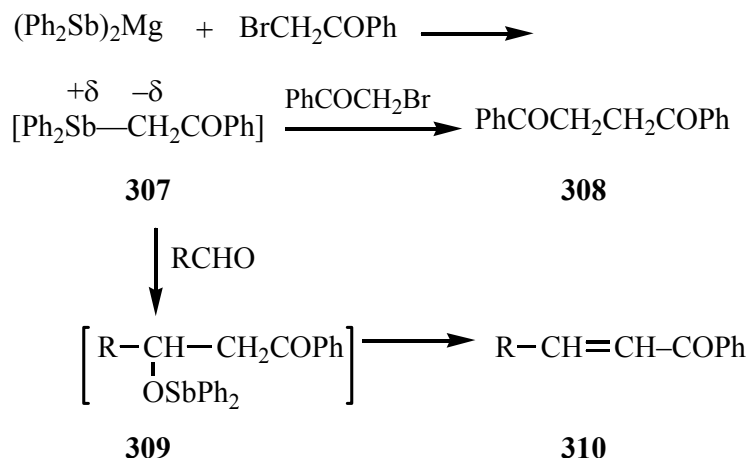
Reaction of α -haloketones with aldehydes in the presence of samarium triiodide SmI_3 give the corresponding α,β -unsaturated ketones **306** in good to excellent yields (Scheme 90) [569-573]. Sodium hydrotelluride [574], tin dichloride/sodium sulfite ($SnCl_2/Na_2SO_3$) [575] or cerium trichloride [576] furnished similar results.

Scheme 90



In the presence of diphenylantimonymagnesium, various aldehydes react readily with α -bromoacetophenone to yield the corresponding α,β -unsaturated ketones **310** in good yields (Scheme 91) [577,578].

Scheme 91

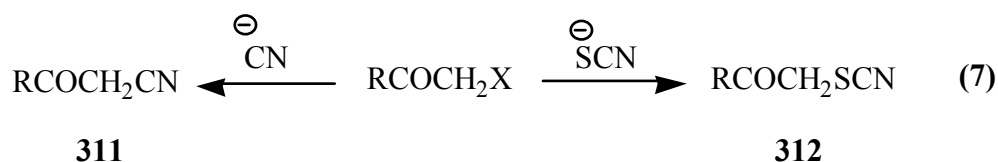


X. Miscellaneous Reactions of α -Haloketones

Although some of the following reactions of α -haloketones do not give heterocyclic products directly, but it is worthy important to give short notes on such reactions to show up the importance of α -haloketones as versatile synthons in the synthetic organic chemistry field.

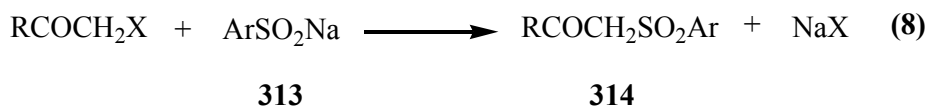
A. Cyanation and Thiocyanation

Phenacyl cyanides **311** [579-590] and phenacyl thiocyanates **312** [591-595] have been proven to be valuable tools for the synthesis of a wide variety of heterocyclic compounds. They are simply prepared *via* the reaction of α -haloketones with alkali metal cyanides and thiocyanates, respectively (Eq 7).



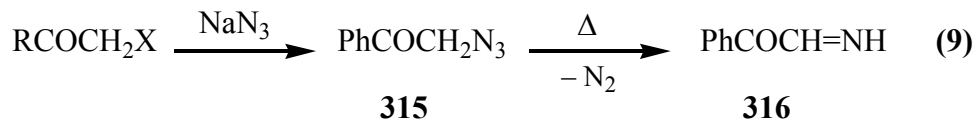
B. Sulfonation

Reaction of α -haloketones with sodium arylsulfonates **313** gave the corresponding 2-(arylsulfonyl)-1-substituted-ethanones **314** (Eq 8). The reaction proceeds thermally [596,597] or under phase-transfer catalysis conditions [598,599].



