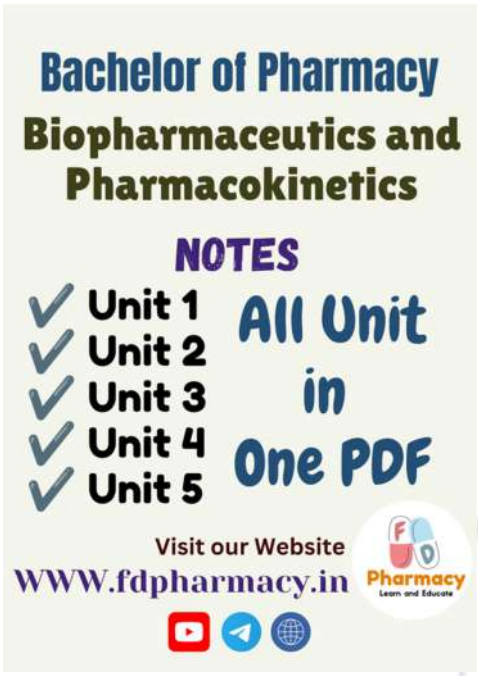
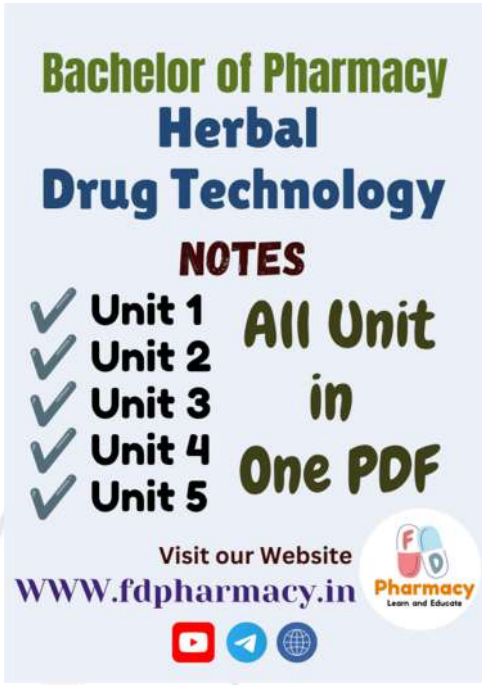
WELCOME

TO


Bachelor of Pharmacy
Biopharmaceutics and Pharmacokinetics
NOTES
Unit 1
Unit 2
Unit 3
Unit 4
Unit 5
All Unit in One PDF
Visit our Website
WWW.fdpharmacy.in
Pharmacy
Learn and Educate


Bachelor of Pharmacy
Herbal Drug Technology
NOTES
Unit 1
Unit 2
Unit 3
Unit 4
Unit 5
All Unit in One PDF
Visit our Website
WWW.fdpharmacy.in
Pharmacy
Learn and Educate


Bachelor of Pharmacy
Pharmaceutical Biotechnology
NOTES
Unit 1
Unit 2
Unit 3
Unit 4
Unit 5
All Unit in One PDF
Visit our Website
WWW.fdpharmacy.in
Pharmacy
Learn and Educate


Bachelor of Pharmacy
Medicinal Chemistry III
NOTES
Unit 1
Unit 2
Unit 3
Unit 4
Unit 5
All Unit in One PDF
Visit our Website
WWW.fdpharmacy.in
Pharmacy
Learn and Educate


Bachelor of Pharmacy
Pharmacology III
NOTES
Unit 1
Unit 2
Unit 3
Unit 4
Unit 5
All Unit in One PDF
Visit our Website
WWW.fdpharmacy.in
Pharmacy
Learn and Educate


Bachelor of Pharmacy
Quality Assurance
NOTES
Unit 1
Unit 2
Unit 3
Unit 4
Unit 5
All Unit in One PDF
Visit our Website
WWW.fdpharmacy.in
Pharmacy
Learn and Educate

MEDICINAL CHEMISTRY - III

UNIT 4

TOPIC :

- **Anthelmintics :** *Diethylcarbamazine citrate*, Thiabendazole, Mebendazole*, Albendazole, Niclosamide, Oxamniquine, Praziquantal, Ivermectin.

