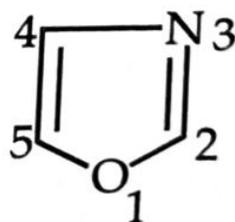


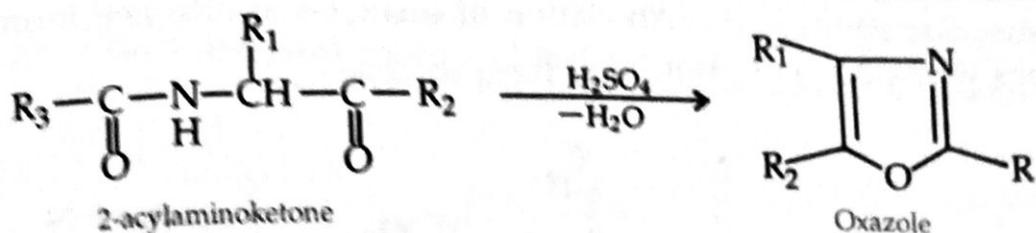
OXAZOLE



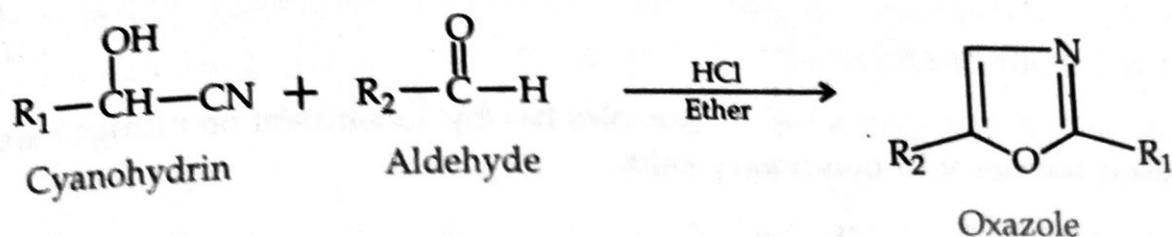
Oxazole is a class of heterocyclic aromatic organic compound having oxygen and nitrogen at C-1 and C-3 position. Oxazole are aromatic but less than thiazoles. Oxazole is weakly basic in nature.

Methods of Preparation

1. **Robinson-Gabriel Synthesis** : In this method 2-acylamino ketone reacts intramolecularly followed by dehydration to give oxazole.

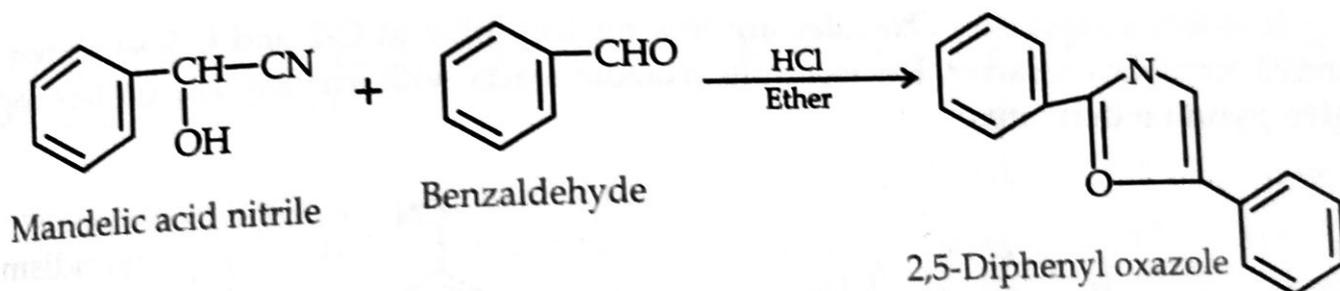


2. **Fischer oxazole synthesis** : It involves reaction of a cyanohydrin and an aldehyde in the presence of anhydrous hydrochloric acid. Cyanohydrin is first derived from another aldehyde then both the reactants are taken in equimolar amounts.

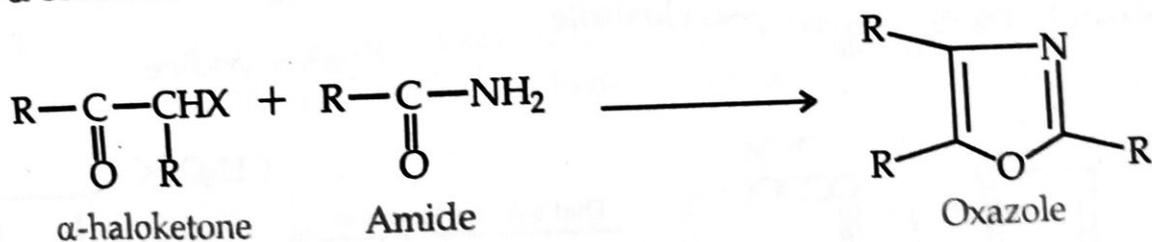


For example :

