



B. PHARM SEMESTER VI (3rd Year 2nd Sem.)

S.SEETARAM SWAMY, M.Pharm.,

Assoc. Professor, Dept. of Pharmaceutical Chemistry,

E-mail: seetaram.443@gmail.com

Anthelmintic Drugs

- ✚ Anthelmintics are drugs that either **kill (vermicide) or expel (vermifuge)** infesting helminths.
- ✚ Almost 350 species of helminths have been found in humans, and most colonise the **gastrointestinal tract (G.I.T)**.
- ✚ Humans are **primary host** for helminth infections, in the sense that they harbour the sexually mature form that reproduces. Eggs or larvae then pass out of the body and infect the secondary (intermediate) host.
- ✚ Helminthiasis is more common in developing countries with poorer personal and environmental hygiene.
- ✚ They harm the host by **depriving him of food, causing blood loss, injury to organs, intestinal or lymphatic obstruction and by secreting toxins. Helminthiasis is rarely fatal, but is a major cause of ill health.**

Classification of Helminths

The helminths comprise two major Groups:

1. Nematelminths (Nematodes - roundworms)

2. Platyhelminths (Flatworms)

The latter group is subdivided into the two groups

a) Trematode (Flukes)

b) Cestodes (Tapeworms)



Class	Example
Tapeworms (cestodes)	<i>Taenia solium</i> , <i>Taenia saginata</i> , <i>Hymenolepis nana</i> , <i>Echinococcus granulosus</i>
Flukes (Trematodes)	<i>Schistosoma</i> , <i>Fasciola hepatica</i>
Roundworms (Nematodes)	<i>Ascaris</i> , <i>Onchocerca</i> , <i>Trichuris</i> , <i>Neactor americanus</i> , <i>Anchylostoma duodenale</i>

Classification of Anthelmintic Drugs

Classification of Anthelmintics based on chemical structure :

A) Piperazines:

Examples: **Diethylcarbamazine citrate (DEC), Piperazine citrate**

B) Benzimidazoles:

Examples: **Albendazole, Mebendazole, Thiabendazole.**

C) Heterocyclics:

(Quinolines and Isoquinolines)

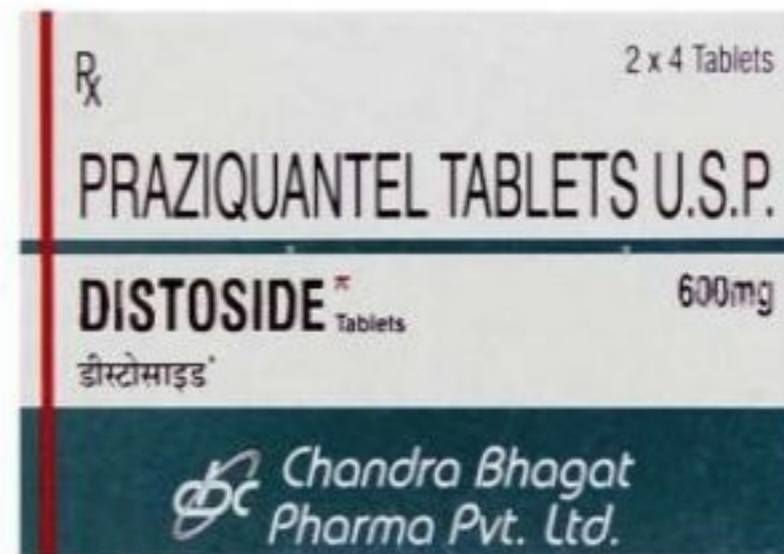
Examples: **Oxamniquine , Praziquantel**

D) Natural Products:

Example: **Ivermectin**

E) Amide (Salicylanilide):

