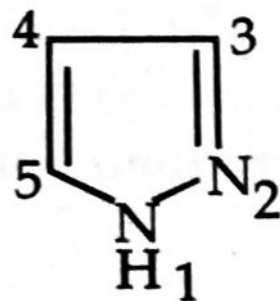


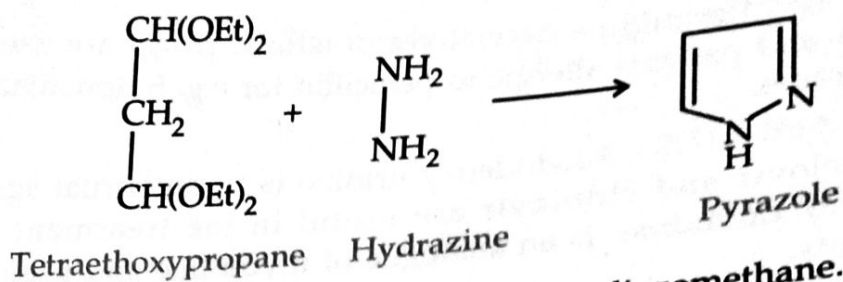
## PYRAZOLE

It is an organic compound having molecular formulae  $C_3H_3N_2H$ . It is a heterocyclic compound having two nitrogen atoms at position 1 and 2. It is weakly basic in nature.

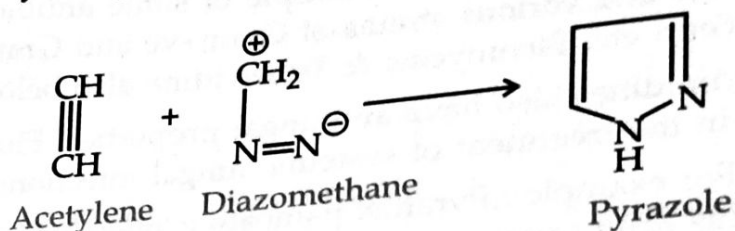


## Methods of Preparation :

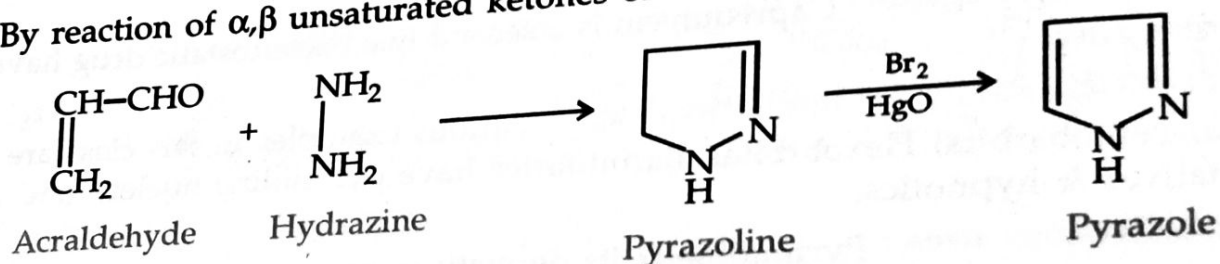
1. By condensing malondialdehyde diethyl acetal with hydrazine.



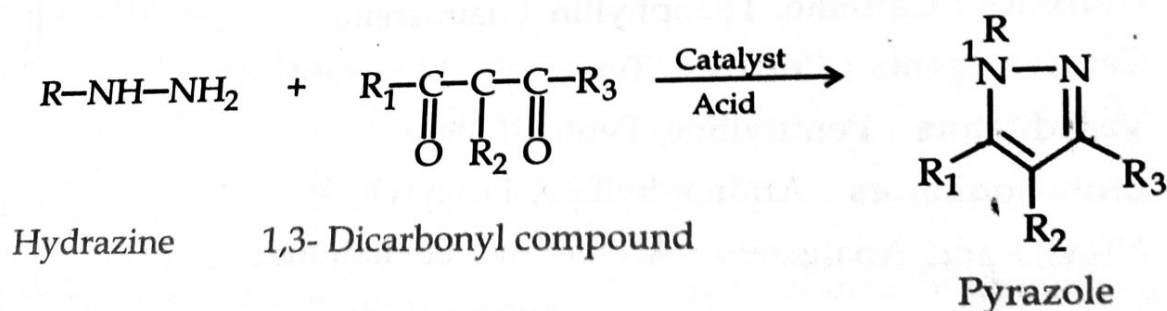
2. By passing acetylene gas into a cold solution of diazomethane.



