ISSN:0975-1459
Journal of Pharmaceutical Sciences and Research
www.jpsr.pharmainfo.in

Medicinal Siganificance of Pyrazole Analogues: A Review

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Abstract*:*
Pyrazoles are well known nitrogen-containing five-membered heterocyclic compounds and various methods have been worked out for their synthesis. Several pyrazole derivatives have been found to possess considerable biological activities, which stimulated research activity in this field. Nitrogen-containing heterocyclic compounds and their derivatives have historically been invaluable as a source of therapeutic agents. Pyrazole, which has two nitrogen atoms and aromatic character, provides diverse functionality and stereochemical complexity in a five-membered ring structure. In the past decade, studies have reported a growing body of data on different pyrazole derivatives and their innumerable physiological and pharmacological activities. In part, such studies attempted to reveal the wide range of drug-like properties of pyrazole derivatives along with their structure–activity relationships in order to create opportunities to harness the full potentials of these compounds. Here, we summarize the discovery of new drugs and can be readily prepared owing to recent advances in Pharmaceutical chemistry.

Keywords*:* Pyrazoles derivatives and Medicinal Significance.

MEDICINAL SIGANIFICANCE OF PYRAZOLE ANALOGUES

The prominent effects are antimicrobial,[1] central nervous system[2] and immunosuppressive activities.[3] In addition, pyrazole derivatives are also known to exhibit a wide range of biological activities such as anti-hyperglycemic, analgesics, anti-inflammatory, antipyretic, antibacterial[4-5] and sedative-hypnotics[6] particularly, arylpyrazoles are important in medicinal and pesticidal chemistry and also some arylpyrazoles reported to have non-nucleoside HIV-1 reverse transcriptase inhibitory activity.[7] In view of potent pharmacological activity associated with pyrazoles and pyrimidines, several pyrimidine analogs bearing pyrazole have been reported.[8-10]

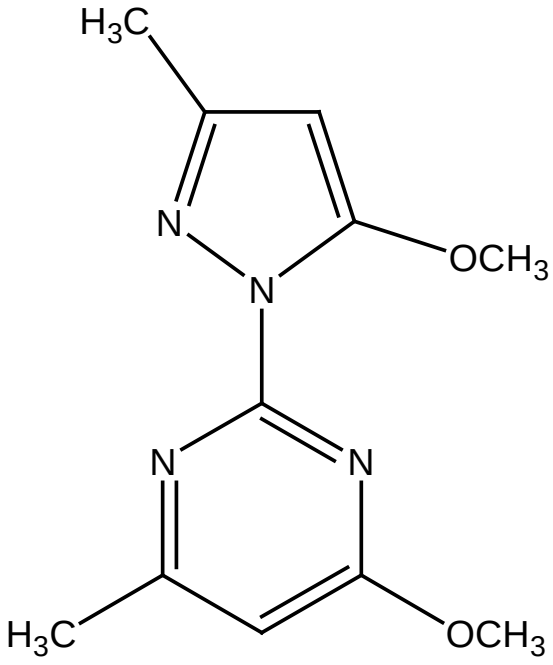


Figure - 3.1.3.1

Further, substituted pyrazole and pyrazolo[1,5-a]pyrimidine derivatives have proved to be useful synthetic intermediates in the dye industry and they have also been utilized in non-textile applications such as biodegradable agrochemicals, pharmaceuticals and photographic technology.[11-19] Also, Epirizole (Figure - 3.1.3.1) which is a pyrazole analogue used as non steroidal anti-inflammatory drug (COX-2 inhibitor).[20] After the pioneering work of Fischer and Knovenagel in late nineteenth century,[21] the reaction of α, β-unsaturated aldehydes and ketones with hydrazines became one of the most popular methods for the preparation of pyrazoles.[22-24] As evident from the literature, in recent years a significant part of research work in heterocyclic chemistry has been devoted to pyrazoles containing different aryl groups as substituents. In view of this, Baker *et al.*[25] have synthesized 1-phenyl-5-(2-hydroxy-4-methoxyphenyl)-3-methylpyrazoline (Figure-3.1.3.2).

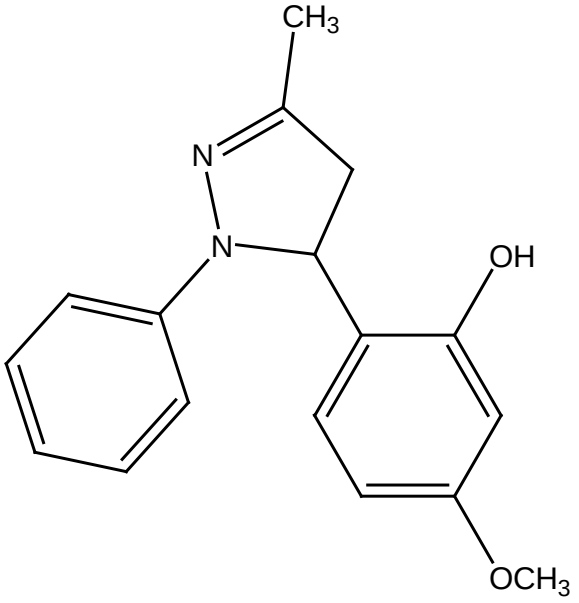


Figure - 3.1.3.2

Om prakash *et al.*[26] have studied the synthesis and mass spectral studies of some 1-(2-benzothiazolyl)-5-aryl-3-methylpyrazole (Figure-3.1.3.3).

