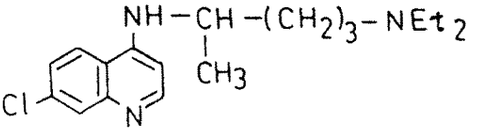
LITERATURE

SURVEY

IMPORTANCE OF QUINOLINE DERIVATIVES

The quinoline ring system is important in medicine. The natural products like cinchona alkaloids including cinchonine and quinine are useful for the treatment of Malaria[1]. Benzopyridines have stimulated the production of synthetic material used as chemotherapeutic agents.

The subsequent importance of quinoline is linked to malaria. Several successful synthetic antimalarial drugs such as chloroquine is used in the treatment of amoebic dysentary.



Chloroquine

Quinolines play no part in fundamental metabolism and occur relatively in the plants as secondary metabolites (alkaloids). An important role played by quinoline compounds was that of providing first photographic film sensitisers, such as the cyanine dye 'ethyl red'. Most of quinoline derivatives have been reported as pharmaceuticals[2-4]. Most of them possess a wide therapeutic activities viz. antiseptic[5]. analgesics[6], tryphocidal[7], germicidal[8], antitubercular[9], anthelmintics[10] and antiserotonin[11]. β-Hydroxy quinoline derivatives and 4-substituted 7-chloro quinolines have been extensively used as powerful antiamoebic drugs[12-18].

The quinoline and isoquinoline derivatives besides having

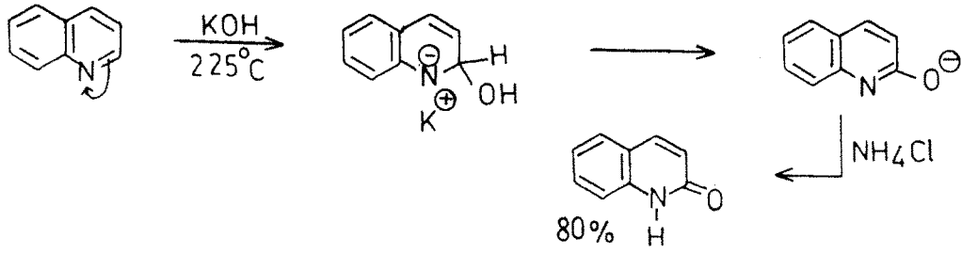
antifilarial properties[19,20] are effective against many warm infections[21-23], 2-and 8-substituted quinolines containing 1.3.4 thiadiazole residue have been found to possess antimalerial and schistomicidal[24,25] activities.

The 4-amino 7-chloro quinolines[26,27] with phenyl diathiazole are known to exhibit antibacterial and antiviral efficacy.

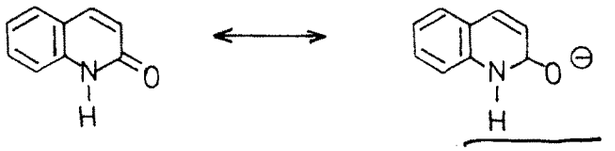
All the compounds of 4-amino-7-chloro quinolines were evaluated for their antimalerial activity against plasmodium berghel in mice and antifilarial activity against litomosoides cornii in cotton rat and found to be inactive. Some of the compounds were tested for their in vitro growth, inhibitory activity against different strain of bacteria and fungi. Halo derivatives of quinoline are known as antimalerial drugs[28-29].

II) QUINOLINE DERIVATIVES :

Quinolone[30] is 2-keto derivative of quinoline and is obtained initially by heating quinoline with KOH or NaOH with nearly quantitative yield.

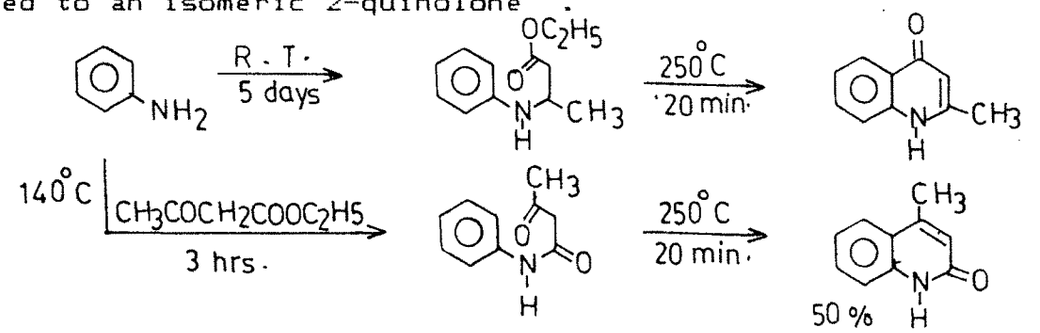


Oxo-quinoline carrying the oxygen at 'C$_2$' exists for all practical purpose entirely in the carbonyl form.



2 – Quinolone

Arylamine condenses with the ketonic carbonyl group at lower temperature to form kinetically controlled product and at higher temperature to form the stable amide as thermodynamically controlled product. The second condensation product can be cyclised to an isomeric 2-quinolone[31].



Most of the quinline derivatives have been prepared by ring formation reaction. Knorr[32a] discovered that, the acetoacetanilide undergoes cyclisation, when it is treated with H_2SO_4 to give methyl quinolone. The IR spectroscopy of the compound is useful to distinguishes between 2-quinolone and 4-quinolone systems[32b].

