Unit 1
MODULE
4

INSECTICIDE FORMULATIONS

I. Introduction

Insecticide is any toxic substance that is used to kill insects. Such substances are used primarily to control pests that infest cultivated plants or to eliminate disease-carrying insects in specific areas.

Insecticides can be classified in any of several ways, on the basis of their chemistry, their toxicological action, or their mode of penetration. In the former scheme, besides the synthetics, some organic compounds occurring naturally in plants are useful insecticides, as are some inorganic compounds; some of these are permitted in organic farming applications. Most insecticides are sprayed or dusted onto plants and other surfaces traversed or fed upon by insects.

II. Learning Objectives

At the end of the module, students are expected to:

1. Identify the different types of synthetic insecticides.

2. List the different active ingredients of synthetic insecticides.

3. Distinguish the different effects and entry point of each synthetic insecticide.

III. Pre-Test

Questions

What is a synthetic insecticide?

Question

What are the different chemical groups of synthetic insecticides?

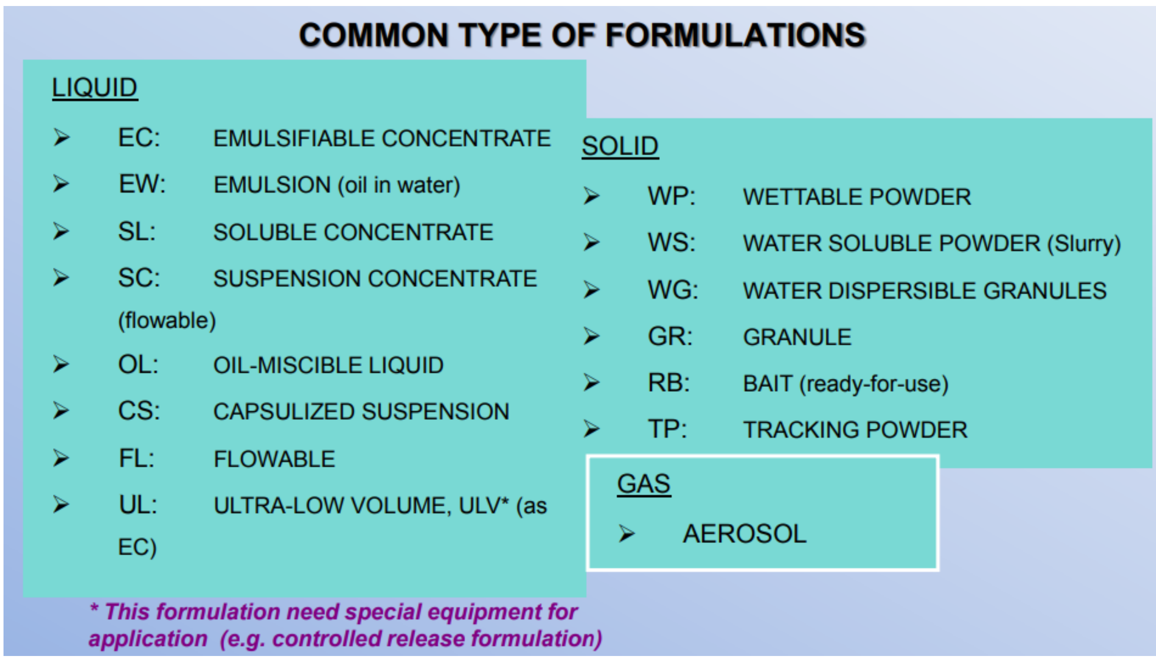
Question

What is AI or Active Ingredient?