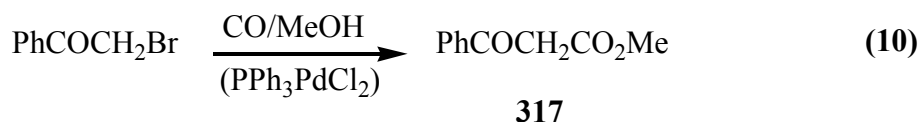
C. Azidation

It is well-known that reactions of α -haloketones with sodium azide under mild conditions produced the corresponding α -azido ketones **315** [600-604] which undergo loss of nitrogen giving the corresponding α -imino ketones **316** when heated in an inert solvent (Eq 9).



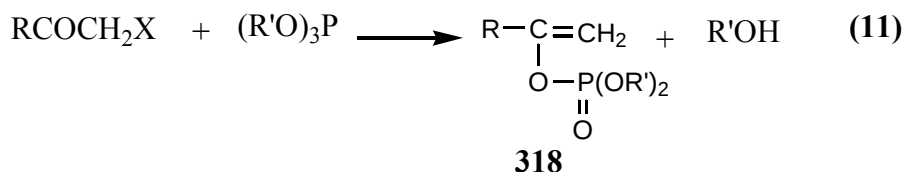
D. Carboxylation

The carboxylation of organic halides using palladium catalysts has received little attention because of the severe reaction conditions [605-608]. α -Carbomethoxyacetophenone (**317**) was obtained upon carboxylation of 2-bromoacetophenone with carbon monoxide gas in methanol and in the presence of 1,8-bis(dimethylamino)naphthalene and a catalytic amount of dichlorobis(triphenylphosphine)-palladium(II) ($\text{PPh}_3\text{PdCl}_2$) (Eq 10) [609].



