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Biological Importance of Oxazoles

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Editorial Note

The most numerous and important heterocyclic systems are those having five and six member rings having hetero atoms such as N, O, S, P, Si and B etc. Many heterocyclic compounds are employed in the treatment of infectious diseases due to their specific antimicrobial activity. Heterocyclic compounds have attracted the attention of medicinal chemists because of having broad spectrum of pharmacological activities and hence it continues to yield new therapeutic agents. One such medicinal important heterocyclic nucleus is oxadiazole moiety. Oxazole is the parent compound for a vast class of heterocyclic aromatic organic compounds. These are azoles with an oxygen and a nitrogen separated by one carbon Oxazoles are aromatic compounds but less so than the thiazoles. Oxazole is a weak base; its conjugate acid has a pKa of 0.8, compared to 7 for imidazole. Oxadiazoles are a class of heterocyclic aromatic chemical compound of the azole family with the molecular formula $C_2H_2N_2O$. There are four isomers of oxadiazole depending on the position of nitrogen atom in the ring.

In chemistry, methine is a trivalent functional group =CH–, derived formally from methane. It consists of a carbon atom bound by two single bonds and one double bond, where one of the single bonds is to hydrogen. The group is also called methyne or methene; its IUPAC systematic name is methylylidene or methanylylidene. Oxadiazole is derived from furan by replacement of two methine (-CH=) group by two pyridine type nitrogen (-N=). 1, 2, 4-Oxadiazole, 1,2, 5-oxadiazole, and 1, 3,4-oxadiazole are all known and appear in a variety of pharmaceutical drugs including raltegravir, butalamine, fasiplon, oxolamine, and pleconaril. The 1,2,3-isomer is unstable and ring-opens to form the diazoketone tautomer. Oxadiazole, a very weak base due to inductive effect of the extra heteroatom. The replace of two -CH= groups in furan by two pyridine type (-N=) lowers aromaticity of resulting oxadiazole ring to an extent that the oxadiazole ring exhibit character of conjugated diene. The electrophillic substitutions in oxadiazole ring are extremely difficult at the carbon atom because, the relatively low electron density on the carbon atom which can be attributed to electron withdrawal effect of the pyridine type nitrogen atom. If oxadiazole ring is substituted with electron-releasing groups, the attack of electrophiles occurs at nitrogen. The ring is generally resistant to nucleophilic attack. 1,3,4-oxadiazole is a five member heterocyclic aromatic compound containing two nitrogen atom at position three and four and one oxygen atom present at position one. 1,3,4 oxadiazole is thermally stable than other oxadiazoles, these oxadiazole are very important compound in medicinal chemistry due to their biological activities, during last few years.

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