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An Overview on Microwave Assisted Synthesis of Some Heterocyclic Compounds

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ABSTRACT

Heterocyclic compounds are regarded as a promising class of bioactive compounds that exhibit a wide range of biological activities like anti-microbial, anti-diabetic, anti-proliferative, anti- HIV, anti-convulsant, anti-inflammatory, anti-hypertensive etc. These compounds exhibit significant activity such as potential antitumor agents, smooth muscle cell proliferation inhibitors, treatment for intestinal cystitis and in diverse area of chemistry. This present study was carried out to give a detailed account for the synthesis of heterocyclic compounds using microwaves.

INTRODUCTION

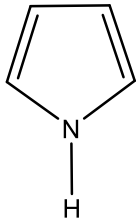
"Green Chemistry" will be forcing greater demands to meet the fundamental scientific challenges of protecting the health as well as environment, while maintaining the commercial viability [1, 2]. The use of microwave irradiation in organic synthesis has become increasingly popular within the pharmaceutical and academic arenas, because it is a new enabling technology for drug discovery and development [3]. It proves to be a convenient method of heating, comparable to conventional thermal techniques, since it is clean and cheap and often results in higher yields with a shorter reaction time [4]. Chief features of the microwave reactions are the enhanced selectivity, much improved reaction rates, milder reaction conditions and formation of cleaner products. Microwave techniques have become more effective than conventionally conducted reactions. Moreover, in a number of applications, reactions under microwave conditions can provide pure products in high yield [5]. Microwaves are going to be highly important in future synthesis of heterocyclic compound. Bearing in mind that most biologically active compounds are heterocyclic and the importance in combinatorial chemistry to identify, leads and to optimize structures, we believe that the number of applications of microwaves will only increase in the future [6]. Heterocyclic compounds hold a special place among pharmaceutically significant natural products and synthetic compounds. [7].

Microwave assisted synthesis of heterocyclic compounds

Microwave chemistry is the science of applying microwave radiation to chemical reactions. Microwave synthesis represents a major breakthrough in the synthetic chemistry methodology; a dramatic change in the way chemical synthesis is performed. Microwave-assisted organic synthesis has revolutionized organic synthesis. In contrast to the number and variety of such heterocyclic compounds, the number of synthetic methods to afford sulfur and nitrogen-containing molecules is in practice restricted to the availability of the appropriate sulfur or nitrogen reagent. Sometimes the preparation of these heterocyclic systems by conventional ways is difficult work that implies many synthetic steps and extensive starting material. Due to these reasons the various possibilities offered by the microwave technology are particularly attractive where fast, high-yielding protocols and the avoidance or facilitation of purification are highly desirable. Therefore, the present literature survey includes synthesis of heterocyclic nucleus based on microwaves.

1. Pyrrole

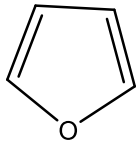
Pyrrole is a heterocyclic aromatic organic compound, a five-membered ring with the formula C_4H_4NH. Pyrroles are an important class of organic compounds with different types of medicinal activities. Consequently, many methods for the synthesis of diversely substituted pyrroles have been developed [8]. Pyrrole shows some pharmacological activities like anti-inflammatory [9], cytotoxicity [10], anti-tumor agents [11], antibacterial, antifungal activities [12], cardio tonic [13], antidiuretic [14], anticonvulsant and antioxidant activities [15].



1*H*-pyrrole

2. Furan

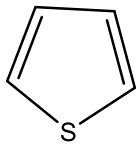
Furan is a heterocyclic organic compound, consisting of a five-membered aromatic ring with four carbon atoms and oxygen. The synthesis of furan derivatives has become much significant due to their widespread occurrence in nature and versatile applications in medicinal chemistry and pharmaceutical industry [16]. Furan derivatives exhibit antitumor [17], antimicrobial [18], antibacterial [19], antiviral, antioxidant and antifungal [20], anti-inflammatory [21], anti-tuberculosis activities [22].



