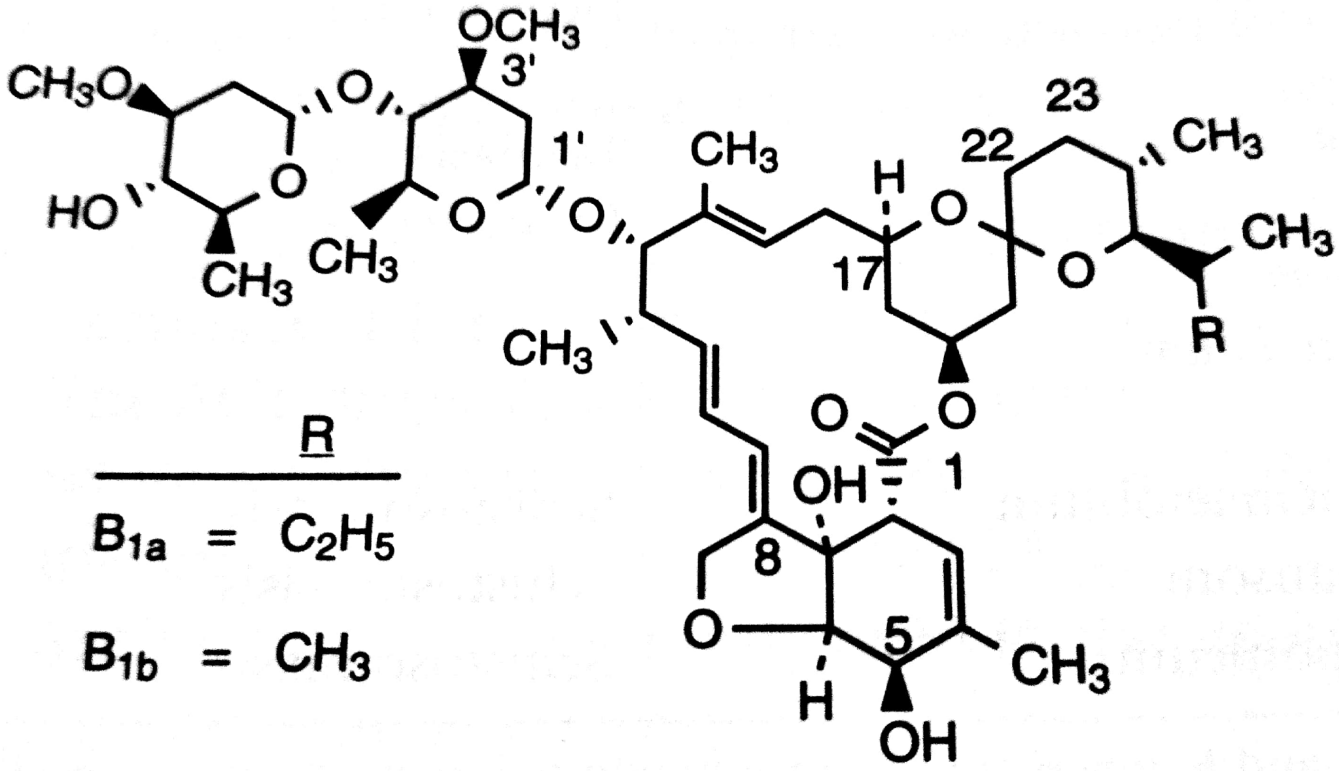
Ivermectin (IVM)



	R
B_{1a}	C_2H_5
B_{1b}	CH_3

Ivermectin
(Stromectol)

increase

HELMINTH INFECTIONS

Helminthiasis, or worm infestation, is one of the most prevalent diseases—and one of the most serious public health problems—in the world. Many worms are parasitic in humans and cause serious complications. Hundreds of millions (if not billions) of human infections by helminths exist worldwide, and with increased world travel and immigration from developing countries, one might expect to see this pattern of infection continue. It is estimated that one-fourth of the world population may be infected. It is interesting to note that helminths differ from many other parasites in that these organisms multiply outside of the definitive host and have the unique ability to evade host immune defenses for reasons that are not fully understood. As a result, helminth infections tend to be chronic, possibly lasting for the entire lifetime of the host (for a discussion of the uniqueness of helminth infections, see Maizels et al. in *Suggested Readings*). Helminths that infect human hosts are divided into two categories, or phyla: Platyhelminths (flatworms), and Aschelminths or nematodes (roundworms). The flatworms include the classes Cestode (tapeworms) and Trematode (flukes or schistosomes). The nematode class includes helminths common to the United States: roundworm, hookworm, pinworm, and whipworm. These worms are cylindrical in shape, with significant variations in size, proportion, and structure.