V. Discussion

Synthetic insecticides

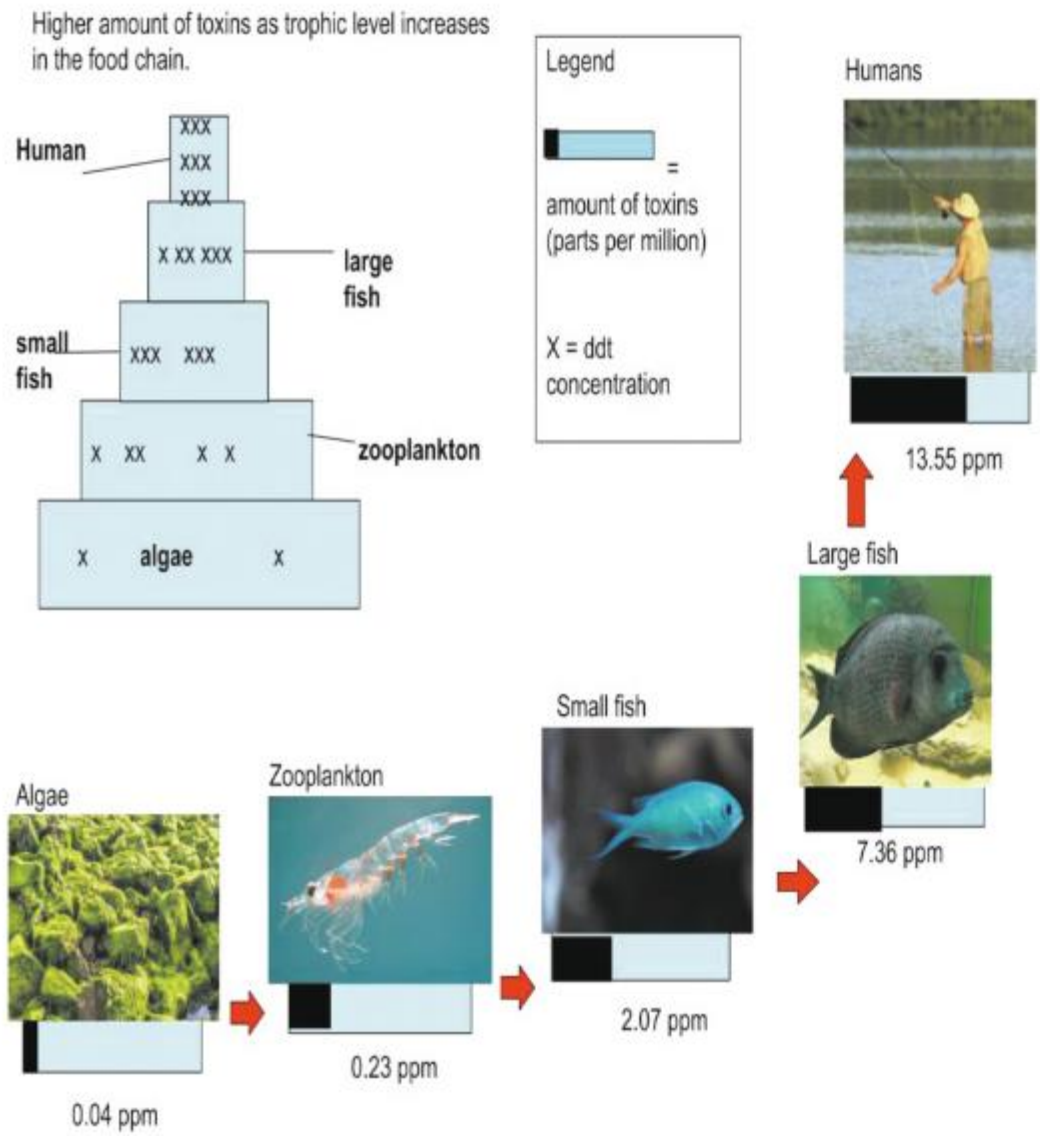
The synthetic contact insecticides are now the primary agents of insect control. In general they penetrate insects readily and are toxic to a wide range of species. The main synthetic groups are the chlorinated hydrocarbons, organic phosphates (organophosphates), and carbamates.



