



ORIGINAL RESEARCH PAPER

CHEMISTRY

HETEROCYCLIC COMPOUNDS AND ITS BIOLOGICAL ACTIVITY. A REVIEW

KEY WORDS: Heterocyclic, biological activities.

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ABSTRACT

Heterocyclic compounds are of particular interest in medicinal chemistry. The chemistry of heterocyclic compounds is one of the most complex branches of chemistry. It is equally interesting for its theoretical implication for the diversity of its synthetic procedure and for the physiological and industrial significances. More than 90% of new drugs contain heterocycles and the interface between chemistry and biology, at which so much new scientific insight, discovery and application is taking place is crossed by heterocyclic compounds. This review article covers the most active heterocycles that have shown considerable biological action as antifungal, anti-inflammatory antibacterial, anticonvulsant, antiallergic, herbicidal, anticancer activity. Majority of the large number of drugs being introduced in pharmacopeias every year are heterocyclic compounds.

INTRODUCTION

Medicinal chemistry had its beginning when chemists, pharmacist and physicians isolated and purified active principles of plants and animals tissues and taken from micro-organism and their fermentation products. Some of these chemicals has been associated with therapeutic properties: Medicinal chemistry which has leaned on the classical fields of chemistry, especially organic chemistry, biology and some area of physics. A limited number of natural and synthetic products and serve directly as therapeutic agents although lack of specificity frequently limits their application in human and veterinary, medicines and in analogous pesticidal and other uses in agriculture. By dissecting the structure of these products chemically, one arrives at its therapeutically significant molecular sections, the pharmacophores, the portion that can be deleted are of no interest as components of drug action; they are regarded as the result of biosynthetic efforts on the parent organism to construct materials for its own metabolic or defensive purposes.

Few heterocyclic compounds containing the five-membered oxadiazole nucleus possess a diversity of useful biological effects. 1,3,4-Oxadiazole moieties are important because of their versatile biological actions. During the last decades, chemistry, synthesis and transformations of five membered heterocyclic compounds has received considerable attention and importance due to their remarkable and wide variety of applications. 2,5-Disubstituted-1,3,4-oxadiazole and its derivatid constitute an important family of heterocyclic compounds. Due to their remarkable unique properties, 1,3,4-oxadiazole and its derivatives have been frequently employed in drug synthesis, various commercial and industrial applications. In fact, 1,3,4-oxadiazole ring carrying substitution in an appropriate position and substituent with a nucleophilic center are excellent precursors for further synthesis of heterocyclic compounds. For example, ring rearrangements for the synthesis of five and six membered heterocycles. 5-Substituted-2-mercapto-1,3,4-oxadiazoles are interesting and important class of compounds.

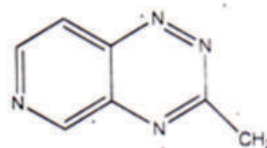
Most of the drugs belong to the class of heterogenous compounds. Heterocyclic compounds played a vital role in the metabolism of all living cells; large number of them are five and six membered heterocyclic compounds having one to three heteroatoms in their nucleus. The compounds may be pyrimidine and purine basis of genetic material DNA; and these heterocyclic compounds may be isolated or fused heterocyclic systems.

Some substituted-1,3,4-oxadiazoles and 5-Substituted-2-mercapto-1, 3, 4-oxadiazoles are of considerable pharmaceutical interest. They have been well documented by a steadily increasing number of publications and patents. They display remarkable biological activities. For instance, 2-amino-1, 3, 4-oxadiazoles have been reported as muscle relaxants.

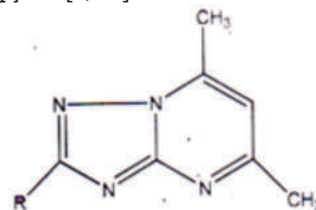
Biological Activities:

1. Antibacterial:

Bacteria are the simplest and smallest unicellular organisms found individually or in clusters. The multitude of highly effective and relatively non-toxic drugs available for the treatment of bacterial infections have provided though competition for the medicinal chemist, attempting synthesis of new antibacterial agents.



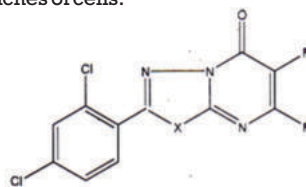
3-substituted pyrido[3,4-e]-as triazine



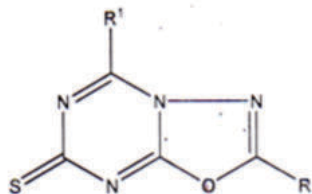
substituted triazolo-pyrimidine R=pyridyl

2. Antifungal Activity:

Fungi are heterotropic microorganisms that are distinguished from algae by lack of photosynthetic ability. Fungi includes both yeast and moulds. The former are spherical, oval and mucosid colonies in agar medium and the latter consists of elongated cells that usually reproduce by budding and forming branches of cells.



