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A STUDY OF HETEROCYCLIC MOIETIES WITH ANTIMICROBIAL AND ANTIOXIDANT PROPERTIES

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ABSTRACT

Nature is rich in heterocyclic abundance; a lot of biological processes have a profound significance. In our vitamin, coenzyme, porphyrin, chlorine, DNA, RNA, etc. heterocyclic rings were found. heterocyclic rings have also been found. The complex heterocyclic compounds are certain antibiotics developed by a microorganism. Many of the most common natural medicines, such as penicillin (1.1), atropine (1.2), captopril (1.3), codeine (1.4). The compound-containing heterocycles also include some pharmaceutical products (azidothymidine, barbiturates, antipyrene), some dyes (mauveine), luminophores (acridine orange), pesticides (diazinone) and herbicides (paraquat). Human and synthetic heterocyclic compounds are the primary causes of chemical reactions in the human body. Furthermore, any biological process is chemical. The chemical reactions of several molecules, such as vitamins, enzymes, coenzymes, nuclear acids, ATPs, and serotonin, all depend on such essential life-living manifestations as energy supply, nerve transmission, sight, metabolism or heritage awareness. In antibacterial, anti-inflammatory, anti-inflammatory, antiviral, diuretics, antihelminthic, antihelminthic (antioxidant), antimicrobial, antitumor, herbicidal, anticonvulsants, etc., synthetic heterocycles are widely used In organic synthesis new approaches and synthetic tools for heterocyclic synthesis with economic, efficient, green routes are currently very popular and advanced.

KEYWORDS: Heterocyclic Moieties, Antimicrobial, Antioxidant Properties, heterocyclic abundance, nuclear acids, chemical reactions

INTRODUCTION

Heterocycles play a key role in all areas of organic chemistry and inextricably woven into the life processes. They are contributing to the development of society from a biological and industrial point of view as well as to the understanding of life processes and to the efforts to improve the quality of life. In all kind of organic compounds, the presence of heterocycles recognized and they play a crucial role in biology, biochemistry, agriculture, pharmacology and other branches of science. Heterocyclic compounds are one of the groups of organic compounds that

contain one or more heteroatoms in the ring or at least one of these ring includes carbon atoms along with other elements such as nitrogen, oxygen and sulfur. This heteroatom has different nature from carbon and hydrogen [1-5]. The higher polarity, water solubility and reactivity of the heterocyclic system are due to the presence of heteroatoms. Heterocyclic compounds offer a high degree of structural diversity and have proven to be broadly and economically useful as therapeutic agents. Many broader aspects of heterocyclic chemistry are recognized as disciplines of general significance that

impinge on almost all useful aspects of synthetic organic chemistry, medicinal chemistry, and biochemistry etc. Among all heterocycles, thousands of nitrogen and sulfur-containing 4, 5 or 6 membered heterocyclic compounds have paramount importance for researchers through decades of the historical development of organic synthesis. However heterocycles with another heteroatom such as oxygen, phosphorous and selenium also appears in many natural drugs. [6-8]

Nature is very rich in heterocyclic compounds and many of the biological processes are very important. In vitamins, coenzymes, porphyrins, chlorin (in chlorophyll), porphyrins, DNA, RNA, etc. we find heterocyclic circles. The heterocyclic compound of certain antibiotics created by a microorganism. Heterocycles are primarily natural medicines including penicillin (1.1), atropine (1.2), captopril, codina (1.4), ellipticin (1.5), diazepam (1.6). (figure 1.1). The heterocycles containing compounds include some narcotics (azidothymidine, Barbiturates, antipyrine), some dyes (mauveine), luminophores (acridine orange) and herbicides (paraquat). [11, 12]

