

Chemotherapy of Protozoal Infections: Amoebiasis & Giardiasis

Introduction

- Protozoal infections are caused by single-celled eukaryotic organisms and are prevalent in tropical and subtropical regions.
- Among the most common are:
 - Amoebiasis** – caused by *Entamoeba histolytica*
 - Giardiasis** – caused by *Giardia lamblia* (*G. intestinalis*)
- Both infections typically involve the gastrointestinal tract, presenting with diarrhea, abdominal pain, and malabsorption (especially in giardiasis).
- Treatment is based on targeting specific protozoal metabolic pathways, particularly those absent in human cells.

Classification of Antiprotozoal Drugs

A. For Amoebiasis

Category	Examples	Activity
Tissue amoebicides	Metronidazole, Tinidazole	Act on trophozoites in liver & tissues
Luminal amoebicides	Paromomycin, Diloxanide furoate	Act in intestinal lumen (cyst carriers)
Mixed agents	Metronidazole, Tinidazole	Effective in both tissue & lumen

B. For Giardiasis

Drug	Class
Metronidazole	Nitroimidazole
Tinidazole	Nitroimidazole
Nitazoxanide	Antiprotozoal
Albendazole	Benzimidazole
Furazolidone (less used)	Nitrofurans

Mechanism of Action

Drug	Mechanism
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Metronidazole / Tinidazole	Prodrugs → activated in anaerobic protozoa → produce free radicals → DNA damage → cell death
Paromomycin	Binds 30S ribosomal subunit → inhibits protein synthesis
Diloxanide furoate	Unknown exact mechanism; acts locally in the intestinal lumen
Nitazoxanide	Inhibits pyruvate:ferredoxin oxidoreductase → disrupts anaerobic energy metabolism
Albendazole	Inhibits microtubule polymerization in protozoa and helminths

Pharmacokinetics (ADME)

Drug	Absorption	Distribution	Metabolism	Elimination	Notes
Metronidazole	Well absorbed	Wide (incl. CNS)	Hepatic (oxidation)	Urine, bile	T _{1/2} ~8 hours
Tinidazole	Well absorbed	Similar to metronidazole	Hepatic	Urine	T _{1/2} ~12–14 hours
Paromomycin	Poor oral absorption	Stays in lumen	Minimal	Feces	No systemic effects
Diloxanide	Moderate oral absorption	Some systemic activity	Liver	Urine	Used for asymptomatic carriers
Nitazoxanide	Oral (prodrug) → tizoxanide	Wide	Liver	Bile, urine	T _{1/2} ~1.3 hours
Albendazole	Poor unless taken with fat	Wide	Liver → albendazole sulfoxide	Bile	Effective in resistant giardiasis

Pharmacodynamics

- **Metronidazole / Tinidazole:** Rapid killing of trophozoites, especially in tissues (liver, GI wall)
- **Paromomycin / Diloxanide:** Clear luminal cysts and prevent transmission
- **Nitazoxanide:** Broad antiparasitic activity
- **Albendazole:** Slow-acting; also used for helminths

Therapeutic Uses

Amoebiasis

Clinical Condition	Preferred Treatment
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Asymptomatic cyst carriers	Luminal agent: Paromomycin or Diloxanide
Intestinal amoebiasis (dysentery)	Metronidazole (or tinidazole) + luminal agent
Liver abscess	Metronidazole (high dose) ± aspiration
Severe or extraintestinal	IV Metronidazole + supportive care

Giardiasis

Patient Type	Preferred Drug
Adults	Metronidazole 250–500 mg TID × 5–7 days
Children	Tinidazole (single dose) or Metronidazole
Alternative	Nitazoxanide, Albendazole, Furazolidone
Refractory cases	Combination therapy or prolonged albendazole

Adverse Effects

Metronidazole / Tinidazole

- Metallic taste, nausea, vomiting
- **Disulfiram-like reaction** with alcohol
- **Peripheral neuropathy** (long-term use)
- Headache, dizziness
- Dark urine

Paromomycin

- GI upset
- Rare: ototoxicity/nephrotoxicity (systemic absorption minimal)

Diloxanide furoate

- Flatulence, nausea
- Rare: urticaria

Nitazoxanide

- Well tolerated
- Occasional: abdominal pain, headache, yellow discoloration of sclera

Albendazole

- Usually mild: GI symptoms, headache
- Rare: hepatotoxicity, bone marrow suppression (long-term use)

Contraindications

Drug	Contraindications
Metronidazole	First trimester of pregnancy, alcohol use
Tinidazole	Same as metronidazole
Paromomycin	Intestinal obstruction, renal impairment (caution)
Diloxanide	Pregnancy (not preferred), hypersensitivity
Nitazoxanide	Children under 1 year (safety not established)
Albendazole	Pregnancy (especially first trimester), liver disease

Drug Interactions

Drug	Interactions
Metronidazole	Alcohol → disulfiram-like reaction ; ↑ warfarin effect
Tinidazole	Same as metronidazole
Nitazoxanide	Minimal interactions; mild CYP inhibition
Albendazole	↑ levels with cimetidine, grapefruit juice
Paromomycin / Diloxanide	Minimal due to low systemic absorption

Dose and Route of Administration

Amoebiasis Treatment

Drug	Dose	Route
Metronidazole	750 mg TID × 5–10 days (adults)	Oral/IV
Tinidazole	2 g once daily × 3–5 days	Oral
Paromomycin	25–35 mg/kg/day in 3 doses × 5–10 days	Oral
Diloxanide furoate	500 mg TID × 10 days	Oral

Giardiasis Treatment

Drug	Dose	Route
Metronidazole	250–500 mg TID × 5–7 days	Oral
Tinidazole	2 g single dose	Oral
Nitazoxanide	500 mg BID × 3 days (adults)	Oral
Albendazole	400 mg once daily × 5 days	Oral

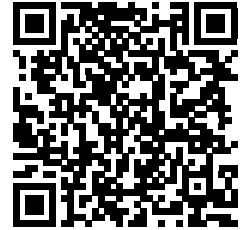


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