

Insecticide

Any substance or mixture of substances intended for preventing, destroying, repelling, or mitigating insects.





ما أساسيات التقسيم ؟



















insecticide...?? "choice of insecticide"





Chemical Control: Insecticides









Botanical Insecticides



Secondary compounds

- Alkaloids
- Terpenoids
- Phenolics
- Glucosinolates
- Etc.

Organophosphates



Phosphoric Acid



Phosphorothioate



Chlorpyrifos ((Dursban)

Avermectins

- Abamectin
 - Avert -- cockroaches
 - Advance -- ants



Produced from soil microbials. Stomach poison for ants and cockroaches slow acting low toxicity to mammals





Considerations in use of insecticides









TRENDS in Pharmacological Sciences



Examples



Organochlorines

- Endosulfan
 - Gamma HCH
 - Gamma BHC



Carbamates - Aldicarb - Carbofuran

Pyrethroids -Tefluthrin



- Lambda
- -cyhalothrin
- Permethrin
 - Cypermethrin

Neonicotenoids

- -imidacloprid
- -nitempyram
- acetamiprid
- thiamethoxam

Organophosphates



- -Diazinon
- -Fenitrothion
- -Dichlorvos
- -Dimethoate
- Malathion

Examples

Juvenile Hormones

- Methoprene
- Tebufenozide
- Fenoxycarb
- Pyriproxifen

Chitin Synthesis Inhibitors

- Diflubenzuron
- Hexaflumuron
- Triflumuron



Triazine Derivatives

- Melamine
- Cryomazine



Pesticide terms for route of entry

- <u>Stomach poison</u> -- enter orally usually in a food material
- Insect baits -- composed of attractive food and a toxicant
- Dusts -- applied to surfaces pests contact, pest crawls through residue, grooming results in ingestion
- Liquid baits -- boric acid and some rodenticides are water soluble and can be put in water sources of pests

MOA: GABA Antagonist

- Phenylpyrazole (fipronil), cyclodienes
- Antagonists of GABA activated chloride channels
- GABA Antagonists: chemicals that bind to but do not activate GAMMA-AMINOBUTYRIC ACID receptors, thereby blocking the actions of endogenous GAMMA-AMINOBUTYRIC ACID or GAMMA-AMINOBUTYRIC ACID agonists.
- GABA can't bind to receptor
- Doesn't activate channel (doesn't open)
- Interferes with (prevents) the passage of chlorine ions through the channel.
- Concerns with cross resistance with other GABAergic insecticides

Modes of Entrance into Insect

- Contact dermal through the skin
- Stomach oral through the mouth
- Respiration inhalation through the nose or gills
- Systemic combination of above

Pesticide terms for route of entry

- **<u>Contact poisons</u>** -- enter through cuticle of insects
 - most pesticides are contact poisons
 - most are lipophilic and enter the insect through the cuticular waxes and oils
- <u>Fumigants</u> -- vapors enter the insect through the spiracles during respiration
 - are true gases at room temperature
 - methyl bromide, sulfuryl fluoride, paradichlorobenzene, napthalene are true fumigants



Nature Reviews | Genetics

CONTACT INSECTICIDES



Nervous system





Mode of Toxicity in Insects

- Physical poison
- General protoplasmic poison
- Cellular enzyme poison
- Nerve poison
- Growth regulator
- Disease causing agent
- Repellant



Nervous System

- Why does this happen?
- Let's look at a "normal" nerve impulse.

IEFF JOHNSON BIOLOGICAL & MEDICAL VISUALS

Affect synaptic transmission



I Vesicles of acetylcholine 2 Imidacloprid 3 Nicotinic receptors blocked open 4 Constant neuromuscular stimulation



Acetylcholine Receptor

- Mimics acetylcholine on the receptor
 - Nicotinic receptor is a type of ACh receptor that is sensitive to nicotine
- Cannot be broken down by AChE
- Imidacloprid turns nerve impulse on but AChE does not degrade it
- Similar overstimulation as seen with OPs and Carbs



Acetylcholine System




Insecticides that Affect the Nervous System



Insecticides that Affect the Nervous System



Insecticides that Affect the Nervous System







Cholinesterase Inhibitors

- Organophosphates
- Carbamates



GABA Receptor in Central Nervous System

- Phenylpyrazoles or
- Fiproles





MOA: Carbamates and OPs

- Synaptic poisons
- Carbamates and organophosphorus insecticides bind to an enzyme called acetylcholinesterase (AChE)
- AChE is found at the nerve synapse
- AChE is designed to stop a nerve impulse after it has crossed the synapse

From Valles and Koehler. 1998. Insecticides Used in the Urban Environment: Mode of Action. ENY-282

MOA: Carbamates and OPs

- OPs and carbamates bind to AChE
- This prevents AChE from working (i.e., breaking down Ach)
- Therefore, nerve impulses continue to fire across the synapse
- Symptoms similar to pyrethroid poisoning—tremors and uncontrolled movement

From Valles and Koehler. 1998. Insecticides Used in the Urban Environment: Mode of Action. ENY-282

Organophosphate Effects

- Action on Human System Inhibits acetylcholinesterase enzyme in tissues.
- Systemic Effects Headache, dizziness, weakness, shaking, nausea, stomach cramps, diarrhea, sweating.
- Irritation Effects Minimal rashes, but readily absorbed through the skin.
- Delayed/Allergic Effects Loss of appetite,
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N-Methyl Carbamates Effects

- Action on Human System Reversible changes in acetylcholinesterase enzyme in tissues.
- Systemic Effects Headache, dizziness, weakness, shaking, nausea, stomach cramps, diarrhea, sweating.
- Irritation Effects Minimal rashes, but readily absorbed through the skin.
- Delayed/Allergic Effects Loss of appetite, weakness, weight loss, and general feeling of sickness.
- Reversible inhibition of AChE

