Al-Mustaqbal University

Pharmacology I

3rd stage

Anthelmintic Drugs (202- 204)

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Anthelmintic Drugs

Nematodes, trematodes, and cestodes are three major groups of helminths (worms) that infect humans. Anthelmintic drugs are designed to target metabolic processes present in the parasites but not in the host. The goal of these drugs is to eliminate the organisms from the host and control the spread of infections.

I- DRUGS FOR THE TREATMENT OF NEMATODES

Mebendazole

Mebendazole [me-BEN-da-zole], is a first-line agent for the treatment of infections caused by whipworms, pinworms, hookworms, and roundworms.

MOA: act by binding to parasite β-tubulin and inhibiting microtubule polymerization in the parasite.

Adverse effects: include abdominal pain and diarrhea. with rare but serious effects like convulsions in infants, Stevens–Johnson syndrome or toxic epidermal necrolysis

Mebendazole should not be used in pregnant women.

Ivermectin

Ivermectin is the drug of choice for the treatment of cutaneous larva migrans, strongyloidiasis, and onchocerciasis (river blindness).

[Note: Ivermectin is also useful in the treatment of head lice and scabies.]

MOA: Ivermectin targets the glutamate-gated chloride channel receptors. Chloride influx is enhanced, and hyperpolarization occurs, resulting in paralysis and death of the worm.

The drug is given orally and does not readily cross the blood-brain barrier.

Ivermectin should not be used in pregnancy.

Its use in onchocerciasis may induce a Mazzotti reaction, with symptoms alleviated by antihistamines or steroids.

Moxidectin

Is an alternative to ivermectin for treating onchocerciasis, sharing a similar mechanism of action without affecting adult worms. Its safety in pregnancy is not confirmed, and its use can lead to the Mazzotti reaction due to the death of microfilaria in onchocerciasis.

Pyrantel pamoate

Pyrantel pamoate is an effective in treatment for pinworms, and hookworms.
Due to its poor absorption after oral administration, it mainly acts locally.

MOA: It acts as a depolarizing, neuromuscular-blocking agent, causing release of acetylcholine and inhibition of cholinesterase, leading to paralysis of the worm and subsequent expulsion.

Adverse effects are mild and include nausea, vomiting, and diarrhea.

Diethylcarbamazine

Diethylcarbamazine is the drug of choice for filariasis. It kills the microfilariae and has activity against adult worms. Diethylcarbamazine is rapidly absorbed following oral administration with meals and is excreted mainly in the urine.

Adverse effects may include fever, nausea, vomiting, arthralgia, and headache.

Drugs for the Treatment of Trematodes

Trematodes, or flukes, are flatworms with a leaf-shaped structure, and they are identified based on the tissues they infect, such as the liver, lung, intestine, or blood.

Praziquantel

Is the preferred treatment for various parasitic infections, including schistosomiasis, most trematode infections (excluding fascioliasis), and certain cestode infections like taeniasis.

MOA: Praziquantel causes contracture and paralysis of parasites by increasing the permeability of the cell membrane to calcium.

It is rapidly absorbed after oral administration and should be taken with food.
The drug is metabolized, and excreted primarily in the urine.
Common adverse effects include dizziness, malaise, and headache as well as gastrointestinal upset.
phenytoin, rifampin, and carbamazepine may increase the metabolism of praziquantel.

Praziquantel is contraindicated for the treatment of ocular cysticercosis, because destruction of the organism in the eye may cause irreversible damage.

Triclabendazole

Is a benzimidazole derivative used to treat fascioliasis caused by liver flukes.
It is inhibiting tubulin function, protein synthesis, and enzyme synthesis.
Common side effects include abdominal pain, hyperhidrosis, and nausea.
Its use in pregnancy should be approached with caution due to limited available data.

DRUGS FOR THE TREATMENT OF CESTODES "true tapeworms,"

Niclosamide

Niclosamide is an alternative to praziquantel for the treatment cestode infections.
MOA: It inhibits the mitochondrial phosphorylation of adenosine diphosphate (ADP) in the parasite, making it lethal for the cestode's scolex and segments but not for the ova.

A laxative is administered prior to oral administration to purge the bowel of all dead segments and to enhance digestion and liberation of the ova.

Alcohol should be avoided within 1 day of niclosamide use.

Albendazole:

MOA: inhibits microtubule synthesis and glucose uptake in nematodes and is effective against most nematodes known.

Its the treatment of cestodal infestations, such as hydatid disease (caused by larval stage of Echinococcus granulosus). Also, Albendazole has antifungal activity (against microsporidiosis). Albendazole oral absorption enhanced by a high-fat meal.

When used in short-course therapy (1 to 3 days) for nematodal infestations, adverse effects are headache and nausea.

While Treatment of hydatid disease (3 months) has a risk of hepatotoxicity and, rarely, agranulocytosis or pancytopenia necessitating regular monitoring of blood counts and liver function tests every two weeks.

References

Lippincott Illustrated Reviews: Pharmacology. 7TH ed, Wolters Kluwer.

Thank you