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LITERATURE SURVEY

Efficient synthesis of 1-Aryloxy carbostyrils have been reported by Paquette[1] by heating a mixture of 2-alkoxy quinoline 1-oxide with benzyl halide for 10 hours at 100-150^{o} to give 1-benzyloxy carbostyril [1]. These compounds exhibited CNS stimulatory activity in animals and antifungal activity against Candida-albicans, Microsporum canis and Trichophyton rubrum in animals and Fusarium oxysporum var. cubense in plants.

Photocyclisation of α-methyl acrylic acid [2] to 3,4-dihydro-3-methyl carbastyril [3] have been reported by Cleveland et.al.[2] 4-Anilino-8-hydroxyquinolines have been reported by Sen et.al.[3] and were claimed as possible antiamoebic agents. 5-Substituted phenanthridiones [4] useful as an antidepressant has been reported[4].

1-(Piperazinyl alkyl)-3,4-dihydro carbostyrils [5] prepared by Havera and his coworker[5]. Halogenation of [5] over Pd/c and treatment with oxalic acid gave the semioxalate.

Migration of ortho substituents in amide [6] which on photocyclisation gave [7] which has been reported by Ninomiya et.al.[6] Chlori nation of 4-hydroxy-5,6,7,8-tetrahydro-2-quinolones have been reported by Ziegler et.al.[7] to yield [8]. Formation and reactions of N-alkyl-2,2 -dichlorobenzoyl acetanilides have been reported by Statkun[8]. The acid-catalysed cyclisation of certain

N-isopropylbenzoyl acetanilides gives the corresponding

N-isopropylbenzoyl acetanilides gives the corresponding 1-isopropyl quinolinones [9].

Quinoline 1-nitroamides have been prepared by Katrizky et.al.[9] by treating 2-quinolone with NaH in CH_2Cl_2 followed by the reaction of o-mesitylene sulfonylhydroxylamine to give 1-amino derivative which was oxidised by $EtONO_2$ - NaOEt to give the nitro-amide [10]. Two step carbostyril [11] preparation in the synthesis of dibenzoquinolizines has been reported[10].

Fungicidal carbostyrils for Oryzae sativa have been reported by Utematsu et.al.[11] The compound $o\text{-}ClC_6H_4(NMe)COCH_2.COM_e$ was added to concentrated H_2SO_4 at 70-75° and the mixture was stirred for 10 min. at 100° and cooled at room temperature to yield [12]. These compounds were tested, they inhibits the growth of Pericularia oryzae by 100% at 100 ppm and Heliminthosporium sigmodeum by 95-96% when gives to Oryzae sativa at 4-5 leaf stages.

4-Hydroxy-3-sulphonyl quinolin -2(1H)- ones have been recorded by Hartmann et.al.[12] Antiallergic hydroxy quinolinones [13] and their salts were prepared by treating $MeSO_2CH_2COOEt$ with N-methylisatoic anhydride to Furnish [13].

8-Chloro-5,6,7,8-tetrahydro-2-quinolinone [14] as useful dye intermediate have been reported by Meidert et.al.[13] Reaction of 3-quinoline carbonitrile and 6-quinoline carbonitrile with Grignard reagent like CH_3MgI and PhMgBr gave 55.4% of the 1,4-additional product[14]. Thiocarbostyrils [15] were prepared by

Uchida et.al.[15] acts as antiulcer, antiasthamic, antiinflammatory and thromboisis inhibiting agents.

Anti-inflammatory activity of 3,4-di-substituted 2-oxo-1,2-dihydro quinolines has been reported by Shridhar et.al.[16] The compound [16] and [17] were tested for in vitro antibacterial, antifungal and analgesic activities. N'-substituted carbostyrils have been reported by Gyul et.al.[17] Allylation of 4-methyl carbostyril [18] with $Cl_2C = CHCH_2Cl$ gave product with better yields.

Carbostyrils and their 3,4-didehydro analogs and their salts [19] useful as β-adrenergic blocking agents. The activity of 21 compounds was greater than that of proctolol and atenolol in dogs. Oxidation of quinolinium salts gave 50-52% of the corresponding quinolone[19][20].

Carbostyril derivatives and their uses in therapy have been reported by Banno et. al.[20] The compounds [21] and [22] exhibited antihistaminic, anti-aggressive and adrenaline antagonist activity and showed their usefulness as CNS agents. 6-(4-chlorobutyril)-3,4- dihydro carbostyril when treated with 1-phenyl piperazine in Me_2CO containing NaI and Et_3N gave 6-[4-(4-phenyl-1-piperazinyl) butyril]-3,4-dihydro carbostyril.

Carbostyril derivative [23] were prepared by Otsuka[21] and have exhibited antiflammatory, analgesic and muscle relaxing activities. Introduction of a functionalized carbon chain at the 3-position and 4-methoxy-2-quinol ones via photochemical [2+2]-

cycloaddition to alkynes and the synthesis of (∓) - edulinine have been reported by Naito et.al.[22] Irradiation of 4-methoxy-2-quinolone or its derivatives in MeOH in presence of monosubstituted ethylene gave head to tail adducts e.g. Dihydrocyclobutaquinolinolinones [24]. A new method for cleavage of the (C-1) - (C-8b) bond in the adducts was developed. Thus the cycloproduct obtained from 4-methoxy-1-methyl-2-quinolone and 2-methyl-3-butan -2-ol was transformed to eudulinine [24].

Heterocyclic amidooximes derivative [25] useful as antidepressants were reported by Obitz and his coworkers[23]. Carbostyril derivatives as cardiotonics have been reported by Otsuka et.al.[24] The compound [26] was found to be effective cardiotonics at 1-300 μg in isolated dog heart.