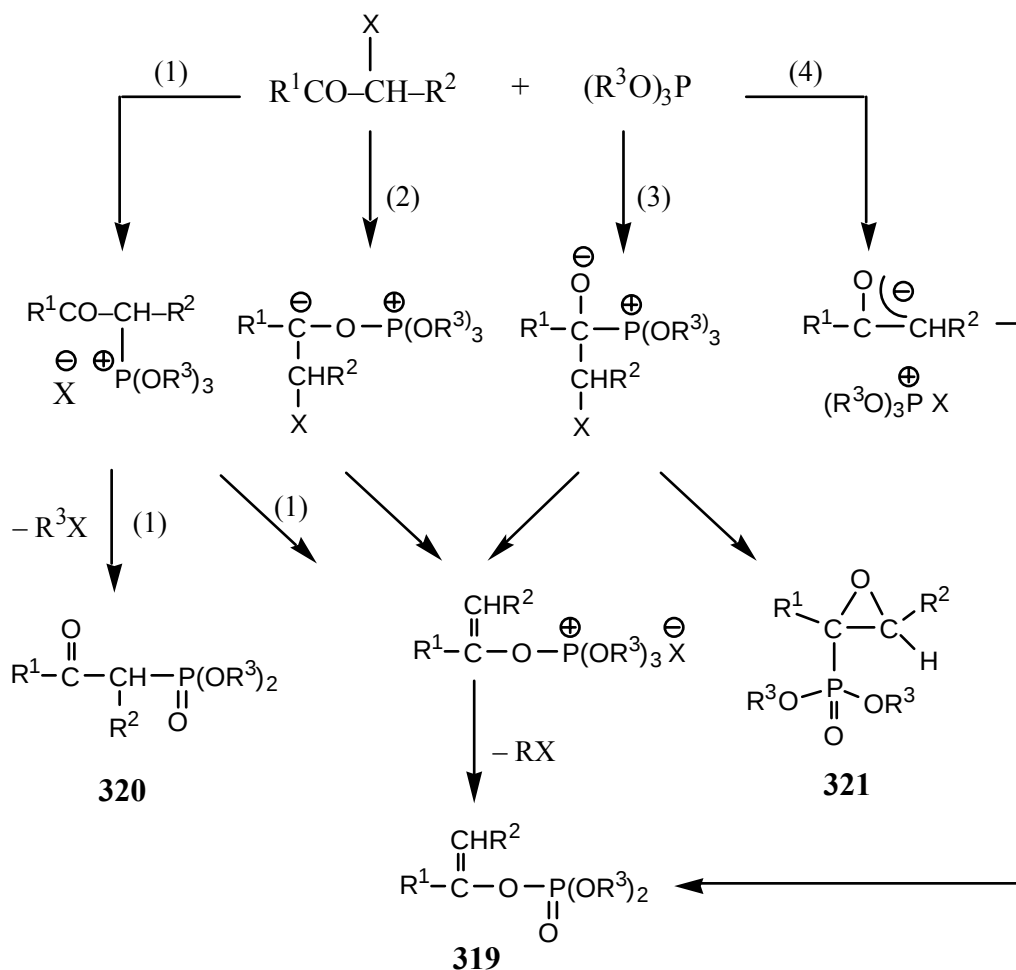
E. Phosphorylation

Trialkyl phosphites $(\text{RO})_3\text{P}$ are known to react with α -haloketones to yield **318** (Arbusov reaction) (Eq 11) [610-624].



In general, the attack of phosphites can take place at four positions: (1) attack on the carbon atom carrying the halogen giving rise to an enol phosphate **319** or to a β -ketophosphonate **320**; (2) attack on the carbonyl oxygen; (3) attack on the carbonyl carbon, giving rise to an epoxyphosphonate **321** or a vinyl phosphate **319**; (4) attack on the halogen, furnishing the enol phosphate **319** (Scheme 92).

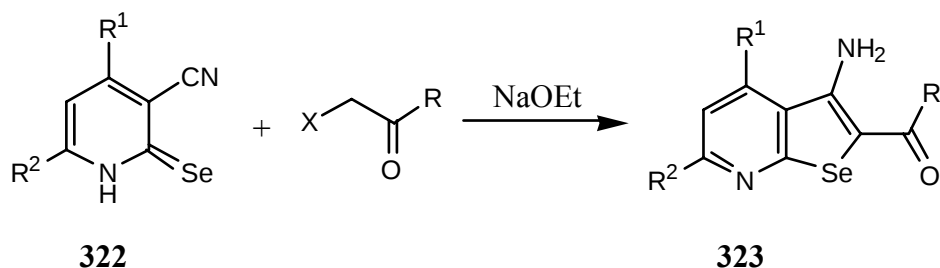
Scheme 92



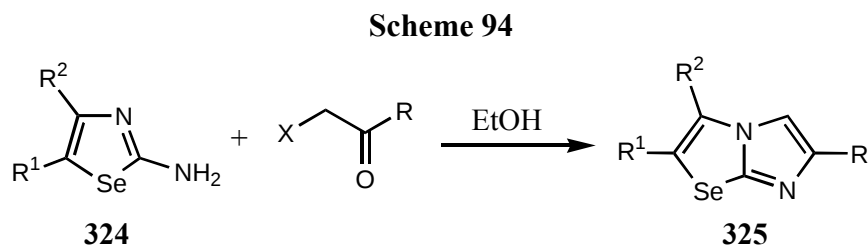
F. Selenation

The selenation of α -haloketones using sodium hydrogen selenide or sodium or magnesium diselenide afforded only the dehalogenated ketones and selenium instead of the corresponding α -hydroselenoketones. This is due to the strong reducing power of hydrogen selenide [625]. Seleno-substitution products were obtained when α -haloketones reacted with selenonucleophiles [626-636]. For example, a series of selenophenopyridines **323**, with antiviral activity, could be prepared via reaction of 3-cyano-2(1H)-pyridineselenones **322** with α -haloketones (Scheme 93) [637,638].

Scheme 93

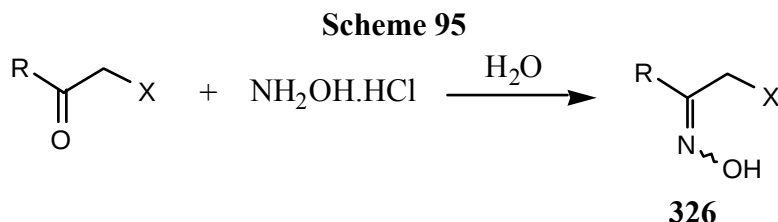


Also, imidazo[2,1-*b*]selenazoles **325** were prepared by cyclocondensation of 2-aminoselenazoles **324** with α -halocarbonyl compounds in ethanol (Scheme 94) [639].