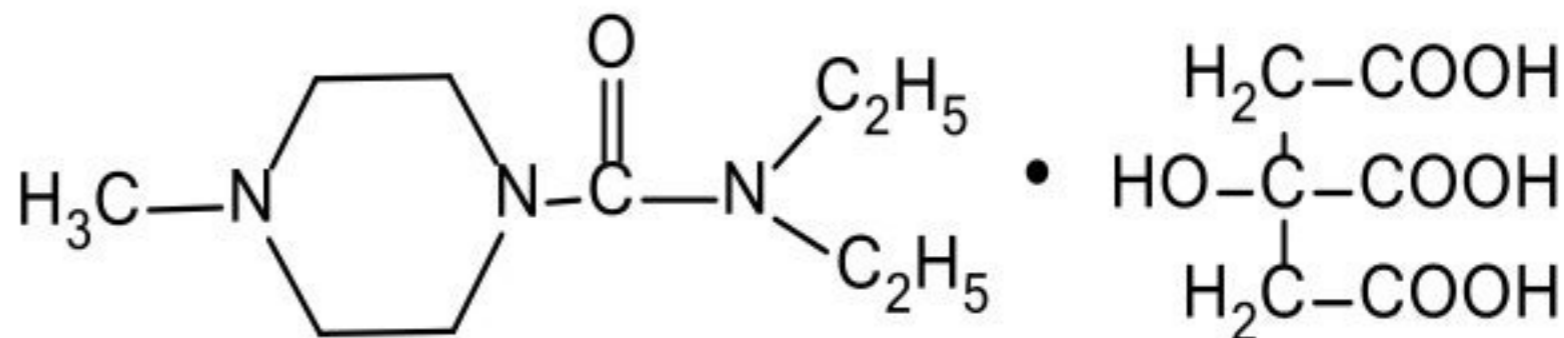
Example: **Niclosamide.**



Piperazines



Piperazine



Diethylcarbamazine citrate (DEC)

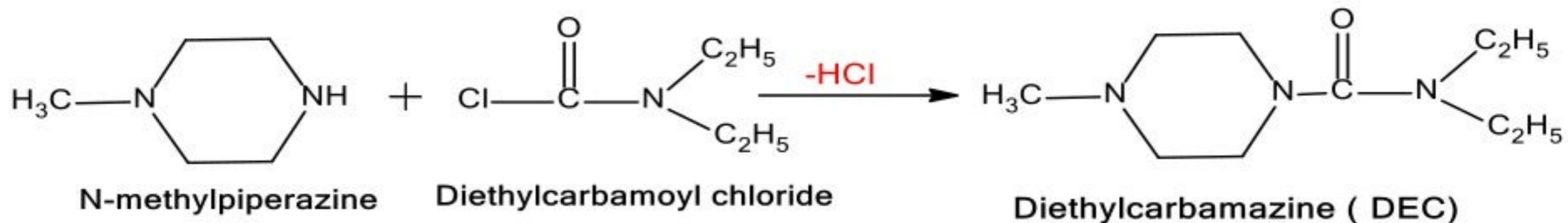
- **Piperazine** is an organic compound that consists of a six-membered ring containing two opposing nitrogen atoms.
- **Piperazine** compounds mediate their **anthelmintic** action by generally paralyzing parasites, allowing the host body to easily remove or expel the invading organism. **Example: Diethylcarbamazine citrate (DEC)**
- **Commonly used antinematodal drug against only Ascaris and Oxyuris worms in man and animals. Not recommended for other helminthes infestation. Administered as citrate or phosphate salts.**
- Drug of choice for treatment of **Filariasis**

Mechanism of action:

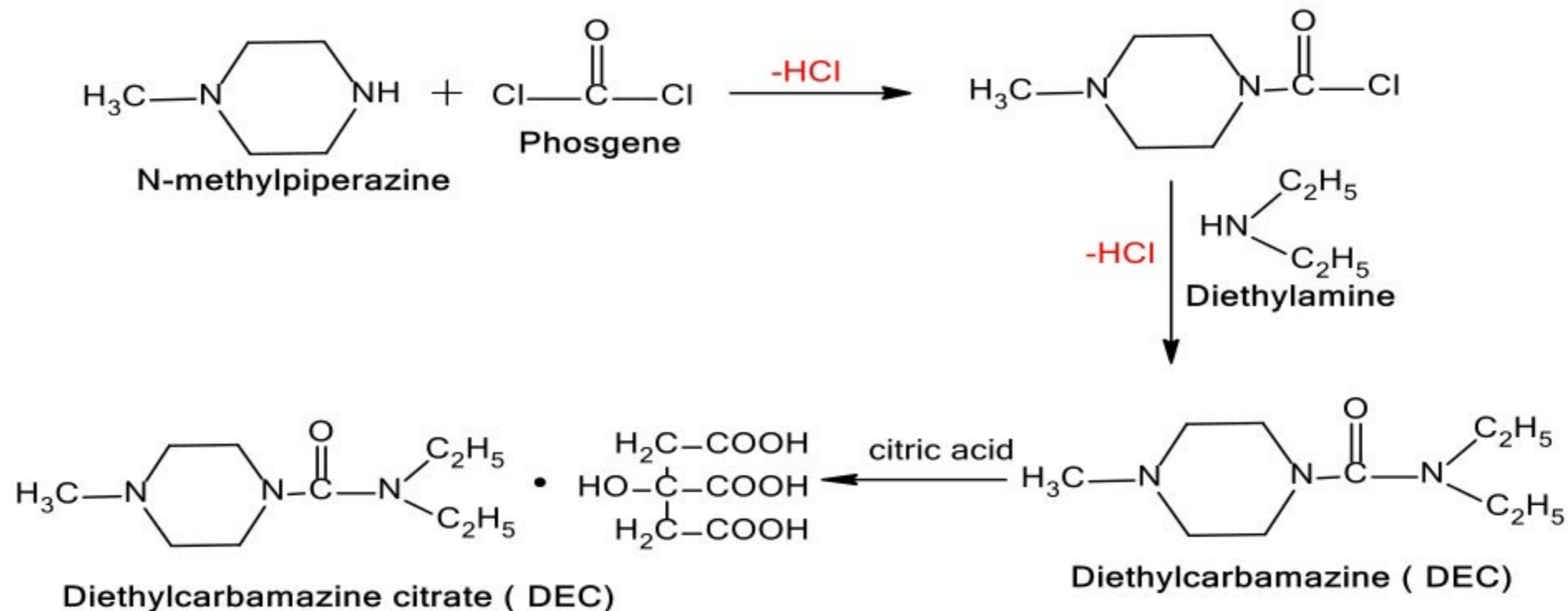
DEC acts as a **γ-aminobutyric acid (GABA) agonist effect** so it prevents neural transmission in the worm causing muscular paralysis and expelling of the worms alive.

