

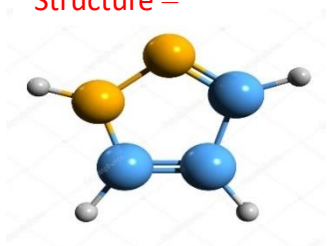
# HETEROCYCLIC COMPOUNDS

## 1. PYRAZOLE

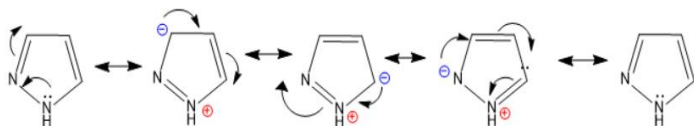
Molecular formula–  $C_3H_4N_2$

Molecular weight – 68.07 gm/mol

Structure –

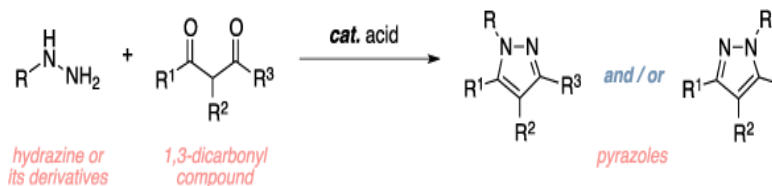


Resonance structure–



Synthesis–

Knorr Pyrazole Synthesis –



Chemical properties -

Reactant	Reagent	Product
ESR		
Sulphonation Pyrazole	Sulphuric Acid	Pyrazole Sulphonic Acid
Halogenation Pyrazole	Chlorine	Chloro Pyrazole
Nitration Pyrazole	Nitric acid, Sulphuric Acid	Nitro Pyrazole
Reduction Pyrazole	H <sub>2</sub> (Hydrogenation)	Pyrazolidine
Oxidation Pyrazole	O <sub>3</sub> (Ozonolysis)	Glyoxal

Medicinal Uses –



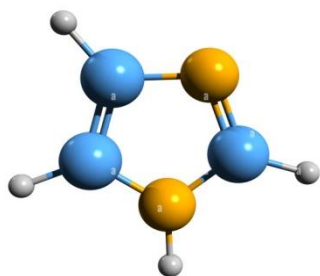
- Used as Anti cancer (Pyrazofurin)
- Used as Anti Inflammatory(Lanzolac)
- Used as Analgesics(Difenamizole)
- Used as Vasodilator(Sildenafil)
- Used as anti depressant (Fezolamide)

## 2. IMIDAZOLE

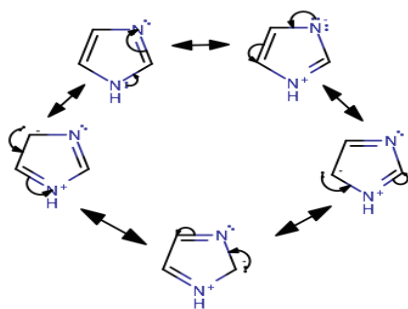
Molecular Formula –  $C_3H_4N_2$

Molecular Weight – 68.077 gm/mol

Structure –

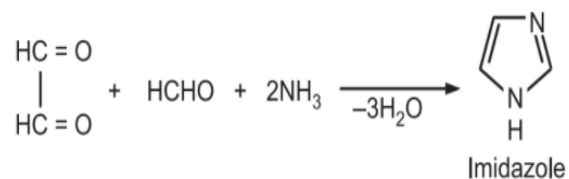


Resonance Structure –



Synthesis –

By action of  $NH_3$  on glyoxal –



Chemical properties –

Reactant	Reagent	Product
ESR		
Nitration Imidazole	Nitric Acid	4-Nitroimidazole
Sulphonation Imidazole	Sulphuric Acid	4-Imidazole Sulphonic Acid
Halogenation Imidazole	Chlorine	4- Chloroimidazole
Alkylation Imidazole	Potassium Hydroxide, Iodomethane	1- methylimidazole
Oxidation Imidazole	Hydrogen peroxide	Oxamide

