



SYNTHESIS AND APPLICATION OF PYRAZOLE AND ITS DERIVATIVE: A REVIEW

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Abstract

Pyrazole is five-membered ring compound having three carbon and two nitrogen atoms. The presence of nitrogen atoms in it shows numerous applications in pharmacy and agrochemical sectors such as anti-malaria, antibacterial, antioxidant, antifungal, anticancer etc. The recent development of new pyrazole derivatives has its own place, owing to this there is need to gather information from previously available data. The present review covers the revealed studies of pyrazole derivatives and are useful in future and new thoughts within quest for rational style for developing pyrazole

Keywords: *heterocyclic; pharmaceutical activities; biological activities*



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Introduction

Pyrazole **1** is important class of heterocyclic compound and classified in alkaloid. Heterocyclic compounds have a broad spectrum of physical, chemical and biological properties (1,2). Most of the heterocyclic compounds are disubstituted in nature. since, natural products having pyrazole as a subunit such as vitamins, hormones, antibiotics, and alkaloids were reported in literature. Thus, researcher among globe pays more attention for the synthesis of pyrazole moiety. Literature review shows that the compounds with heterocyclic moieties enhanced the existing activity. In recent years several pyrazole derivative have been commercialized such as Vigara (3) **2** and Celebrex (4) **3**. Pyrazole and its derivatives shows diverse activities such as antioxidant (5), anti- bacterial (6,7), anti-inflammatory (8), antipyretic (9,10), antimicrobial (11,12, anticancer (13,14).

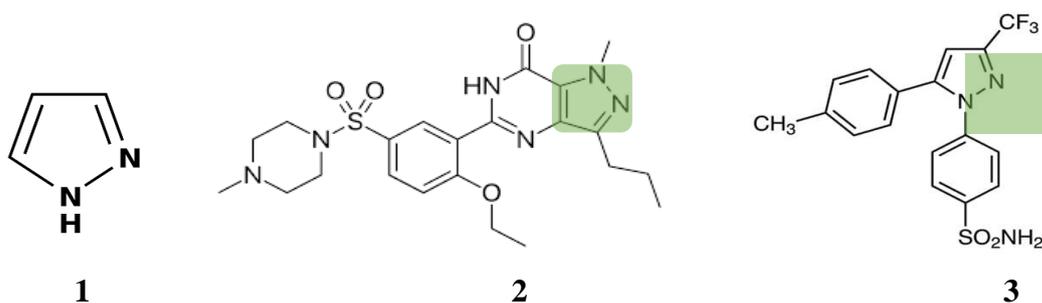


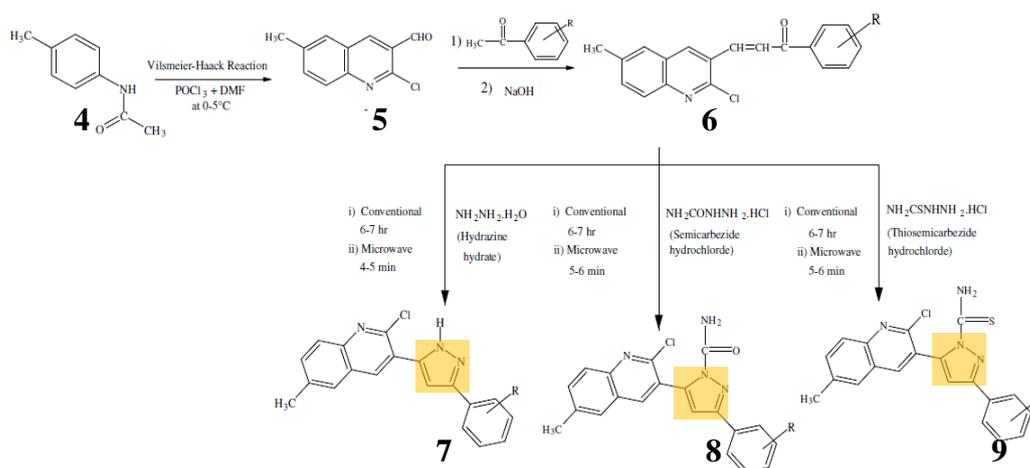
Fig.1 Pharmaceutical drugs containing pyrazole unit.

