S Y N O P S I S

The dissertation entitled **"SYNTHESIS OF SOME NEW QUINOLINE DERIVATIVES"** presented to the Faculty Of Science, Shivaji University, Kolhapur, in partial fulfilment of the degree of **'MASTER OF PHILOSOPHY'** in Chemistry.

The dissertation consists of three chapters.

Chapter - I describes quinoline and its derivatives as an interesting class of heterocyclic compounds with a wide range of applications as a drug. Most of the quinoline derivatives act as analgesics, germicidal, antiamoebic, antiseptic, tryphocidal, antihelmintic drugs. In addition to these, quinoline derivatives exhibit good antiviral, antitubercular, antibacterial, antihistaminic, antiallergic, antiasthmatic, antineurodegenerative and antichloestemic activities.

The same chapter includes a brief survey of the literature of 2 - and 4-quinolones and their N^1 -substituted derivatives with reference to the methods of synthesis, biological activity and their industrial applications.

At the end of the chapter scope of present work is given.

Chapter - II is on experimental work and divided into five parts :

Part-I describes details of experimental methods used for the synthesis of N^1 -acetylhydrazido-7-methoxy-4-methyl quinolin-2(1H)-one and its derivatives. The strategy employed for the synthesis

of desired compound involved the reaction of m-anisidine with acetoacetic ester in dioxane to form acetoacetanilide (1) which when cyclised in presence of sulphuric acid gave 7-methoxy-4-methyl quinolin-2(1H)-one (2). The compound (2) on reaction with ethyl chloroacetate in the presence of potassium carbonate in acetone gave N^1-ethoxy carbonyl methyl-7-methoxy-4-methyl quinolin-2(1H)-one (3). The compound (3) undergoes nucleophilic substitution with hydrazine hydrate (80%) to form N^1--acetylhydrazido-7-methoxy-4-methyl quinolin-2(1H)-one (4) which on reaction with various aryl aldehydes gave hydrazones (5a-e). Hydrazide (4) on reaction with phenyl isothiocyanate gave thiosemicarbazide (6) followed by its subsequent cyclisation using NaOH, H_3PO_4 and I_2/KI to give the products triazole (7), thiadiazole (8) and oxadiazole (9) respectively.

When hydrazide (4) is reacted with 3-acetyl-6-methyl pyran-2, 4-dione yielded its pyranopyrazole derivative (10).

(Scheme - I)

Part-II includes the details of experimental methods used for the synthesis of N^1-acetylhydrazido-7-chloro-4-methyl quinolin-2(1H)-one and its hydrazone derivatives (5_{a-f}) and oxadiazole (6).

(Scheme - II)

Part-III involves two sections A and B.

Section III A describes details of experimental methods used for synthesis of N^1-hydrazido-4, 8-dimethyl quinolin-2(1H) one and its hydrazone deirivatives (5_{a-e}) as well as

oxadiazole (6). (Scheme - III A)

Section III B is on the details of experimental methods used for the synthesis of N^1-acetylhydrazido-4,8-dimethyl quinolin-2(1H) - one and its hydrazone derivatives (5_{a-f}). (Scheme - III B)

Part-IV is divided in two sections.

Section IV A embodies the details of experimental methods used for the synthesis of N^1-hydrazido-4,6-dimethyl quinolin-2(1H)- one and its hydrazone derivatives (5a-d) as well as oxadiazole (7). This part also includes the reaction of ester (3) with leucine to furnish (6). (Scheme - IV A)

Section IV B describes the details of the experimental methods used for synthesis of N^1-acetylhydrazido-4,6-dimethyl quinolin-2(1H) - one and its hydrazone derivatives (5a-e). (Scheme - IV B)

Part-V includes the details of the experimental methods used for the synthesis of N^1-acetylhydrazido-8-chloro-4-methyl quinolin-2(1H) - one and its hydrozone derivatives (5a-f) and oxadiazole (6). (Scheme-V) .

Chapter - III deals with the evaluation of antimicrobial screening data of the synthesised compounds by paper disc method against gram +ve and gram -ve bacteria using streptomycin as a standard compound. The bacterial species selected for the antimicrobial screening were S.albus, S.citreus (gram +ve) and E.coli, K.pneumoniae (gram -ve) bacteria.

Most of the compounds included in the present study have exhibited moderate antibacterial activity against both gram +ve and gram -ve bacteria. Further, it was found that N^1-acetylhydrazido-7-chloro-4-methyl quinolin-2(1H)-one and N^1-acetylhydrazido-7-methoxy-4-methyl - quinolin-2(1H)- one derivatives exhibiting better antibacterial activity and are of considerable medicinal importance as the drugs.

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