Medicinal Uses-



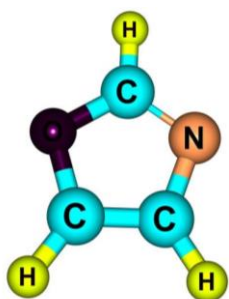
- Used as Antifungal Agent (Ketoconazole)
- Used as Antihistaminic Drug (Cimetidine)
- Used as Antiprotozoal Agent (Metronidazole)
- Used as Antibacterial Agent (Metronidazole)

### 3. OXAZOLE

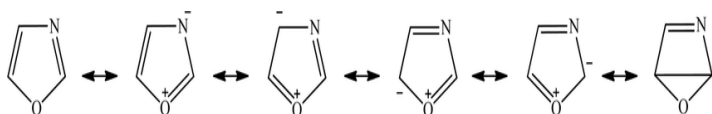
Molecular formula –  $C_3H_3NO$

Molecular Weight- 69.06 gm/mol

Structure –

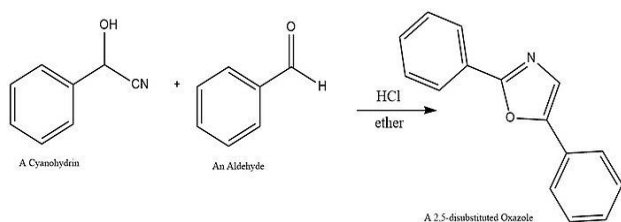


Resonance structure –



Synthesis –

Fischer oxazole Synthesis –



Chemical properties –

Reactant	Reagent	Product
ESR		
Nitration Oxazole	Nitric acid	5-nitrazole
Sulphonation Oxazole	Sulphuric acid	5-oxazole sulphonic acid
Halogenation Oxazole	Chlorine	5-Chlorazole
Oxidation Oxazole	Manganese dioxide	Imide
Deprotonation Oxazole	Lithium	Isonitride

Medicinal Uses -

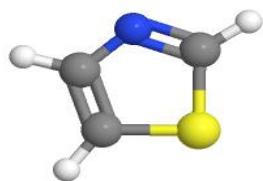
- Used as Antiepileptic Agent (Trimethadione)
- Used as Anticonvulsant Agent (Paramethadione)
- Used as Anti-inflammatory Agent (Ditazole)
- Used as Antidipressant Agent (Toloxatone)
- Used as Antibacterial Agent (Furazolidone)

## 4. THIOZOLE

Molecular Formula -  $C_3H_3NS$

Molecular Weight - 85.13gm/mol

Structure -

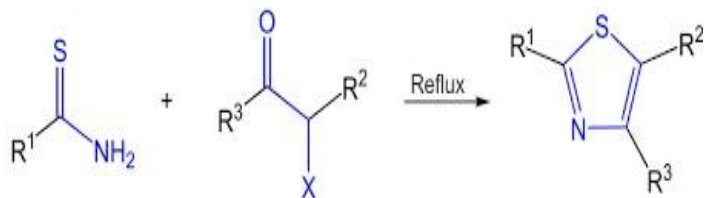


Resonance Structure -



Synthesis –

Hantzsch Thiazole Synthesis



## Chemical Properties –

Reactant	Reagent	Product
ESR		
Nitration Thiazole	Nitric acid	5- nitrothiazole
Halogenation Thiazole	Chlorine	5 – chloro thiazole
Sulphonation Thiazole	Sulphuric acid	5 – thiazole sulphonic acid
Oxidation Thiazole	Hydrogen peroxide	Thiazole-N-Oxide

## Medicinal uses -



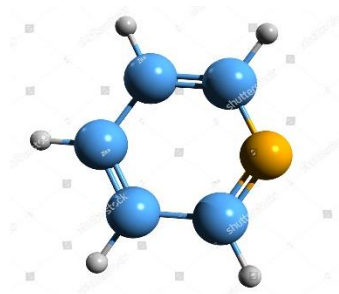
- Used as antidiabetic Agent (Troglitazone)
- Used as Antihistaminic Agent (Famotidine)
- Used as Antibacterial Agent (Sulfathiazole)
- Used as Antimicrobial Agent (Phthalylsulfathiazole)
- Used as Anthelmintic Agent (Thiabendazole)