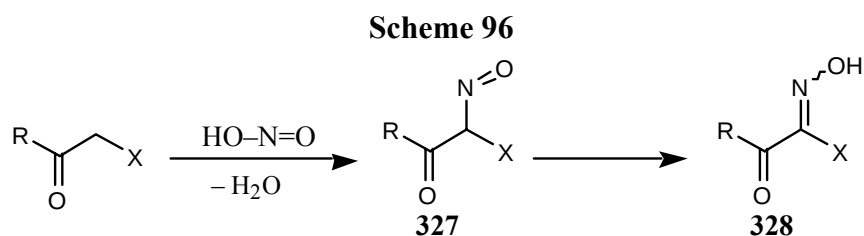


G. Oximation

The reaction of α -haloketones with hydroxylamine hydrochloride leads to the corresponding α -haloketoximes **326** (Scheme 95). Such oximes are good building blocks in organic synthesis [640-649].



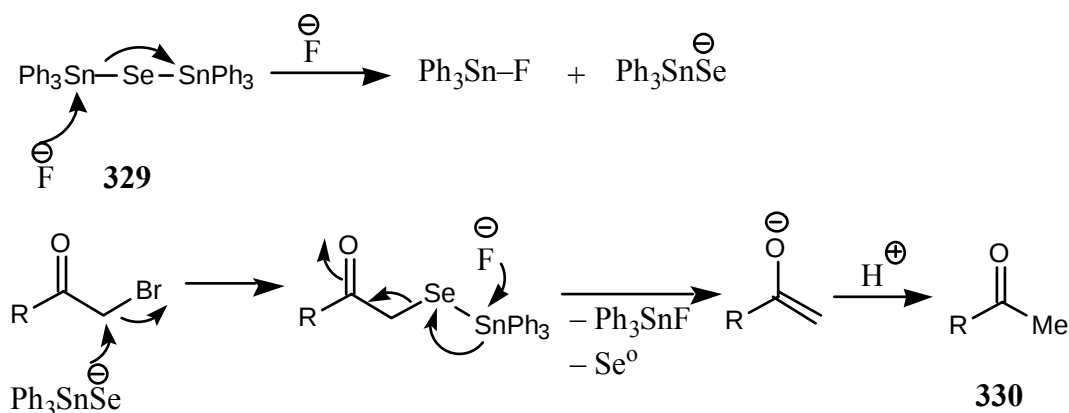
On the other hand, the nitrosation of α -haloketones with nitrous acid affords α -halogenated- α -oximinoketones **328** (Scheme 96) [650-652].



H. Reductive Dehalogenation

The reductive dehalogenation of α -haloketones is an extensively-researched area of organic chemistry [653]. A wide variety of reagents have been employed to carry out this reaction. Among them are aqueous TiCl₃ [654], iodide ion [655-659], tellurium reagents [660,661], molybdenum and palladium catalysis [662], nickel boride [663], thiols and selenols [664], metal halides [665-668], sodium dithionite [669], cyanoborohydride [670], inorganic phosphorus compounds [671] and various metal carbonyls [672-676]. Bis(triphenylstannyl)selenide (Ph₃Sn)₂Se (**329**) is one example of reductive reagents, the mechanism of its reductive action on α -bromoketones is shown in Scheme 97 [677,678].

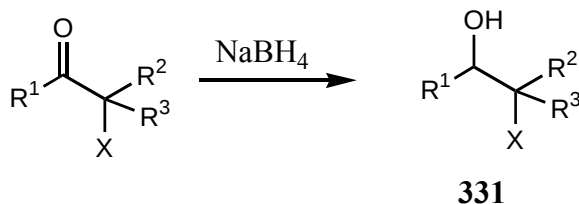
Scheme 97



I. Ketone Reduction

The asymmetric reduction of α -haloketones is potentially a useful process to obtain halohydrins, which are valuable synthetic intermediates for the preparation of a wide range of compounds of biological interests [679-695]. The reaction of α -haloketones with sodium borohydride is one of the most popular reduction processes and results in reduction of the carbonyl function with formation of the corresponding halohydrins **331** (Scheme 98) [696-701].

