

Anti-protozoal Agents

Protozoa

- Protozoa are **single-celled, microscopic eukaryotic organisms** belonging to the Protista kingdom.
- They exist in various environments, including **soil, water, and as parasites** within other organisms.
 - Some protozoa are harmless, while others cause infections in humans and animals.
 - They have a complex structure with a cell membrane, nucleus, and organelles, enabling reproduction, metabolism, and movement.

Transmission routes

- **Ingestion** of contaminated food or water.
- **Contact** with infected animals or humans.
- **Vector-borne transmission** (e.g., insect bites).

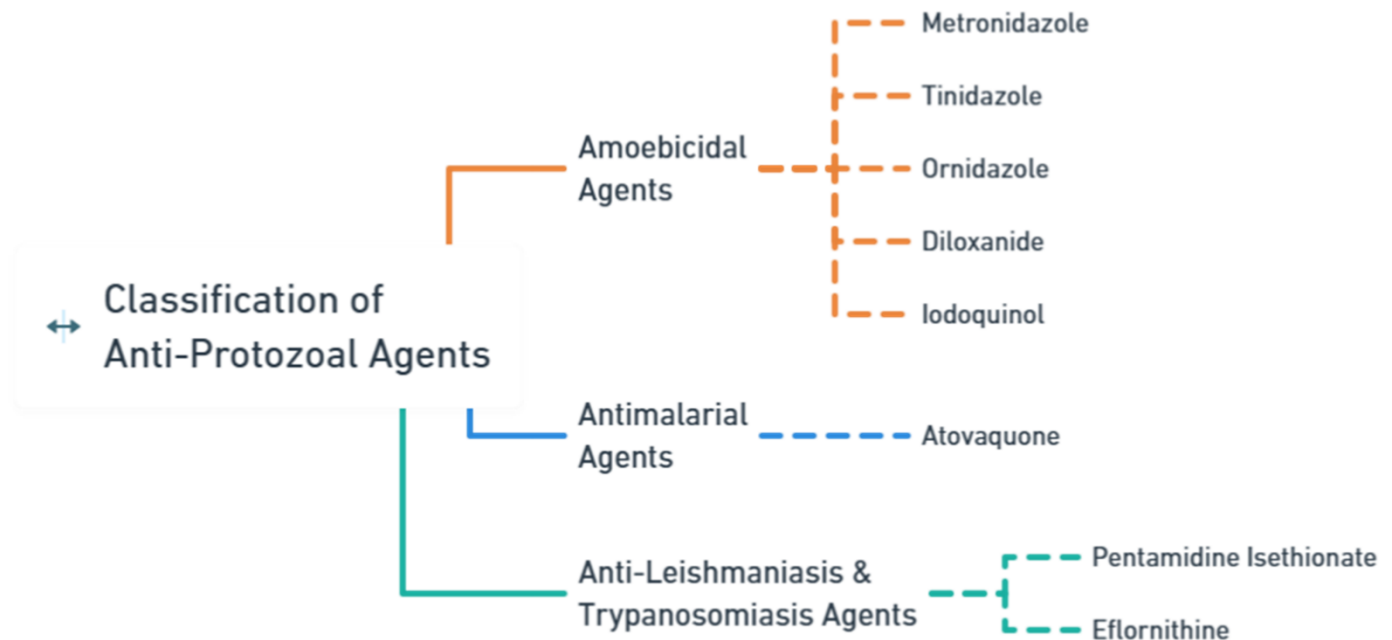
Common protozoan diseases:

- Malaria
- Amoebic dysentery
- Giardiasis
- Leishmaniasis
- Trypanosomiasis (African sleeping sickness, Chagas disease)
- Toxoplasmosis

Anti-Protozoal Agents

- Anti-protozoal agents are medications designed to eliminate protozoan parasites by disrupting their essential life processes, including:
 - **Cell membrane integrity**
 - **Protein synthesis**
 - **DNA replication**
 - **Energy metabolism**
- These agents are infection-specific, meaning their use depends on the type of protozoan infection and disease severity.

Classification of Anti-Protozoal Agents



1. Amoebicidal Agents:

- Used for amoebiasis and other protozoal infections
 - **Metronidazole**
 - **Tinidazole**
 - **Ornidazole**
 - **Diloxanide**
 - **Iodoquinol**

2. Antimalarial Agents:

- Used for malaria caused by *Plasmodium* species
 - **Atovaquone**

3. Anti-Leishmaniasis & Trypanosomiasis Agents

- Pentamidine Isethionate
 - **Eflornithine**

Brand Names Of Important Marketed Products

Used for infections like malaria, amoebiasis, giardiasis, etc.

Drug Name	Brand Name(s)	Formulation	Use
Metronidazole	Flagyl, Metrogyl	Tablet, IV, Gel	Amoebiasis, Giardiasis
Tinidazole	Fasigyn, Tini	Tablet	Amoebiasis, Giardiasis
Ornidazole	Ornida, Dazolic	Tablet, Injection	Anaerobic infections

Chloroquine	Lariago, Aralen	Tablet, Injection	Malaria
Artemether + Lumefantrine	Coartem, Lumerax	Tablet	Falciparum malaria
Quinine	Quinimax, Quinidine	Tablet, Injection	Severe malaria
Atovaquone + Proguanil	Malarone	Tablet	Malaria prophylaxis

Selection of Anti-Protozoal Agents

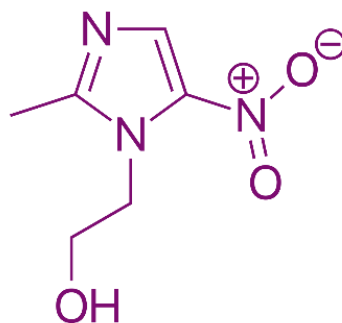
- Based on infection type, severity, and patient health condition.
- Some agents have broad-spectrum activity, while others are specific to certain protozoan species.

