ANTI AMOEBIC DRUGS

Amoebiasis, also known **amoebic dysentery**, is an infection caused by any of the amoebae of the *Entamoeba* group. Symptoms are most common during infection by *Entamoeba histolytica*. Amoebiasis can be present with no, mild, or severe symptoms.

Amebicides(**amoebicide**)/ **anti amoebic drugs** are agents used in the treatment of amoebozoa infections/amoebiasis.

CLASSIFICATION

Classification Based on Chemical structures:

(1) Nitroimidazole derivatives

- Metronidazole
- Tinidazole (fasigen)
- Secnidazole

(2) Dichloroacetamide derivatives

- Diloxanide furoate
- Clefamide
- Teclozan
- Etofamide

(3) 4- aminoquinoline derivatives

- Chloroquine

(4) Alkaloids of Ipecacuanha

- Emetine (very toxic)
- Dehydroemetine (less toxic)

(5) Antibiotics

- Tetracycline
- Paromomycin
- Erythromycin

(6) 8-hydroxyquinoline derivatives

- Diiodohydroxyquin (iodoquinol) (comes in combination with metronidazole called metodine)
- Clioquinol (not used due to optic toxicity)

(7) Miscellaneous

- Nitazoxanide (used in giardiasis and amoebiasis)

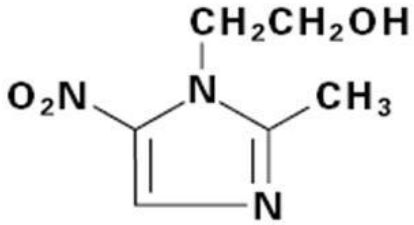
MECHANISM OF ACTION

The antiamebic action is due to effect on cell membranes, causing leakage and by reducing the population of intestinal flora.

METRONIDAZOLE

Metronidazole, marketed under the brand name Flagyl among others, is an antibiotic and antiprotozoal medication.

STRUCTURE & IUPAC NAME



2-(2-Methyl-5-nitro-1*H*-imidazol-1-yl)ethanol

PROPERTIES

- White to pale-yellow crystalline powder.
- Slight odor.
- Bitter and saline taste.
- Soluble in water, ethanol, dilute acids.

STABILITY & STORAGE

- Stable in air but darkens on exposure to light.
- Stored in well-closed, light-resistant containers.

USES

- Metronidazole is an **antibiotic** that fights bacteria.
- Metronidazole is used to treat **bacterial infections** of the vagina, stomach or intestines, liver, skin, joints, brain, heart, and respiratory tract.

BRAND NAME

Flagyl, Metro.

ANTHELMINTICS

Anthelmintics or antihelminthics are a group of antiparasitic **drugs** that expel parasitic worms (helminths) and other internal parasites from the body by either stunning or killing them and without causing significant damage to the host. They may also be called **vermifuges** (those that stun) or **vermicides** (those that kill).

Anthelmintics are used to treat people who are infected by helminths, a condition called helminthiasis. These drugs are also used to treat infected animals.

CLASSIFICATION

Classification of anthelmintics based on chemical structure

- **Piperazines: Diethylcarbamazine citrate (DEC), Piperazine citrate.**
- **Benzimidazoles: Albendazole, Mebendazole, Thiabendazole.**
- Heterocyclics: Oxamniquine, Praziquantel.
- **Natural products: Ivermectin**, Avermectin.
- Vinyl pyrimidines: Pyrantel, Oxantel.
- **Amide: Niclosamide.**
- Nitro derivative: Niridazole.
- Imidazo thiazole: Levamisole.

MECHANISM OF ACTION

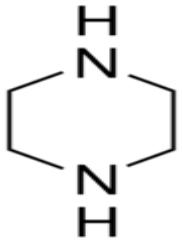
- **Inhibiting energy production in the parasite by:**
- Inhibiting activity of fumarate reductase enz. in parasite(**Albendazole,**
- Inhibiting mitochondrial phosphorylation process in parasite(Rafoxanide
- Inhibiting process of glycolysis in parasite as **Clorsulon, Phenothiazin**
- **Causing muscular paralysis of the worm by:**
- Inhibiting cholinergic nerves of worm as **nicotine sulphate.**
- Acting as GABA agonist so cause paralysis of worm as **Piperazine.**
- Muscle hyperpolarization & paralysis of worm as **Levamisole.**
- **Other mechanism:** Disruption of tegument (outer skin) as **Bunamidine.**

PIPERAZINE

Piperazine is an organic compound that consists of a six-membered ring containing two nitrogen atoms at opposite positions in the ring. Piperazine exists as small alkaline deliquescent crystals with a saline taste.

The piperazines are a broad class of chemical compounds, many with important pharmacological properties, which contain a core piperazine functional group.

STRUCTURE & IUPAC NAME



1,4-Diazacyclohexane

PROPERTIES

- Needle-like white or colorless crystals.

- Shipped as a solid or suspended in a liquid medium.
- Very corrosive to skin, eyes and mucous membranes.
- Hygroscopic with pungent odour.
- Salty taste.
- Freely soluble in water, glycerol, glycols;Insoluble in ether.Very soluble in chloroform.

STABILITY & STORAGE

- Solid turns dark when exposed to light.
- Stable at temperatures to 270 °C and in neutral or acid media.
- Stored in well closed, light resistant container.

USES

- It is used as alternative **treatment** for **ascariasis** caused by ascaris lumbricoides (roundworm) and enterobiasis (oxyuriasis) caused by enterobius vermicularis (pinworm).
- It is also used to treat partial intestinal obstruction by the common roundworm, a condition primarily occurring in children.

BRAND NAME

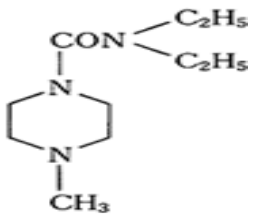
Antepar, Helmacid

D.E.C

Diethylcarbamazine (**DEC**) is a medication used in the treatment of filariasis including lymphatic filariasis, tropical pulmonary eosinophilia, and loiasis.

DEC is an inhibitor of arachidonic acid metabolism in microfilariae. This makes the microfilariae more susceptible to innate immune attack, but does not kill the parasites outright.

STRUCTURE & IUPAC NAME



N,N-diethyl-4-methylpiperazine-1-carboxamide

PROPERTIES

- White, crystalline powder.
- Odorless or slight odor.
- Bitter acid taste.
- Freely soluble in hot alcohol, Sparingly soluble in cold alcohol.
- Practically insoluble in acetone, benzene, chloroform and ether.
- Slightly hygroscopic.

STABILITY & STORAGE

It is stable even under conditions of high temp and humidity.

USE

It is used to treat:

- Bancroft's filariasis;
- Eosinophilic lung (tropical pulmonary eosinophilia; tropical eosinophilia);
- Loiasis; and.
- River blindness (onchocerciasis).

BRAND NAME

- Hetrazan
- Caricide
- Ethodryl
- Banocide Forte