Anthelmintics

→ Anthelmintics are drugs used to destroy or expel parasitic worms (helminths) from the human body.

→ They are used in the treatment of nematode (roundworm), cestode (tapeworm), and trematode (fluke) infections.

Classification

A. Drugs Acting Against Nematodes (Roundworms)

- Albendazole, Mebendazole
- Levamisole, Pyrantel pamoate
- Ivermectin, Piperazine

B. Drugs Acting Against Cestodes (Tapeworms)

- Praziquantel, Niclosamide, Albendazole

C. Drugs Acting Against Trematodes (Flukes)

- Praziquantel, Bithionol

Mechanism of Action

Albendazole / Mebendazole

- Inhibit microtubule formation
- Decrease glucose uptake
- Worms die due to energy depletion
- Wormicidal

Levamisole

- Acts as nicotinic receptor agonist
- Causes spastic paralysis of worms
- Worms expelled by peristalsis

Pyrantel Pamoate

- Depolarizing neuromuscular blocker
- Causes paralysis of worms

Ivermectin

- Increases chloride ion permeability
- Causes flaccid paralysis

Praziquantel

- Increases calcium ion influx
- Causes paralysis and dislodgement

Spectrum of Activity

Drug	Effective Against
Albendazole	Ascaris, Hookworm, Tapeworm
Mebendazole	Pinworm, Roundworm
Ivermectin	Strongyloides, Onchocerca
Praziquantel	Schistosoma, Tapeworm

Therapeutic Uses

- Ascariasis
- Hookworm infection
- Enterobiasis (pinworm)
- Strongyloidiasis

Adverse Effects

Common

- Nausea
- Abdominal pain
- Diarrhea
- Headache

Serious

- Hepatotoxicity (albendazole)
- Bone marrow suppression (long-term use)
- CNS effects (ivermectin in heavy infection)

Contraindications

- Pregnancy (especially albendazole, mebendazole)
- Liver disease
- Children below 1 year (some drugs)

Resistance

- Mutation in tubulin
- Reduced drug binding
- Efflux mechanisms

Diethylcarbamazine Citrate (DEC)

→ Diethylcarbamazine citrate (DEC) is a synthetic piperazine derivative used as an anti-filarial agent.
→ It is primarily used for lymphatic filariasis caused by Wuchereria bancrofti, Brugia malayi, and Brugia timori.
→ DEC works by immobilizing microfilariae and altering their surface structure, making them more susceptible to host immune clearance.

Classification

Based on Chemical Class

- Piperazine derivative
- Synthetic anti-filarial agent

Based on Action

- Microfilaricidal – kills circulating microfilariae
- Immunomodulatory effect – enhances host clearance of parasites

Based on Use

- Oral therapy – tablets or suspension

Structure

❖ Piperazine ring with diethylcarbamoyl substitution

Molecular formula: $C_{10}H_{21}N_3 \cdot C_6H_8O_7$ (citrate salt)

Structural Characteristics

- Water-soluble citrate salt → better oral absorption
- Piperazine nucleus → essential for antifilarial activity
- Formulated as tablets or syrup

Nomenclature

- Diethylcarbamazine citrate → generic name
- Brand names: Hetrazan, Banocide
- Formulations: oral tablets, oral suspension

Mechanism of Action

- ◈ DEC paralyzes microfilariae, making them immobile.
- ◈ Alters the surface membrane of microfilariae, exposing them to host immune system.
- ◈ Facilitates phagocytosis and immune-mediated destruction.
- ◈ Minimal effect on adult worms (macrofilariae) at standard doses.
- ◈ Hence, DEC is primarily microfilaricidal.