## 5. PYRIDINE

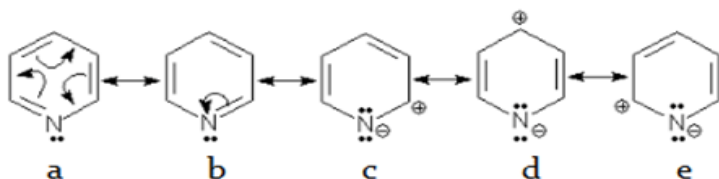
Molecular formula – C<sub>5</sub>H<sub>5</sub>N

Molecular Weight – 79.1 gm/mol

Structure –

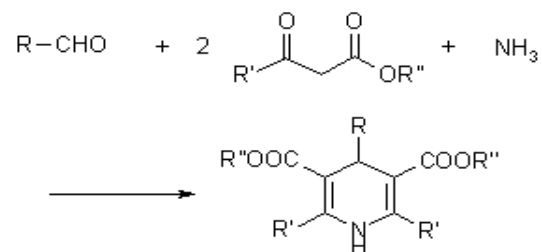


Resonance structure –



Synthesis –

Hatzsch pyridine synthesis –



Chemical properties –

Reactant	Reagent	Product
ESR		
Nitration Pyridine	Nitric acid	Nitropyridine
Halogenation Pyridine	Chlorine	Chloropyridine
Sulphonation Pyridine	Sulphuric acid	Pyridine sulphonic acid
Reduction Pyridine	H <sub>2</sub> (hydrogenation)	Piperidine

Medicinal uses –



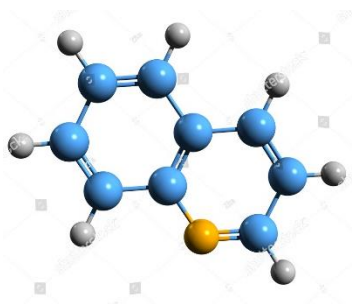
- Used as antacid(pantaprazole)
- Used as anti bacterial agent(sulfapyridine)
- Used as anti cancer agent(5-flurouracil)
- Used as sedative and hypnotics (phenobarbital)

## 6. QUINOLINE

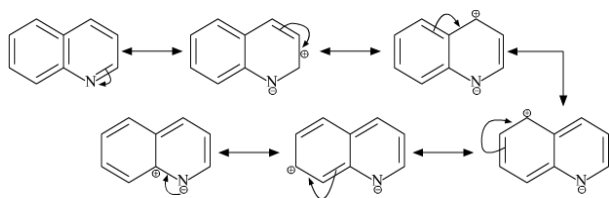
Molecular formula –  $C_9H_7N$

Molecular weight – 129.16 gm/mol

Structure-

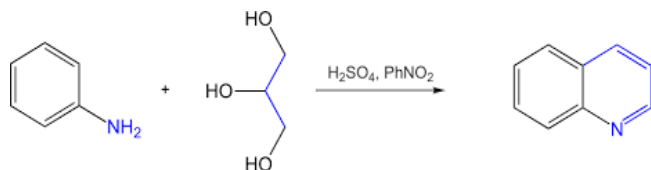


Resonance structure –



Synthesis –

Skraup quinoline synthesis –



Chemical properties –

Reactant	Reagent	Product
ESR		
Nitration Quinoline	Nitric acid	5-nitroquinoline
Sulphonation Quinoline	Sulphuric acid	5-quinoline sulphonic acid
Halogenation Quinoline	Bromine	5-bromoquinoline
Oxidation Quinoline	$CH_3COO-OH$ /peracids	Quinoline-n-oxide
Reduction Quinoline	$H_2/Pt$ (hydrogenation)	Tetrahydro quinoline

Medicinal uses –



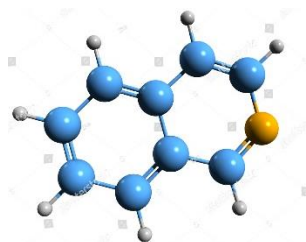
- Used as anti malarial agent(quinine)
- Used as anti bacterial agent(Norfloxacin)
- Used as anti fungal agent
- Used as anti inflammatory agent
- Used as anti convulsant agent