Synthesis of Diethylcarbamazine citrate

Method-I :



Method-II :

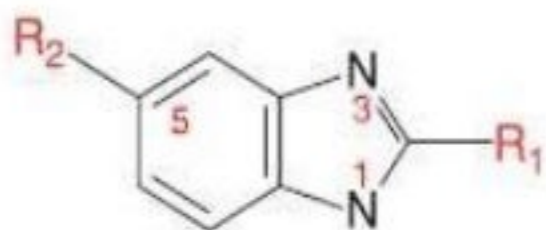


Benzimidazoles (BZ)

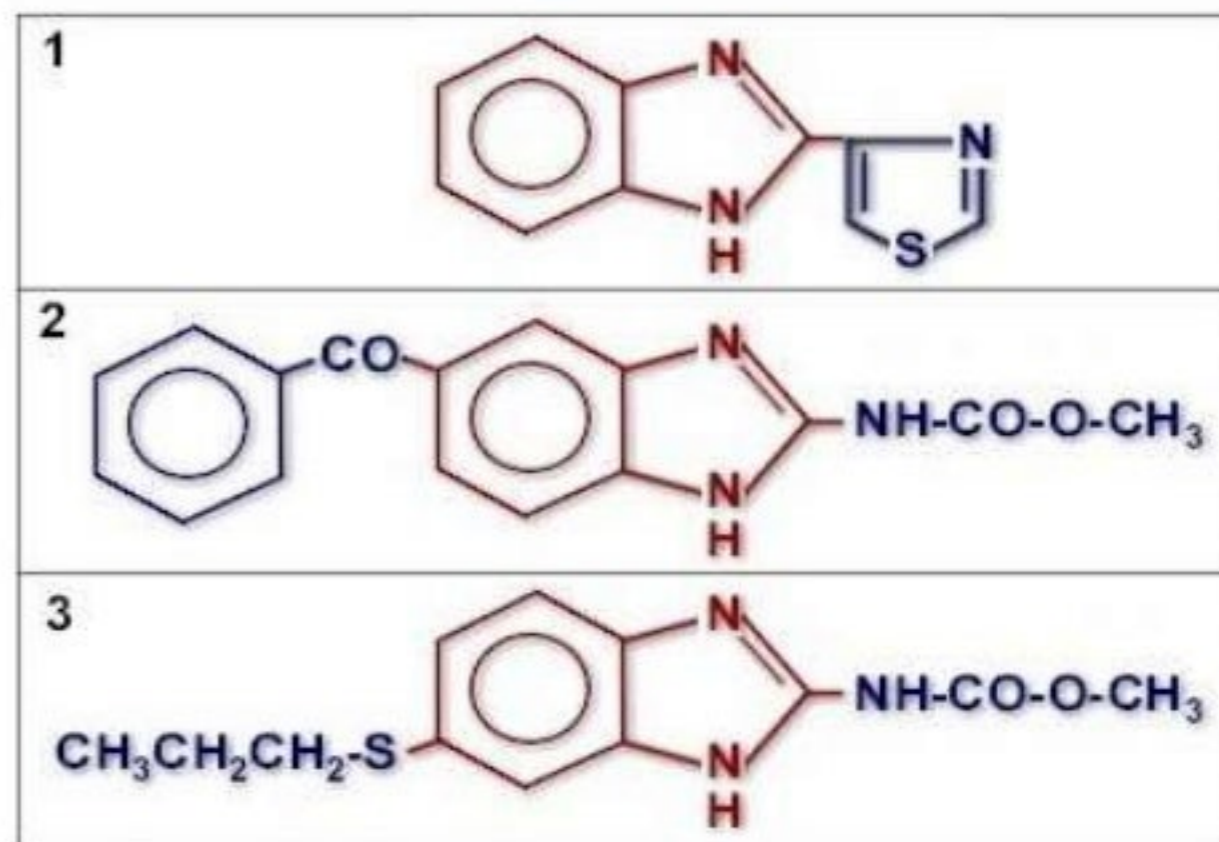
✚ These are versatile Anthelmintic agents particularly effective against gastrointestinal nematodes. These are **highly effective against** ascaris, enterobius, trichuris and hookworm infections.

