

Antiscabies and Antipedicular agents

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Scabies

- **Causative agent:** *Sarcoptes scabiei* (mite)
- **Mode of transmission:** Skin-to-skin contact
- **Clinical features:** Intense itching, especially at night; burrows in skin; nodular and papular rash
- **Pathophysiology:** Mite burrows into the stratum corneum and lays eggs. Host immune response to mite antigens causes inflammation and pruritus.

Pediculosis (Lice Infestation)

- **Causative agents:**
 - *Pediculus humanus capitis* (head lice)
 - *Pediculus humanus corporis* (body lice)
 - *Phthirus pubis* (pubic lice)
- **Mode of transmission:** Close personal contact or sharing personal items
- **Clinical features:** Itching, presence of lice/nits, excoriations, secondary bacterial infections

Pharmaceutical Formulations and Dosage Forms

Drug	Formulation	Concentration	Indication
Permethrin	Cream, lotion	1%, 5%	Lice, Scabies
Ivermectin	Oral tablets, topical lotion	200 µg/kg (oral)	Lice, Scabies
Lindane	Lotion, shampoo	1%	Lice, Scabies
Sulfur	Ointment	6–10%	Scabies
Malathion	Lotion	0.5%	Lice
Benzyl Alcohol	Lotion	5%	Lice
Crotamiton	Cream, lotion	10%	Scabies, Pruritus
Spinosad	Topical suspension	0.9%	Head lice

Treatment Guidelines and Resistance Considerations

- **Scabies:** First-line is permethrin 5% cream or oral ivermectin (especially in crusted or widespread scabies).
- **Lice:** Permethrin 1%, malathion, benzyl alcohol, or spinosad are used.

- **Resistant Cases:** Oral ivermectin, spinosad, or sulfur-based products may be used.
- **Re-treatment** is typically advised after 7–10 days to eliminate any newly hatched organisms (since many agents are not ovicidal).
- **Environmental decontamination:** Washing clothes, bedding in hot water, sealing unused items for 72 hours is essential to prevent reinfestation.

Antiscabies Agents

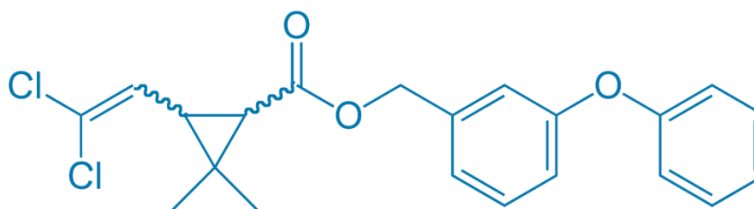
Common Antiscabies Agents

Drug	Class	Route	Mechanism
Permethrin	Synthetic pyrethroid	Topical	Disrupts sodium ion influx through nerve cell membrane channels (paralyzes mite)
Lindane (γ -hexachlorocyclohexane)	Organochlorine	Topical	CNS stimulation via GABA antagonism (in mites)
Crotamiton	Sulfur derivative	Topical	Unknown; possibly toxic to mite's nervous system
Benzyl benzoate	Ester	Topical	Neurotoxic to mite; causes paralysis and death

Medicinal Chemistry Aspects

1. Permethrin

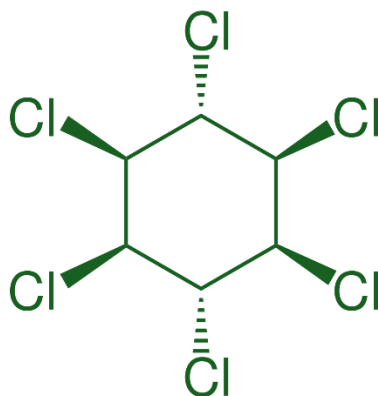
- **Structure:** Pyrethroid ester with a cyclopropane ring.



- **SAR:**
 - Essential **cyclopropane carboxylic acid** moiety for activity.
 - The **trans isomer** has higher insecticidal activity.
 - Stability is enhanced with electron-withdrawing groups.
- **Notes:**
 - Metabolized by ester hydrolysis and oxidation.
 - Low systemic absorption when applied topically.

2. Lindane

- **Structure:** Hexachlorocyclohexane isomer (γ -isomer).