Various Anti-Protozoal Agents

1. Metronidazole*

Chemical Structure / Formula

- Formula:** C₆H₉N₃O₃
- Structure:** A nitroimidazole with a nitro (-NO₂) group at the 5th position of the imidazole ring.



Mechanism of Action

- Prodrug, activated by anaerobic protozoa and bacteria via pyruvate-ferredoxin oxidoreductase (PFOR) enzyme.
- The nitro group is reduced, generating reactive oxygen species (ROS), leading to DNA damage and cell death.

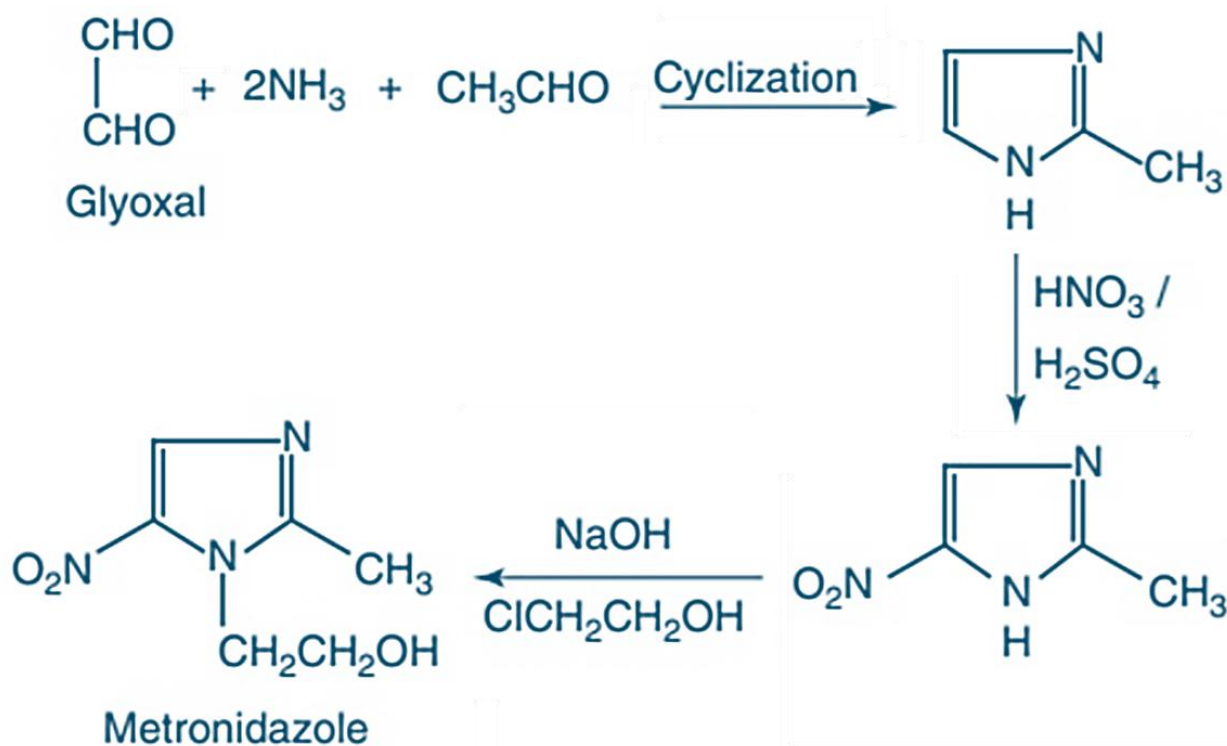
Uses

- Amoebiasis** (*Entamoeba histolytica*)
- Giardiasis** (*Giardia lamblia*)
- Trichomoniasis** (*Trichomonas vaginalis*)
- Bacterial infections (anaerobes like Bacteroides, Clostridium difficile, H. pylori eradication regimens)

Side Effects

- Metallic taste, nausea, vomiting
- Disulfiram-like reaction with alcohol (severe flushing, vomiting, tachycardia)
- Neurotoxicity (seizures, peripheral neuropathy with long-term use)
- GI upset, dizziness, headache

Synthesis of Metronidazole



Structure-Activity Relationship (SAR) of Metronidazole

Structural Feature	SAR Insight
5-nitroimidazole ring	Critical for activity; nitro group undergoes reduction in anaerobic organisms, forming radicals that damage DNA.
Hydrophilic side chain (ethyl alcohol)	Influences solubility and spectrum.
Unsubstituted imidazole N	Required for proper redox potential and activation.
Bulky substitutions	Usually reduce or eliminate activity.

2. Tinidazole

Chemical Structure / Formula

- **Formula:** C₈H₁₃N₃O₄S



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