✚ The agents most widely employed for reducing morbidity are the benzimidazole (BZ) Anthelmintics, either **Albendazole (ALBENZA and ZENTEL)** or **Mebendazole (VERMOX)**.

ATM - Albendazole, Thiabendazole, Mebendazole



R ₂	R ₁	DERIVATIVE
H—		Thiabendazole
	—NHCO ₂ CH ₃	Mebendazole
CH ₃ CH ₂ CH ₂ S—	—NHCO ₂ CH ₃	Albendazole



✚ The first of this class, **Thiabendazole**, was discovered in 1961 and subsequently a number of further Benzimidazoles were introduced as broad spectrum Anthelmintics. Thiabendazole effective against threadworm, cutaneous larva migrans, and early stage of trichinosis. Thiabendazole has anti-inflammatory, analgesic and antipyretic actions.

✚ **Mebendazole** is a synthetic benzimidazole that has a wide spectrum of Anthelmintic activity and a low incidence of adverse effects. It is a drug of choice in the treatment of infections by whipworm eggs, pinworm, hookworms, and roundworm.

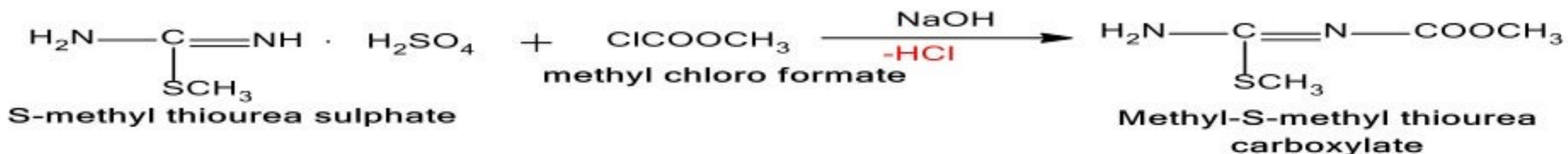
✚ **Albendazole**, a broad-spectrum oral Anthelmintic agent. It is the drug of choice for treatment of hydatid disease and cysticercosis. It is also used in the treatment of pinworm and hookworm, round worm, whip worm, and thread worm infections.

Mechanism of action:

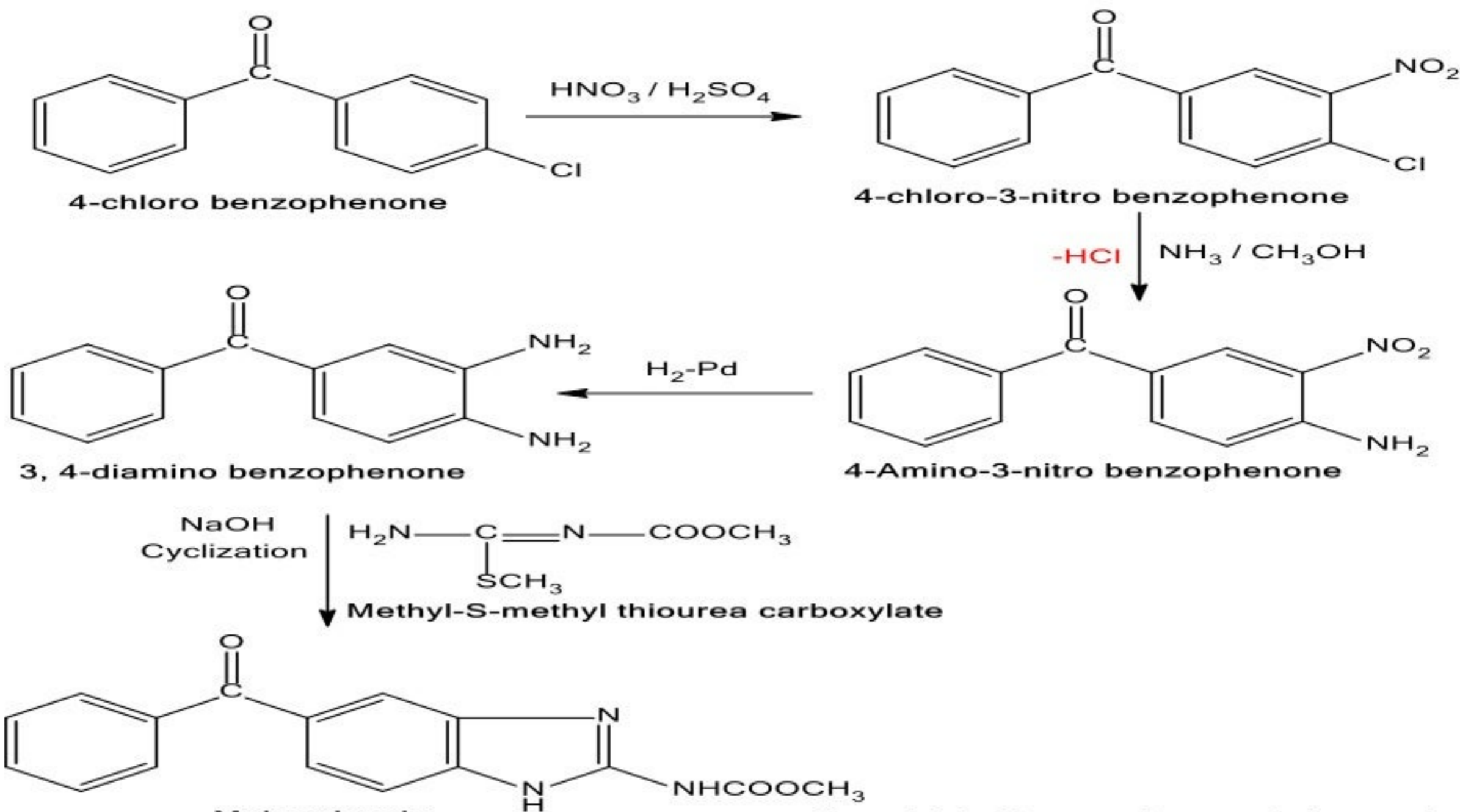
Benzimidazoles probably acts by **inhibiting microtubule synthesis**. Its bind with parasite ' **β -tubulin**' and **inhibit its polymerization**. In addition Benzimidazole probably **blocks glucose uptake** in parasite and depletes its glycogen stores.

Synthesis of Mebendazole

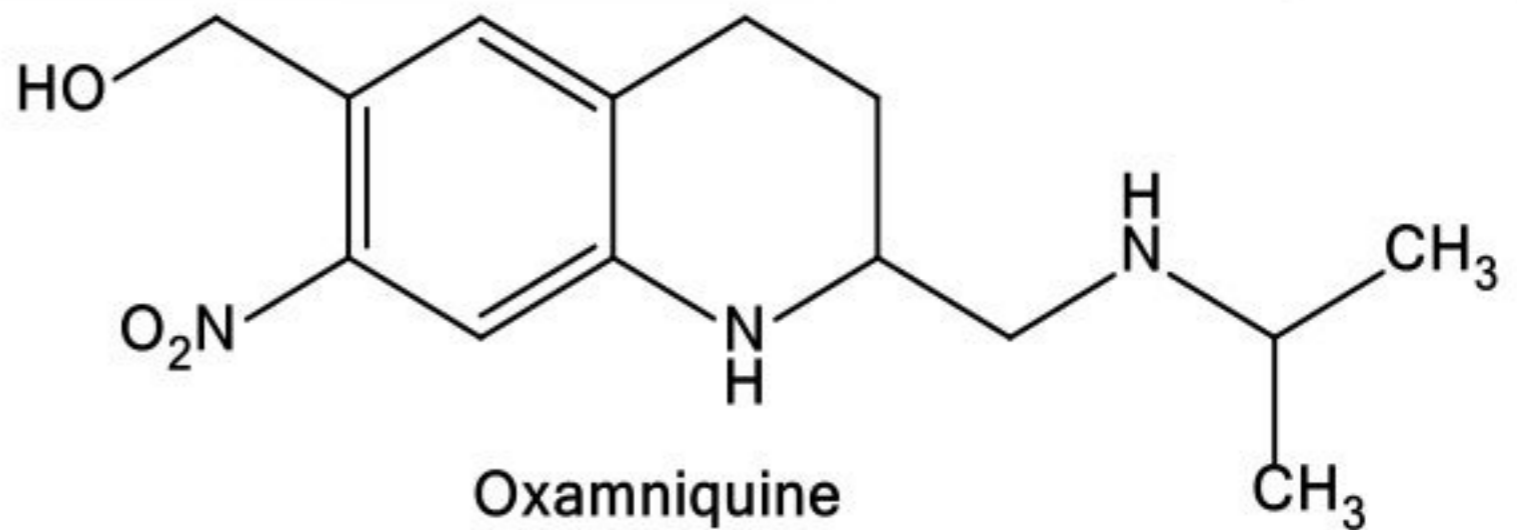
Step - 1: Synthesis of Methyl-S-methyl thiourea carboxylate