Organophosphates and Carbamates

- Organophosphates
 - Chlorpyrifos*
 - Diazinon*
 - Trichlorfon*
 - Parathion*
- Carbamates
 - Carbaryl (Sevin)
 - Propoxur (Baygon)*

Chemicals which are derived from phosphoric and carbamic acid and bond with cholinesterase Acute toxicity Not persistent Hyper-excitation Insect flips on back with legs twitching Sulfur in formulation often causes strong odor

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Mediate intraspecific interactions

• Around 50 available for IPM

• Mostly use in association with traps

Growth Regulators

Interfere with development

• Disrupt metamorphosis and reproduction





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Synthetic Insecticides

Synthetic Insecticides

- Organochlorines
- Organophosphates
- Carbamates
- Pyrethroids
- Neonicotinoids







Biorational Formulations

Biorational Formulations

•Growth regulators

Pheromones

Microbial formulations





Microbial Formulations

Uses bacteria, fungi, nematodes, protozoa and viruses

• Mostly used as inundative releases

• Specific for arthropods

Other pesticide terms

- Ovicide -- kills eggs
- Larvicide -- kills larvae
- Adulticide -- kill adults
- <u>Insect growth regulator</u> -- disrupts normal growth and development of insects
- Dessicants -- cause insect death by dehydration
- Repellents -- repel insects and other arthropods
- Attractants -- attract insects and vertebrates
- Synergists -- chemicals used to enhance the insecticidal activity of other chemicals



World Health Organization (WHO) Classification of Pesticides by Hazard

	LD 50 for the rat (mg/kg body weight)			
Class	Oral		Dermal	
	Solids	Liquids	Solids	Liquids
la Extremely hazardous	5 or less	20 or less	10 or less	40 or less
Ib Highly hazardous	5 - 50	20 - 200	10 - 100	40 - 400
II Moderately hazardous	50 - 500	200 - 2000	100 - 1000	400 - 4000
III Slightly hazardous	Over 500	Over 2000	Over 1000	Over 4000

Toxicity to humans or nontarget organisms

- Most insecticides have the capacity to affect nontarget organisms
- Same as previously discussed
 - Highly toxic $LD_{50} 0 50 mg/kg$
 - Moderately toxic LD_{50} 50 500 mg/kg
 - Low toxicity LD_{50} 500 5,000 mg/kg
 - Nontoxic LD_{50} <5,000 mg/kg



Classes of Insecticides



Botanical Insecticides

- Sabadilla
- Nicotine
- Quassia
- Unsaturated isobutylamides
- Ryanodine
- Naphtoquinones
- Rotenone
- Sweet flag
- Marigolds
- Pyrethrum
- Azadirachtin
- Essential oils
- Botanical insecticides

Synthetic Insecticides

- Organochlorines
- •Organophosphates
- Carbamates
- Pyrethroids
- Neonicotinoids

Biorational Formulations

- •Growth regulators
- Pheromones
- Microbial formulations

Botanical Insecticides



Secondary compounds

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- Phenolics
- Glucosinolates
- Etc.



Pyrethrins and Pyrethroids

- Pyrethrum -- dust derived from ground chrysanthemum flowers
- Pyrethrins -- chemicals within pyrethrum that have insecticidal properties

Pyrethrins and Pyrethroids

Pyrethroids -- synthetically produced chemicals with similar chemistry and mode of action as pyrethrins, axonic poisons

- Type I
 - Short residual,
 - Fast flushing, and
 - Quick knockdown,
 - Negative temperature correlation: more effective at low temps
 - Ex.: Allethrin, d-phenothrin, resemethrin

- Type II
 - Long residual,
 - Slower flushing, and
 - Slower knockdown,
 - Better killing power than type 1
 - Positive temperature correlation
 - Ex.: Permethrin,
 cypermethrin, cyfluthrin,
 lambdacyhalothrin

•Synergists -- used to deactivate mixed function oxidases (MFOs) within insects

Pyrethroids Effects

• Action on Human System - Irritant

• Systemic Effects - Minimal

 Irritation Effects - Stinging, burning, itching, tingling, numbness of skin.

MOA: Pyrethroids

- Axonic poisons
- Bind to a protein called the voltage-gated sodium channel
- Normally, the sodium channel opens, causing stimulation of the nerve and closes to end the nerve signal
- Pyrethroids find to the socium channel and prevent it from closing normally
- Result: continuous nerve stimulation
- Symptoms: Tremors, uncoordinated movement

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Organophosphates



Phosphoric Acid



Phosphorothioate



Chlorpyrifos ((Dursban)

Carbamates



Carbamate
Neonicotinoids

- Imidacloprid (subgroup: pyridylmethylamine)
 - Advantage pet treatment
 - Merit -- turf ornamentals
 - Water soluble
 - Systemic in plants
 - Premise termites
 - Affects insects first by paralyzing mouthparts
 - Bayer Advantage OTC
- Thiamethoxam (subgroup: nitroguanidine)
 - Used in crops
 - Working on urban and landscape/turf/ornamentals label
- (Subgroup: nitromethylene)

Avermectins

- Abamectin
 - Avert -- cockroaches
 - Advance -- ants



Produced from soil microbials. Stomach poison for ants and cockroaches slow acting low toxicity to mammals

MOA: GABA Agonist

- Avermectins
- Bind to GABA (Gamma-Amino-Butyric Acid gated chloride channel
- Opens channel
- Chloride influx
- Cannot reach threshold for action potential
- Causes membrane to hyperpolarize, making it less excitatory, decreasing nerve transmission
- Result: flaccid paralysis and death

اسائدتى المظام