Spectrum of Activity

- ⇨ Wuchereria bancrofti – lymphatic filariasis
- ⇨ Brugia malayi and B. timori – lymphatic filariasis
- ⇨ Loa loa – microfilariae (used with caution)

Uses

- → Treatment of lymphatic filariasis (microfilarial stage)
- → Mass drug administration (MDA) programs for filariasis control
- → Adjunct therapy in co-infection with other helminths

Adverse Effects

- ⅄ Mazzotti reaction – fever, headache, myalgia, rash due to dying microfilariae
- ⅄ Gastrointestinal: nausea, vomiting, abdominal pain
- ⅄ Rare: hypotension, dizziness, lymphadenitis
- ⅄ Generally well tolerated at recommended doses

Chemical Degradation

- Stable under normal storage conditions
- Store in cool, dry place
- Citrate salt → improves stability and solubility

Structure–Activity Relationship (SAR)

- ○ Piperazine ring → essential for paralysis of microfilariae
- ○ Diethylcarbamoyl group → enhances binding to parasite receptors
- ○ Citrate salt → improves solubility and oral absorption
- ○ Activity is specific to circulating microfilariae; limited effect on adult worms

Thiabendazole

→ Thiabendazole is a broad-spectrum synthetic benzimidazole antihelminthic.
→ It is used to treat intestinal helminth infections, including Strongyloides stercoralis, Trichinella spiralis, and cutaneous larva migrans.
→ Thiabendazole works by inhibiting microtubule formation in parasites, leading to impaired glucose uptake, energy depletion, and death.

Classification

Based on Chemical Class

- Benzimidazole derivative
- Synthetic antihelminthic

Based on Action

- Ovicidal, larvicidal, and vermicidal – effective against eggs, larvae, and adult worms
- Mechanism: inhibits tubulin polymerization → disrupts microtubules

Based on Use

- Oral therapy – tablets or suspension
- Topical therapy – cream for cutaneous larva migrans

Structure

⇨ Benzimidazole ring with thiazole substitution

Molecular formula: $C_{10}H_7N_3S$

Structural Characteristics

- Lipophilic → good tissue penetration
- Inhibits parasite tubulin polymerization
- Formulated as oral tablets, suspension, and topical cream

Nomenclature

- Thiabendazole → generic name
- Brand names: Mintezol, Tresiben
- Formulations: oral tablets, oral suspension, topical cream

Mechanism of Action

- ⇨ Thiabendazole binds to parasite β-tubulin.
- ⇨ Inhibits tubulin polymerization, preventing microtubule formation.
- ⇨ Disrupts glucose uptake, nutrient absorption, and energy metabolism.
- ⇨ Leads to paralysis and death of helminths.
- ⇨ Hence, thiabendazole is vermicide, larvicide, and ovicide.

Spectrum of Activity

- ⅄ Strongyloides stercoralis – intestinal threadworm
- ⅄ Trichinella spiralis – trichinosis
- ⅄ Ancylostoma spp., Necator spp. – hookworm (less effective)
- ⅄ Cutaneous larva migrans – topical application
- ⅄ Limited activity against systemic helminths

Uses

- Intestinal strongyloidiasis
- Trichinosis – especially early larval stage
- Cutaneous larva migrans – topical therapy
- Alternative for ascariasis and hookworm infections

Adverse Effects

- ▪ Gastrointestinal: nausea, vomiting, abdominal pain
- ▪ Neurological: dizziness, headache
- ▪ Rare: hypersensitivity reactions, liver enzyme elevation
- ▪ Topical use: local irritation or rash

Chemical Degradation

- Stable under normal storage conditions
- Store in cool, dry place, protected from light
- Avoid high temperatures and moisture

Structure–Activity Relationship (SAR)

- ❖ Benzimidazole ring → essential for tubulin binding
- ❖ Thiazole substitution → enhances vermicide activity
- ❖ Lipophilicity → aids tissue penetration and absorption
- ❖ Effective against larval and adult helminths due to microtubule disruption

Mebendazole

→ Mebendazole is a broad-spectrum synthetic benzimidazole antihelminthic.
→ It is widely used for intestinal helminth infections such as Ascaris lumbricoides, Trichuris trichiura, hookworms, and Enterobius vermicularis.
→ Mebendazole works by inhibiting microtubule formation in parasites, leading to impaired glucose uptake, depletion of energy stores, and death of the worms.