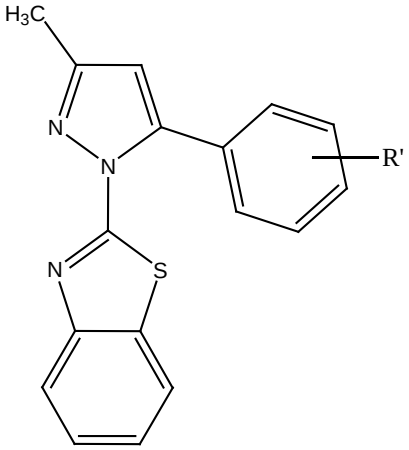


Figure - 3.1.3.3

Borkhade[27] and Marathey have synthesized 3,5-diaryl-1-phenylpyrazoline by the action of phenyl hydrazine hydrochloride on 2'-hydroxy chalcones and flavanones in pyridine. Singh *et al.*[28] have reported synthesis of 2-[3-trifluoromethyl-5-(2-theinyl)pyrazole-1-yl]thiazole (Figure - 3.1.3.4) and benzthiazole (Figure - 3.1.3.5).

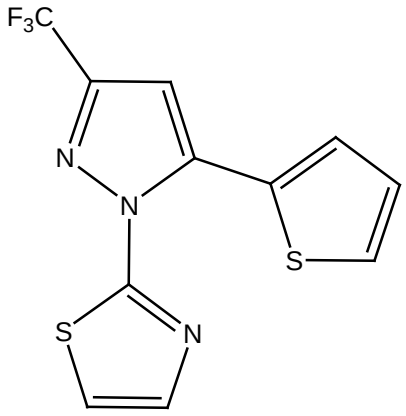


Figure - 3.1.3.4

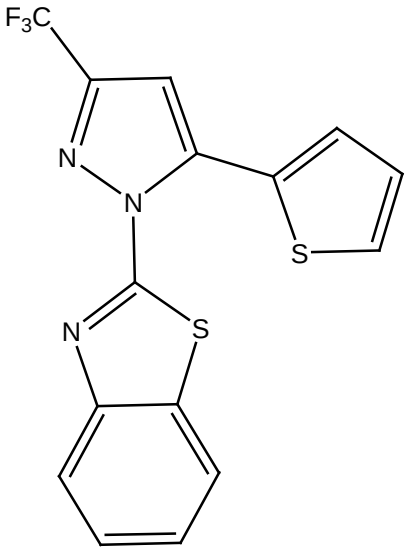


Figure - 3.1.3.5

Desai *et al.*[29] have reported synthesis and antimicrobial activity of pyrazoline derivatives of phenothiazines. Dashi *et al.*[30] have reported the synthesis and antimicrobial activity of some new naphthyl-substituted 2-pyrazolines. Nizamuddin *et al.*[31] have studied the synthesis and fungistatic activity of some 1-aryl/aryloxyaceto-4-aryl-5-cyano-3-methyl-1,4,5,6,7-pentahydropyrazolo[4,5-e]pyridin-6-ones. Colin M. Tice *et al.*[32] have reported design, synthesis, and biological evaluation of 1-(2-thiazolyl)-5-(trifluoromethyl)pyrazol-4-carboxamide (Figure-3.1.3.6).

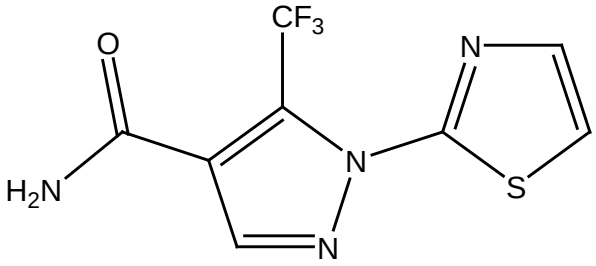


Figure - 3.1.3.6

Elham S. Othman[33] studied some nucleophilic cyclization reactions with 3-[4-(benzo[1,3]dioxolylmethylene)pyrazolyl]quinolone (Figure - 3.1.3.7).

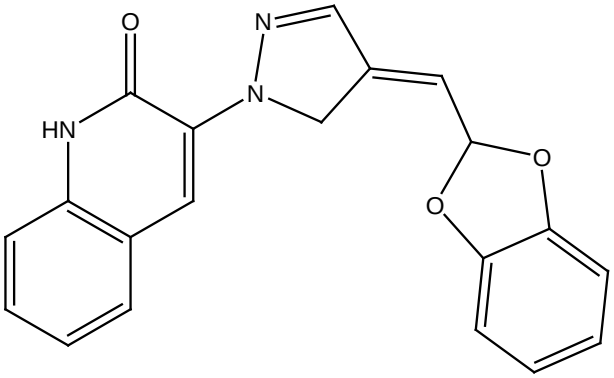
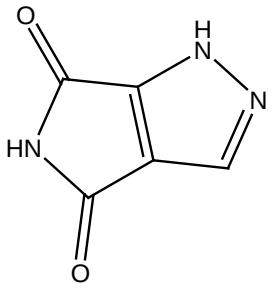


Figure - 3.1.3.7

Sahu *et al.*[34] have reported synthesis, analgesic, anti-inflammatory and antimicrobial activities of novel pyrazoline derivatives. Abunada *et al.*[35] have reported synthesis and biological activity of some new pyrazoline and pyrrolo[3,4-c]pyrazole-4,6-dione derivatives by the reaction of nitrilimines with some dipolarophiles.
Recently, Kalluraya *et al.*[36] reported that incorporation of pyrazoline moiety in pyrimidine nucleus that enhances the biological activity. Suvarna Kini *et al.*[37] have reported synthesis of some novel 2-pyrazoline derivatives as potential antibacterial and antifungal agents. Goudgaon *et al.*[38] have reported synthesis and antimicrobial activity of synthesis of 2-benzylthiopyrimidinyl pyrazole analogues and their antimicrobial activities.



Pyrrolo[3,4-c]pyrazole-4,6-dione

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