Insecticides

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
Insecticide Classification

- Divided into pre- and post-WWII chemicals
- Pre-WWII, mostly inorganics

Pre-WWII Insecticides

- Mostly stomach poisons
- Arsenicals
  - Highly toxic to mammals (rat LD$_{50} = 22$mg/kg)
- Fluorides
  - Moderate to low toxicity to mammals (LD$_{50} = 200-13,500$ mg/kg)

Post-WWII Insecticides

- 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
Neurotoxicity

• Because invert and vert nervous systems are essentially the same, most insecticides are not specific
Neurotransmitters

- **Acetylcholine**
  - Most common in insects and most mammals (including humans)
  - Principal neurotransmitter for neuromuscular junctions
- Others include GABA, glutamic acid, octopamine, dopamine and serotonin

Categories of Types of Insecticides

1) **Organophosphates**
   - e.g. Malathion
2) **Carbamates**
   - e.g. Carbofuran
3) **Pyrethroids**
   - e.g. Deltamethrin
4) **Chlorinated hydrocarbons**
   - e.g. DDT

5) **Nicotinoids**
   - e.g. Imidacloprid
6) **Horticultural Oils**
   - e.g. Neem
7) **Insecticidal Soaps**
   - e.g. Safer’s
8) **Microbials**
   - e.g. *Bacillus thuringiensis*
Categories of Types of Insecticides

9) Insect Growth Regulators
   – e.g. Fenoxycarb
10) Oxidative Phosphorylation Inhibitors
    – e.g. Hydramethylinon
11) Botanicals
    – e.g. Cinnamaldehyde
12) Inorganics
    – e.g. Sulfur, Boric Acid

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 LD₅₀ = 820-40,000 mg/kg)
- Modern pyrethroids may be more toxic (LD₅₀ = 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
Pyrethrin and 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

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 (LD$_{50}$ = 10 mg/kg)

Nicotine and Nicotinoids

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

Nicotine and Nicotinoids

- 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
Nicotine and Nicotinoids

- **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 mg/kg)

Organochlorines

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

- 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:**
  - Similar to pyrethroids
  - Bind to ion channels and prevent closing, causing prolonged secondary impulses and after potentials in PNS
  - Hyperexcitation, tremors, paralysis

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**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

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**Insect Growth Regulators**

- A) Benzoylureas
  - Interfere with chitin synthesis (50% of exoskeleton)
  - Block linkage of N-acetylg glucosamine 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

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
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

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 AT ALL
- Degrade rapidly, not persistent

Gypsy Moth (*Lymantria dispar*) control in Vancouver, B.C. in 1992
Eastern population reached West by 1978
Vancouver spray concerned arrival of Asian cousin in 1991
- Potential for foliage loss great
- Loss of trade with USA greatest economic impact (quarantined wood)
Due to history of Gypsy Moth control with DDT, eco-aware Vancouverites looked for a politically (environmentally) correct method of control. B.t. used for 35 years, most heavily tested, successful. Passed every conceivable test for toxic, carcinogenic and mutagenic non-target effects. No evidence of unintended medical or environmental effects.

However, Vancouverites outraged at spray proposal. Distrust for scientists and regulators with respect to pesticide issues. Feared medical issues after contact with bacteria. Provincial Health Officer H.M. Richards: "the scientific evidence linking Gypsy Moth to human illness is stronger than for B.t."

Sprays occurred between mid-April into May. 3 applications over much of Vancouver by helicopter.

During sprays, Citizens Against Aerial Spraying (CAAS) group released press statement: "the aerial spray program may have claimed its first casualty", referring to a child who died in Children's Hospital. Had been playing outside in a recently sprayed area. CAAS did not mention child had leukemia, had recently undergone bone marrow transplant and was on immuno-suppression drugs.
**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**