## 7. ISOQUINOLINE

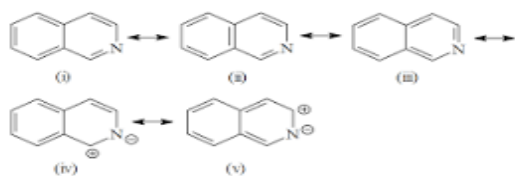
Molecular formula –  $C_9H_7N$

Molecular weight – 129.16 gm/mol

Structure –

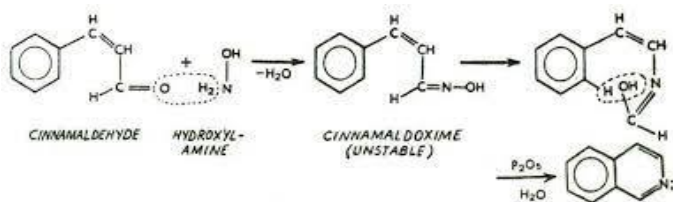


Resonance structure –



Synthesis –

From cinnamaldehyde –



Chemical properties –

Reactant	Reagent	Product
ESR		
Nitration Isoquinoline	Nitric acid	5-nitroisoquinoline
Halogenation Isoquinoline	Bromine	5-bromoisoquinoline
Reduction Isoquinoline	$H_2/Pt/Ni$ (Hydrogenation)	Decahydro isoquinoline
Oxidation Isoquinoline	$RCOO-OH$ Peracids	N-oxide

Medicinal uses –



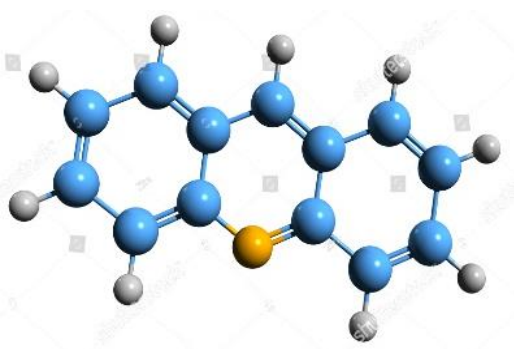
- Used as anti fungal agent
- Used as antiviral agent
- Used as antioxidant agent
- Used as anti cancer agent
- Used as anti spasmodic
- used as an enzyme Inhibitor

## 8. ACRIDINE

Molecular formula –  $C_{13}H_9N$

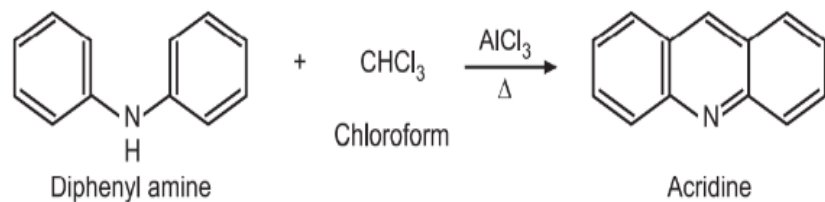
Molecular weight – 179.13 gm/mol

Structure –



Synthesis –

From diphenyl amine



Chemical properties –

Reactant	Reagent	Product
ESR		
Nitration Acridine	Nitric acid	2-nitro acridine
Halogenation Acridine	Chlorine/Bromine	2-chloro acridine/ 2-bromo acridine
NSR With soda amide Acridine	$NaNH_2$	9-aminoacridine
Reduction Acridine	Pt/HCl	Octahydroacridine
Reductive alkylation Acridine	n-pentonoic acid UV light	9-butylacridine

Medicinal uses –

Medicinal uses

- Used as anaesthetic agent (bucricaine)
- Used as anti malarial agent (quinacrine)
- Used as disinfectant (9-ammoacridine)
- Used as anti bacterial agent(proflavin)
- Used as anti cancer agent (nitracine)
- Used as antiseptic agent (acriflavine)