Classification

Based on Chemical Class

- Benzimidazole derivative
- Synthetic antihelminthic

Based on Action

- Ovicidal, larvicidal, and vermicidal – effective against eggs, larvae, and adult worms
- Mechanism: binds to parasite β-tubulin → disrupts microtubules → inhibits energy metabolism

Based on Use

- Oral therapy – tablets or chewable formulations

Structure

⇨ Benzimidazole ring with carbamate substitution

Molecular formula: $C_{16}H_{13}N_3O_3$

Structural Characteristics

- Poorly water-soluble → oral absorption is low (less than 10%)
- Lipophilic → acts locally in the intestinal lumen
- Formulated as tablets, chewable tablets, and suspension

Nomenclature

- Mebendazole → generic name
- Brand names: Vermox, Ovex, Antiox
- Formulations: oral tablets, chewable tablets, oral suspension

Mechanism of Action

- ⇨ Mebendazole binds selectively to β-tubulin in helminths.
- ⇨ Inhibits tubulin polymerization, preventing microtubule formation.
- ⇨ Disrupts glucose uptake and energy metabolism.
- ⇨ Causes paralysis and death of worms.
- ⇨ Hence, mebendazole is vermicide, larvicide, and ovicide.

Spectrum of Activity

- ⅄ Ascaris lumbricoides – roundworm
- ⅄ Trichuris trichiura – whipworm
- ⅄ Ancylostoma duodenale, Necator americanus – hookworm
- ⅄ Enterobius vermicularis – pinworm
- ⅄ Effective mainly against intestinal helminths, not systemic parasites

Uses

- Intestinal helminthiasis – roundworm, whipworm, hookworm, pinworm
- Mass deworming programs in endemic areas
- Adjunct therapy in mixed helminth infections

Adverse Effects

- ▪ Gastrointestinal: abdominal pain, diarrhea, nausea
- ▪ Rare: headache, dizziness, mild hypersensitivity reactions
- ▪ Safe for short-term use; high doses may cause liver enzyme elevation

Chemical Degradation

- Stable under normal storage conditions
- Store in cool, dry place, protected from light
- Avoid moisture to maintain tablet stability

Structure–Activity Relationship (SAR)

- ❖ Benzimidazole ring → essential for tubulin binding and microtubule inhibition
- ❖ Carbamate substitution → enhances vermicide activity
- ❖ Lipophilic → limited systemic absorption → acts mainly in intestinal lumen
- ❖ Effective against larvae and adult helminths due to disruption of energy metabolism

Albendazole

→ Albendazole is a broad-spectrum benzimidazole antihelminthic.
→ It is used for intestinal and tissue helminth infections, including ascariasis, trichuriasis, hookworm, strongyloidiasis, and cysticercosis.
→ Albendazole works by inhibiting microtubule polymerization in parasites, causing impaired glucose uptake, depletion of glycogen stores, and death.

Classification

Based on Chemical Class

- Benzimidazole derivative
- Synthetic antihelminthic

Based on Action

- Ovicidal, larvicidal, and vermicidal – effective against eggs, larvae, and adult worms
- Mechanism: binds to parasite β-tubulin → disrupts microtubules → inhibits energy metabolism

Based on Use

- Oral therapy – tablets, chewable tablets, suspension

Structure

→ Benzimidazole ring with carbamate and methylthio substitutions

Molecular formula: $C_{12}H_{15}N_3O_2S$

Structural Characteristics

⇨ Poor water solubility → oral absorption is enhanced with fat-containing meals
⇨ Lipophilic → allows penetration into systemic tissues
⇨ Formulated as tablets, chewable tablets, and suspension

Nomenclature

- Albendazole → generic name
- Brand names: Albenza, Zentel, Wormnil
- Formulations: tablets, chewable tablets, oral suspension

Mechanism of Action

- ◈ Albendazole binds selectively to parasite β-tubulin.
- ◈ Inhibits microtubule polymerization, disrupting structural integrity of intestinal and tissue cells.
- ◈ Impairs glucose uptake and energy metabolism.
- ◈ Leads to death of worms, larvae, and eggs.
- ◈ Hence, albendazole is vermicide, larvicide, and ovicide.