Nematode l

Table 39.3. Therapeutic Application of Anthelmintics for Specific Helminth Infections

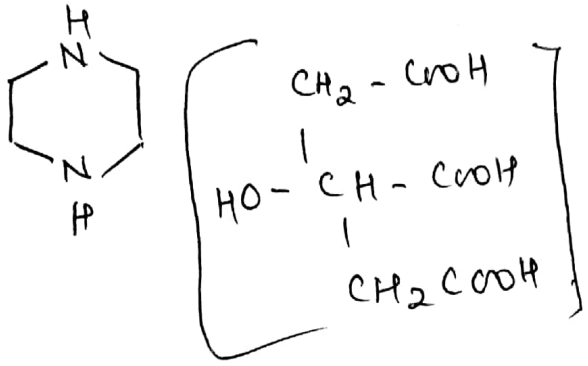
	M	A	DEC	IVM	PZQ	PP
Nematode Infections:						
Necator americanus	√	√				√
Ancylostoma doudenale	√	√		√		√
Enterobius vermicularis	√			√		√
Ascaris lumbricoides	√	√		√		
Trichuris trichiura	√	√				
Trichinella spiralis	√	√	√	√		
Wuchereria bancrofti			√	√		
Brugia malayi			√			
Brugia timori.		√	√	√		
Loa Loa		√	√	√		
Onchocerca volvulus					√	
Cestode Infections:						
Taenia saginata	√	√			√	
Taenia solium	√	√			√	
Hymenolepis nana	√				√	
Diphyllobothrium latum					√	
Trematode Infections:						
Schistosoma hematobium					√	
S. mansoni					√	
S. japonicum					√	

Benzimidazoles: M = Mebendazole, A = Albendazole; DEC = Diethylcarbamazine; IVM = Ivermectin; PZQ = Praziquantel; PP = Prantel pamoate.

activity with albendazole, mebendazole and thiabenda-

ANTHELMENTICS

Piperazine Citrate

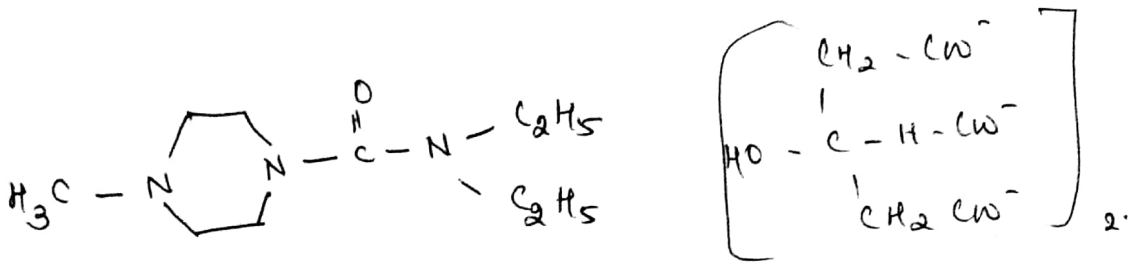


Hexa hydro pyrazine

Use: Pinworm Enterobius Vermicularis and
Roundworm Ascaris lumbricoides

MOA: Blocks the response of the ascaris muscle to Acetyl choline causing flacid paralysis in the worm which is dislodged from the intestinal wall and expelled in faeces

Diethyl Carbamazine (Hetrazan)



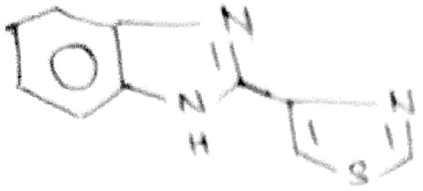
M.O.A :

DEC active form inhibits the microtubule polymerisation and disruption of preformed microtubules The interference with the arachidonic acid mechanism. They damage the microfilaria by an involvement of blood platelets triggered by the filarial antigens

Use : Used in the treatment of various forms of filariasis including Bancroft's, Onchocerciasis and Loiasis also active against ascaris

Thiabendazole

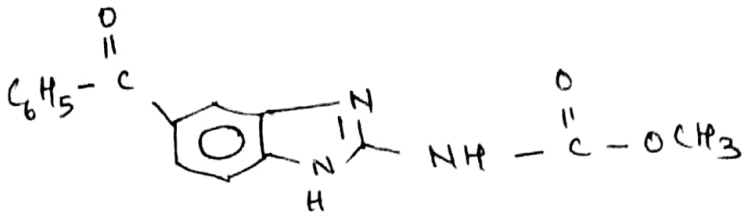
2-Thiazolyl benzimidazole
(Thiazole)



MOA It inhibits the helminth specific enzyme fumarate reductase. They arrest the nematode Cell division in metaphase by interfering with microtubule ~~sensitivity~~ assembly. They have a higher affinity for tubulin, the precursor protein for microtubule synthesis

Use : Broad spectrum activity.
To Treat enterbiasis, Strongyloidiasis ascariasis, Uncinariasis and trichuriasis
also Used in Veterinary practice to control intestinal Helminths in livestock.