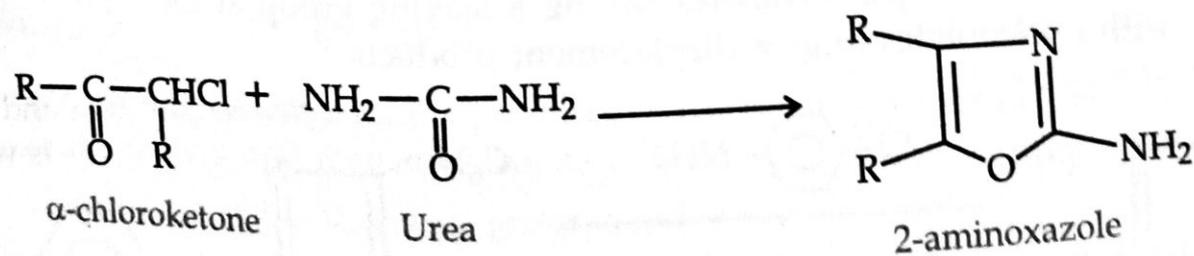
Reaction of mandelic acid nitrile with benzaldehyde to give 2,5-diphenyloxazole.



3. **From α Haloketones** : α -Haloketones reacts with amides to give oxazoles.

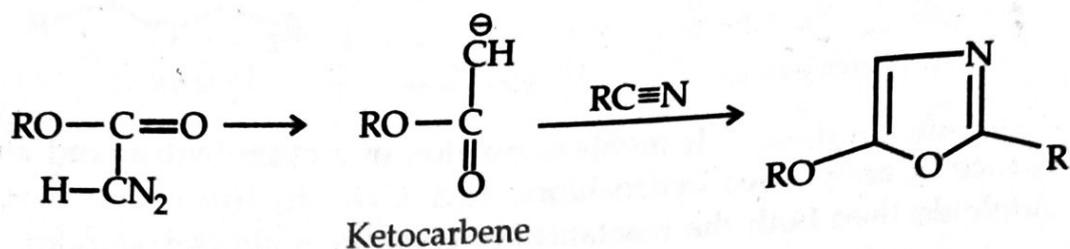


For example :



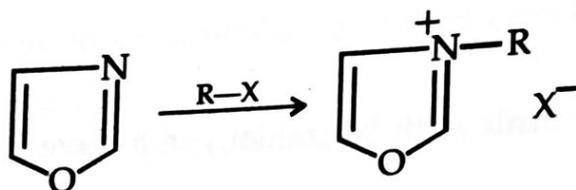
4. By 1,3-Dipolar addition (C-C bond formation) :

Nitriles undergoes 1,3-dipolar addition to form oxazole.

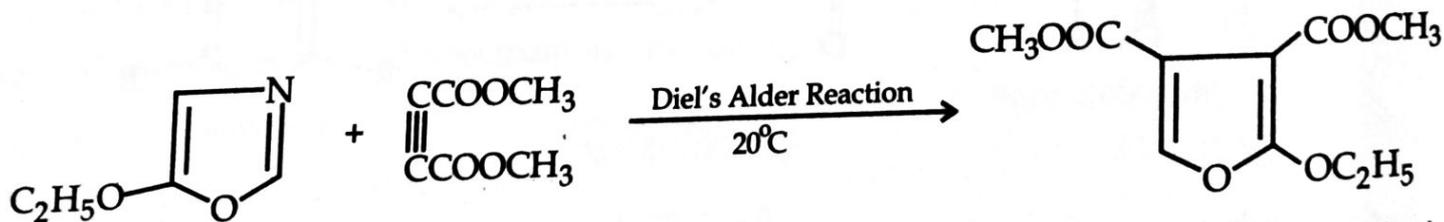
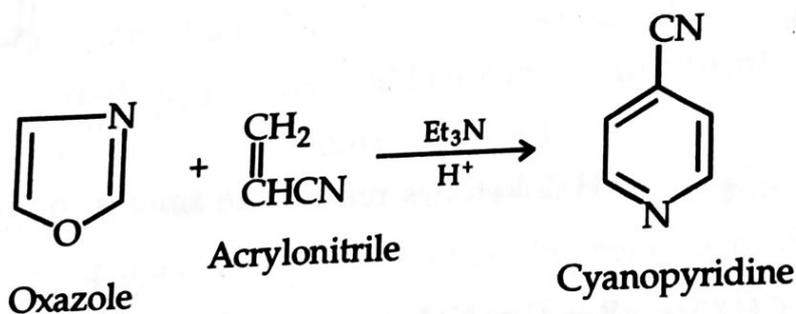


Chemical Reactions of Oxazole :

