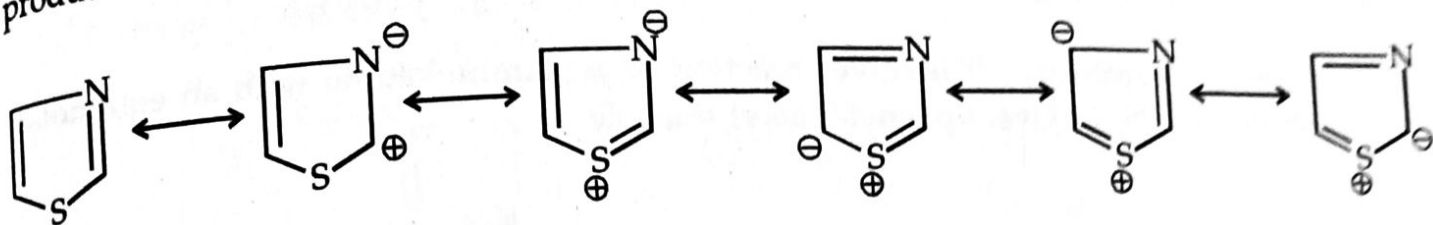


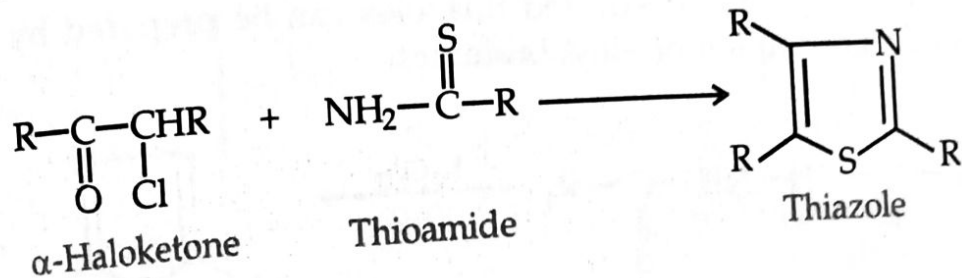
THIAZOLE

Thiazole is an aromatic five membered heterocyclic compound having sulphur and nitrogen at 1 and 3 position. Its molecular formulae is C_3H_3SN . It is present in various natural products. The structure of thiazole is :

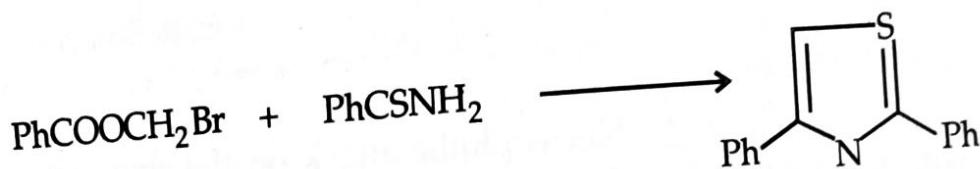


Methods of Preparation

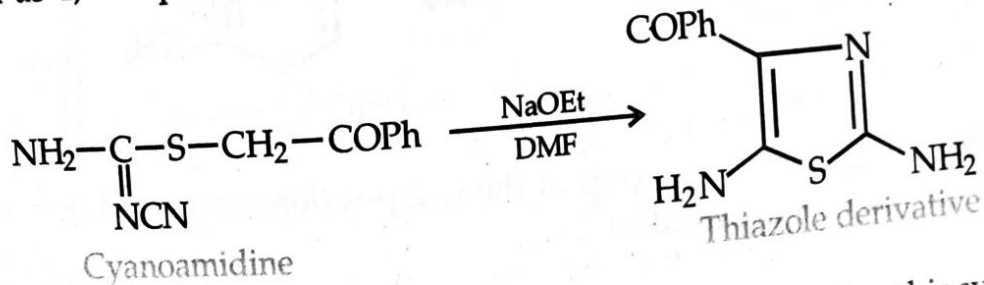
- Hantzsch Synthesis :** It is also known as synthesis from α -halocarbonyl compounds. This method involves reaction of α -haloketones with thioamides to give thiazole.



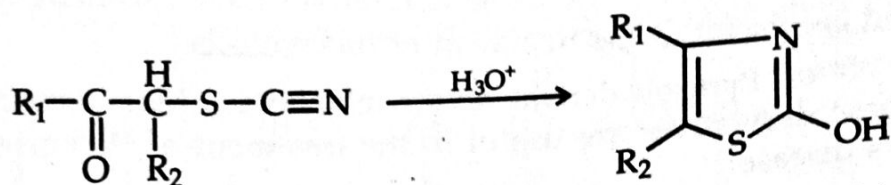
For example :