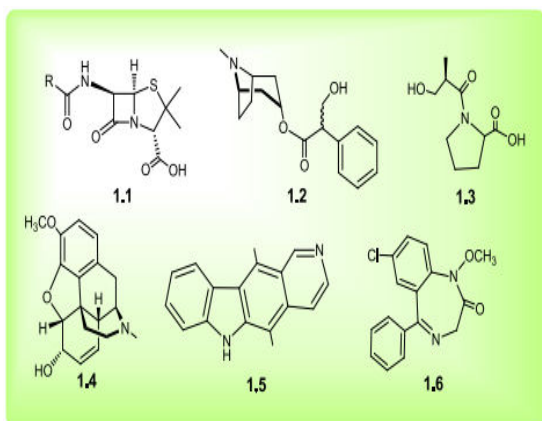


Figure 1: Some drugs with heterocyclic motifs

All natural and synthetic heterocyclic compounds mainly responsible for chemical reactions in the human body. Furthermore, all biological processes are chemical in nature. Such fundamental manifestations of life as the provision of energy, transmission of nerve impulses, sight, metabolism and the transfer of hereditary information are all based on chemical reactions involving the participation of many heterocyclic compounds, such as vitamins, enzymes, coenzymes, nucleic acids, ATP and serotonin.[13] Synthetic heterocycles have widespread therapeutic applications such as antibacterial, anti-fungal, anti-inflammatory, antiviral, diuretic, anthelmintic, antitubercular, antimalarial, antioxidant, analgesic, antineoplastic, antitumor, herbicidal, anticonvulsant agents etc.[14,15] The developments of new approaches and synthetic tool for heterocyclic synthesis employing economical, efficient, green routes those are currently a very popular and advance area in organic synthesis.

Nitrogen and Sulfur containing heterocycles

There are nearly no limits to the number of possible heterocyclic systems which provide compounds of various physical and biological characteristics. N and S are a source of inexhaustible novel compounds containing hetero-cyclic compounds. Heterocyclic nitrogen-containing compounds are the main components for the production of biological, medicinal and industrial compounds for chemists (figure 2). A variety of heterocyclic nitrogen-containing compounds are used as catalysts, solvents, photo-sensitizer, developer, and vulcanization accelerators

in the rubber sector in pharmaceutical research, agricultural science, drug discovery, cosmetics, additives.

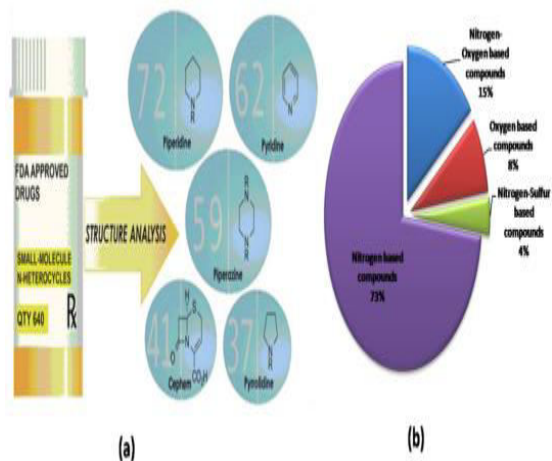


Figure 2: (a) Frequency of Nitrogen heterocycles among U.S. FDA approved pharmaceuticals, (b) Percentage of each heterocyclic class in current pharmaceutical as a scaffold for biological fragment

A easy look at FDA databases shows the structural significance in the design and engineering of pharmaceutical nitrogen-based heterocycles, with nearly 60% of specific small molecule medicines which have a nitrogen heterocycle. The structural diversity, substitution patterns and the frequency of nitrogens heterocycles in the United States have recently compiled Edon Vitaku and colleagues comprehensively. Pharmaceutical products approved by the FDA. Notice that the mean number of nitrogen atoms per drug is 2.3, with increases to 3.1 nitrogen atoms per drug in nitrogen heterocycles. Ultimately, along with fundamental aspects such as ring-size and aromaticity, the structural dynamics of the nitrogen-based heterocycles (and other heterocycle classes) transform into a large variety of chemical structures by which the molecular mechanisms of action differ considerably.

Therefore, the overall electricity structures as well as the flexible chemistry of the sulphuric atom profoundly influence the physiochemical properties and the reactivity of heterocycles containing sulphur. In many natural biological systems, covalently bonded sulphur is an essential determinant. In biological systems, the role of sulphur and its growing interest as a regulatory agent show the rationality behind the use of heterocycles based on sulphur.

