PHARM.D • YEAR 3

Medicinal Chemistry

CHAPTER 2.8

Anthelmintics



PPT CHAPTER COVER

Helminths and drug targets

Helminths are multicellular parasitic worms.
Major groups are nematodes, cestodes and trematodes.

Anthelmintics may disrupt microtubules, energy metabolism, membrane permeability or neuromuscular function.
The mechanism differs by drug and parasite.

The chapter includes diethylcarbamazine, benzimidazoles, niclosamide, oxamniquine, praziquantel and ivermectin.

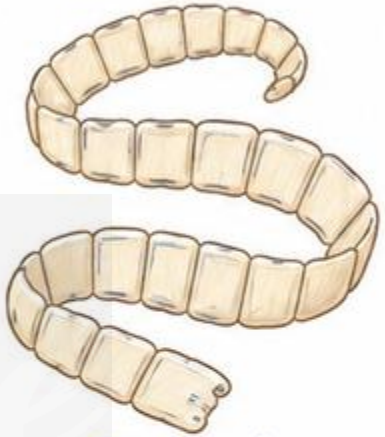
Species, developmental stage and intestinal versus tissue location determine activity. A drug useful against an adult intestinal worm may not treat its tissue larvae. Formulation, patient factors and current guidance matter; one broad-spectrum label does not establish coverage of every helminth.

Roundworm
(Nematode)



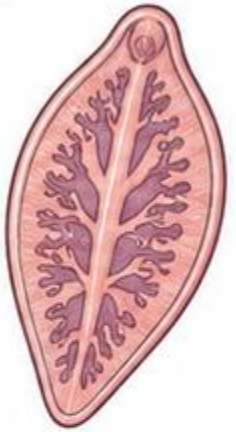
Cylindrical, unsegmented

Tapeworm
(Cestode)



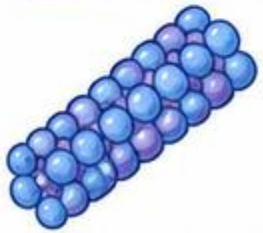
Flattened, segmented

Fluke
(Trematode)



Leaf-shaped, unsegmented

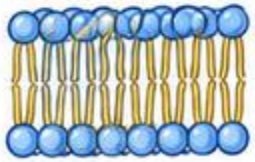
Drug targets



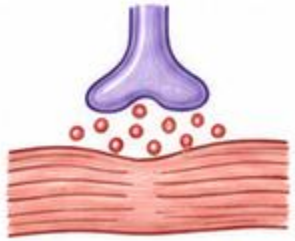
Microtubules



Energy metabolism



Membrane permeability



Neuromuscular function

Location



Intestinal (adult worms)



Tissue (tissue larvae)

Key factors



Species



Developmental stage



Intestinal vs tissue location



Formulation, patient factors and current guidance

Diethylcarbamazine: identity and action

Diethylcarbamazine, or DEC, is a piperazine carboxamide. Its base formula is $C_{10}H_{21}N_3O$; the citrate includes citric acid $C_6H_8O_7$.