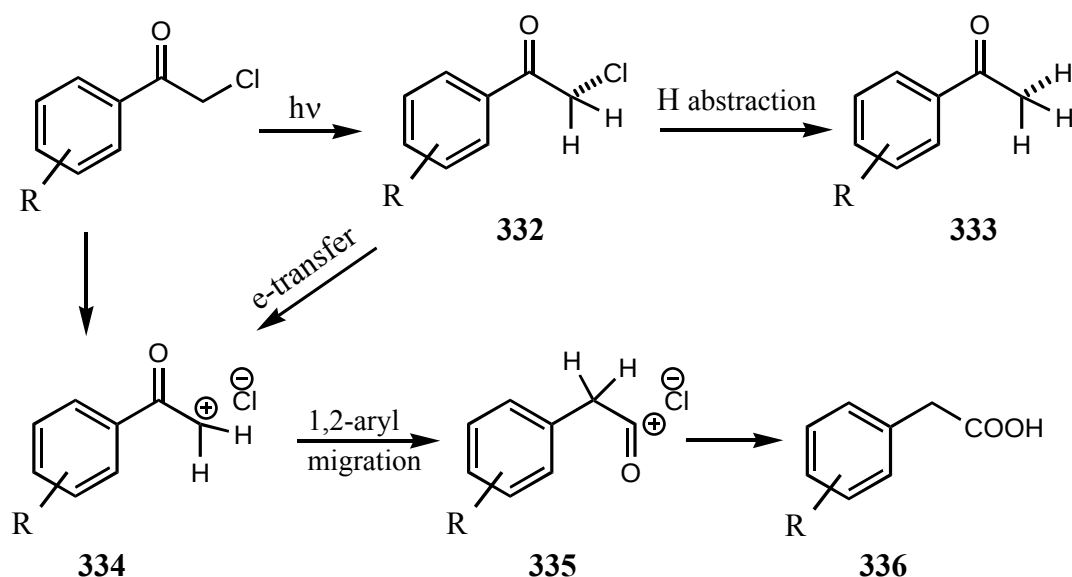
Scheme 98



K. Photochemistry of α -Haloketones

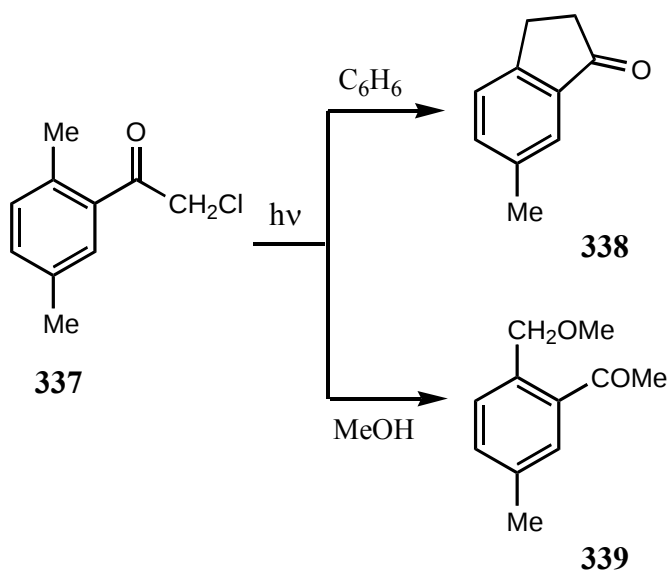
There are a few reports on the study of the photochemistry of α -haloketones involving different types of photoprocesses [702-714]. The photolysis of substituted α -chloroacetophenones has been studied in different solvent systems, wherein 1,2-aryl migration is found to be media-controlled [703]. Effect of substituents on the migratory aptitude and a direct access of α -chloroacetophenones to acetophenones **333** or aryl acetic acids **336** has been reported by Dhavale *et al.* (Scheme 99) [703].