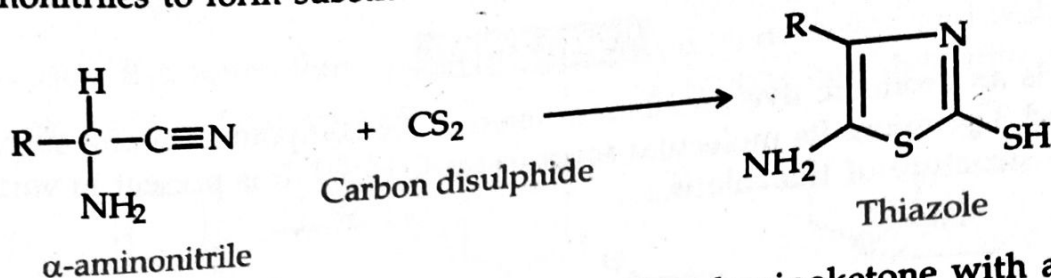
- Reaction with Cyanoamidine :** This reaction involves C-C bond formation and also known as 1, 3 Dipolar addition.



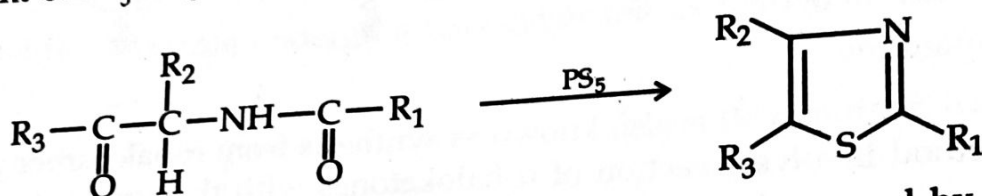
- By rearrangement of α -thiocyanoketones :** Cyclisation of α -thiocyano ketones in aqueous concentrated sulphuric acid forms substituted thiazole.



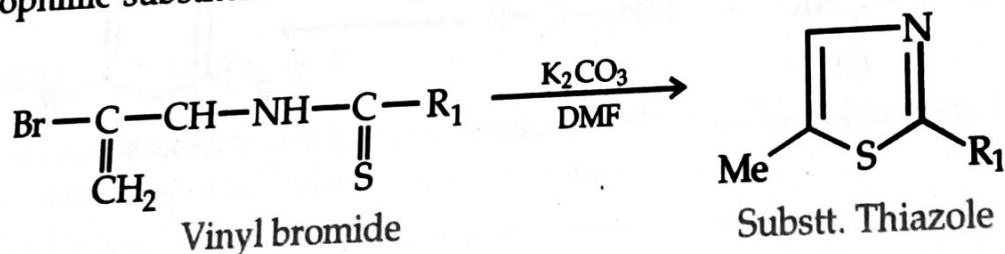
4. **Cook-Heilbron's Synthesis** : In this method Carbon disulphide reacts with α -aminonitriles to form substituted thiazoles.



5. **Gabriel's synthesis** : It involves reaction of acylaminoketone with an equimolar amount of PS_5 to give 2-phenyl-5-alkyl thiazole.

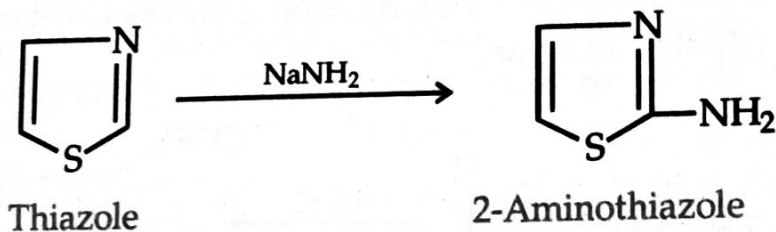


6. **From Vinyl Bromide** : Substituted thiazoles can be prepared by intramolecular nucleophilic substitution of vinyl bromides.

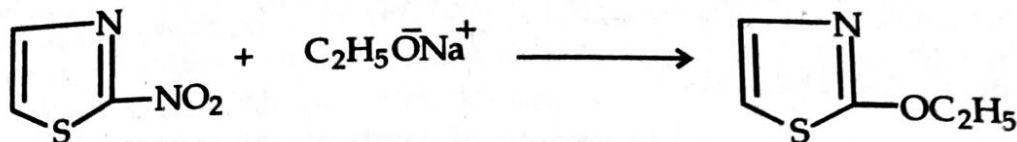
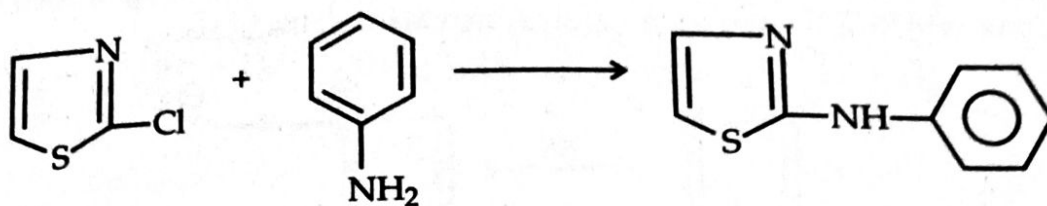