N-Methylpiperazine framework

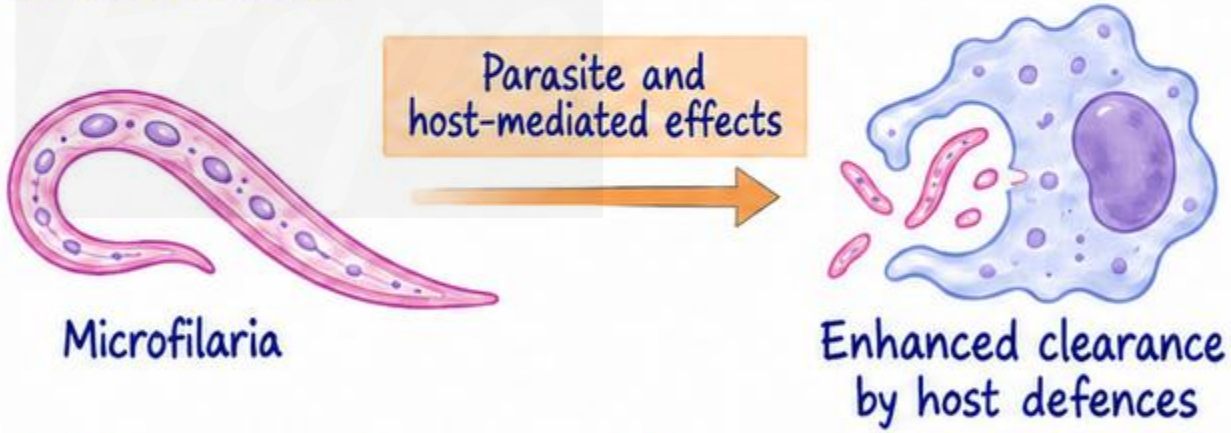
N,N-Diethylcarbamoyl group

Citrate salt: citric acid
$C_6H_8O_7$

The structure has an N,N-diethylcarbamoyl group and an N-methylpiperazine ring. It should not be drawn as a generic NH–CO–NH urea.

Mode of action

Its antifilarial activity involves parasite and host-mediated effects that enhance microfilarial clearance; a universal paralysis explanation is insufficient.



Safety and adverse reactions

Species and parasite burden determine safe use. Reactions to dying microfilariae can cause fever, rash and other inflammatory symptoms.

DEC: SAR and synthesis

Key structural features (SAR)

The piperazine framework, N-methyl substituent and diethylcarbamoyl group identify DEC. The citrate form affects pharmaceutical handling but does not create a different target mechanism.

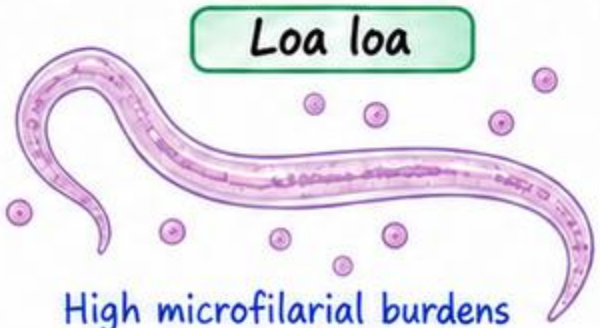
Synthesis (representative)

A representative synthesis reacts N-methylpiperazine with a diethylcarbamoyl reagent to form the carboxamide, followed by citrate-salt formation.
Do not add an NH bond to the fully substituted carbamoyl nitrogen.



Clinical safety

Clinical safety cannot be inferred from SAR alone. DEC requires special caution with high Loa loa microfilarial burdens and is contraindicated in onchocerciasis in CDC guidance because of potentially severe reactions.



High microfilarial burdens require special caution



Contraindicated in CDC guidance because of potentially severe reactions

Thiabendazole

- Thiabendazole has a benzimidazole nucleus linked to a thiazole ring, formula $C_{10}H_7N_3S$.
- It interferes with parasite microtubule-related processes and energy metabolism. Its structural features differ from the carbamate-bearing benzimidazoles mebendazole and albendazole.
- It is an older anthelmintic example associated with selected nematode infections; newer preferred agents and tolerability limitations must be considered.
- Nausea, dizziness, hepatic injury and serious hypersensitivity are important cautions.
  A thiazole ring does not imply that every sulfur-containing antiparasitic has the same activity, absorption or adverse-effect profile.

Thiabendazole

Benzimidazole — Thiazole

$C_{10}H_7N_3S$

Carbamate drugs

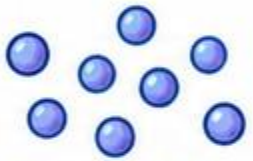
Mebendazole / Albendazole

Benzimidazole — Carbamate

Interferes with parasite microtubule-related processes



Microtubule



Microtubule interruption

Affects energy metabolism



Associated with selected nematode infections



Nematode

Important cautions



Nausea



Dizziness



Hepatic injury



Serious hypersensitivity

A thiazole ring does not imply that every sulfur-containing antiparasitic has the same activity, absorption or adverse-effect profile.

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