

Anti amoebic Drugs

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AMEBIASIS

- ▶ Amebiasis (also called amebic dysentery) is infection of intestinal tract caused by *Entamoeba histolytica*.
- ▶ The disease can be acute or chronic

Classification of amebicidal drugs

- ▶ According to the site where the drug is effective, the amebicidal drugs are classified as:
- ▶ • **Luminal amebicides** (Act on parasite in the lumen of bowel)
- **Systemic amebicides** (Against amebas in intestinal wall & liver)
- ▶ • **Mixed amebicides** (Against both the luminal and systemic form of diseases).

MIXED AMEBICIDES

1. Metronidazole (Flagyl)

- ▶ • Mixed amebicides are used for the treatment of amebic infections; it kills the *E. histolytica* trophozoites.
- ▶ • Extensively used in the treatment of infections caused by *Giardia lamblia*, *Trichomonas vaginalis*, Anaerobic cocci, and Anaerobic gram negative bacilli.
- ▶ Does not affect aerobic bacteria
- ▶ Drug of choice for the treatment of pseudomembranous colitis caused by the anaerobic, gram positive bacillus *Clostridium difficile*

MOA

- ▶ Not clearly understood
- ▶ Enters microorganism by diffusion - Nitro group reduced to a highly reactive nitro radical- DNA damaged Cytotoxicity. High selective anaerobic action - interference with electron transportation from NADPH and other reduced substrates
- ▶ Also inhibits cell mediated immunity
- ▶ Induce mutagenesis
- ▶ Cause radio-sensitization

Pharmacokinetics

- Completely absorbed from intestine
- Wide distribution in body. $t_{1/2}$ - 8hrs.
- Therapeutic concentrations in -Vaginal secretions, Semen, Saliva, CSF
- Route of administration - oral & parenteral

Adverse effects:

- ▶ An unpleasant metallic taste is often experienced.
- ▶ The most common adverse effects are those associated with the gastrointestinal tract, including
 - ▶ nausea, vomiting, epigastric distress, and abdominal cramps.
 - ▶ Urine: -dark/reddish-brown

Tinidazole:

- ▶ Tinidazole is a second-generation nitroimidazole that is similar to metronidazole in spectrum of activity, absorption, adverse effects and drug interactions.
- ▶ Tinidazole is as effective as metronidazole, with a shorter course of treatment, yet is more expensive than generic metronidazole.

Iodoquinol

- ▶ It is effective against *Entamoeba histolytica*, luminal trophozoite and cyst form.
- ▶ Side effects include rashes, diarrhea.
- ▶ Long term use of drug should be avoided.

Paromomycin

- ▶ Aminoglycosides anti amebicides; alternative agent for cryptosporidiosis.

Not significantly absorbed from GIT, so effective against the intestinal (luminal)

form of *E. histolytica* and tapeworm.

- ▶ Excreted in urine.
- ▶ **Adverse effects:**
 - ▶ □ Gastrointestinal distress
 - ▶ □ Diarrhea

SYSTEMIC AMEBICIDES

- ▶ These drugs are useful in treating liver abscesses or intestinal wall infections caused by amebas.
- ▶ **Chloroquine:**
 - ▶ Used in combination with metronidazole and diloxanide furoate to treat and
 - ▶ prevent amebic liver abscesses.
 - ▶ It eliminates trophozoites in liver abscesses.
 - ▶ □ Also effective in treatment of malaria.

Emetine and Dehydroemetine

- ▶ Used as alternative agents for the treatment of amebiasis. These inhibit protein synthesis by blocking chain elongation.
- ▶ Intramuscular injection is the preferred route.
- ▶ Emetine is concentrated in liver, where it persists for a month after single dose.



- ▶ It is slowly metabolized and excreted, and it can accumulate

- ▶ The use of these, are limited by their toxicities and close clinical observations

is necessary when these drugs are administered.

- ▶ They should not be taken for more than 5 days .
The untoward effects are pain at the site of infection, transient nausea, cardiotoxicity, neuromuscular weakness, dizziness, and rashes.

Thank You!