Chlorinated hydrocarbons

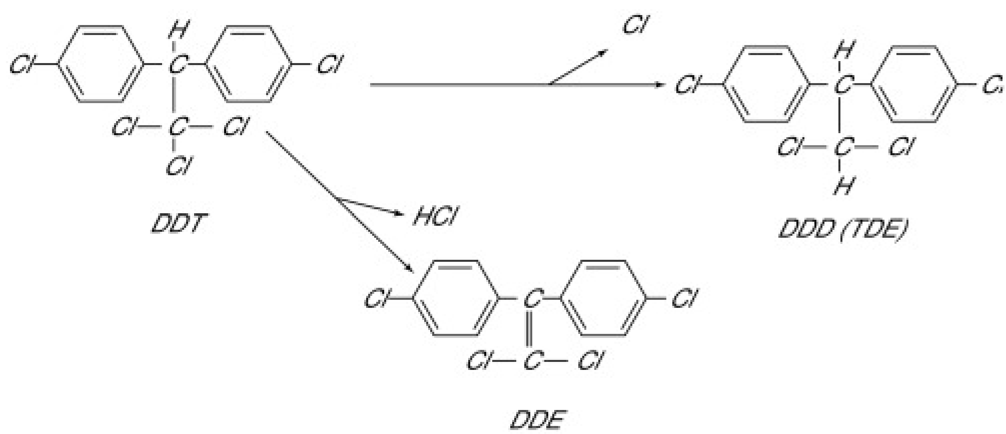
The chlorinated hydrocarbons were developed beginning in the 1940s after the discovery (1939) of the insecticidal properties of DDT. Other examples of this series are BHC, lindane, Chlorobenzilate, methoxychlor, and the cyclodienes (which include aldrin, dieldrin, chlordane, heptachlor, and endrin). Some of these compounds are quite stable and have a long residual action; they are, therefore, particularly valuable where protection is required for long periods. Their toxic action is not fully understood, but they are known to disrupt the nervous system. A number of these insecticides have been banned for their deleterious effects on the environment.

This category, which was developed in the 1930s and 1940s, includes the chlorinated ethanes, chlorinated cyclodienes, and other chlorinated compounds. Dichlorodiphenyltrichlorethane (DDT) is the most famous of the chlorinated insecticides. First synthesized in 1943, it was used extensively (worldwide) in agriculture from the end of World War II until 1972, when it was banned in the United States. It was first used to control disease-carrying insects such as body lice and mosquitoes that spread malaria. DDT also provided effective against a variety of agricultural pests and was extensively used on crops. This highly lipid-soluble compound is stored in fat—in fact, the fat of most U.S. residents contains DDT concentrations of 5–7 mg kg–1. DDT is very persistent in the environment and is biomagnified (Fig. 28.24) in the food chain. That is, smaller organisms absorb the compound, then they are eaten by larger organisms, and the progression continues until DDT attains a relatively high concentration in macrovertebrates such as fish, which are then eaten by humans and other large animals.



In general, DDT is toxic to humans and most other higher animal life only in extremely high doses. However, because of its low toxicity, it was applied in much greater quantities than were necessary. Then, in the 1960s, the effects of these massive applications became noticeable. For example, certain birds, such as the peregrine falcon, began to produce overly fragile egg shells that broke before hatching, thereby threatening their survival as a species. Fish, too, are extremely vulnerable to DDT, and die-offs occurred following heavy rains, when the pesticide was washed into streams and rivers.

DDT and other chlorinated hydrocarbons are very resistant to metabolic breakdown. In animals and humans, DDT is degraded to DDE (1,1-dichloro-2,2-bis(p-chlorophenyl ethylene)) or dichlorodiphenyl dichloroethylene) or DDD (1,1-dichloro-2, 2-bis(p-chlorophenyl ethane))



The other chlorinated hydrocarbons, such as lindane, toxaphene, mirex, and kepone, are similar to DDT. In general, then, we can say that all organochlorine insecticides cause some central nervous system (CNS) stimulation, increase cancer incidence in laboratory animals, and persist in the environment to some degree.