Step-2: Synthesis of Mebendazole



Oxamniquine

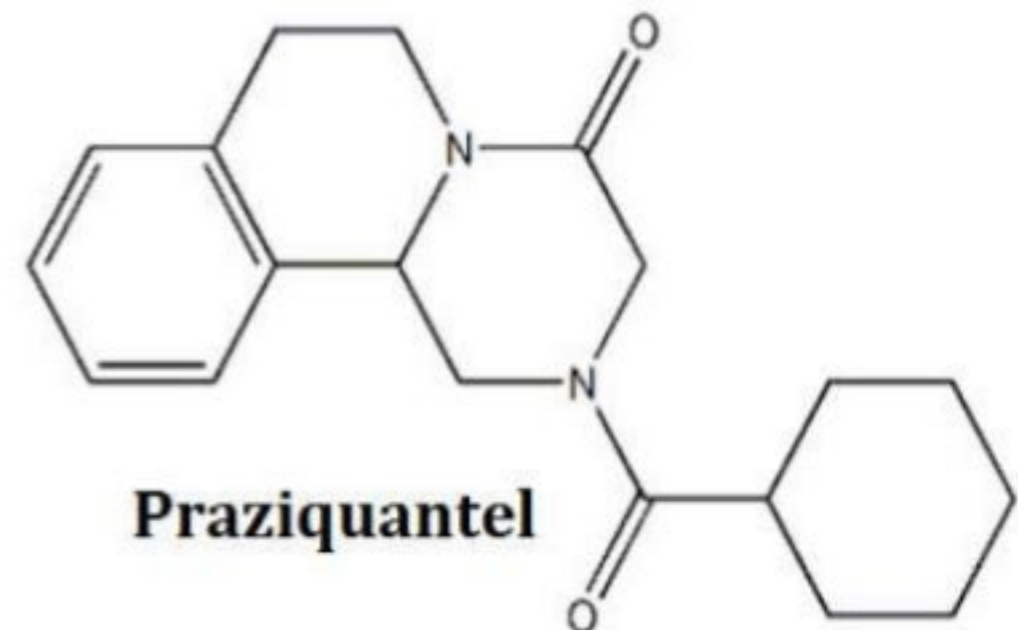


- Oxamniquine is a **tetrahydroquinoline** that is effective in *S. mansoni* infections.
- Oxamniquine is used only to treat **schistosomiasis** caused by the worm **Schistosoma mansoni**.
- Oxamniquine may associate with an **irreversible inhibition of the nucleic acid metabolism** of the parasites.

Praziquantel

- It is a **Novel Anthelmintic**.
- Active against **Schistosomes, Trematodes & Cestodes**.

Mech. of action: Acts by causing leakage of IC Ca from the membrane, causing Contracture & Paralysis in worm loses its grip in GIT & expelled out.