Scheme 99



Irradiation of 2,5-dimethyl- α -chloroacetophenone (**337**) in benzene yields 6-methyl-indan-1-one (**338**) while in methanol, on a mercuric cathode, the photolysis product is **339** (Scheme 100) [715].

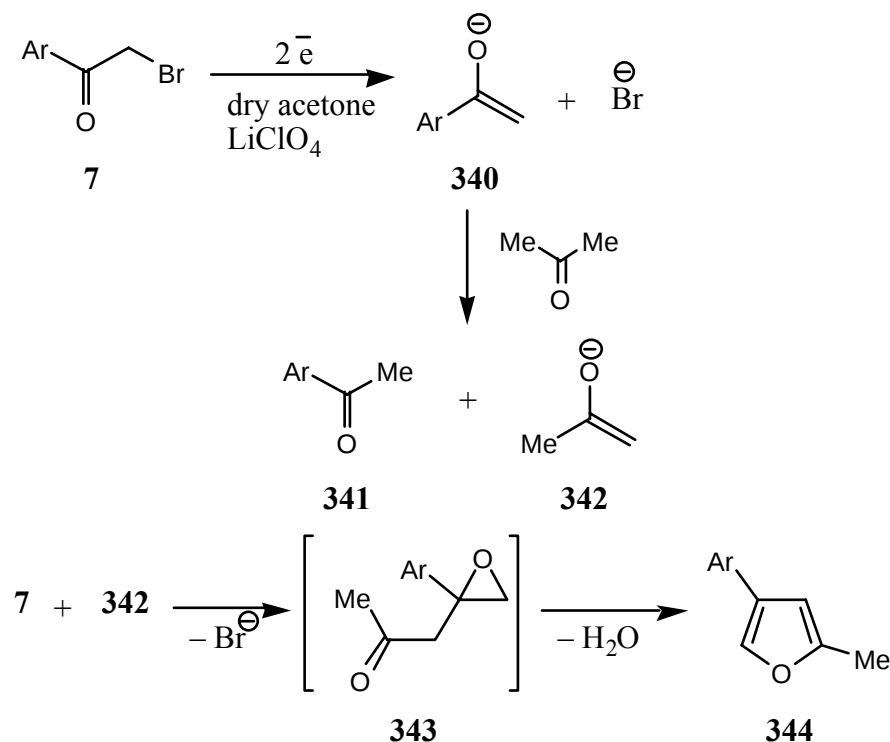
Scheme 100



L. Electrochemistry of α -Haloketones

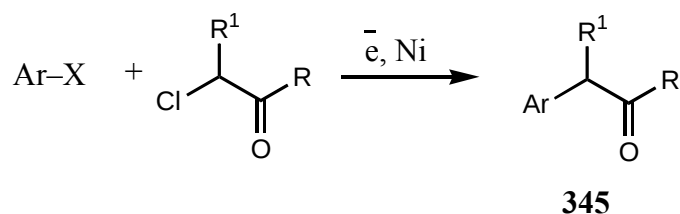
The electrochemical reduction on Hg cathode of a dropping solution of phenacyl bromides in dry acetone-LiCrO₄ yields 4-aryl-2-methylfurans **344** and acetophenones **341** (Scheme 101). In this process, the acetone plays a dual role, as solvent and reagent [716-722].

Scheme 101



The electrochemical reduction of a mixture of aryl halides and α -chloroketones in DMF in the presence of NiBr_2 leads to the cross-coupling products **345** in good yields (Scheme 102) [723].

Scheme 102



XI. Conclusions

The data considered in this review clearly demonstrate the high synthetic potential of α -haloketones. Many biologically active heterocyclic compounds have been obtained based on these reagents [62,114,122,126,131,458,508,618,626,724-731]. This suggests that α -haloketones can be particularly promising synthons in combinatorial synthesis of functionalized carbo- and heterocyclic compounds used in the design of novel highly effective pharmaceuticals with a broad spectrum of bioresponses. The great interest of chemists in such reagents is confirmed by the facts that more than 500 articles of 731 cited in this review are dated in the last two decades, along with a multitude of patents.

Acknowledgments

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