Synthesis of Pyrazole derivatives:

The pyrazole moiety is having significant pharmacological and biological activities. These wide range of activities of pyrazole make a class of synthetically important heterocyclic compound. Recently, for the development of pyrazole derivatives several methodologies have been adopted by the researchers through the globe.

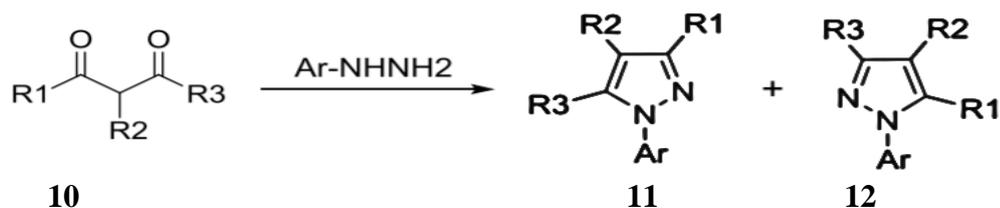
Microwave-mediated solvent-free approach:

Mistry et al (2012) reported that synthesis of pyrazole derivative by conventional method produced lower yield compared to microwave assisted method (15) The complete synthesis is shown in **scheme 1**. Microwave -assisted reactions in some organic reactions proceed much faster with higher yield compared to traditional method.



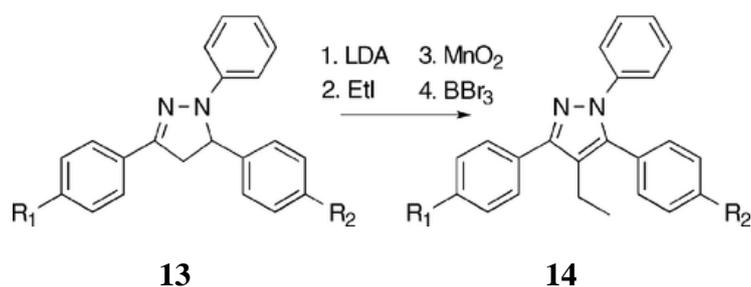
Scheme 1: Conventional & Microwave-assisted approach for synthesis of pyrazole derivatives

The most common method for the synthesis of disubstituted pyrazole was reported by Knorrin 1883 which involves cycloaddition of hydrazine derivative and 1,3 -diketone (16)



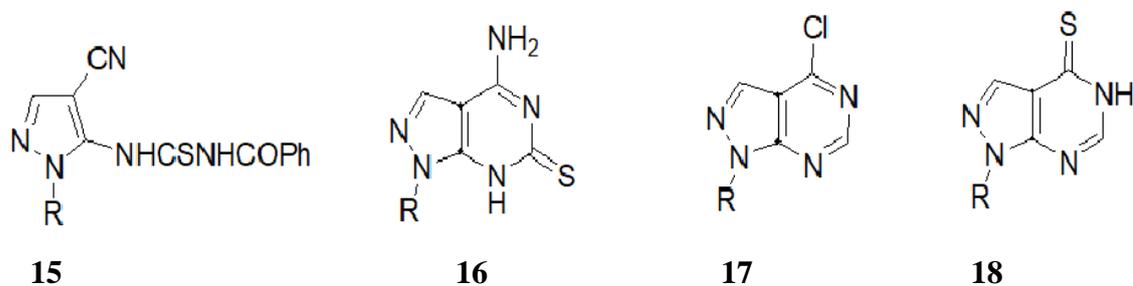
Scheme 2. Synthesis of tri substituted pyrazole using 1,3-diketone compounds

Similarly, Huang Y.R., et al (2000) reported Regioselective synthesis of 1,3,5-triaryl-4-alkylpyrazoles which is novel ligand for the estrogen receptor (17)