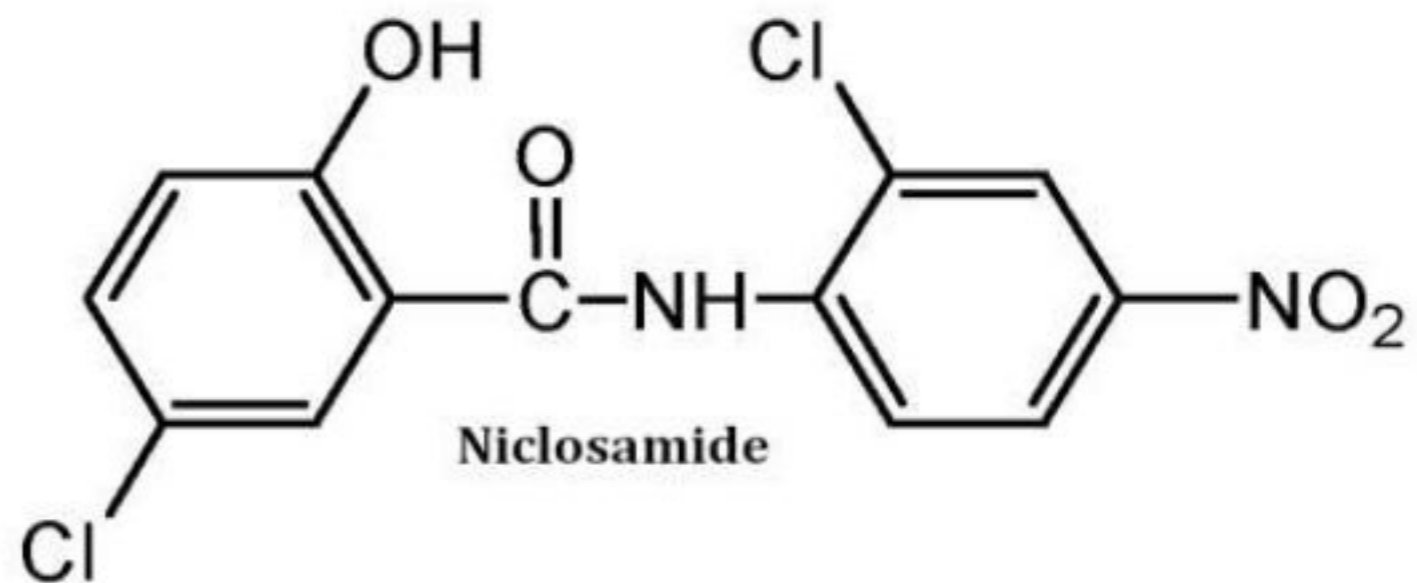
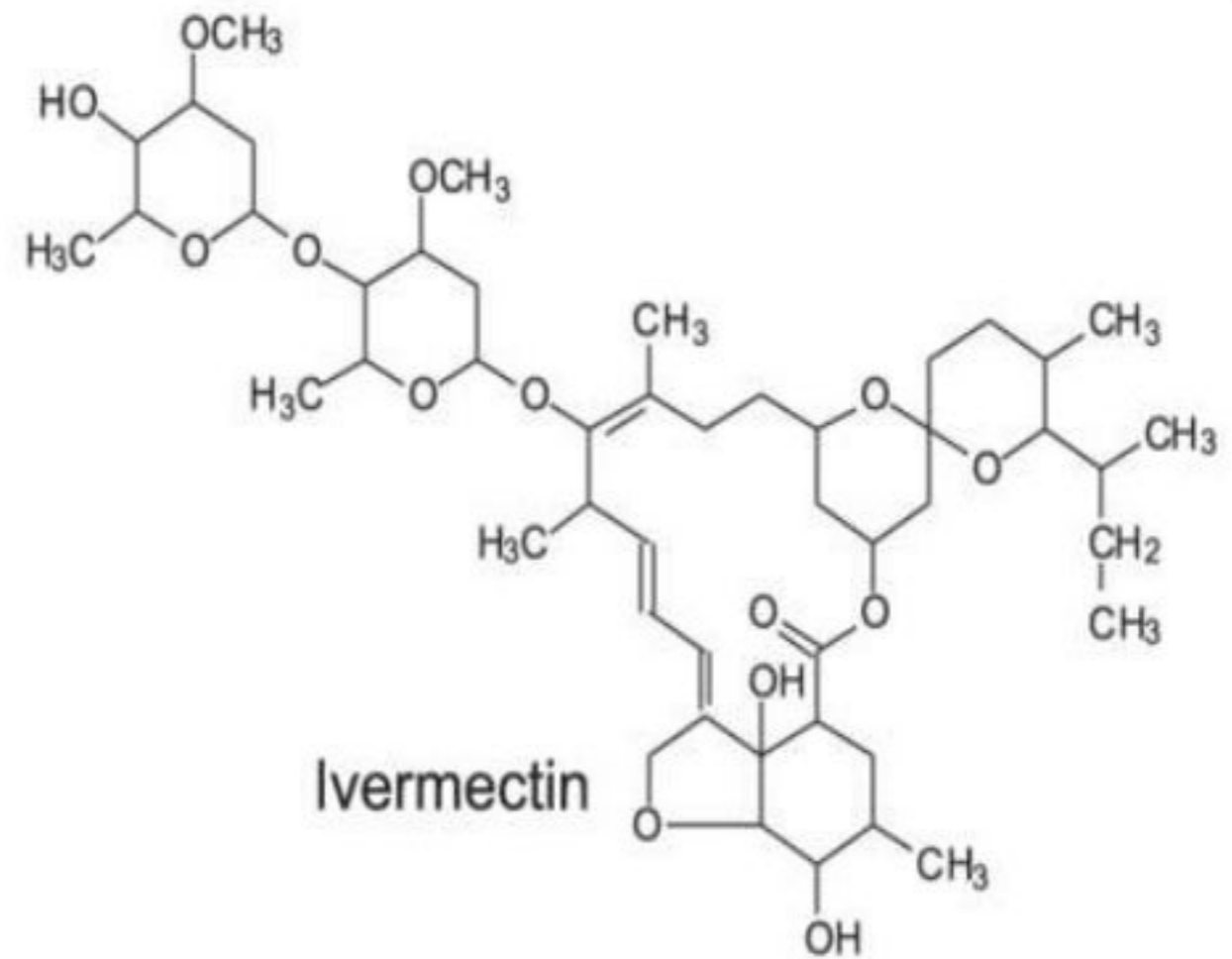
Ivermectin :