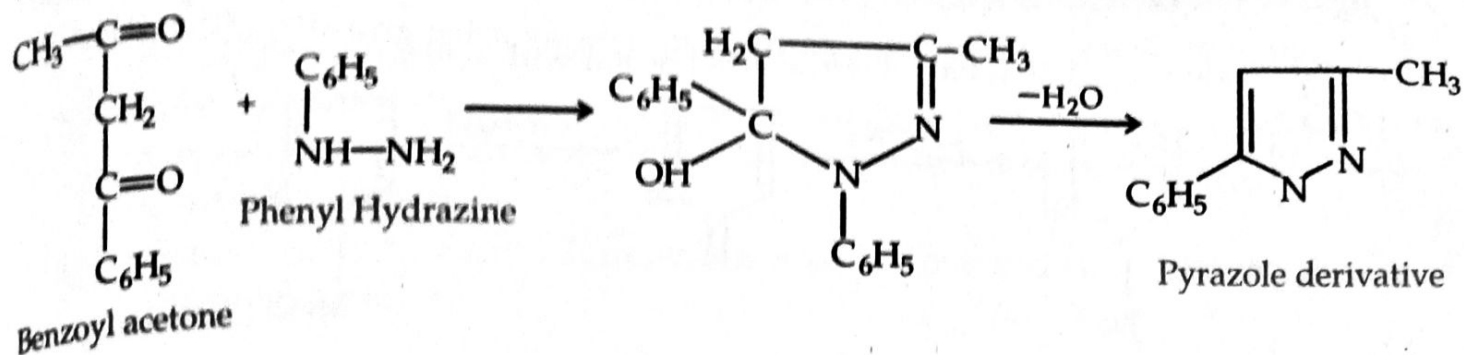
3. By reaction of  $\alpha,\beta$  unsaturated ketones or aldehydes with hydrazine.



4. **Knorr Pyrazole Synthesis** : It is an organic reaction used to convert a hydrazide or its derivative and a 1,3-dicarbonyl compound into a pyrazole using an acid catalyst.

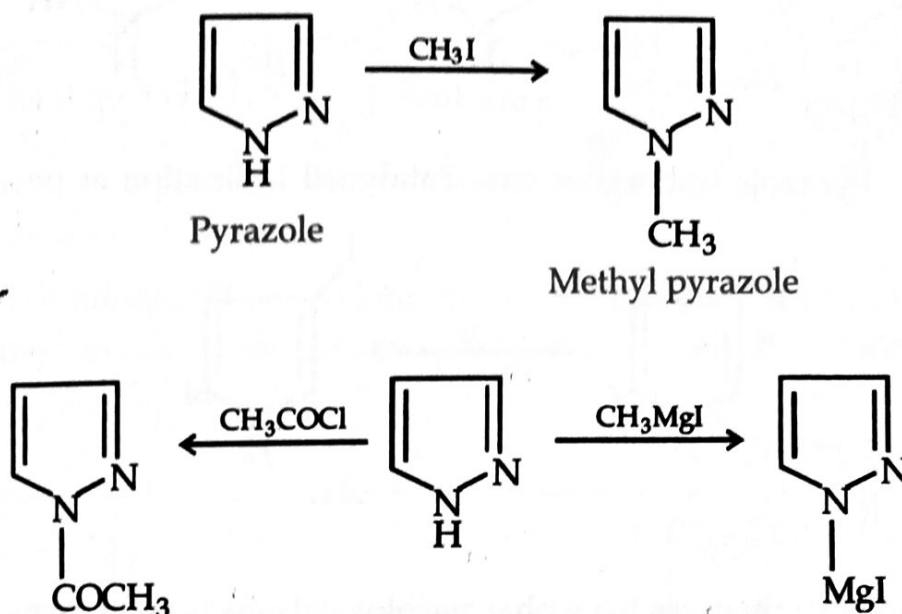


The mechanism of reaction involves an acid catalyzed imine formation while in hydrazine derivative the attack can happen on either carbonyl carbon and results in 2 possible products. The other nitrogen of hydrazine derivative then attacks the other carbonyl group which has also been protonated by acid and forms a second imine group. This dimine compound gets deprotonated to regenerate the acid catalyst to form the final pyrazole product. For example :