Spectrum of Activity

- ⇨ Intestinal helminths: Ascaris lumbricoides, Trichuris trichiura, hookworm, Enterobius vermicularis
- ⇨ Tissue helminths: Strongyloides stercoralis, Taenia solium (cysticercosis), Echinococcus spp.
- ⇨ Effective against both intestinal and systemic parasitic infections

Uses

- ⅄ Intestinal helminthiasis – roundworm, whipworm, hookworm, pinworm
- ⅄ Mass deworming programs in endemic areas
- ⅄ Strongyloidiasis and trichostrongyliasis

Adverse Effects

- Gastrointestinal: nausea, vomiting, abdominal pain
- Headache, dizziness
- Rare: liver enzyme elevation, neutropenia, hypersensitivity reactions

Chemical Degradation

- ▪ Stable under normal storage conditions
- ▪ Store in cool, dry place, protected from light

Structure–Activity Relationship (SAR)

- ❖ Benzimidazole ring → essential for tubulin binding and microtubule inhibition
- ❖ Carbamate group → enhances vermicide activity
- ❖ Methylthio substitution → improves lipophilicity and tissue penetration
- ❖ Effective against intestinal and tissue helminths due to energy metabolism disruption

Niclosamide

→ Niclosamide is a synthetic antihelminthic drug.
→ It is primarily used for the treatment of tapeworm infections (cestodes) such as Taenia saginata, Taenia solium, and Diphyllobothrium latum.
→ Niclosamide acts by inhibiting energy metabolism in the worm, leading to paralysis and death of adult worms in the intestine.
→ It is poorly absorbed systemically, so it acts mainly in the intestinal lumen.

Classification

Based on Chemical Class

- Chlorinated salicylanilide derivative
- Synthetic anti-cestodal agent

Based on Action

- Cestocidal – kills adult tapeworms
- Mechanism: inhibition of oxidative phosphorylation and ATP production

Based on Use

- Oral therapy – tablets or suspension

Structure

➢ Salicylanilide nucleus with para-chloro substitution

Molecular formula: $C_{13}H_8Cl_2N_2O_4$

Structural Characteristics

- Poorly soluble in water → acts locally in the intestine
- Lipophilic moieties → inhibit mitochondrial energy metabolism
- Formulated as oral tablets

Nomenclature

◈ Niclosamide → generic name
◈ Brand names: Niclocide, Yomesan
◈ Formulations: oral tablets, chewable tablets

Mechanism of Action

- ⇨ Niclosamide uncouples oxidative phosphorylation in tapeworm mitochondria.
- ⇨ Reduces ATP production, depriving the worm of energy.
- ⇨ Disrupts glucose uptake and glycogen metabolism.
- ⇨ Causes paralysis and death of adult tapeworms, which are then expelled in feces.
- ⇨ Hence, niclosamide is cestocidal but not effective against larval forms.

Spectrum of Activity

- → Taenia saginata – beef tapeworm
- → Taenia solium – pork tapeworm
- → Diphyllobothrium latum – fish tapeworm
- → Ineffective against larval stages or systemic infections

Uses

- ⅄ Intestinal tapeworm infections – Taenia and Diphyllobothrium species
- ⅄ Alternative for patients intolerant to praziquantel

Adverse Effects

- Gastrointestinal: nausea, vomiting, abdominal discomfort
- Mild headache or dizziness
- Rare: hypersensitivity reactions, rash
- Safe due to minimal systemic absorption

Chemical Degradation

- ○ Stable under normal storage conditions
- ○ Store in cool, dry place, protected from light
- ○ Avoid moisture to maintain tablet integrity

Structure–Activity Relationship (SAR)

- ▪ Salicylanilide nucleus → essential for mitochondrial uncoupling
- ▪ Para-chloro substitution → enhances cestocidal activity
- ▪ Poor systemic absorption → acts locally in intestinal lumen
- ▪ Effective only against adult tapeworms, not larval or tissue stages

Oxamniquine

→ Oxamniquine is a synthetic anti-schistosomal drug.
→ It is primarily used for the treatment of Schistosoma mansoni infections, one of the causative agents of intestinal schistosomiasis.
→ Oxamniquine works by paralyzing adult worms, causing them to detach from the mesenteric veins, and inducing death.

