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Life Sciences **Review Article……!!!**

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PYRAZOLONE AS A CORE UNIT BIOLOGICAL EVALUATION AGENT-MINI REVIEW

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Antibacterial activity, Antifungal activity, mannish bases

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ABSTRACT

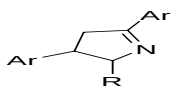
Purpose**:** The article is aimed to discuss characterize and screening the biological activity of a series of Pyrazolone-as a Core Biological evaluation Agent Pyrazolone are of great biological interest, especially as anti-tubercular antibacterial. The important and structural diversity of biologically active antibiotics led to the development of many novel methods for the construction of appropriately substituted Pyrazolone with attendantcontrol of functional group and stereochemistry. Thiazolidinone derivatives are reported to show a variety ofantimicrobial , anticonvulsant , anti-inflammatory and cardiovascular activities ,antimycobacterial activity, antibacterial activity , antihypertensive activity .

INTRODUCTION

Heterocyclic chemistry is the most challenging and a handsomely rewarding field of study, since it always attracts the attention of scientists working not only in the area of natural products but also in synthetic chemistry. Moreover, in tune with the present trend "scientists to the door steps of common man", there is always a challenging and rewarding task in search of more and more new scientific accomplishments. This is reflected by the voluminous data available in the literature on heterocyclic chemistry. Many useful drugs indeed have emerged from such investigations which strengthens the trend. Spectacular advanced has been made in this field to furtherance of the knowledge of relationship between chemical structure and biological activity. Thus, the successful application of this class of compounds in various fields ensures a limitless scope for the development of structurally novel compounds with a wide range of physico-chemical and biological properties.

Amongst different heterocyclic systems, the chemistry of five membered heterocycles with more than one heteroatom has gained importance as many of them exhibit pronounced bioactive nature. One such type of compounds includes pyrazoles and pyrazolines and pyrazolones. Hence, any attempt to study their detailed chemistry would add new dimensions to the existing knowledge. Pyrazolones, pyrazoles and related heterocycles possess various types of biological activities. A good deal of importance is given to pyrazolone derivatives. It is due to their wide use in medical chemistry and some of them possess antituberculosis antineoplastic, antidiabetic, anti fertility and antithyroid activity. In this perspective a study on synthesis, characterization, antimicrobial activity, and bioactive studies on some pyrazolone derivatives have been taken up and incorporated in the thesis. Introduction is kept to minimum in order to draw more attention to the actual dissertation details.

A brief account on 2-pyrazolines, their impotence and various methods for their synthesis is discussed. A five membered cyclic diene containing three carbons and two nitrogens is called a diazole. If two nitrogen atoms are adjacent, it is known as a pyrazole. If one double band is present, it is a pyrazoline. The biological properties of pyrazoles are reviewed extensively. Several pyrazolines **1** and annulated pyrazoles **2-4** posses' antimicrobial activity[1-5].



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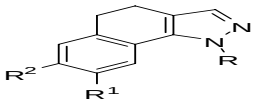

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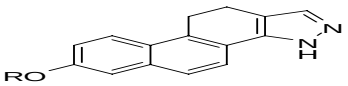

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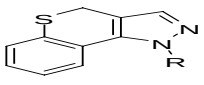

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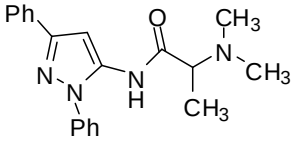
Pyrazole and its N-substituted derivatives are potential inhibitors and deactivators of liver alcohol dehydrogenase. Difenamizole **5** posse's analgesic activity greater than that of Aspirin.



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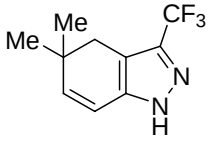
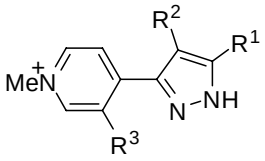
The tri fluoro derivatives of pyrazoles **6& 7** are about 0.5% as effective as an amebicide, comparable with Emetine and Metronidazole.

6



7

Several di and tri substituted pyrazole and pyrazoline derivatives[6] and 4-pyrazolyl pyridinium salts **8** possess hypoglycemic activity. Muzolimine **9,** 1-substituted 2-pyrazolin-5-one derivative is a highly active diuretic. It differs from other diuretics as it contains neither sulfonamide nor carboxyl group. Besides this, pyrazoline and indazole derivatives **10-12** are pharmacologically active and are useful as antinflammatory drugs[7,8].