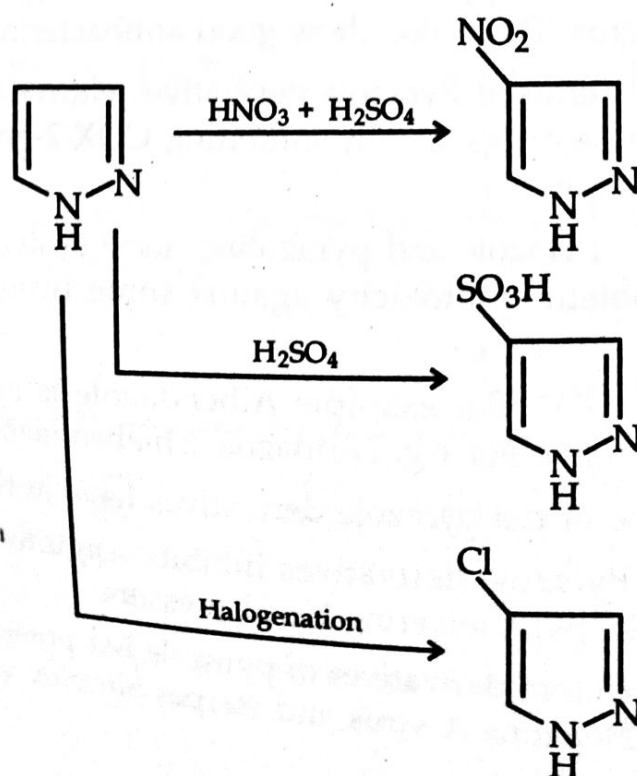


### Chemical reactions of Pyrazole :

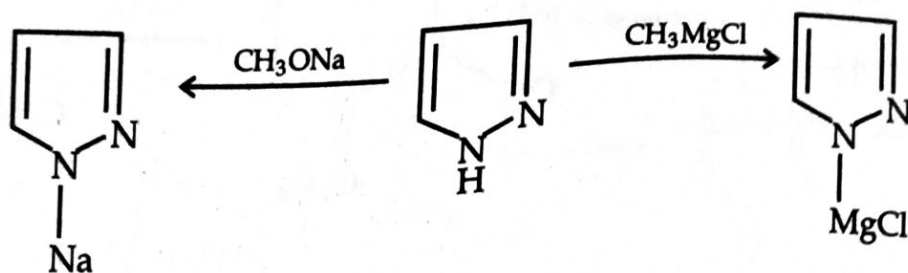
- Reaction at nitrogen :** Hydrogen atom in the  $-NH$  group can be replaced easily to form alkylated derivatives. Pyrazole is weakly basic & can form salts with inorganic acids. The imino hydrogen can be replaced by an acyl group.



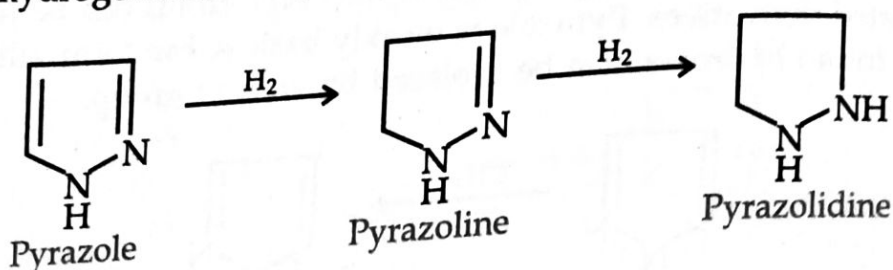
- Electrophillic substitution reaction :** Electrophillic substitution occurs at 4<sup>th</sup> position if it is vacant.



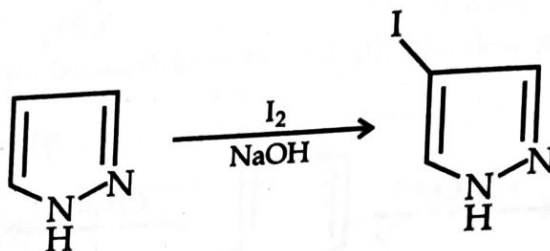
3. **Action of Bases :** Strong bases on reaction with pyrazole gives Pyrazolyl anion.



4. **Oxidation and Reduction :** Pyrazole is resistant to oxidising and reducing agents but gets hydrogenated catalytically, first to pyrazoline and then into pyrazolidine.



5. **Iodination :** Pyrazole undergoes base catalyzed iodination at position-4.



## MEDICINAL USES OF PYRAZOLE

Pyrazole and its derivatives have pharmacological effects on humans. Pyrazole moiety have various medicinal values. Some of them are :

1. **As antimicrobials :** Some pyrazole derivatives shows good activity against E.Coli, S.Aureus and S.Lactus. These also show good antibacterial activity for e.g. Cefoselix.
2. **Analgesic agents :** Series of Pyrazole derivatives shows anti-inflammatory and analgesic activity. These drugs acts by inhibiting COX-2-enzyme. For example : Phenylbutazone, Feprazone.
3. **Anticancer agents :** Pyrazole and pyrazoline fused systems shows anticancer activity. These have potent cytotoxicity against some tumor cells e.g. Dacarbazine, Azathioprine.
4. **Antihelmintic activity :** For example Albendazole is active against earthworms and Perituma poshuma. For e.g. Niridazole Thiabendazole
5. **Antioxidant :** Some of the pyrazole derivatives have antioxidant activity also.
6. **ACE-inhibitors** Pyrazole derivatives inhibits Angiotensin Converting Enzyme (ACE) and hence helps in lowering blood pressure.
7. **Antiviral agents** Chloro derivatives of pyrazole has potent antiviral activity. These are active against Hepatitis A virus and Herpes Simplex virus type-I.