Mebendazole



5. benzoyl - 2 benz imidazole carbamate

M.O.A :-

Fumarate reductase, an enzyme in helminths which is involved in Oxidation of NADH to NAD. the benz imidazoles inhibits the enzyme and Uncouples Oxidative phosphorylation which is important in ATP production. glucose Uptake is inhibited

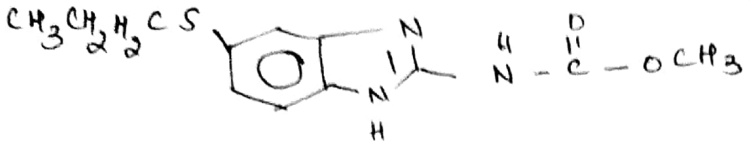
second mechanism is the ability of the drug to bind with the protein tubulin and preventing tubulin polymerisation to microtubules.

Selective in action to the tubulin of helminth.

Use :- Broad spectrum anthelmentic effective against a variety of nematode infestations including whipworm, pinworm, round worm and hook worm.

Albendazole

Methyl 5. propyl thio - 2 benzimidazole carbamate

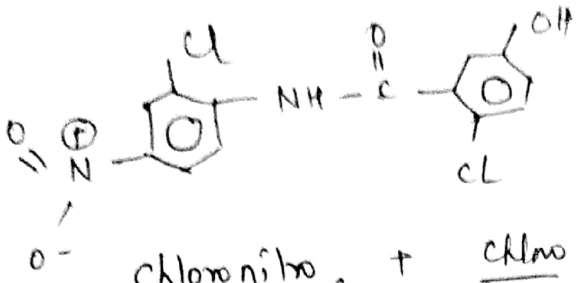


MOA : Similar to other benzimidazole

Use : Intestinal nematode infections -
Single dose for Ascariasis - new and old hookworm infections and Trichuriasis - Multiple dose for eradicating pinworm, thread worm, Capillariasis, clonorchiasis and hydatid disease.

Adr effect : High dose and prolonged therapy results in bone marrow depression
elevation of hepatic enzymes and alopecia.

Niclosamide



Chloronitro phenyl + Chloro Hydroxy benzamide

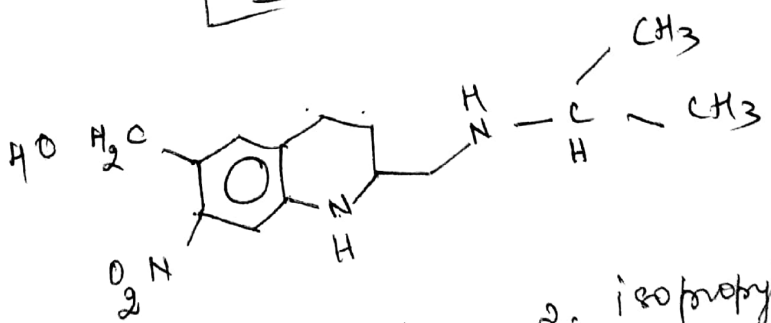
Occurs as a yellowish powder

MOA: It is a potent taeniacide that causes rapid disintegration of worm segments and Scolex. penetrating of the drug in to cestodes is facilitated by the digestive juices well tolerated in Oral form.

Procedure A saline purge 1-2 hrs after ingestion is to remove the damaged Scolex and worm segments - pork tapeworm infections to prevent Cysticercosis - prevention of the release of live ova from worm

Use: To treat pork tapeworm infestations

Oxamniquine OXAMNIQUINE QUINOLI



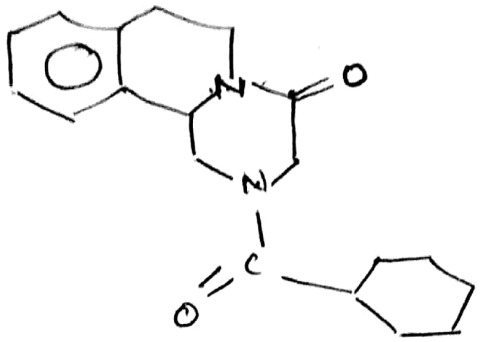
Tetrahydro 2. isopropyl aminomethyl NO_2 - 6. quinoline methanol)

MOA: Inhibits DNA, RNA and Protein synthesis in Schistosomes.

PRAZIQUANTEL

Hexahydro Quinoline

Praziquantel



MOA: Intestinal worms may cause muscle contraction and paralysis via influx of calcium leading to expulsion of the worm

Intravascular damage to the worm tegument antigen-antibody reaction

Use: Caused by Schistosomes (blood flukes)

Effective treatment for fasciolopsiasis

IVERMECETIN

A mixture of Avermectins

Structurally Complex antibiotics produced by fermentation with a strain of Streptomyces avermitilis

A pentacyclic 16 membered ring alycone glycosidically linked to 3 positin to a disachaide two oleandrose sugar residues.

MOA: It blocks Interneuron - motor neuron transmission in nematodes by stimulating the release of the inhibitory neurotransmitter GABA.

Use: Onchocerciasis in human Caused by round worms

Veterniary practices.