Classification

Based on Chemical Class

- Thiazine derivative
- Synthetic anti-schistosomal agent

Based on Action

- Schistosomicidal – kills adult Schistosoma mansoni
- Mechanism: induces DNA damage and inhibits RNA/protein synthesis

Based on Use

- Oral therapy – single-dose administration

Structure

⇨ Thiazine nucleus with substituted amine groups

Molecular formula: $C_{14}H_{20}N_2O_2S$

Structural Characteristics

◈ Lipophilic → good tissue penetration
◈ Active mainly against adult worms in mesenteric veins
◈ Formulated as oral tablets

Nomenclature

✪ Oxamniquine → generic name
✪ Brand names: Vansil, Mansil
✪ Formulations: oral tablets

Mechanism of Action

⇨ Oxamniquine enters adult Schistosoma mansoni worms.
⇨ Intercalates with DNA, causing inhibition of RNA and protein synthesis.
⇨ Paralyzes worms → they detach from mesenteric veins.
⇨ Host immune system then eliminates the dead worms.

Spectrum of Activity

→ Schistosoma mansoni – intestinal schistosomiasis
→ Less effective against S. haematobium or S. japonicum
→ Mainly active against adult worms, not larvae (schistosomula)

Uses

- Treatment of intestinal schistosomiasis caused by S. mansoni
- Single-dose therapy for mass treatment programs in endemic areas
- Alternative to praziquantel in specific cases

Adverse Effects

- Gastrointestinal: nausea, vomiting, abdominal pain
- Dizziness, headache, drowsiness
- Rare: hypersensitivity reactions
- Usually well tolerated with single-dose therapy

Chemical Degradation

- Stable under normal storage conditions
- Store in cool, dry place, protected from light
- Avoid moisture to maintain tablet stability

Structure–Activity Relationship (SAR)

- Thiazine nucleus → essential for DNA binding and schistosomicidal activity
- Lipophilic substitutions → enhance tissue penetration and worm uptake
- Active mainly against adult worms due to targeted DNA interaction
- Single-dose efficacy depends on high worm concentration and host immune clearance

Praziquantel

→ Praziquantel is a broad-spectrum antihelminthic used for trematode and cestode infections.
→ It is the drug of choice for schistosomiasis (S. mansoni, S.
→ haematobium, S. japonicum) and taeniasis (Taenia spp.).
→ Praziquantel works by increasing the permeability of parasite cell membranes to calcium ions, causing muscle contraction, paralysis, and death of the worm.

Classification

Based on Chemical Class

- Isoquinoline-pyrazine derivative
- Synthetic antihelminthic

Based on Action

- Trematocidal and cestocidal – kills flukes and tapeworms
- Mechanism: disrupts membrane integrity via calcium influx → paralysis → immune-mediated death

Based on Use

- Oral therapy – tablets or suspension
- Sometimes parenteral use in research or special cases

Structure

- Isoquinoline-pyrazine fused ring system with substituted carbonyl and aromatic groups

Molecular formula: $C_{19}H_{24}N_2O_2$

Structural Characteristics

- Lipophilic → absorbed orally and distributed to tissues
- Active against both adult worms and some larval stages
- Formulated as oral tablets, chewable tablets, and suspension

Nomenclature

- Praziquantel → generic name
- Brand names: Biltricide, Cesol, Distocide
- Formulations: tablets, chewable tablets, oral suspension

Mechanism of Action

- ◈ Praziquantel increases permeability of parasite membranes to Ca^{2+} ions.
- ◈ Causes rapid muscular contraction and spastic paralysis.
- ◈ Paralysis leads to detachment from host tissues.
- ◈ Exposes worms to host immune response, resulting in death.
- ◈ Hence, praziquantel is trematocidal and cestocidal.