Novel carbostyril anchord heterocycles have been prepared by Zoorob et.al.[25] Carbostyril [27] and [28] were prepared from 3-acetyl-1,2,3,4-tetrahydro-1-phenyl-3,4-quinoline dione by heating with HCHO, Et_2NH and HCl in EtOH to give [27]. A mixture of [27], $Ph-NHNH_2$ and NaOH in NaOAc was heated further to give pyrazolinyl carbostyril derivative [28]. Synthesis and spectral studies of 3-substituted 2H-pyrano-[2,3-b] quinolin-2-ones. [29] have been reported by Tilakraj and his coworkers[26]. 3-Phenyl-2H-pyrano [2,3-b] quinoline-2-ones and 3-acetamido-2H-pyrano [2,3-b] quinolin-2-ones have been prepared by Perkin type condensation of 3-formyl-2-quinolones with sodium salt of phenylacetic acid and acetyl-glycine respectively. Mass spectral fragmentation pattern of these compounds have been given.

Bergman[27] synthesised 4-amino-2-quinolinones [30]. Addition of Grignard reagent to N-(α-haloacyl)-N-alkyl substituted anthranilo nitriles involved the initially the halogen metal exchange reaction e.g. N-C_2-bromopropionyl)- N-methyl- 2- cyano- aniline, induced anion formation followed by cyclisation of 4-amino-2-quinolinones e.g. 4-amino-1,3-dimethyl-2-quinolinone [30].

Studies on positive inotropic agents and synthesis of [(4-substi- tuted, 1-piperazinyl) carbonyl] -2(1H)-quinolinone derivatives have been made by Tominaga et.al.[28] and examined for positive inotropic activity on the canine heart. Among them [31] had potent activity. Benzo (F) quinolino compounds and their medicinal compositions have been reported by Nakao et.al.[29] Compound [32] was used as anti-inflammatory agents. Preparation and reactions of 3,4-dihydro-1- ethyl, 4-methylene -3,3,6,8-tetrachloro-2(1H)-quinolinones and their derivatives have been reported by Statkun and his coworkers[30]. Chloro- quinolinones [33] were prepared from difluoro-oxyboranes their reactions and interconversions were studied. This cyclic borane was treated with $SOCl_2$ and concentrated H_2SO_4 to give [33].

1-Methyl isatinone flask synthesis of 2-oxo-3-benzoylamino -1,2- dihydroquinolin-4-carboxanilides have been reported by Jain et.al.[31] The synthetic methodology involved the condensation of $PhCONHCH_2COOH$ and PhCNS with isatin to produce [34] which is also prepared by condensation of isathinimine with 2-phenyl-2-oxa- zolin-5-one. Synthesis and antibacterial activity of some new

fatty acid hydrazones have been reported by Kulkarni et.al.[32] C_8-C_{18} fatty acid hydrazides were prepared with 4-[(O-formyl -phenoxymethyl)] carbostyril [35] to give corresponding hydrazone [36]. The hydrazone [36] exhibited good activity against E. coli bacteria.

Synthesis of some bicyclic and tricyclic quinoline derivatives have been reported by Hogale et.al.[33] 2-Chloroquinoline derivative [38] (R'=Cl) reacted with $Ph-CH_2-CONHNH_2$ to give [37]. Chloro compound when heated with NH_4SCN in acetone followed by the reaction with CH_3CN furnished targetted compound [38]. The molysis of [39] (R= $-CH_2$ = $CH-CH_2O$, R'=F) in tetralin at 212^oC for 48 hours gave 69% of the Claisen-rearrangement product [40] in which 'N' is the migration terminus[34].

Preparation of heterocyclic carbostyril derivatives as inhibitors of thrombocyte adhension have been reported by Nishi et.al.[35]. The compound [41] and their salts were prepared as blood platelet aggregation inhibators. Direct synthesis of pyridinyl-2(1H)-quinolinones via palladium catalysed Inter-coupling reaction have been reported by Bell et.al.[36] Pyridinyl zinc chloride was treated with 6-haloquinolinones in presence of catalytic amount of tetrakis (triphenyl phosphine) palladium to give the corresponding 6-pyridinyl quinolinones [42].

Synthesis of some new 3-substituted 4-hydroxy-1-methyl quinolin-2-one derivatives [43] as potential antibacterial and antifungal agents have been reported by Girger et.al.[37]

3-Acetyl-4- hydroxy-1-methyl quinoline-2-one and its bromoderivatives were treated with different reagents to prepare new quinoline derivatives that have different heterocycles at position -3 and their anti-bacterial and antifungal activities were evaluated. 3-Alkyl-4-methyl carbostyrils and their sulphur analogs have been reported by Gyulbudagyan and his coworkers[38]. Quinolinothione [44] was prepared in 79% yield and $MeCOCH_2$ CONHPh in four steps by alkylation with EtBr, cyclisation with polyphosphoric acid and H_2SO_4 and chlorination by $POCl_3$ to obtain chloroquinone [45].

Preparation of 2-oxoquinoline derivatives [46] as antiarrhythemic agents have been reported by Tafusa et.al.[39] Preparation of N-halo-o- alkyl hydroxamic acids have been reported by Kikukawa[40]. N-alkoxy N-heterocyclic compounds were prepared by intramolecular cyclisation of $Br(CH_2)_n$-CONXOR in neutral solvents in the presence of Zn salts. $Ph(CH_2)CONCl$, OMe, $MeNO_2$ under reflux for 5-minute formed 93.8% carbostyril derivative [47]. Synthesis of p-methyl-2-oxo-1,2-dehydro, 3-quinolino carbonitriles have been reported by Tilak and his coworkers[41]. The compound [48] were prepared from quinoline carboxaldehyde by methylation followed by oximation with NH_2OH and dehydration by treating with P_2O_5 .