Furan

3. Thiophene

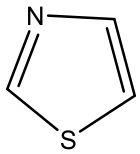
Thiophene also commonly called Thiofuran, is a heterocyclic compound with the formula C_4H_4S, consisting of a flat five-membered ring. Thiophene has significant biological activities such as antibacterial, antidiabetic, anti-HIV, antiviral and analgesic, anti-oxidant, antitumor, local anesthetic and other antimicrobial activities [23-25].



Thiophene

4. Thiazole

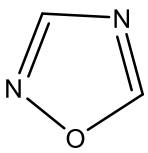
Thiazole or 1,3-thiazole is a heterocyclic compound that contains both sulfur and nitrogen atom. According to literature survey, Thiazoles were reported to possess anti-microbial, analgesic, anti-inflammatory, anti-cancer, anti-tubercular, anthelmintic & diuretic, anticonvulsant and antifungal activities [26, 27].



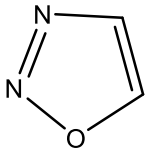
Thiazole

5. Oxadiazole

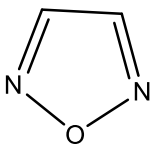
Oxadiazole is a heterocyclic aromatic chemical compound with the molecular formula $C_2H_2N_2O$. There are four isomers of oxadiazole: 1,2,4-oxadiazole, 1,2,5-oxadiazole, and 1,3,4-oxadiazole are known, but the 1,2,3- isomer is unstable and reverts to the diazoketone tautomer [28]. Oxadiazole have a broad spectrum of biological activity in both agrochemical and pharmaceutical fields showing anti-bacterial, anti-microbial, insecticidal, herbicidal, fungicidal, anti-inflammatory, hypoglycemic and hypotension [29] characteristics.



1,2,4-oxadiazole



1,2,3-oxadiazole

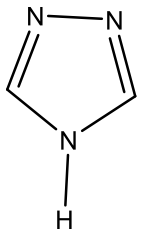


1,2,5-oxadiazole

6. Triazole-

Triazole refers to either one of a pair of isomeric chemical compounds with molecular formula $C_2H_3N_3$, having a five-membered ring of two carbon atoms and three nitrogen atoms. The importance of triazole derivatives lies in the field that these have occupied a unique position in

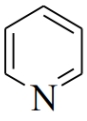
heterocyclic chemistry, due to its various biological activities [30]. The synthesis of 1,2,4-triazole derivatives has attracted widespread attention due to their diverse biological activities including anti-inflammatory and anti-tumor, antifungal properties, antibacterial and anti-tubercular agents, neuraminidase inhibitors, anticancer compounds, antiviral agents , analgesic compounds , herbicides and plant growth regulators [31].



1,2,4-Triazole

7. Pyridine

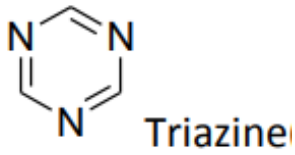
Pyridine is a basic heterocyclic organic compound with the chemical formula C_5 H_5 N. It is structurally related to benzene, with one methine group (=CH-) replaced by a nitrogen atom. Pyridine derivatives possessing diverse biological activities and many other practically useful properties e.g. antimicrobial [32], anticancer agents, peroxynitrite inhibitory activity and antioxidant, antidote and anti-leishmanial [33].



Pyridine

8. Triazine

A Triazine is one of three organic chemicals, isomeric with each other, having molecular formul $C_3H_3N_3$ and whose empirical formula is CHN. The 1, 2, 4 triazine moiety is a structural element in anti-malarial [34], anticancer, antifungal, anticonvulsant, antibacterial, antiviral, anti-angiogenesis and anti-HIV [35], activities.



CONCLUSION

In recent years, the use of microwave has become very attractive in the field of pharmaceutical science. Microwave assisted synthesis is a convenient way toward the goal of green chemistry. Heterocyclic compounds are versatile organic compounds as they have potent biologically activity. Microwave ovens in the chemistry laboratory have made it possible to carry out many transformations with greater efficiency and ease of workup.

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