Organophosphates

The organophosphates are now the largest and most versatile class of insecticides. Two widely used compounds in this class are parathion and malathion; others are Diazinon, naled, methyl parathion, and dichlorvos. They are especially effective against sucking insects such as aphids and mites, which feed on plant juices. The chemicals' absorption into the plant is achieved either by spraying the leaves or by applying solutions impregnated with the chemicals to the soil, so that intake occurs through the roots. The organophosphates usually have little residual action and are important, therefore, where residual tolerances limit the choice of insecticides. They are generally much more toxic than the chlorinated hydrocarbons. Organophosphates kill insects by inhibiting the enzyme cholinesterase, which is essential in the functioning of the nervous system.

Organophosphorus insecticides are the most toxic of the insecticides; they are dangerous not only to insects but also to mammals. Many of the compounds, such as parathion, paraoxon and tetram are in the “supertoxic” category for humans. Human fatal doses for those toxicants are < 5 mg/kg. As little as 2 mg of parathion has been known to kill children (Yu, 2001).

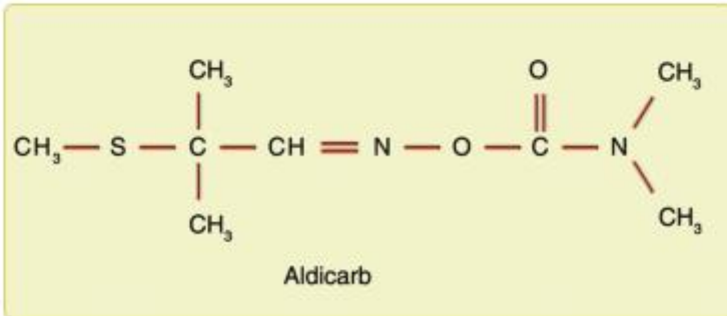
Organophosphorus compounds do not persist in the environment and have an extremely low potential to produce cancer; thus insecticides based on these compounds have largely replaced the chlorinated hydrocarbon insecticides. However, these phosphorous-containing compounds have a much higher acute toxicity in humans than the organochlorines, and are the most frequent cause of human insecticide poisoning. Fortunately, both laboratory tests and antidotes are available for acute organophosphorus poisoning.

A typical organophosphorus insecticide is parathion, which must be metabolized to the compound paraoxon to exert its toxic effect. This toxic effect stems from the compound’s ability to inhibit the enzyme cholinesterase, a crucial chemical for the regulation of the nerve transmitter acetylcholine. Thus acute effects of poisoning with organophosphorus insecticides include fibrillation of muscles, low heart rate, paralysis of respiratory muscles, confusion, convulsions, and eventually death. Other organophosphorus pesticides, such as malathion, are less toxic in acute doses than parathion.

Carbamates

The carbamate insecticides, which include carbaryl and aldicarb, have toxicities very similar to those of the organophosphorus insecticides. Like the organophosphorus pesticides, these widely used chemicals also act by inhibiting cholinesterase, but the toxic effects of carbamates may be more easily reversed than those of the organophosphorus compounds. In addition, current evidence does not seem to suggest carcinogenicity as a toxic effect of the carbamates. Although most of these chemicals are not persistent in the environment, aldicarb may be the exception. Used on potato crops in Long Island, New York, aldicarb has contaminated groundwater there. It has been estimated that levels of 6 μg L–1 may persist up to 20 years.

The carbamates are a group of insecticides that includes such compounds as carbamyl, methomyl, and carbofuran. They are rapidly detoxified and eliminated from animal tissues. Their toxicity is thought to arise from a mechanism somewhat similar to that for the organophosphates.