Preparation of (heterocyclylmethoxyphenyl) tetrahydropyrans [49] and related compounds as lipoxygenase inhibitor have been reported by Crawley et.al.[42] Preparation of 2,4-dihydroxy quinolines as an agro- chemical and pharmaceutical intermediates have been reported by Franaki et.al.[43] The compounds [50] was

prepared and claimed to have antiasthmatic activity. Carbostyrils as antiarrhythmics, their preparation and formulations have been done by Tafusa et.al.[44] The reaction of 3-(1-chloro-1-phenyl-methyl) -8-methyl carbostyril and Me_3 CNH_2 in MeCN under refluxing condition for 1 hr. gave [51] on acidification with HCl.

Synthesis of 5H-quinolin-5(3,4-b) [1,4] -benzothiazin-6-ones have been reported by Jayshree et al.[45] The reaction of 4-hydroxy quinoline-2-ones and 7-aminothiophenol in dioxane in the presence of p-toluene sulphonic acid furnished compound involving dehydration and oxidative cyclisation. The synthesis of benzofuroquinolines and some halobenzofuro [2,3-c] quinoline derivatives [52] [R=F,Br] by photo- cyclisation of N-benzyl-N-(p-halophenyl)-2-benzofurocarboxamides has been reported by Yamaguchi et.al.[46] An efficient synthesis of 8-methoxy and 8-hydroxy-1-methyl carbostyrils has been reported by Gesto et.al.[47]

Studies on Vilsmeir-Haack reaction, a new route to 2-chloro qunio line -3-carboxyaldehydes [53] has been reported by Pawar et.al.[48] to yield 3-carboxyaldehyde-6-methyl quinoline - 2(1H)-one [54]. Some new sulphides [56] and [57] from 4-Bromoethyl carbo-styril [55] have been reported by Kulkarni et al.[49] Regio selectivity of radical cyclisation of 6-exo 7-endo and 7-exo 8-endo of N-(o-alkenyl phenyl) -2,2-dichloroacetamides have been reported by Tatsunori et al.[50] The regiochemistry of the radical cyclisation of the title compound was shown. Thus

2-(CH_2=CH). C_6H_4 $NHCH_3$ when treated with Bu_3SnH and AIBN to give 49% dihydrodimethyl quinolinone [58].

The synthesis of 1,2-dialkyl-3-phenyl-4-quinolinones has been readily accomplished by low temperature reaction in N-alkylisatoic anhy dride with the thermodynamic potassium enolate of phenyl acetone. The reaction is extended to pass the synthesis of more complex 2-(2-hydroxypropyl)-3-(4-Fluorophenyl)-1-methyl- (1,4)-quinolinone[51].

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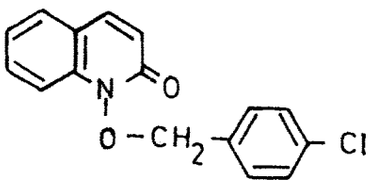
STRUCTURES



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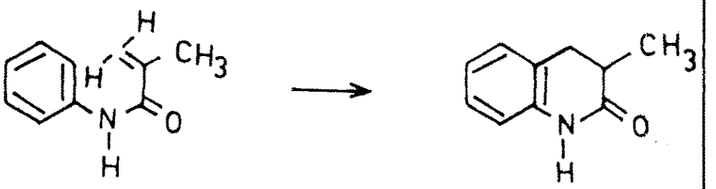

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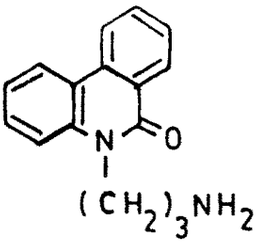

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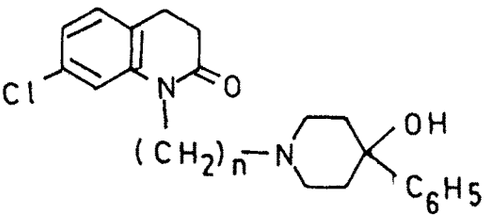

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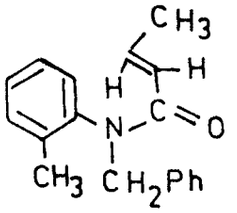

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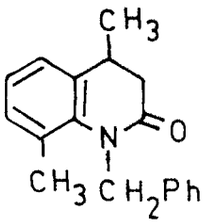

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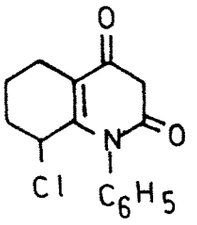

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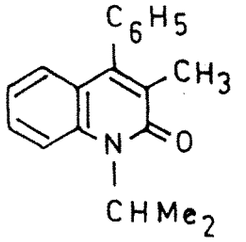

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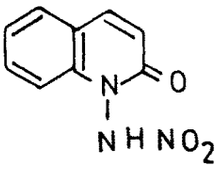

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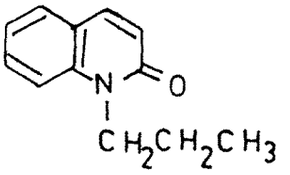

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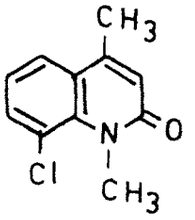

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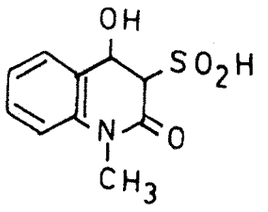