- ✚ It is a **Macrocyclic lactone antibiotics**.
- ✚ Acts as **GABA agonist & Cause paralysis** of parasite.
- ✚ Ivermectin is the drug of choice for the treatment of **onchocerciasis (river blindness)** caused by **Onchocerca volvulus**.

Niclosamide

- ✚ It is a **Salicylanilide derivative**.
- ✚ It is used to treat **tapeworm** infestations. This includes diphyllbothriasis, hymenolepiasis, and taeniasis.

Mechanism of Action: Inhibiting oxidative phosphorylation in mitochondria and interfering with anaerobic generation of ATP by the tapeworm



Copyrights @ www.pharmawisdom.co.in

Anthelmintic Drugs: Adverse Effects

- Effects will vary with each drug
- Common adverse effects
 - Nausea
 - Vomiting
 - Diarrhea
 - Dizziness
 - Headache

Choice of drugs for helminthiasis

Worm	First choice drugs	Alternative drugs
1. ROUNDWORM <i>Ascaris lumbricoides</i>	Mebendazole, Albendazole, Pyrantel	Piperazine, Levamisole Ivermectin
2. HOOKWORM <i>Ancylostoma duodenale</i> <i>Necator americanus</i>	Pyrantel, Mebendazole, Albendazole Mebendazole, Albendazole	Levamisole Pyrantel
3. THREADWORM <i>Enterobius (Oxyuris) vermicularis</i>	Pyrantel, Mebendazole, Albendazole	Piperazine
4. <i>Strongyloides stercoralis</i>	Ivermectin	Albendazole
5. WHIPWORM <i>Trichuris trichiura</i>	Mebendazole	Albendazole
6. <i>Trichinella spiralis</i>	Albendazole	Mebendazole
7. FILARIA <i>Wuchereria bancrofti</i> , <i>Brugia malayi</i>	Diethyl carbamazine, Ivermectin	Albendazole
8. GUINEAWORM <i>Dracunculus medinensis</i>	Metronidazole	
9. TAPEWORMS <i>Taenia saginata</i> <i>Taenia solium</i> <i>Hymenolepis nana</i> Neurocysticercosis	Praziquantel, Niclosamide Praziquantel Praziquantel Albendazole	Albendazole Niclosamide, Albendazole Niclosamide Praziquantel
10. HYDATID DISEASE <i>Echinococcus granulosus</i> , <i>E. multilocularis</i>	Albendazole Albendazole	Mebendazole



PHARMA WISDOM

- We Give. You Grow.

Pharma Job Vacancies / Walk-In Interview Details

[**Fresher's Jobs, Pharmacist Jobs, Marketing Jobs, Faculty Jobs**]

Government Job Details

Life Science [Pharma Industry] Job Details

Study Materials for Students

Pharmacy Conferences & Event Details

All Life Science Related Fellowship Post Details

Ph.D Notification Details

UGC Alerts

Public Health Related News

Academic Related Posts [Question Papers & Pharma News]

Green Remedies [Health Related Tips]

More Updates Visit @

www.pharmawisdom.co.in

***** FIND YOUR DREAM *****

Visit More Updates @ www.pharmawisdom.co.in