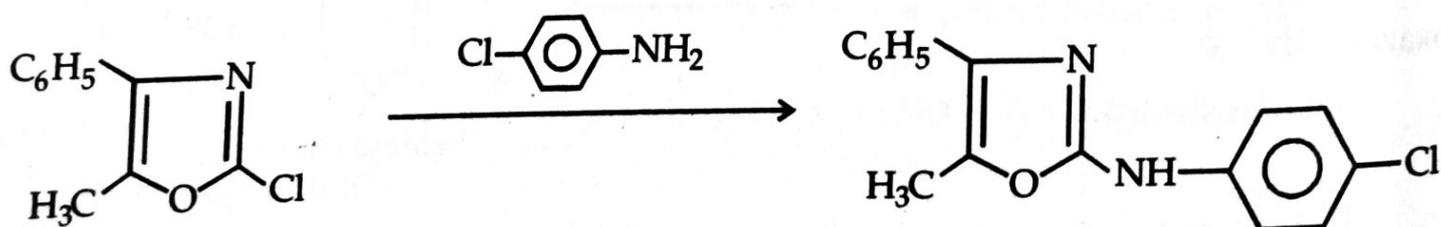
1. Formation of quaternary salts : Oxazoles having substituent on nitrogen are converted by alkyl halides into quaternary salts.



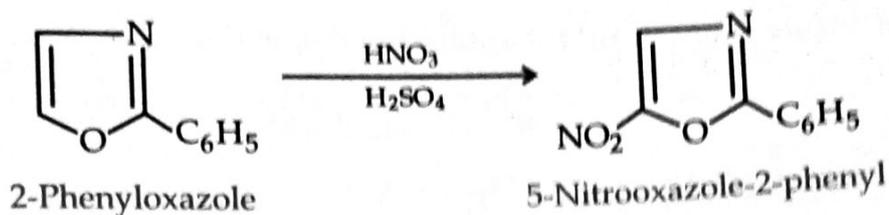
2. Cycloaddition reaction : Oxazoles are less nucleophilic at C-2 and C-5 so shows reduced aromatic character. For example, oxazole reacts with acrylonitrile on heating to give pyridine derivative.



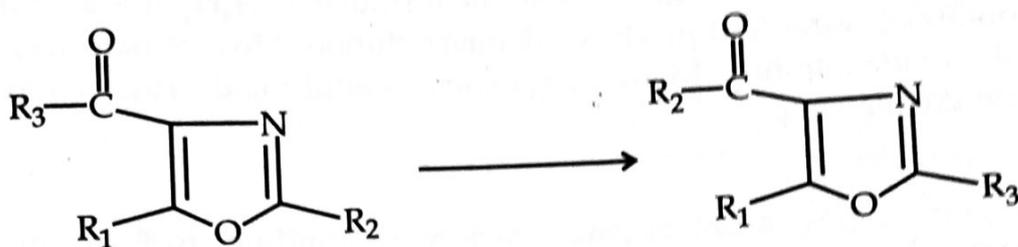
3. Nucleophilic substitution reaction : Oxazole readily undergoes nucleophilic substitution at C-2. For example, Oxazoles having a leaving group at the 2-position react rapidly with nucleophiles to give displacement products.



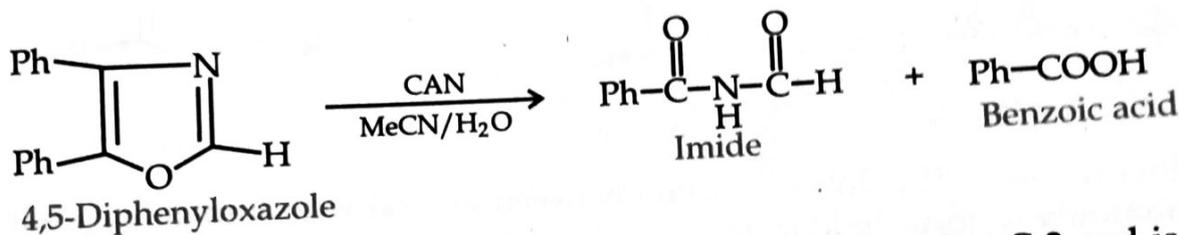
4. **Electrophilic substitution reaction** : It takes place at C-5 but requires various activating groups.



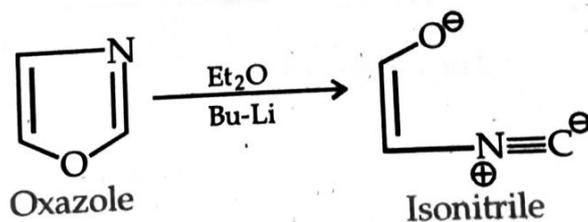
5. **Cornforth Rearrangement of 4-acyloxazoles** : This is a thermal rearrangement reaction in which the group attached to an acyl on 4-position and the substituent on 5-position of an oxazole ring exchanges. For example :



6. **Oxidation** : Oxidation of 4,5-diphenyloxazole with a equivalents of CAN gives imide and benzoic acid.



7. **Deprotonation** : In presence of base oxazole gets deprotonated at C-2 and is accompanied by ring opening and finally produces isonitrile.



MEDICINAL USES OF OXAZOLE

Oxazole ring system is poorly present in nature. Some of the important naturally occurring oxazole derivatives and their uses are as follows :

1. Sulfamoxol and sulfaquanol are two anti bacterial agents.
2. Aleglitazar is developed for the treatment of type-II diabetes & is currently in phase III trials.
3. Ditazole is a platelet aggregation inhibitor.