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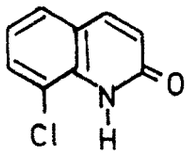

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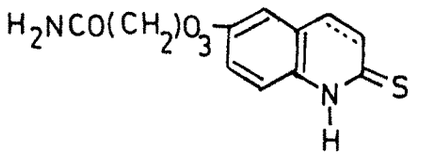

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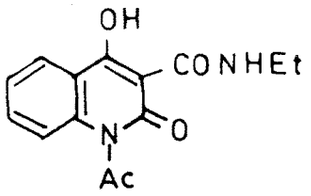

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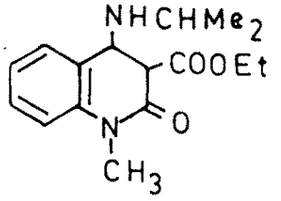

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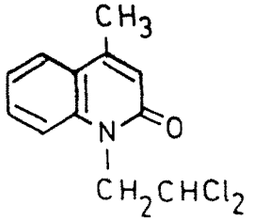

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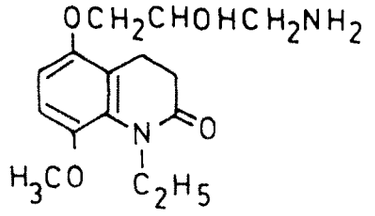

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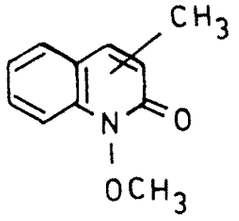

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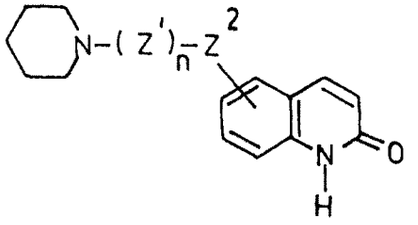

Z = N Phenylimino

(21)

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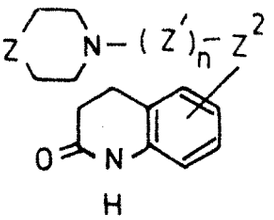

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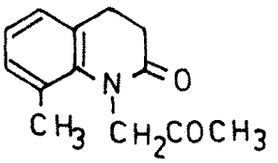

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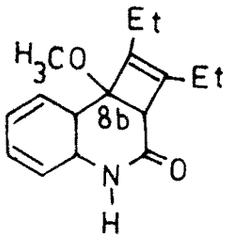

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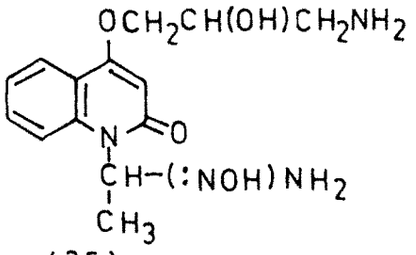

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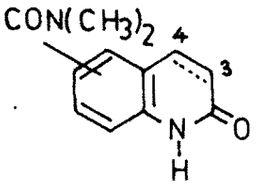

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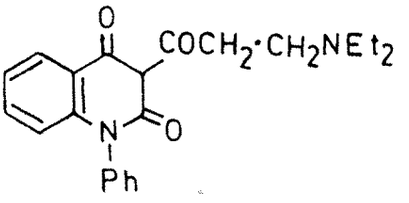

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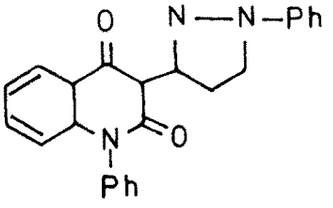

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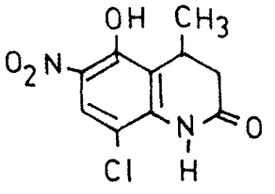

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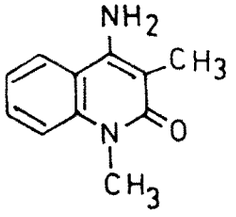

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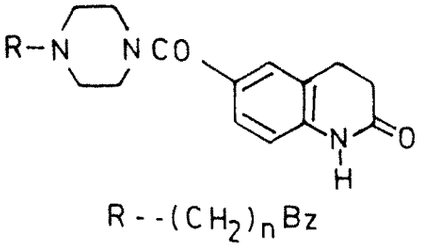

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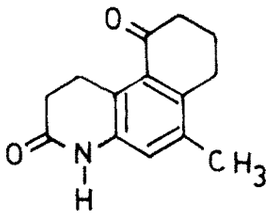

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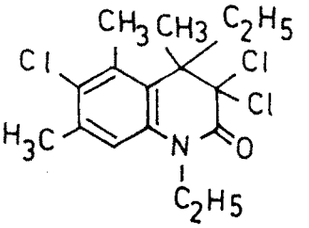

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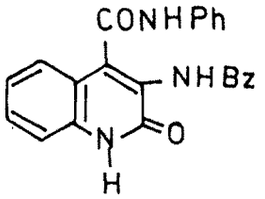

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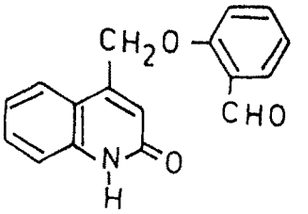

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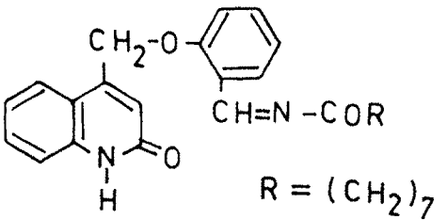
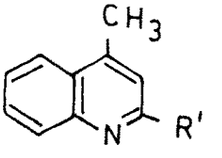


