Anthelmintics

Content

Anthelmintics

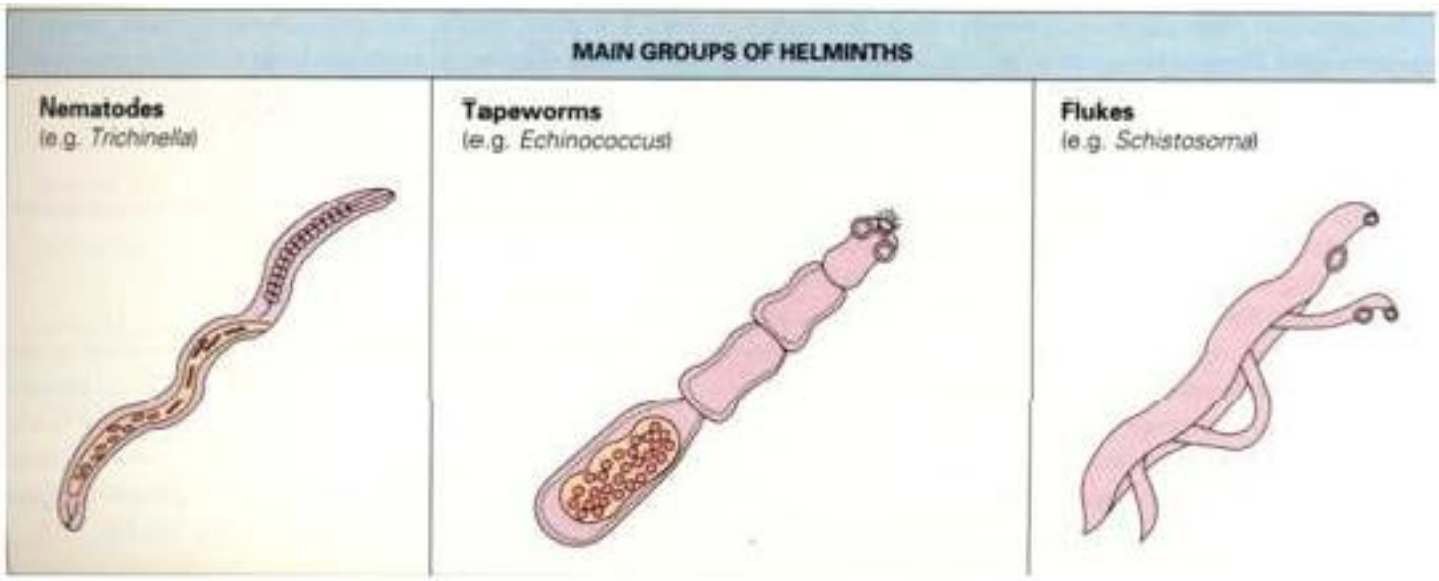
- **Classification**
- **Pharmacology**

At the end of this lecture, the student will be able to:

- Classify anthelmintic drugs
- Describe the pharmacology of Anthelmintics

Anthelmintics

- Anthelmintics are drugs that either kill **(vermicide)** or expel **(vermifuge)** infesting helminths



Chemotherapy for helminthic infection

- **Broad spectrum Anthelmintics – Benzimidazole group**
  - Thiabendazole
  - Mebendazole
  - Albendazole
  - Triclabendazole
- **Against Nematodes**
  - Pyrantel pamoate
  - Levamisole
  - Piperazine

  - Diethyl carbamazine
  - Ivermectin
  - Thiabendazole
  - Doxycycline
- **Against Trematodes**
  - Metrifonate
  - Oxamniquine
  - Bithionol
  - Triclabendazole
- **Against Cestodes**
  - Niclosamide
- **Against Trematodes + Cestodes**
  - Praziquantel

Benzimidazoles

- Broad spectrum anthelmintics
- Binds to β-tubulin filaments of helminths
- Prevents polymerisation leading to breakdown of cytoplasmic microtubules
- Selective and irreversible inhibition of glucose uptake
- Depletion of parasitic glycogen storage
- Reduced formation of ATP and disrupted metabolic pathway
- Parasitic death

Albendazole

Broad spectrum oral anthelmintic

Pharmacokinetics

- Variable oral absorption
- Fatty meal increases absorption by 5 folds
- Metabolised in liver to active sulfoxide metabolite
- Distribution - Bile, CSF and hydatid cysts
- Elimination half-life – 8-12 h

Clinical Uses of Albendazole

- Effective against intestinal nematodes, cestodes and liver fluke
- Drug of choice for round worm, whip worm, hook worm

- Dose – Adult and children above 2 yrs – 400 mg single dose at night
  - Children 1-2 yrs – 200 mg OD

For heavy worm infestation – dose repeated 3 days

- Alternative drug for the treatment of
  - *Strongyloides stercoralis* (thread worm) – 400 mg OD for 3 days
  - *Enterobius vermicularis* (pin worm) – 400 mg OD to be repeated after 2 weeks
- Synergistic combination with diethyl carbamazine or ivermectin for treating or controlling lymphatic filariasis

Adverse effects of Albendazole

- Well tolerated
- Side effects are rare for a short period
- If used for 3 months
  - Epigastric distress
  - Headache
  - Alopecia
  - Fatigue
  - Insomnia
- Teratogenic in animals, long term use in pregnancy is avoided

Mebendazole

- Prototype benzimidazole with wide spectrum anthelmintic activity

Pharmacokinetics

- Oral absorption is erratic (10%)
- Absorption is increased with fatty meal
- Metabolised to decarboxylated metabolite in liver
- Excretion – Urine, little amount in bile
- Half-life – 2-6 h

Clinical Uses of Mebendazole

- For treatment of round worm, hook-worm and Whip-worm infestation
- Dose – 100 mg BD for 3 days
- 95-100% cure rate in pin worm infestation
- Used for mixed infections (ascaris + hook worm or ascaris + hook worm + whip worm)
- Alternative drug for the treatment of intestinal capillariasis, visceral larva migrans and *Taenia saginata*

Adverse effects of Mebendazole

- Abdominal discomfort , nausea, vomiting & diarrhoea
- Higher doses – Rash, Urticaria, elevated aminotransferase
- CI in liver cirrhosis
- Teratogenic in few animal species
- CI in pregnancy

Summary

- Anthelmintics are drugs that either kill **(vermicide)** or expel **(vermifuge)** infesting helminths
- Classified based on their action against different helminths
- Benzimidazole derivatives are broad spectrum anthelmintics used against nematodes, cestodes and trematodes
- Other drugs include pyrantel pamoate, piperazine, diethyl carbamazine, piperazine, niclosamide, praziquantel