Chemical Reactions of Thiazole

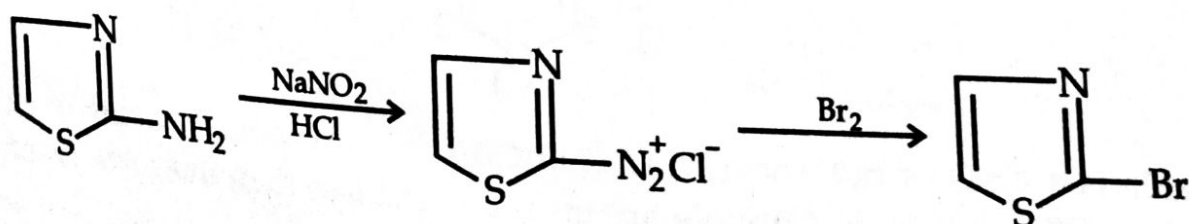
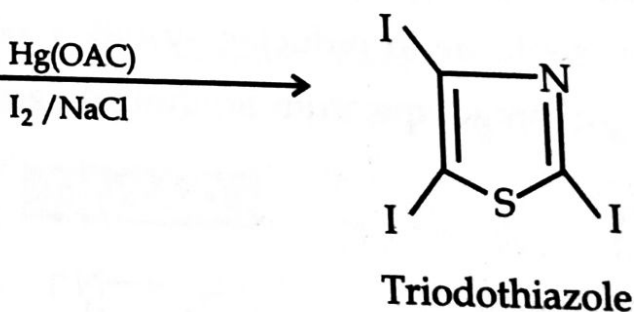
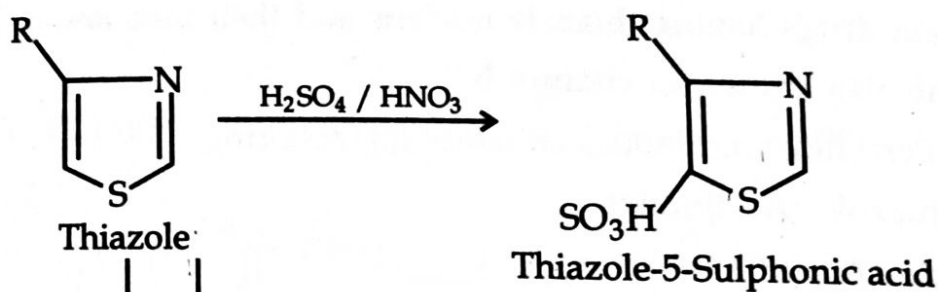
1. **Nucleophilic attack at C-2** : Nucleophilic attack on the ring carbon atoms occurs readily with thiazole. Sodamide converts thiazole into 2-aminothiazole.



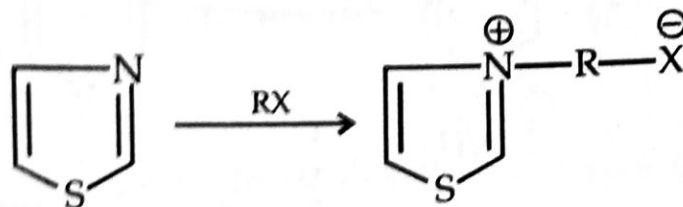
Thiazole having a leaving group at the C-2 position reacts readily with nucleophiles to give displacement products.



2. Electrophillic substitution reaction : Electrophillic substitution occurs at C-2 and C-5 but substitution at C-2 would be unfavourable relative to attack at C-5, because charge formed in the intermediate cation has to be stabilized by delocalisation through the imine nitrogen.



3. Alkylation at Nitrogen : Alkylation of thiazoles at nitrogen forms a thiazolium cations which are used as a catalyst in various reactions.



MEDICINAL USES OF THIAZOLE

Thiazole derivatives has a wide variety of applications ranging from bacteriostatics, antibiotics, CNS regulators, High Ceiling Diuretics etc. Thiazoles are also useful for the treatment of inflammation, Hypertension & HIV infections. Some Aminothiazoles are ligands of estrogen receptors as well as adenosine receptor antagonists. Some thiazole analogues are used as fungicides, herbicides or as schistosomicidal and anthelmintic drugs.

Some of comon drugs having thiazole nucleus and their uses are as follows :

1. Thiamine also known as vitamin B₁
2. All the Penicillins antibiotics contains thiazole ring
3. Sulphathiazole (Antibiotic)
4. Fenetizole (Antinflammatory)
5. Combendazole (Fungicidal)
6. Niridazole (Schistozomicidal)
7. Aminothiazole is used as a thyroid inhibitor in the treatment of hyperthyroidism
8. Amiphenazole is used as a respiratory stimulant
9. Brecanavir is useful as anti HIV
10. Cefixime and other Cephalosporin antibiotics also belongs to this class.
11. Alagebrium is effectie in reducing systolic blood pressure.
12. Abafungin is a broad spectrum antifungal agent.