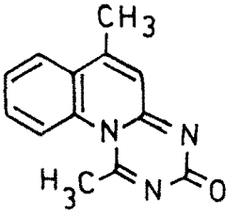
R = $(CH_2)_7$

(36)



R' = Cl

(37)



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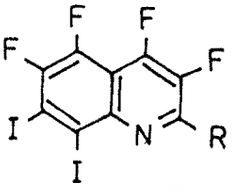
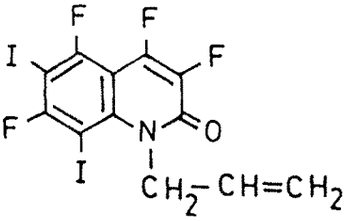


R = CH_2=CH-CH_2O

(39)



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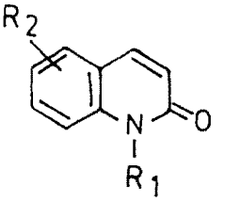

R_1 = H, alkyl, phenyl

R_2 = H alkoxy, alkylsulfo-
-hydroxy

(41)

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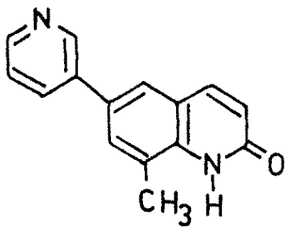

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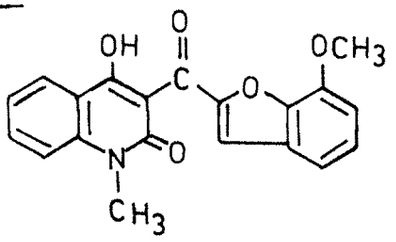

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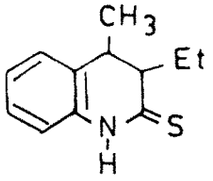

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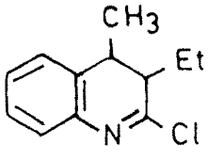

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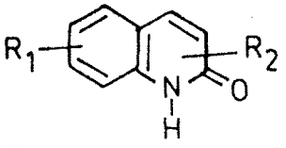
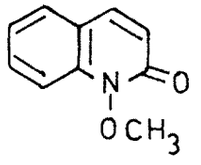


R_1= H

R_2= -CH_3 (46)



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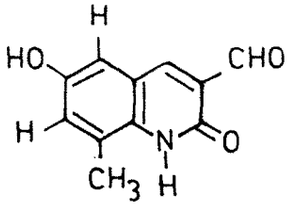

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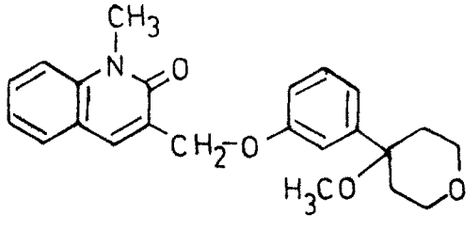

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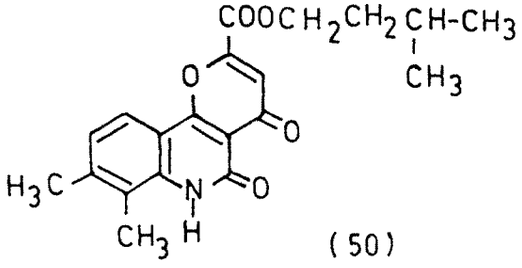

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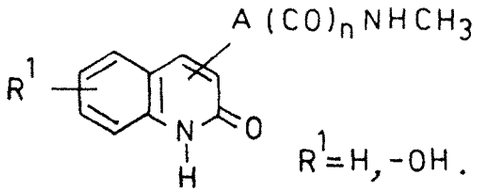
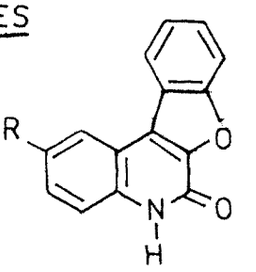


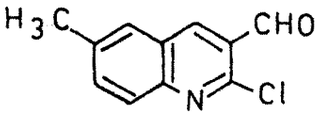
R^1=H, -OH.

(51)



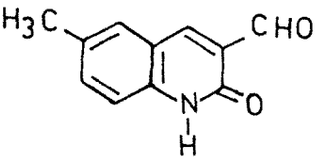
R= F, Br, Cl

(52)



(53)

6N HCl →



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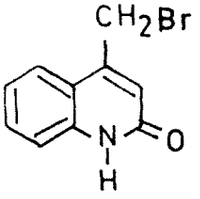
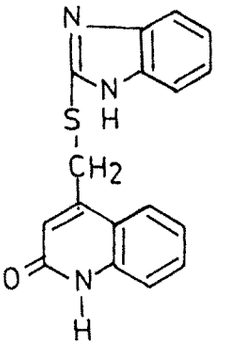


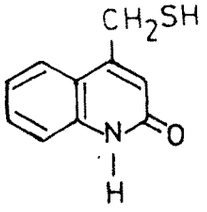
(55)

2-mercapto-Benzimidazole →

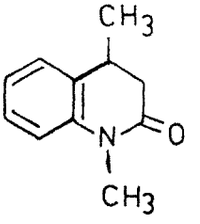


(56)

Thiourea
C_2H_5OH →



(57)



(58)

4 - Thiazolidinone

A) INTRODUCTION AND LITERATURE SURVEY

4-Thiazolidinones and their derivatives show a wide varieties of physiological properties and biological activities[1,2]. The amoebicidal[3], acticonvulsant[4], anaesthetic[5,6] and antithyroid[8], psychomotor, barbiturate potentiating[9], hypontic[10], antifungal[6-11] sciatic nerve block[9],spiral anasthesiastimulating[12], analgesic[13], sedative[11], chloeretic antiphogestic[15] and tuberculostatic[16-20] activities have been reported in the chemical literature.