Biological efficacy and therapeutic utility of heterocyclic compounds

1. Heterocyclic compounds as antibiotics:

Antibiotics are the most widely used medicines in western medicine. By killing or damaging bacteria, antibiotics cure disease. A large group of antimicrobial agents, including fungi and protozoa, are used to treat infections caused by microorganisms. Penicillin, aminoglycosides are antibiotics that are isolated from living organisms. Sulfonamides, quinolines, trimethoprim and metronidazole, etc., are some strictly synthetic antibacterials.[34] These antibiotics have two kinds of effects, depending on the ability to kill several bacterial infections.:

- ♣ Broad-spectrum antibiotics those are able to kill different bacteria [34]
- ♣ Narrow-spectrum drugs that can be used to manage infections caused by specific bacteria

D). β -LACTAM ANTIBIOTICS:

There are two different classes of antibiotics which contain β -lactam moiety in their chemical structure.

a) Penicillin: Penicillin antibiotics are prescribed to treat a variety of infections

those related to skin, ear, dental, respiratory tract, urinary tract and gonorrhoea. Penicillin work by binding to specific penicillin-binding proteins in the bacterial cell wall and inhibiting the final stage of bacterial cell wall synthesis, resulting to autolysis of the bacterial cells by autolysin enzymes. The common names of these antibiotics are Penicillin-V, Penicillin-G (1.7), Amoxicillin (1.8), Flucloxacillin.

b) Cephalosporin: Cephalosporins belong to β -lactam antibiotics produced from acromonium. The antibiotic is used to treat gram-negative and gram-positive infections as well as strep throat, pneumonia, ear infection, staph infections, kidney infections etc.

II). AMINOGLYCOSIDES:

Aminoglycosides are drugs that can combat some of Pseudomonas, Enterobacter, Acinetobacter, and other gram-negative infections. The antibiotic is prescribed for the treatment of gram-negative infections, such as pseudomonas aeruginosa and diseases like pneumonia. The common names for the antibiotics include Neomycin (1.12), Gentamicin, Tobramycin, Sisomicin, Streptomycin (1.14) and Amikacin. These antibiotics should be injected intravenously, instead of taking orally.

III). SULFONAMIDES:

The function of Sulfonamides or sulfa drug is similar to that of Penicillin. They are used effectively to treat kidney infections, urinary tract infections and topically for burns. However adverse effects of the antibiotic include nausea, allergy and kidney failure, crystals in the urine, sensitivity to sunlight and decrease in white blood cells. The common names

of the antibiotics are Sulfadiazine (1.15), Sulfamethoxazole (1.16).

IV). MACROLIDES:

The most frequently prescribed antibiotic sold under the name of Clarithromycin (1.17), Azithromycin (1.18), Roxithromycin and Erythromycin. The antibiotic is used to treat infections related to the upper respiratory tract, lower respiratory tract, soft tissue, skin infections, genital organs, mouth, and digestive system.

V). FLUOROQUINOLONES:

The antibiotic treats urinary tract infections, pneumonia, diarrhoea, gonorrhoea, skin infections and sinusitis, Fluoroquinolones are used to treat infections caused by *Moraxella catarrhalis*; *Enterobacteriaceae*; *Mycobacterium tuberculosis*; *Haemophilus influenzae*; *Pseudomonas aeruginosa*; *Mycoplasma* species and Methicillin-sensitive *Staphylococci* bacteria. Some common names for the medicine are Ciprofloxacin (1.19), Norfloxacin (1.20) and levofloxacin (1.21).

2. Heterocyclic compounds as antiviral agents:

Antiviral drugs are a class of medication used specifically for treating viral infections. Most of the antivirals now available are such as Ribavirin (1.22) designed to deal with HIV, herpes viruses, hepatitis B and C viruses which can cause liver cancer and influenza. Nevirapine (1.23) and Nitazoxanide (1.24), Nelfinavir are anti-retroviral drugs from the protease inhibitor class used to treat HIV infection and AIDS.

3. Heterocyclic compounds as antiulcer agents:

Antiulcer drugs are a class of drugs, exclusive of the antibacterial agents used to treat ulcers in the stomach and the upper part of the small intestine. A series of substituted pyridyl sulfinyl benzimidazole molecules like Omeprazole possesses gastric antisecretory and consequently antiulcerative activity. Later several Omeprazole (1.25) analogues like Lansoprazole, Pantoprazole (1.26) have been introduced in the market successfully.

4. Heterocyclic compounds as antidepressants:

Medicinal products used to treat major depressive disorders and other problems, including dysthymia, anxiety, chronic pain, and sleeping disorders, are mostly used to treat the 5-HT receptors as a strong adversary. The newest and most common antidepressant drugs are the Selective Serotonin Reuptake Inhibitors (SSRI). Serotonin and Reuptake Inhibitors (SSRI) consist of Venlafaxine, Indalprine (1.27), Duloxetine and Amyphenazole and are equivalent to SSRIs (1.28). Citalopram hydrobromides (1,29) are derivative isobenzofurans used in the treatment of depression. The derivative is a Selective Serotonin Reuptake Inhibitor (SSRI).

