S Y N O P S I S

The dissertation entitled"**SYNTHESIS OF SOME NEW PYRAZOLE 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 pyrazole and its derivatives, quinoline and its derivatives as a interesting class of the hetrocyclic compounds having wide range of applications as drug. Most of them have antiseptic, analgesics, trypocidal, germicidal, antitubercular, anthelmintic and antiserotonin activities. In addition to these quinollines, quinolinopyrazoles and pyranopyrazoles show good antibacterial, antifungal, amoebicidal and antiviral activities. Some quinolones acts as antidepressants and antihypertensive agents.

The same chapter includes a brief survey of the literature on 2-and 4-quinolones, pyrazoles and their N^1-substituted pyrazolo derivatives with reference to their methods of synthesis,biological and industrial importance. At the end of the chapter scope of present work is given.

Chapter-II is on experimental work and dividded into three parts :

Part-I :describes details of experimental methods used for the synthesis of N^1-methyl hydrazido quinolin2-(1H)-one derivatives.

The strategy employed for the synthesis of desired compound involved the reaction of substituted aromatic amines with acetoaceticester in dioxane to form acetoacetanilides (I_{a-c}) which when cyclised in presence of A.R.sulphuric acid gave substituted quinolin-2(1H)-ones (II_{a-c}). The compound (III_{a-c}) on reaction with chloromethyl acetate in the presence of potassium carbonate in acetone gave the corresponding N^1 -carbmethoxymethyl derivatives. The compounds (III_{a-c}) undergo nucleophilic substitution with hydrazine hydrate (80%) to form their N^1 - hydrazido-4-methyl, quinolin-2(1H) one (IV_{a-c}). These hydrazides when reacted with 3-acetyl-6-methyl pyran-2,4-dione yielded N^1- substituted quinolin-2-one-1-ylmethyloxc)-4-oxopyranopyrazoles(V_{a-c}). These hydrazides were reacted with acetyl acetone yielded N^1 - (substituted chioroquinolin-2-one-1-ylmethyloxo pyrazoles(VI_{a-c}) scheme-1.

Part-II : describes details of experimental methods used for the synthesis of N^1-hydrazidomethyl-2-methylquinolin-4-(1H)one derivatives. Thhe reaction of substituted aromatic amines with acetoacetic ester at room temperature (Kinetically control reaction) formed hydrazone (VII_{a-c}) which further converted into 2-methyl, quinolin-4(1H) ones ($VIII_{a-c}$).

N^1- carbmethoxyl methyl, 2-methylquinolin-4(1H)ones (IX_{a-c}) were prepared by the methodology described in part-I of this dissertation by refluctng with ethanolic hydrazine hydrate to give

corresponding N^1 -substituted methylhydrazido-2-methyl quinolin-4-(1H) one (X_{a-c}). These hydrazides (X_{a-c}) were further converted into their corresponding N^1- (substituted chloroquinolin-4-one-1-yl-methlyloxo-4-oxopyrano[4,3-c]pyrazoles (XI_{a-c})by reacting them with 3-acetyl 6-methyl pyran-2,4-dione in ethanol. N^1-(substituted chloroquinolin-4-one-1-ylmethylloxo) pyrazoles (XII_{a-c})were obtained by the reaction of hydrazides with acetyl acetone Scheme-II.

Part-III : The strategy employed for the synthesis of desired pyrazoles involved the self condensation of acetoacetic ester in the presence of trace of $NaHCO_3$ to form 3-acetyl 6-methyl pyran-2,4-dione (II)'. This when reacted with the variously substituted aryl hydrazides gave desired pyranopyrazoles (III)' Scheme-III.

Chapter-III : Chapter-III deals with the evaluation of antimicrobial screening of the synthesised compounds by paper disc method against gram (+ve) and gram (-ve) bacteria using Oxytetracycline as a standard compound. The bacterial species selected for the antimicrobial screening were Staphylococcus aureus (gram +ve) and Escherichia coli (gram -ve) bacteria.

Antifungal screening of the synthesised, compounds was evaluated by Terbidometric method, against C.Sacchari using commerical fungicide Dithane M-45 as a standard compound for

comparison. The concentrations used were 100 and 500 ppm.

Most of the newly synthesised compounds included in the present study have exhibited moderate to good antibacterial against gram (-ve) bacteria i.e. E. coli and less activity against gram (+ve) bacteria i.e. Staphylococcus aureus. The compounds having 8-chloro substituent in the phenyl ring of the quinolone nucleious togather with benzopyranopyrazole ring system at the N^1- position showed better antibacterial activity.

Most of the tested compounds were found to be toxic to fungi C. sacchari at higher concentrations but not so spectracular at the lower concentrations.

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