Thiozolidinones are of significant therapeutic importance[3,4,6] The introduction of bromine atom arguments the bactericidal[21] and fungicidal[22] activities of thiazolidinones.

Some 2-(substituted benzothiazole-2'-yl-imino)-4 -thiazolidones, their arylidene and brominated products have been synthesised and tested by Dhal et al.[23,24] for their antifungal and antibacterial activities.

Several methods for the synthesis of 4-thiazolidinones have been reported[25-28]. The 3-aryl-2-arylimino-4-thiazolidinones (1) have been synthesised[29] by condensing N'-diaryl thioureas with monochloroacetic acid in presence of sodium acetate in absolute ethanol. The fungicidal activity of these compounds have been reported.

The Schiff's bases of substituted aromatic amines are prepared by the use of zinc chloride as a catalyst and then condensed with thioglycolic acid to get desired thiazolidinones[30,31] (II). Some new 5-methyl-3-aryl-2-arylimino-4-thiazolidinones (III) have been synthesised[32] by condensing various symmetrical diaryl thioureas with α-chloropropionic acid in presence of sodium acetate. 5-Arylidene, 5-arylazo, 3-(3'-acetoxymercuriaryl) and 1,1'-dioxide derivatives have also been prepared by Singh et al.[33]

Several 2-aryl-3-β-aryloxy ethyl-4-thiazolidinones (IV) have been prepared by condensing Schiff's base from β-aryloxyethylamines and aryl aldehydes[34].

Patel and Trivedi[34] have shown that increase in number of chlorine atoms decreases the activity and replacement of chlorine by methyl group increases the activity. Jadhav et.al.[35] have synthesised number of 4-thiazolidinones and screened for their antifungal and anticonvalsant activities.

Youssef[36] have synthesised some new thienyl/thiazolidinones containing pyrazole moiety by cyclocondensation of chloroacetyl chloride with pyrazolines. Bhargava et.al.[37] have synthesised thiazoli dinones of the type (V) and screened for their antifungal activity against Alternaria tenuis at different concentrations but one of them showed remarkable antifungal activity.

A series of new fluorine containing 4-thiazolidinone derivatives of indol-2-ones have been synthesised and screened for their antibacterial and antifungal activities[38]. Mohapatra and

others[39,40] have synthesised some thiazolidinones derivatives either by cycloaddition of thioglycolic acid or by direct condensation of aryl thiazole-amine, aldehyde and thioglycolic acid.

Mohmond et.al.[41,42] have prepared some 4-thiazolidinones and screened against some bacteria and fungi. A number of 4-thiazolidinones were obtained by the reaction of thioglycolic acid with arylmethyl ketone and various amines, and tested for antifungal activity[43].

Patel and his coworkers[44,45] carried out the preparation of 4-thiazolidinones (VI) containing sulpha drug residue at position-3 and screened for their antimicrobial activity.

Some 1-Phthalimidoacetyl-4-aryl thiosemicarbazides and their corresponding 4-thiazolidinones were synthesised and tested for antifungal activity[46]. Several thiazolidinones, their arylidene derivatives, dibromide, dimethylaminomethyl thiazolidinones and sulphonamidophenylazo compounds have been synthesised from the respe- ctive thioureas and tested for antibacterial and antifungal activities[47,48].

3,3'-Bisthiazolidinones have been synthesised by the cycloadditive dehydration of thioglycolic acid to the azomethine derivatives[49] 2-Arylidene derivatives of thiazolidinones gave thiazolidin-2,4-dione hydrochloride after hydrolysis with HCl[50]. Some thiazolidinones possessing alicyclic and heterocyclic substituents have been reported[51].

Thakar and his coworkers[52-54] have synthesised several

2,3-disubstituted 4-thiazolidinones by cycloaddition of thioglycolic acid to substituted azomethines in benzene. The corresponding product were tested for antitubercular[52,54] and antibacterial[53] activities.

The hydrazones of aromatic or heterocyclic aldehyde/ketone react with α-mercapto acids in benzene to give a variety of substituted 4-thiazolidinones[55-58] (VII). Parikh et.al.[59-64] have synthesised several 4-thiazolidinone derivatives of the Schiff's base of aryl 4-acetothymol, α-methyl-2-hydroxy-3-bromo- 5-methyl-chloroacetophenones, 2,5-dihydro 3-bromo-benzo-phenone, 2,4-diarylamino-6-amino-s-triazine, sulphanilamide and sulphapyridine by condensing with thioglycolic/thiolactic/thiomaletic acid. The products were screened for different biological activities.

2-Phenylimino-3-phenyl-4-thiazolidinone derivative have been prepared by Kguyen et.al.[65] which showed antimiototic, antimycotic and bactericidal activities. 4-Thiazolidinones of the type (VII) have been reported[66].

4-Thiazolidinones (IX) (R'=H, OMe; R^2=H, alkoxy, Cl) were prepared and they exhibited fungicidal activity[67]. Garnik and Behera[68] have synthesised 4-thiazolidinones (x) from thiocarbohydrazide and screened for fungitoxicities.