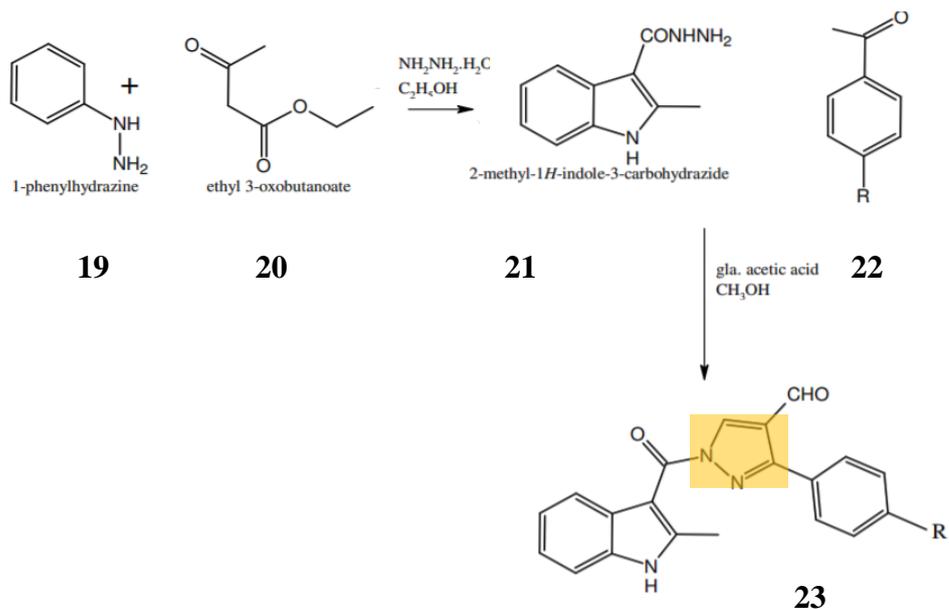
Scheme 3. synthesis of 1,3,5-triaryl-4-alkylpyrazoles

Nesrin Go khan- Kelekc et al (2009) have reported some novel substituted pyrazole derivatives and compounds **15**, **16**, **17** & **18** shows anti-viral activity.



Scheme 4. Substituted pyrazole derivatives

The synthesis of 1-H pyrazole derivatives and their antibacterial activity was studied by PB. Kumar et al (18).



Scheme 5. Substituted pyrazole derivatives

There are numerous strategies have been reported for the synthesis of pyrazole and its derivative with versatile applications in biomedicine and agrochemicals. The pyrazole moiety is one of the most important part of agrochemicals such as pesticides. Table 1 will emphasize the Pyrazole and its derivative shows tremendous biological activity.

References	Structure of pyrazole derivative	Biological activity
Bondock, S et al (19)		Antimicrobial
Christodoulou, M.S. (20)		Antimicrobial
Bandgar BP, et al (21)		anticancer

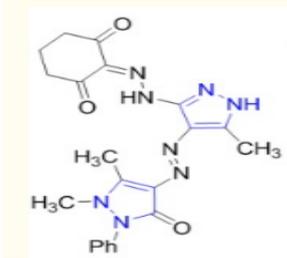
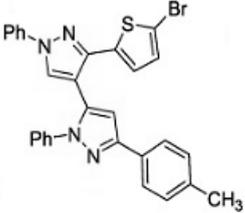
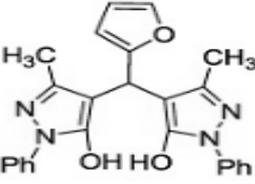
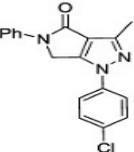
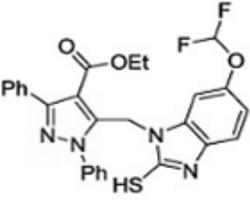
Metwally MA et al (22)		vitro anticancer activity
Bekhit AA, et al (23)		Antiinflammatory activity
Sujatha K, et al (24)		Antiviral
Han C. et al (25)		Anti viral
Doddaramappa SD, et al. (26)		antidiabetic agent

Table 1 Pyrazole derivatives with biologically active

This review paper emphasizes the synthetic methods of pyrazole and its derivatives with their applications in medicinal. The literature survey has been gathered since past few decades and the synthetic methodologies of pyrazole continuously developing. Thus, from the above discussion it can be conclude that pyrazole is a therapeutically active versatile moiety.

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