5. Heterocycles as anticonvulsants:

The anticonvulsants are a diverse group of pharmaceuticals used in the treatment of epileptic seizures. For example Paramethadione (1.30), Zonisamide (1.31) and Phenytoin (1.32).

6. Heterocycles as Non-steroid anti-inflammatory agents:

Anti-inflammatory refers to the property of a substance that reduces inflammation or swelling. Some anti-inflammatory drugs

like Indomethacin (1.33), Tolmetin (1.34), Tenoxicam (1.35) etc., they have prominent anti-inflammatory, analgesic and antipyretic properties.

7. Heterocycles as antihelmintics:

Helminth infections in domestic animal cause enormous damage, for example Mebendazole (1.36), Thiabendazole (1.37), Febendazole and Albendazole (1.38) which are broad-spectrum agents and constitute one of the main group of antihelmintics.

8. Heterocycles as antidiabetic agents:

Antidiabetic agents include all forms of medication used in diabetes therapy. All of these agents are intended to reduce blood sugar levels to an appropriate degree (normoglycemia) and alleviate diabetes symptoms such as thirst, excessive miction and ketoacidosis. Miglitol (1.39), Insulin, Glimepiride, Glipizide, Tolazamide (1.40), Rosiglitazone, Pioglitazone are several antidiabetic (1.41).

9. Heterocycles as Cytostatic Drugs:

Cytostatic medicines are also known to treat different types of cancer using antineoplastic agents. Some cytostatic medications are also used to treat and repress transplantation rejections of autoimmune diseases. Cytostatic medicines, for example alkylating agents, antimetabolites, alkaloids and antibiotics, are included in a variety of categories. Most of these medicines interact with mitosis (cell divides) in a variety of methods such as fluororouracile (1.42), azathioprine (1.43) and azacitidine for selective destruction of fast growing tumour cells, for example (1.44).

10. Heterocycles as Fungicides:

Fungicides are biocidal agents used to kill fungi or fungus spores. Triazoles such as

Cyproconazole (1.45), Devicil (1.46), Fluconazole (1.47) are effective fungicides and mechanism of action inhibition of steroidal synthesis.

11. Heterocycles as Herbicides and Pesticides:

The production and use of chemicals for the destruction of noxious weeds, insecticides, pests have increased markedly in the past of two decades. For example, Atrazine (1.48) is an important herbicide widely used in agriculture other herbicides and pesticides are Paraquat, Maleic hydrazide, Quiniconazole (1.49) and Fluquinconazole (1.50).

CONCLUSION

The other basic amino acids, tryptophan and many natural alkaloids are indigenous derivatives. There are also other naturally occasional synthetic and heterocyclic compounds of significant significance, such as pharmaceuticals, agrochemical precursor(s), colours, photochemicals, sensitizers, boosters, rubbers, and flavors. Heterocyclic compounds are in short heterocyclic agents such as kinetine, heteroauxine, and neurotransmitters, such as serotonin and histamine. Due to their great structural diversity in their active culture, they have high specificity for one of their modes of biological action. There are virtually limitless heterocyclic structures that provide substances with the most complex physical, chemical and biological characteristics. N&S is a unique pool of new compounds containing heterocyclic compounds. Nitrogen-containing heterocyclic compounds are important ingredients for the manufacture of organic, medicinal, industrial and chemical compounds. The numerous heterocyclic nitrogen compounds used in

the pharmaceutical, agricultural, medicine discovery, cosmetics and additives are catalysts, solvents, sensitizers, developers and vulcanizers in the rubber industry. A single analysis of the FDA databases indicates the structural importance, with almost 60% of specific nitrogen heterocyclized small-molecule products, of nitrogen-based heterocycling in pharmaceutical design and development. In recent years, Edon Vitaku and colleagues have compiled extensively the structural variation, substitution models and frequency of nitrogen heterocycles in the United States. FDA-approved prescription products. Average of around 2,3 nitrogen atoms are present, with nitrogen heterocycles reaching an increase of 3,1 nitrogen atoms per prescription. In conclusion the structural dynamics of nitrogen-based heterocycles (and in other classes of heterocycle), along with fundamental aspects such as ring size and aromas, translate into a wide range of chemical structures that can vary greatly in their molecular action mechanisms.

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