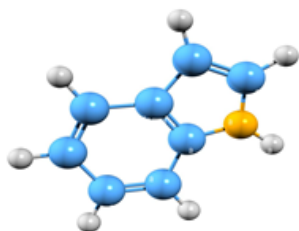


## 9. INDOLE

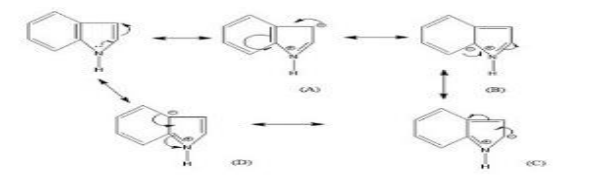
Molecular formula –  $C_8H_7N$

Molecular weight – 117.15 gm/mol

Structure –

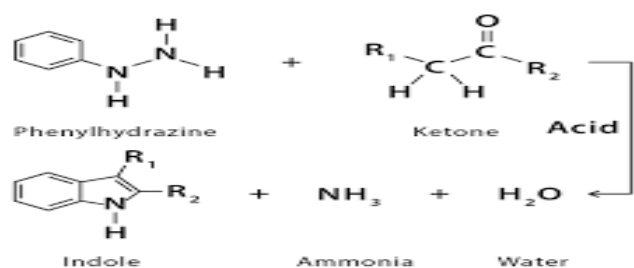


Resonance structure –



Synthesis –

Fischer indole synthesis –



Chemical properties –

Reactant	Reagent	Product
ESR		
Halogenation Indole	Chlorine	Chloroindole
Nitration Indole	Nitric acid	Nitroindole
Reduction Indole	Dil. acid	3H-indolium cation
Oxidation Indole	Mn-MC6*a H <sub>2</sub> O <sub>2</sub>	3-hydroxy-indolenine

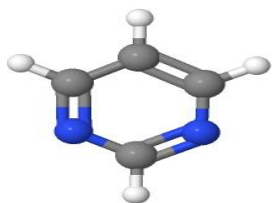
Medicinal uses –

- Used as neurotransmitter, neurotoxin (serotonin)
- Used as anti cancer agent (vinblastine)
- Used as NSAIDs (indomethacin)
- Used as anti hypertensive agent (reserpine)
- Used as anti viral agent (delavirdine)

