An Introduction to Quinazoline

Dr. Mithilesh Kumari
Assistant Teacher
SBHS (+2) School,
Sakra, Muzaffarpur

Abstract:

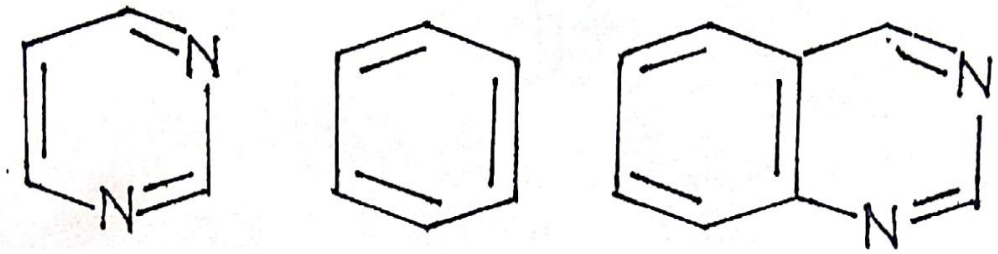
The heterocyclic fused rings quinazoline and quinazolinone have drawn a huge consideration owing to their expanded applications in the field of pharmaceutical chemistry. Quinazoline and quinazolinone are reported for their diversified biological activities and compounds with different substitutions bring together to knowledge of a target with understanding of the molecule types that might interact with the target receptors. Quinazolines and quinazolinones are considered as an important chemical for the synthesis of various physiological significance and pharmacological utilized molecules. Quinazolines and quinazolinone are a large class of biologically active compounds that exhibited broad spectrum of biological activities such as antifungal, antibacterial, antimutagenic, anticoccidial, anticonvulsant, anti-inflammatory, antidepressant, antimalarial, antioxidant, antileukemic, contraceptive and antileishmanial activities and other activities. Being considered as advantaged scaffold, the alteration is made with different substituent.

Quinazolines and quinazolinones are classes of fused heterocycles that are of considerable interest because of the diverse range of their biological properties. Many substituted quinazoline and quinazolinone derivatives possess a wide range of bioactivities such as antimalarial, anticancer, antimicrobial, antifungal, antiviral, antiprotozoan, anti-inflammatory, diuretic, muscle relaxant, antitubercular, antidepressant, anticonvulsant, acaricidal, weedicide, and many other biological activities. Quinazoline and quinazolinone compounds are also used in preparation of various functional materials for synthetic chemistry and also present in various drugs molecules. This review is an attempt to expand the huge potentiality and focused on the various biological activities of quinazolines and quinazolinones.

The known organic compounds have an enormous diversity of structure. Many of these structures contain ring systems. If the ring system is made up of atoms of carbon and at least one other element, the compound can be classed as heterocyclic. The elements that occur most commonly, together with Carbon in the ring systems are Nitrogen, Oxygen and Sulphur. About half of the known organic compounds are heterocyclic compounds.

Heterocyclic compounds are widely distributed in nature and are building blocks of biomolecules such as nucleotides, chlorophyll, heme, vitamin B_1, B_2, B_6, B_3, C, amino acids namely histidine, proline and tryptophan. Heterocyclic compounds have a wide range of application; they are predominant among the types of compounds used as Pharmaceuticals,[1] as agrochemicals and veterinary products. They are used as antioxidants, corrosion inhibitors, additives and dyestuffs.[2] Heterocyclic compounds are also finding an increasing use as intermediate in organic synthesis.[3,4] Another important feature of the structure of many heterocyclic compound is that it is possible to incorporate functional groups either as substitutent or as part of the ring itself. The rate at which heterocyclic compounds continue to be invented testifies to the strength and vitality of this area of organic chemistry. The challenges of discovering new heterocyclic systems and of understanding their properties also continue to stimulate research in this area.

Six membered heterocyclic compounds containing nitrogen atoms at 1,3- position is called pyrimidine (I). Condensation of benzene (11) ring at 5,6 - positions of pyrimidine nucleus gives quinazolines (III).

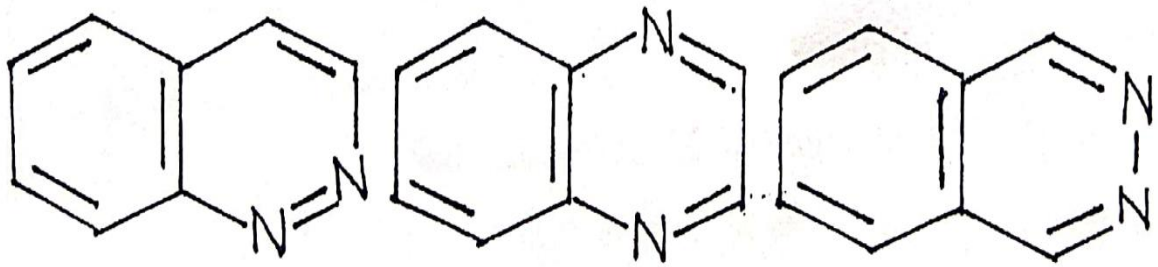


I Pyrimidine II Benzene III Quinazoline

The term quinazoline (German = Chinazoline) which is now universally adopted, was first proposed by Weddige because he observed that his compounds were isomeric with the then known cinnoline (IV) and quinaxalines (V). Quinazoline is also isomeric with phthalazine (VI).

