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Review: Five and Six Membered Heterocyclic Compound with Antimicrobial Activity

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ABSTRACT

Bacterial and fungal infections have been for many centuries a major cause of death in humans. It was found in late 19th century that many common diseases are caused by microscopic pathogens which led to introduction of antiseptic procedures in order to diminish mortality related to postsurgical infections. Compounds classified as heterocyclic probably constitute the largest and most varied family of organic compounds. Among heterocyclic compounds five-membered heterocycles constitute a wide and differentiated group with broad spectrum of biological activity. Compounds from this class are present in nature as constituents of nucleic acids, some important amino acids, alkaloids and hormones. This paper presents the information regarding the Heterocyclic compounds that constitute the largest family of organic compounds. These are extremely important with wide array of synthetic, pharmaceutical and industrial applications

KEYWORDS: *Heterocyclic compounds, Acridine, Chalcone , Isoxazole, Pyrazole ,Thiazoles*

I. INTRODUCTION

Heterocyclic compounds are organic compounds containing at least one atom of carbon and at least one element other than carbon, such as sulphur, oxygen or nitrogen within a ring structure. Since in heterocycles non-carbons usually are considered to replace carbon atoms, they are called heteroatom.The most common heterocycles are those having five- or six-membered rings and containing heteroatoms of nitrogen (N), oxygen (O), or sulfur (S). The best known of the simple heterocyclic compounds are pyridine, pyrrole, furan, and thiophene. Pyrrole, furan, and thiophene molecules each contain five-membered rings, composed of four atoms of carbon and one atom of nitrogen, oxygen, or sulfur, respectively [1]. Compounds classified as heterocyclic probably constitute the largest and most varied family of organic compounds. Derivatives of the simple fused ring heterocycle purine constitute an especially important and abundant family of natural products. Heterocyclic chemistry deals with heterocyclic compounds which constitute about sixty-five percent of organic chemistry Literature [2] .The amino compounds adenine and guanine are two of the complementary bases that are essential components of DNA._Heterocyclic aromatic compounds are widely distributed pollutants in soil, air, sediments, surface water and groundwater, as well as in animal and plant tissues. They may be of natural origin (e.g. alkaloids), but high environmental concentrations mainly result from human activities. In particular, industrialized areas, such as creosote contaminated sites, represent important sources of

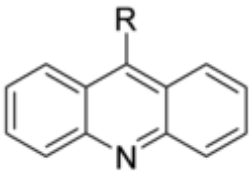
tar oil pollutants. Heterocyclic compounds have a wide range of application: they are predominant among the type of compounds used as pharmaceuticals [3], as agrochemicals and as veterinary products. They also find applications as sentizers, developers, antioxidants, as corrosion inhibitors, as copolymers, dyestuff [4] Five membered heterocyclic have proved to be the most useful framework for biological activities. The pharmaceutical importance of these compounds lies in the fact that they can be effectively utilized as antibacterial, antifungal, antiviral, antiparasitic, antitubercular and insecticidal agents. Heterocyclic compounds are also finding an increasing use as intermediate in organic synthesis [5].

II. Five and Six Membered Heterocyclic Compound with Antimicrobial Activity

The synthesis of novel heterocyclic derivatives has attracted considerable attention. The explosive growth of heterocyclic chemistry is emphasized by the large number of research publications, monographs, and reviews. The heterocyclic organic compounds are extensively disseminated in natural and synthetic medicinal chemistry and are vital for human life. There are various biologically active organic compounds are clinically active and several of which are in usual clinical practices [1].Heterocyclic compounds have attracted great attention in medicinal field due to its diversified pharmacological effectiveness such as anti-microbial, anti-fungal, anti- tubercular, antiviral, anti-oxidant, local anaesthetic, 5-HT receptor antagonist, immune modulatory, anti-inflammatory, analgesic, anti cancer, anti-convulsant, anti-allergy, phosphodiesterase (PDE) inhibitors and many more other activities.

A. Acridine[6-9]

It may also be known as benzoquinoline or dibenzopyridine. From 19th century they were first used as a raw material for the production of dyes and some valuable drugs.



Physical Properties

Acridine and its homologues are stable compounds and weakly basic character. It is a Colorless solid; melting point is 107 °C, possessing irritant vapour to nose and throat. As expected, acridine reacts with electrophilic reagents in benzenoid rings1. Thus nitration and bromination of acridine gives mainly 2,7-di- and 2,4,5,7-tetra- substituted products. Nucleophillic substitution takes place at position 9, e.g. acridine forms 9-aminoacridine when treated with sodamide. These results agreed with following π-electron densities, calculated on the basis of molecular orbital method, on the various carbon atoms. 9-chloroacridine is readily substituted by nucleophilic reagents and their quaternary salt (readily obtained by alkylation) is even more reactive towards nucleophilic reagents than the parent compound.