## 10. PYRIMIDINE

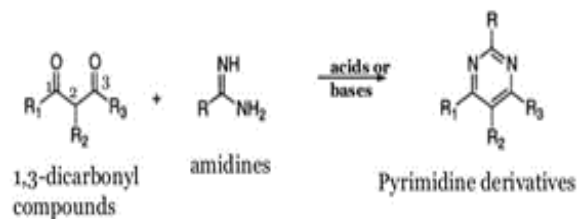
Molecular formula –  $C_4H_4N_2$

Structure –

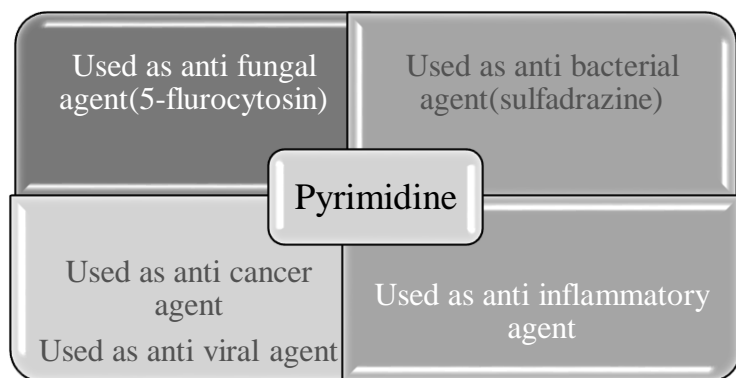


Synthesis –

From 1, 3 dicarbonyl compounds



Medicinal uses –



## 11. PURINE

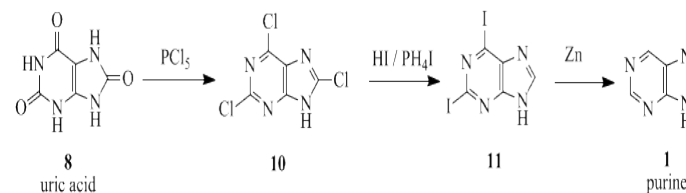
Molecular formula –  $C_5H_4N_4$

Structure –

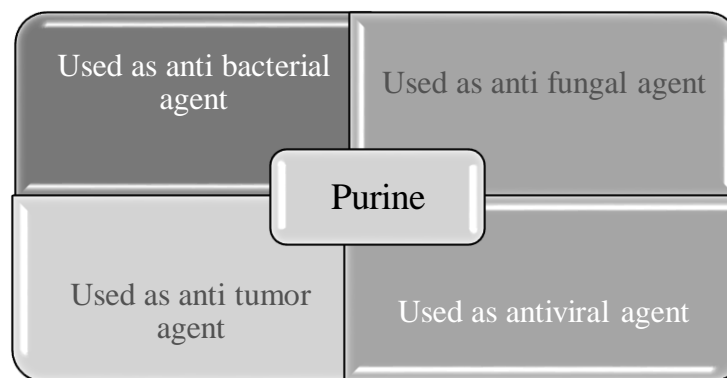


Synthesis –

From uric acid



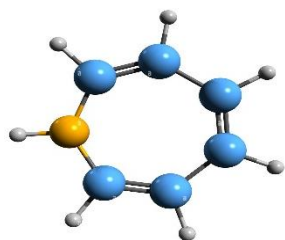
Medicinal uses –



## 12. AZEPINES

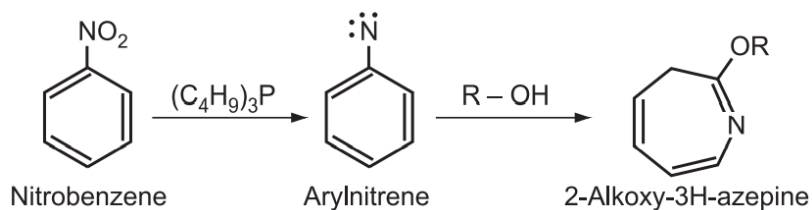
Molecular formula –  $C_6H_7N$

Structure –

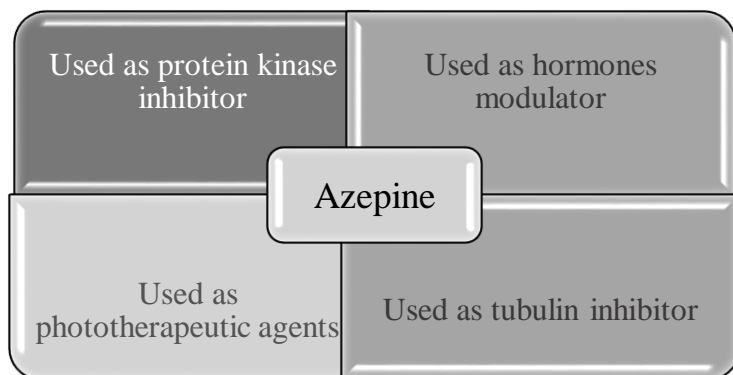


Synthesis –

From nitrobenzene



Medicinal uses –



## REFERENCE:

1. Textbook of organic chemistry Arjun Bahl & B.S.Bahl
2. Textbook of Pharmaceutical Organic Chemistry By PV Publication
3. <https://www.slideshare.net>
4. By google :-  
<https://www.goggle.com/search?q=cycyoalkanes+pharmaceutical+organic+chemistry+pdf&oq=cycloalkanes>

## PREPARED BY:

1. LONDHE SHRAVANI MAHENDRA(39)
2. GAIKWAD SHRAVANI RAJENDRA (24)

**GUIDE BY:** Dr. CHIWADSHETTI N.S.

(Assistant Professor)

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**TOPIC NAME:** HETEROCYCLIC COMPOUNDS

**SUBJENCT CODE:** BP401T

**CLASS:** S.Y.B.PHAM

**ACADEMIC YEAR:** 2022-23