Anti-protozoal Agent & Anthelmintic

Presented By:-

Anti-protozoal Agent?

- An anti-protozoal agent is a type of medication or substance specifically designed to kill or inhibit the growth of protozoa, which are single-celled microorganisms.
- ➤ Protozoal infections can affect various parts of the body (e.g., intestines, blood, or tissues) and are responsible for diseases such as malaria, amoebiasis, giardiasis, and trichomoniasis.

Anti-Protozoal Agents – MOA and Uses

| Drug | Mechanism of Action (MOA) | Clinical Uses |
|-------------------------|---|---|
| Metronidazole | Produces reactive nitro radicals that damage DNA and inhibit nucleic acid synthesis | Amoebiasis, Giardiasis, Trichomoniasis, Anaerobic infections |
| Tinidazole | Similar to metronidazole; generates free radicals that disrupt DNA | Amoebiasis, Giardiasis, Trichomoniasis |
| Ornidazole | Nitro group reduction leads to DNA strand breakage and cell death | Amoebiasis, Giardiasis, Trichomoniasis |
| Diloxanide Furoate | Unknown precise MOA; possibly affects protozoal protein synthesis | Luminal amoebiasis (asymptomatic cyst carriers) |
| lodoquinol | Chelates ferrous ions needed for protozoal metabolism; exact MOA unclear | Luminal amoebiasis (non-invasive infections) |
| Pentamidine Isethionate | Binds to DNA and interferes with replication and RNA synthesis | African trypanosomiasis, Leishmaniasis, Pneumocystis pneumonia |
| Atovaquone | Inhibits mitochondrial electron transport at cytochrome bc1 complex | Malaria, Babesiosis, Toxoplasmosis, Pneumocystis pneumonia |
| Eflornithine | Irreversible inhibitor of ornithine decarboxylase → inhibits polyamine synthesis | Late-stage African trypanosomiasis (CNS involvement) |

$$O_2N$$
 CH_3
 CH_2CH_2OH

Metronidazole

$$O_2N$$
 N
 CH_3
 CH_3

Ornidazole,

Atovaquone,

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & \\ & & \\ &$$

Tinidazole,

Iodoquinol,

Isethionate,

Diloxanide,

$$H_2N$$
 H_2
 H_2
 H_2
 H_3
 H_4
 H_5
 H_5
 H_5
 H_5
 H_5
 H_6
 H_7
 H_7
 H_7
 H_8
 H_8
 H_9
 H_9

Eflornithine.

$$\begin{array}{c|c} NH & NH \\ \hline \\ NH_2N & NH_2 \\ \hline \\ O & O \\ \end{array}$$

Pentamidine

Synthesis of Metronidazole

Anthelmintic?

Anthelmintics are drugs used to treat infections caused by helminths (parasitic worms), including:-

- Nematodes (roundworms)
- Cestodes (tapeworms)
- Trematodes (flukes)

Anthelmintic Drugs – MOA and Uses

| Drug | Mechanism of Action (MOA) | Clinical Uses |
|----------------------------|---|---|
| Diethylcarbamazine citrate | Alters parasite surface membrane and enhances host immune response; immobilizes microfilariae | Lymphatic filariasis, Loiasis |
| Thiabendazole | Inhibits fumarate reductase and microtubule synthesis | Strongyloidiasis, Cutaneous larva migrans |
| Mebendazole | Inhibits microtubule formation → blocks glucose uptake and depletes energy stores | Ascariasis, Enterobiasis (pinworm), Trichuriasis, Hookworm |
| Albendazole | Similar to mebendazole; inhibits microtubules and glucose uptake | Broad-spectrum: neurocysticercosis, hydatid disease, roundworms, hookworms |
| Niclosamide | Inhibits oxidative phosphorylation in mitochondria -> disrupts ATP production | Intestinal tapeworms (e.g., Taenia, Diphyllobothrium) |
| Oxamniquine | Causes DNA damage and paralysis in schistosomes | Schistosomiasis (Schistosoma mansoni) |
| Praziquantel | Increases calcium permeability in worm membranes → paralysis and death | Schistosomiasis, Tapeworms, Liver flukes |
| lvermectin | | Onchocerciasis, Strongyloidiasis, Lymphatic filariasis, Scabies |

Thiabendazole,

Niclosamide,

Albendazole,

Oxamniquine,

Praziquantal,

Ivermectin.

Synthesis of Diethylcarbamazine citrate

Diethylcarbamazine citrate

Synthesis of Mebendazole

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