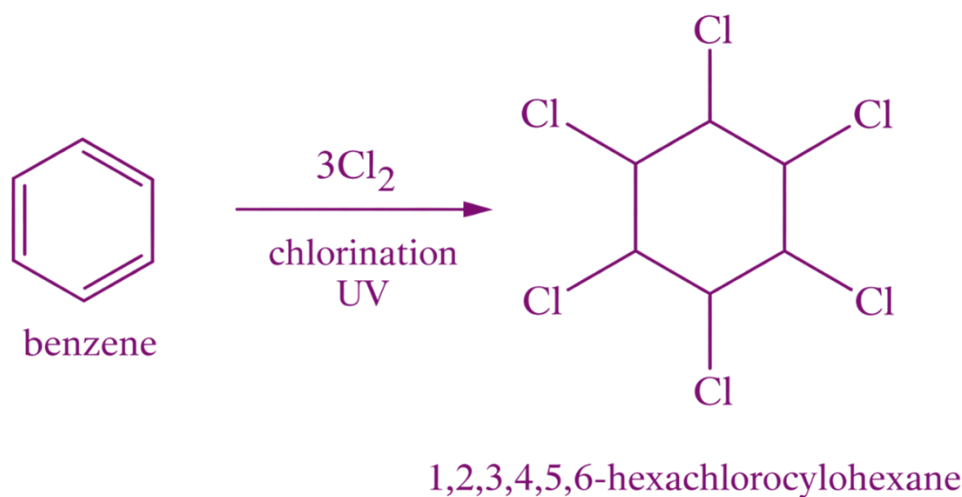
- **SAR:**

- Chlorination of the cyclohexane ring increases CNS penetration in mites.
- Lipophilic, thus accumulates in fatty tissues of mites.

- **Notes:**

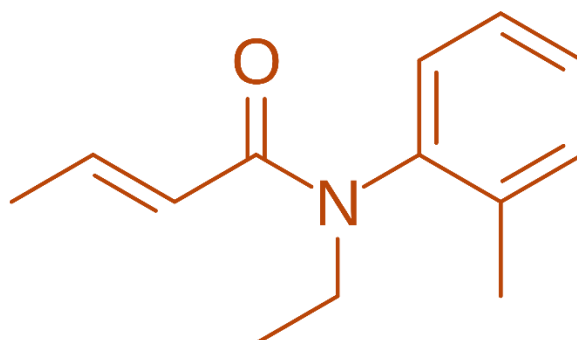
- Neurotoxicity in humans at high concentrations.
- Banned or restricted in many countries due to toxicity.

- **Synthesis:**



3. Crotamiton

- **Structure:** Ethyl N-ethyl-N-(2-methylphenyl)aminocrotonate.



- **SAR:** Not well-established; minor structural changes greatly affect efficacy.
- **Notes:** Also has antipruritic (anti-itching) properties.

Antipedicular (Antilice) Agents

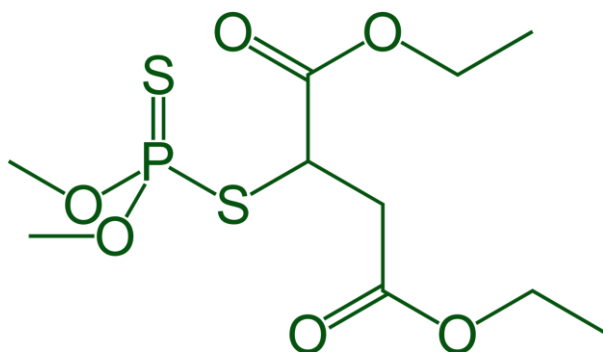
Common Antipedicular Agents

Drug	Class	Route	Mechanism
Permethrin	Synthetic pyrethroid	Topical	Same as in scabies—neurotoxic via Na ⁺ channels
Lindane	Organochlorine	Topical	GABA inhibition (neuroexcitation of lice)
Malathion	Organophosphate	Topical	Irreversible acetylcholinesterase inhibitor
Benzyl benzoate	Ester	Topical	Neurotoxic to lice; paralytic effect

Medicinal Chemistry Aspects

1. Malathion

- **Structure:** Diethyl dimethoxy phosphorodithioate derivative.



- **SAR:**
 - The **thiophosphate group** is bioactivated to **oxon form** (potent AChE inhibitor).
 - Lipophilic side chains allow better penetration into lice.
- **Notes:**
 - Rapidly hydrolyzed in mammals (less toxic to humans).
 - Used as 0.5% lotion; flammable.

2. Benzyl Benzoate

- **Structure:**



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