Insecticides

Components of Pesticides

- Formulants:
  - Carriers
  - Antidusts
  - Attractants
  - Encapsulants
  - Solvents
  - Adjuvants
  - Emulsifiers
  - Stickers

- Pesticide Active Ingredient

- Many formulated products

Insecticide Nomenclature

- Common name: carbaryl
- Trade name: Sevin®
- Chemical name: 1-naphthyl N-methylcarbamate
**Insecticide Classification**

- Grouped several ways:
  - Application
  - Chemical composition
  - Nature - inorganic (without C) or organic
  - Mode of action

**Mode of Action**

- Most modern chemical insecticides are neurotoxins
- Low phytotoxicity
- Mode of action, either:
  - Prevent/interfere with transmission of impulse along axon
  - Prevent or provoke transfer of impulse across synapse

**Non-specific Neurotoxicity**
**Neurotoxicity**

![Diagram of neurotoxicity involving neurotransmitters in vertebrates and insects.]

**Neurotransmitters**

- **Vertebrate**
  - CNS
  - Organs
  - Receptor
  - Muscle

- **Insect**
  - CNS
  - Organs
  - Receptor
  - Muscle

**Neurotransmitters**

- Acetylcholine
- Epinephrine
- Glutamine
- Indole/Catechol
- GABA

**CNS**

- Sympathetic
- Parasympathetic
- Somatic
Organochlorines

- Dominant insecticides between 1940-1960s
- Few used nowadays in Western world
- Still widely used in developing countries for public health (notably DDT)

Organochlorines

- Characterized by persistence and lipophilicity
- Chlorination increases resistance to photo and microbial degradation
- Rapidly cross insect cuticle
- Highly toxic to insects (and mammals)
  - e.g. 0.001mg lethal to a mosquito
  - DDT LD_{50} = 2 mg/kg (cockroach) and 200 mg/kg (rat)

Organochlorines

- Mode of Action:
  - Bind to ion channels and prevent closing, causing prolonged secondary impulses and after potentials in PNS
  - Hyperexcitation, tremors, paralysis
Nicotine and Neo-nicotinoids

- Alkaloid from tobacco plant
- Used as a powder since 17th C
- Used as a spray since 19th C
- Contact insecticide
  - Can easily pass through insect cuticle

Mode of Action:
- Acetylcholine mimic
- Binds to acetylcholine receptors at synapse junctions
- Insensitive to acetylcholinesterase, therefore not degraded
- Persistent activation of receptors
  - Hyperexcitation, twitching, convulsions and death

Advantages:
- Systemic in plants
- Low application rate
- Few non-target effects
  - Lower affinity for acetylcholine receptors in mammals than in insects

Disadvantages:
- May have high acute and long-term toxicity to mammals (oral and dermal LD$_{50}$ = 30-50 mg/kg)
**Pyrethrin and Pyrethroids**

- Natural insecticide synthesized from *Chrysanthemum* flowers
- High insect toxicity at relatively low doses
  - “Knock-down ability”
- Not persistent
- Low mammalian toxicity (acute oral LD$_{50}$ = 820-40,000 mg/kg)
- Modern pyrethroids may be more toxic (LD$_{50}$ = 25 mg/kg)

**Mode of Action:**
- All interfere with transmission of nerve impulse along axon
- Bind to sodium ion channel and prolong opening during action potential
- May act on peripheral nervous system

**Type I:**
- Causes hyperexcitation and convulsions
  - e.g. allethrin, tetramethrin (natural)

**Type II:**
- Cause lack of coordination and irregular movements
  - e.g. synthetic pyrethroids

**Advantages:**
- Effective at low dose
  - e.g. 5g of pyrethroid capable of protecting an area from aphid attack, requiring 500g of an organophosphate
  - Much less selective on mammals than on insects

**Disadvantage:**
- None are systemic
Colony Collapse Disorder

Organophosphorus and Methyl Carbamates

- Includes some of most toxic pesticides in use today
- Most not persistent, don’t bioaccumulate
- Discovered in Germany in 1930s
  - Toxicity to humans discovered almost immediately with accidental poisoning
  - Some compounds (Sarin, Tabun) used as nerve gas in warfare and terrorism

Mode of Action:
- Binds to acetylcholinesterase and prevents further neurotransmission
- Acetylcholine builds up in synaptic area
- Restlessness, hyperexcitability, tremors, convulsions, paralysis
- Acts on CNS, slower acting
Organophosphorus and Methyl Carbamates

- Advantages:
  - Some are systemic (translocating within plants)
  - Need to apply less frequently
  - Good for sucking insects
- Disadvantages:
  - Toxic to mammals at low doses, even dermally contacted (applied as granules)
  - e.g. Parathion (LD₅₀ = 2-50 mg/kg)

Insect Growth Regulators

- The only arthropod specific pesticides
- Extremely low toxicity to mammals
- Include inhibitors of chitin synthesis, mimics of juvenile hormone and moulting hormones

Insect Growth Regulators

- A) Benzoylureas
  - Interfere with chitin synthesis (50% of exoskeleton)
  - Block linkage of N-acetylglucosamine units
  - Insect loses structural integrity and dies
  - Most effective when applied before a moult
**Insect Growth Regulators**

- **B) JH mimics**
  - Particularly effective when JH concentrations are low (such as pre-pupation)
  - Used as control of larval stage of mosquitoes, midges and beetles
  - Disrupts reproductive physiology of adult insects

**Insect Growth Regulators**

- **C) Synthetic Ecdysones**
  - Developed in 1990s
  - Low toxicity to some beneficial arthropods, such as honey bee
  - e.g. Tebufenozide: binds to ecdysone receptor protein of lepidopteran larvae
    - Induces lethal molts in all larval stages
    - High level of selectivity

**Toxins from Bacillus thuringiensis**

- Spore producing bacterium
- Endotoxins produced during sporulation are specific gut poisons to insects
  - Disrupts membrane leading to lysis
Toxins from *Bacillus thuringiensis*

- Several species of *Bacillus* have been used
  - *B. popilliae, B. lentimorbus*
  - Highly fastidious (require host to reproduce)
  - *B. thuringiensis* less fastidious, therefore easier to propagate and use commercially

Toxins from *Bacillus thuringiensis*

- Several sub-species discovered to have highly specific toxicity:
  - *B.t. kurstaki* and *aizawai* (Lepidoptera)
  - *B.t. israelensis* (Diptera)
  - *B.t. tenebrionis* (Coleoptera)
- *B.t.* toxins do not affect other species of animals directly
  - Degrade rapidly, not persistent

*B.t.* Resistance Potential

- Shown in laboratory for Indian Mealmoth (*Plodia interpunctella*), Almond Moth (*Cadra cautella*), Diamon-backed Moth (*Plutella xylostella*), Colorado Potato Beetle (*Leptinotarsa decemlineata*) and House Fly (*Musca domestica*)
References