Biological properties

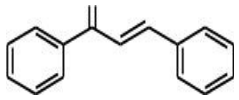
Acridine nucleus is an important heterocycle present in a large number of biologically active compounds many of them are clinically used. Acridine derivatives exhibit broad spectrum of biological activities including insecticidal, rodenticidal, antifungal, anticancer, antihelmintics antitumor, anti-inflammatory,anti-malarial, insecticidal, antiviral and antileishmania etc.

B. **Chalcones** [10-12]

Azo compound are characterized by the presence of (–N=N–) group in their structure, conjugated with two, identical or different,mono- or polycyclic aromatic systems. Azo compounds are excessively used as dyes and pigments, radical reaction initiators, food additives, therapeutic agents and indicators. Synthesis of azo dyes includes diazotization of a primary aromatic amine, then coupling with one or more nucleophiles. Furthermore azo compounds have biological activity as antibacterial .Chalcones belong to the flavonoid class, its have important uses in medicinal field. These compounds are shown various biological activities like anti- malarial, antioxidant, anticancer, antitumor, and antimicrobial [6-9].

Chalcone is a general term used for 1,3-diarylprop-2-ene-1-ones.They were widely distributed throughout the plant kingdom in the form of phenolic, enolic compounds. Chemically Chalcone are diaryl α,β-unsaturated carbonyl compounds. Chalcones are important intermediate for the synthesis of various classes of organic

compounds such as pyrazoles, Isoxazole, etc. They also exhibit versatile biological activity.

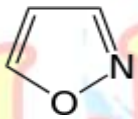


Biological properties of Chalcone

Recent studies on biological evaluation of Chalcone has various biological activity like anti-inflammatory, antioxidant, anticancer, antiplatelet and antimicrobial agent etc.

C. Isoxazole[13-15]

Isoxazole is a five- membered heterocyclic ring system with one nitrogen and one oxygen atom. The physical properties of Isoxazole and the presence of isoxazole nucleus in several important compounds led a special interest in the study of these compounds in a number of directions.



Physical properties

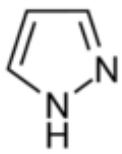
Isoxazole is colorless liquid; boiling point is about 96 °C and smells like pyridine.

Biological properties

In recent years Isoxazole and their derivatives have gained a lot of prominence due to their various biological activities such as antimicrobial, anticancer, anticonvulsant, antitumor, antiHIV-1 activity, antibacterial and antifungal activity etc.

D. Pyrazole [16-18]

Pyrazole is a five- member heterocyclic ring system with two nitrogen atoms. Our present knowledge of pyrazoles is largely due to knorr, who described the first member of this group in 1883. The physical properties of pyrazoles and the presence of pyrazole nucleus in several important compounds led a special interest in the study of these compounds in a number of directions.



Physical properties

Pyrazole is colorless solid; melting point is about 70 °C and crystallizes in long needles. Pyrazole is a tautomeric substance; the existence of tautomerism cannot be demonstrated in pyrazole itself, but it can be inferred by the consideration of pyrazole derivatives.

Biological properties

Now a day's vast number of compounds with pyrazole nucleus has been reported to show a broad spectrum of biological activity including antimicrobial, antiviral, antitumor, anti-histaminic, anti-depressant, insecticides and fungicides

E. Triazole [19,20]

Triazole is one of a class of organic heterocyclic compounds containing a five-membered ring structure composed of three nitrogen atoms and two carbon atoms at nonadjacent positions. The simplest member of the triazole family is 1, 2, 4-triazole.

Physical properties

white to pale yellow crystalline solids with a weak characteristic odour; soluble in water and alcohol, melts at 120°C, boils at 260°C

Biological properties

Triazole shows diverse biological activities in the medicinal and agrochemical fields, including anti-inflammatory antifungal, herbicidal, antimicrobial, antiparasitic, cytostatic, and brassino steroid biosynthesis inhibitory activities. Triazole and its derivatives are used for biological activities such as antiviral, antibacterial, antifungal and antituberculous. Mostly 1,2,4-triazole and 1,2,3-triazole are very important in pharmaceutical industry.

III. Conclusion

Heterocyclic compounds constitute the largest family of organic compounds. These are extremely important with wide array of synthetic, pharmaceutical and industrial applications. There is always a strong need for new and efficient processes in synthesizing of new Heterocycles. Developing environmental friendly and effective technologies coupled with green chemistry is a major challenge facing the chemical community.

The increasing development of bacterial resistance to traditional antibiotics has created an important need to elaborate new antimicrobial agents. The developed drugs should possess novel modes of

action and/or different cellular targets. As a result, new classes of compounds, including t Acridine, Chalcone, Isoxazole, Pyrazole, Oxazine Thiazines heterocycles designed to avoid defined resistance mechanisms are undergoing pre-clinical and clinical studies.

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