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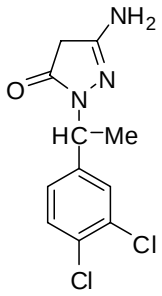

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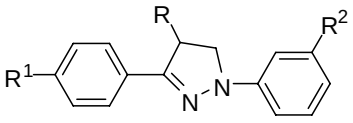

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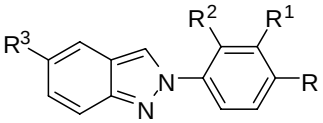

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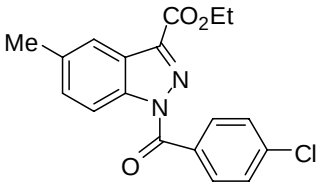

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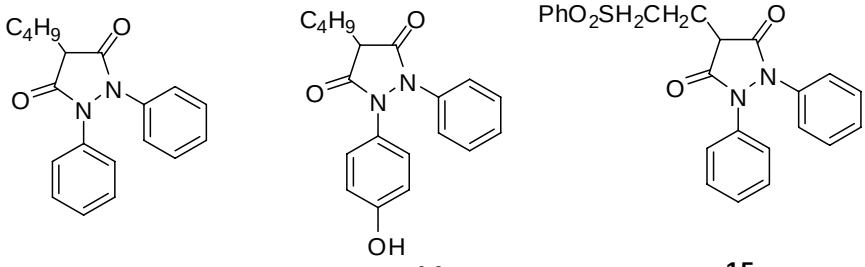
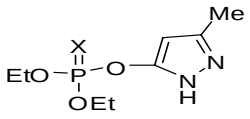
As well as 3,5-pyrazolidinedione derivatives such as phenylbutazone **13** oxyphenbutazone **14** sulfinpyrazone **15** etc, are some of the important class of anti-inflammatory agents which are most widely used.



13 **14** **15**

The organophosphates **16** which contain pyrazole moiety find application in agrochemical field as insecticides and pesticides. Dimetilan **17,** Isolan **18** and 1-phenylcarbomoyl-2-pyrazolines **19** also possess useful insecticidal properties[9-11]. It was reported that 3, 4-diphenyl substitution in the heterocyclic ring increases the potency of insecticides when compared to 3-phenyl substitution by a factor of 3 to 100.



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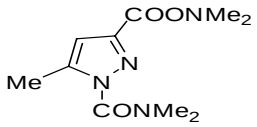

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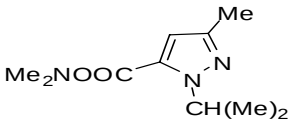

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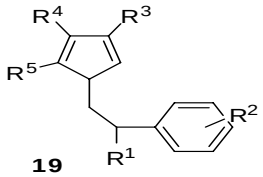

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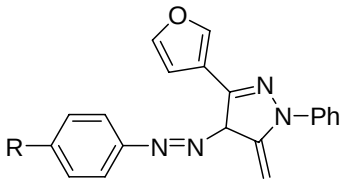
Azo pyrazoline heterocycles

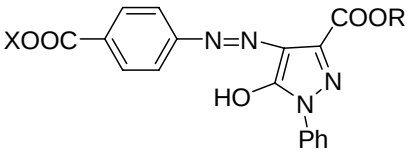
Pyrazoline-one **20** was prepared in 67-94% by cyclo condensing E-3-oxo-3-furyl proportionate with phenyl hydrazine and coupling resulting 3-furyl-1-phenyl-2-pyrazoline-one with diazotized *p*-$RC_6H_4NH_2$. Several of these compounds showed antifungal inflammatory and activity (Usher et al.,[12].)



R = Cl, NO_2, CO_2H, SO_2H.

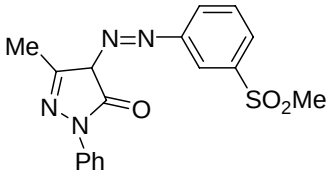
20

Pyrazolone mono azo compound **21** are prepared by diazotization of 4-2-phenoxyethyl-oxycarbonyl aniline and coupled with 1-phenyl-3-allyoxy-carbonyl-5-pyrazolone. The compound showed significant antibacterial activity (Niwa et al.,[13] .)



21

The azo pyrazolone **22** were prepared by coupling 3-aminophenyl-β-chlorovinyl sulfone with 3-methyl-1-phenyl-5-pyrazolone. They were found to be active antimicrobial activity (Stefaniak et al.,[14] .)



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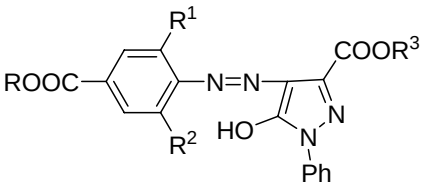
Pyrazolone mono azo compound **23** has good antimicrobial and antifungal activity. Derivatives of these compounds prepared by (Nippon Kayakyu et al.,[15].)

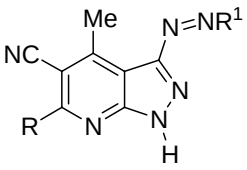


23

R = alkyl, alkenyl; $R^1 = R^2$ = H, Cl, Br,

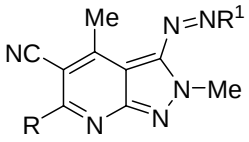
$R^3 = C_{5\text{-}8}$alkyl, aralkyl.

3- aryl azo-5-cyano-4-methyl-1H-pyeazolo [3,4-6]pyridines **24** and **25** were prepared by diazotization and coupling reactions. These compounds showed antimicrobial activity (Hahamand Maszynski et al.,[16].)



R = Cl, Et_3N

24



R1 = 4-Me_2NC6H4, 2-MeC_6H_4

25

CONCLUSIONS

1. Further more the substitution with phenyl group having a chloro group at p-position showed better activities.
2. The Pyrazolones showed better anti-inflammatory and analgesic activities.

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