Spectrum of Activity

- ⇨ Trematodes (flukes): Schistosoma mansoni, S. haematobium, S. japonicum, Fasciola spp., Clonorchis spp.
- ⇨ Cestodes (tapeworms): Taenia saginata, T. solium, Diphyllobothrium latum
- ⇨ Less effective against larval tissue stages, except in some cestode infections

Uses

- → Taeniasis – T. saginata, T. solium infections
- → Clonorchiasis and opisthorchiasis – liver fluke infections
- → Cestode infections – including Diphyllobothrium latum

Adverse Effects

- Gastrointestinal: nausea, vomiting, abdominal pain
- Neurological: dizziness, headache, fatigue

Chemical Degradation

- Stable under normal storage conditions
- Store in cool, dry place, protected from light
- Sensitive to high heat and moisture

Structure–Activity Relationship (SAR)

- ❖ Isoquinoline-pyrazine ring system → essential for calcium ion permeability effect
- ❖ Lipophilic substitutions → enhance oral absorption and tissue penetration
- ❖ Active against both trematodes and cestodes due to muscle paralysis and immune exposure
- ❖ Single-dose efficacy depends on high local concentration and host immune response

Ivermectin

→ Ivermectin is a broad-spectrum antiparasitic agent belonging to the avermectin class.
→ It is used for both human and veterinary parasitic infections.
→ Ivermectin works by paralyzing and killing nematodes and arthropods through interference with neurotransmission in parasites.

Classification

Based on Chemical Class

- Macrocyclic lactone derivative (avermectin)
- Synthetic antiparasitic

Based on Action

- Nematocidal and ectoparasiticidal – kills nematodes and arthropods
- Mechanism: activates glutamate-gated chloride channels → hyperpolarization → paralysis

Based on Use

- Oral therapy – tablets or suspension
- Topical therapy – creams or lotions (for ectoparasites)

Structure

⇨ Macrocyclic lactone ring with oleandrose sugar moiety

Molecular formula: $C_{48}H_{74}O_{14}$

Structural Characteristics

- Highly lipophilic → good tissue distribution
- Acts selectively on invertebrate chloride channels
- Formulated for oral or topical administration

Nomenclature

◈ Ivermectin → generic name
◈ Brand names: Stromectol, Mectizan
◈ Formulations: oral tablets, topical lotion/cream

Mechanism of Action

- ⇨ Ivermectin binds to glutamate-gated chloride channels in nematodes and arthropods.
- ⇨ Causes increased chloride ion influx, leading to hyperpolarization of nerve and muscle cells.
- ⇨ Results in paralysis and death of the parasite.
- ⇨ Does not affect human chloride channels at therapeutic doses, providing safety.
- ⇨ Hence, ivermectin is nematocidal and ectoparasiticidal.

Spectrum of Activity

- → Nematodes: Onchocerca volvulus (river blindness), Wuchereria bancrofti, Strongyloides stercoralis
- → Ectoparasites: Scabies (Sarcoptes scabiei), head lice (Pediculus humanus)
- → Limited activity against cestodes or trematodes

Uses

- ⅄ Onchocerciasis – microfilaricidal
- ⅄ Lymphatic filariasis – mass drug administration (MDA) programs
- ⅄ Strongyloidiasis – intestinal nematode infection

Adverse Effects

- Mazzotti-type reaction in filariasis: fever, headache, dizziness, rash
- Gastrointestinal: nausea, diarrhea, abdominal pain

Chemical Degradation

- ▪ Stable under normal storage conditions
- ▪ Store in cool, dry place, protected from light

Structure–Activity Relationship (SAR)

- Macrocyclic lactone ring → essential for binding to glutamate-gated chloride channels
- Oleandrose sugar moiety → improves lipophilicity and bioavailability
- Selective for invertebrate chloride channels → safety in humans
- Effective against microfilariae, intestinal nematodes, and ectoparasites