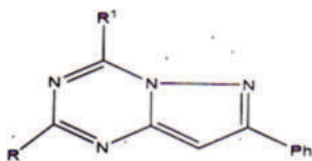
1,3,4-oxa/thiadiazolo-(3,2-a)pyrimidin-5-one x= o,s



1,3,4-oxadiazolo-(3,2)triazin-7-thione

3. Anti-inflammatory:

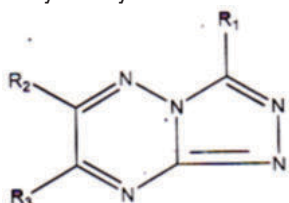
Anti-inflammatory refers to the property of a substance or treatment that reduces inflammation. Anti-inflammatory drugs make up about half of analgesics, remedying pain by reducing inflammation as opposed to opioids, which affect the central nervous system. Non-steroidal anti-inflammatory drugs (NSAIDs), some common examples are aspirin, ibuprofen and naproxen. Long term use of these drugs can cause gastric erosions, which can become stomach ulcers and in extreme cases can cause severe haemorrhage, resulting in death.



7-phenylpyrazolo-[1,5-a]-1,3,5-triazine

R= thia, alkyl

R¹= amino N heterocyclo alkyl



1,2,4-triazole[4,3-b]-1,2,4-triazines

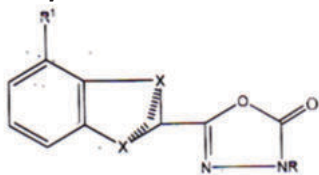
R¹= H alkyl, alkoxyalkyl,

R²= H alkyl, pyridyl,

R³= H alkyl, pyridyl, haloalkyl

4. Antiallergic:

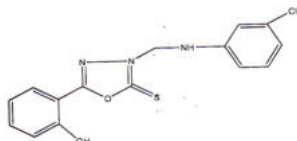
A number of heterocyclic compounds have shown the antiallergic activity



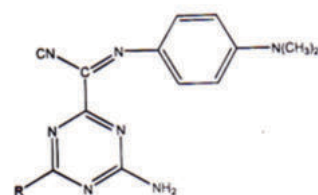
2-(2,3-dihydro-2-oxo-1,3,4-oxadiazol-5-yl)benzoheterocycles
R¹= H, Ac, CO, Et, Me etc.

5. Anticancer Activity:

Anticancer refers to a group of disease caused by several agents like as chemical compound, radiant energy. Cancer is characterized by an abnormal & uncontrolled division of cell exhibiting varying degree of malignancy which produce tumor & invade adjacent normal tissue. These agents are used for treatment of cancer or either kills cancer cells or modify their growth.



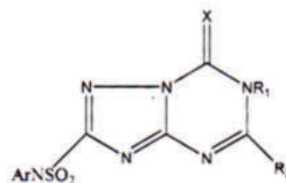
5-(2-hydroxyphenyl)-2-substituted-2,3-dihydro-1,3,4-oxadiazole-2-thione



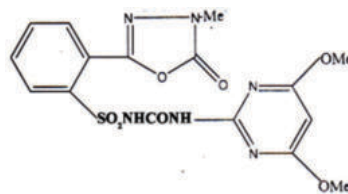
2,4-diamino-1,3,5-triazine

6. Herbicidal Activity:

these are the drugs which destroy the unwanted plants alongwith some grasses without affecting the food crops. Some substituted Oxadiazoles, triazines and condensed heterocyclic systems posses this activity.



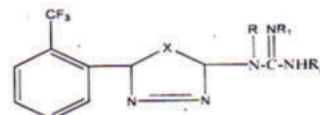
6,7-dihydro-1,3,4-triazol[1,5-a]-1,3,5-triazub-2-Sulfonamides
Ar = substituted Ph, naphthyl, pyridyl
R₁, R₂ = phenyl x= o, s



phenyl-2-[3-methyl-1,3,4-oxadiazol-2-(3H)-one] sulfonyl pyrimidyl urea

7. Anticonvulsant:

These are defined as the agents that prevents the severity of convulsive seizures.



Substituted-1,3,4-thia/oxadiazoles

Literature survey shows that a number of heterocyclic compounds having condensed ring system possess various types of physiological activities.

The heterocyclic compounds such as, azetidinones, 4-thiazolidinones, 2-pyrrole and 2-pyrrolidinones have prominent role in pharmaceutical. Literature assessment reveals that oxadiazole indicate that they have coordinating behaviours with the transition metal ions.

CONCLUSION:

The proposed work is in context with the compounds having thienopiperidine moiety. The other moieties like azetidinones, thiazolidines, pyrrole and pyrolidines etc. also present and these moieties containing drugs have potential utility. Thus the combined molecules of thienopiperidine and these heterocyclics, it is expected that the novel heterocycles will have good antimicrobial properties and will be applied as drug.

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