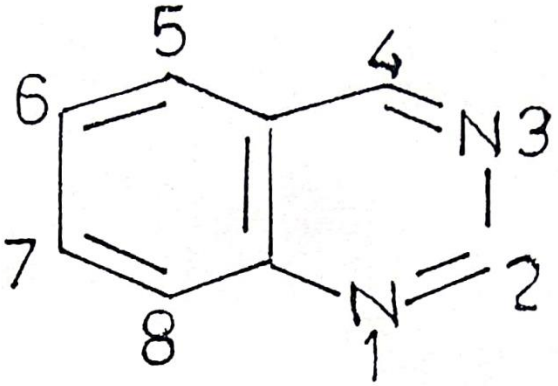
Quinazoline has also been called phenmiazine, benzylenamidine, benzo-l,3-diazine, 5,6-benzopyrimidine and 1,3-diaizanaputnalene [6].

In quinazoline ring system (VII) the naming of ring system is done as follows.



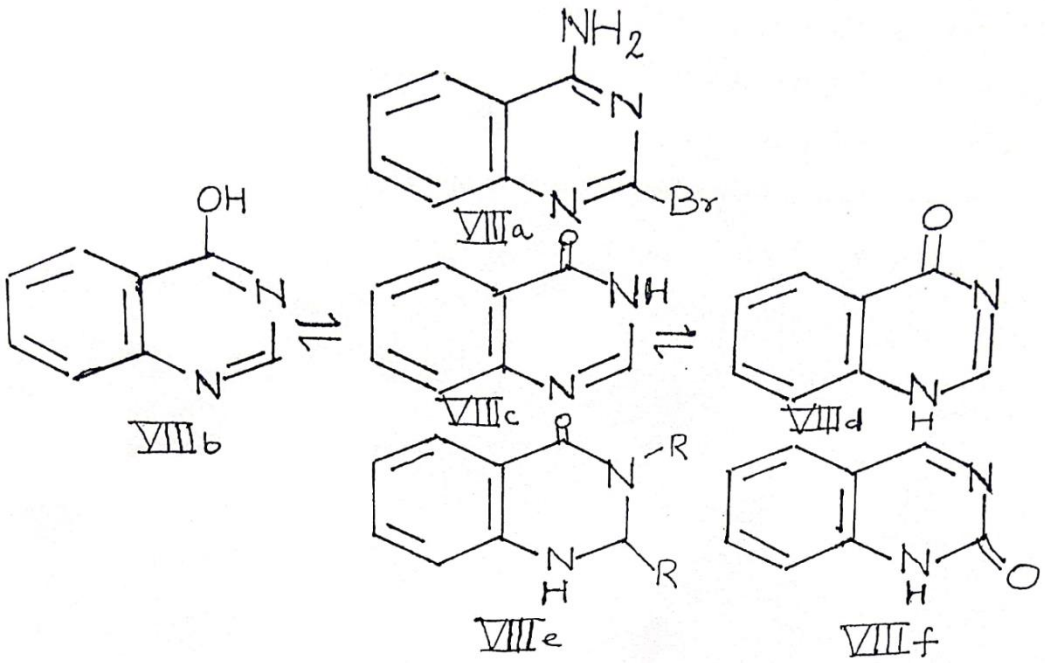
IV Cinnoline V Quinaxaline VI Phthalazine

This naming is according to Hand Book of Chemical Society Authors Published by Chemical Society of London in 1960.



VII

Substitution in polysubstituted quinazolines are written alphabetically irrespective of the position of substitution. Thus, amine group at position 4 and bromo group at position 2 will be 4-amino-2-bromos-qui nazoline and not as 2-bromo-4-amino quinazoline (VIIIa). Usually, the 2 and 4-hydroxy and 2,4-dihydroxy quinazolines are named after their most likely oxo tautomeric forms as the dihydro oxo-compounds. Thus 4- hydroxy quinazolines (VIIIb) is referred to as 3,4 -dihydro-4-oxoquinazolines (VIIIc& d). Similarly 2 and 3- substituted-4-oxo quinazoline is known as 1,2,3/4, tetra hydro - 4-oxo-quinazoline (VIIIe). 2-Oxo-quinazoline is referred as l,2,-dihydro-2-oxo quinazoline (VIIIf).



Quinazolines (III) can be divided into two main groups according to characteristic properties, which differs markedly. This classification is based on whether both the benzene and the heterocyclic rings are fully aromatic or whether either of these two lacks the full complement of 6 II -electrons. When both rings are fully aromatic, the benzene ring exerts a marked effect on the pyrimidine ring causing delocalization of electrons of the double bond between position-3 and 4 so that this become almost as reactive as an isolated double bond. Among the second group may be included all those compounds which do not possess the full complement of 6 II - electrons either in the benzene or the pyrimidine ring. Quinazolines having tautomeric group in the pyrimidine ring and the reduced quinazolines belong to this category. The derivatives in this group show the characteristic reactions of pyrimidines.

Initially, the study of quinazolines was promoted by purely academic interest. Later on, it was found that quinazolines possesses many interesting physiological properties. Several quinazolines were also discovered in nature. Interest in the chemistry of quinazolines was therefore, renewed and a large variety of quinazolines were prepared for biological testing and exploration of other properties.

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