A number of 2-arylimino-3-aryloxacetamido-4-thiazolidinones have been synthesised[69]. Some of these compounds inhibited rat brain monoamine oxidase (MAO) in vitro at a final conc. of 1×10^{-3} mol/lit, but are found to be inactive against pentylene tetrazole induced scizures in mice at a dose of 80 mg/kg.

Hansa Parekh and her coworkers[70-73] have synthesised some more active 4-thiazolidinone drugs by the action of thioglycolic, thiolactic acid, thiomalic acids on the Schiff's bases obtained from 2-isopropyl-5-methyl-phenoxyacetylhydrazide, 2,4-dibutyl amino-6- amino -s-triazine, 9-hydrazinoacridine.

Some new dapsone derivatives (XI) bearing a 4-thiazolidinone ring system have been prepared and their structures have been established by ir and pmr data. All the compounds showed moderate antimicrobial activity[74].

Hiremath et al.[75] have been shown that thioureas when treated with chloroacetic acid and sodium acetate in the presence of acetic acid to give 3-(substituted-indolo-2'(carboxamido) -2-phenylimino-4-thiazolidi- nones. The cyclocondensation of thioglycolic acid with 2-aminonicotine aldehyde hydrazones leads to the formation of 2-(2'-amino-3'-pyridyl) -3-substituted benzoyl amino-4-thiazolidinones, in DMF containing anhydrous $ZnCl_2$.[76]

Some new 4-thiazolidinone derivatives bearing p,p'-dihydr oxydi phenyl sulphone moiety have been prepared[77]. These compounds showed moderate antimicrobial activity. Condensation of ethylenediamine with 2-aryl-3-(4'-acetamido phenyl)-5-carboxy-methyl-4-thiazolidinones gave 2-[3'-(4"-acetyl aminophenyl)-2' -aryl-4'-thiazolidinone-5'-yl-methyl]-4,5- dihydroimidazoles[78]. 2-Arylimino-3-phthalimidoacetyl-4 -thiazolidinones and their 5-arylidene derivatives have synthesised and screened for their antifungal activity[79].

Several 3-aryl thiazolidin-4-ones and 3-aryl-5-arylidene thiazolidin-4-ones have been synthesised and the behaviour of these towards amine, hydroxylamine, hydrazino compounds and monochloro acetic acid have been reported[80]. Substituted thiouryl thiazolidin ones were synthesised and studied for their antiparkinsonian activity[81].

1-Phenyl-3-(substituted indole-2'-carboxamido) thioureas converted into 3-substituted indole-2'-carboxamido-2 -phenylimino-4-thiazolidi- nonesby treatment with chloroacetic acid in the presence of sodium acetate in acetic acid[82]. A series of 4-(5-substituted-arylidene-4- thiazolidinone-2- thione)-6, 8-substituted quinazolines have been synthesised and found to exhibit anthelmintic activity against Hymenolepis nana in rats.[83]

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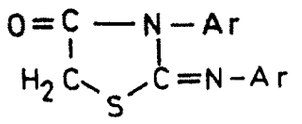
CHART-VII



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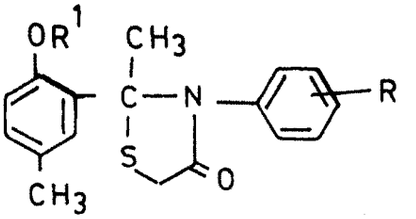

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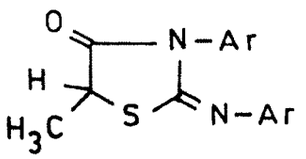

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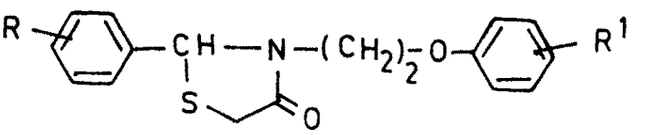

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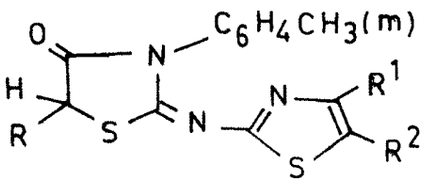

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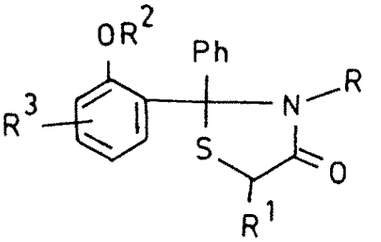

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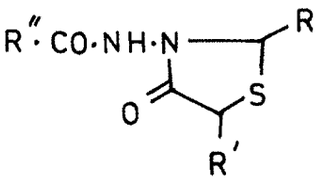

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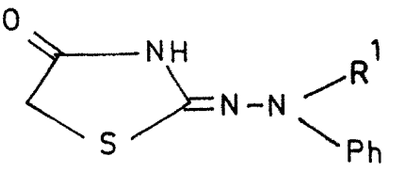

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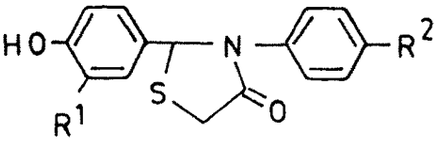

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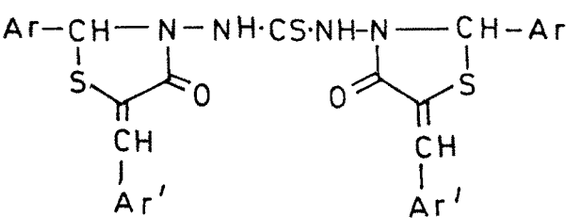

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