Other Insecticides

The insecticides may be of natural or synthetic origin and recently gene coding for insecticidal proteins is being incorporated into various crop plants. The primary effects of insecticides on target species are: neurotoxicity by interference with sodium channel or interaction with neurotransmitter receptors, paralysis by disruption of energy metabolism, or growth inhibition by blocking chitin synthesis.

Table 1. Most common classes of synthetic insecticides

Chemical group	Chemical classes	Active ingredients	Mode of action
Neurotoxicants			
Organochlorines containing carbon, hydrogen, and chlorine. They are very persistent and are largely banned	Diphenyl aliphatics	DDT	Opening sodium channels within the axons of the neuron: continual nerve impulse transmission
	Hexachlorocyclohexane	Lindane	
	Cyclodienes	Chlordane, mirex, heptachlor, aldrin, dieldrin, endosulfan	Interaction with the γ-aminobutyric acid (GABA)-receptor chloride channel

Organophosphates (OP), derivatives of phosphorus acids	Aliphatic OPs	Malathion, trichlorfon, monocrotophos, dimethoate, oxydemeton-methyl, dicrotophos, disulfoton, dichlorvos, mevinphos, methamidophos, acephate	Irreversible inhibition of cholinesterase enzyme (ChE) by phosphorylation
	Phenyl derivatives	Parathion methyl parathion, profenofos, sulprofos, isofenphos, fenitrothion, fenthion, famphur	
	Heterocyclic derivatives	Diazinon azinphos-methyl, azinphos-ethyl, chlorpyrifos, methidathion, phosmet, isazophos, chlorpyrifos-methyl	
Carbamates, derivatives of carbamic acid		Carbaryl, methomyl, carbofuran, aldicarb, oxamyl, thiodicarb, methiocarb, propoxur, bendiocarb, carbosulfan aldoxycarb, promecarb, fenoxycarb, primicarb, indoxacarb alanycarb furathiocarb	Reversible inhibition ChE by carbamylation
Formamidines		Chlordimeform, formetanate, amitraz	Inhibition of the enzyme monoamine oxidase, which is responsible for degrading the neurotransmitters norepinephrine and serotonin

Pyrethroids, unstable when exposed to light	Type 1 includes pyrethroids containing descyano-3-phenoxybenzyl or other alcohols. Type 2 contains an alpha-cyano-3-phenoxybenzyl alcohol, which increases insecticidal activity about 10-fold	Allethrin, tetramethrin, resmethrin bioresmethrin phonothrin, fenvalerate permethrin, bifenthrin, lambda-cyhalothrin, cypermethrin cyfluthrin, deltamethrin, esfenvalerate, fenpropathrin, flucythrinate, fluvalinate, prallethrin tefluthrin tralomethrin zeta-cypermethrin	Disruptors of voltage-sensitive sodium channels (VSSCs)
Nicotinoids		Imidacloprid, acetamiprid, thiamethoxam, nitenpyram, clothianidin, dinotefuran, thiacloprid	Irreversible blockage of postsynaptic nicotinergic acetylcholine receptors
Disruptors of energy metabolism			
Dinitrophenols		Dinitrophenol, binapacryl, dinocap	Inhibition of oxidative phosphorylation, which prevents the formation of the high-energy phosphate molecule, adenosine triphosphate (ATP)
Organotins		Cyhexatin, fenbutatin-oxide	
Pyrroles		Chlorfenapyr	
Pyrazoles		Tebufenpyrad, fenpyroximate, ethiprole	Inhibition of mitochondrial electron transport at the NADH-CoQ reductase site, leading to the disruption of ATP

Pyridazinones	Pyridaben	
Insect growth regulators		
Benzoylureas	Triflumuron, chlorfluazuron, teflubenzuron, hexaflumuron flufenoxuron flucycloxuron flurazuron, novaluron, diafenthiuron, bistrifluron	Act on the larval stages of most insects by inhibiting or blocking the synthesis of chitin

V. Activity

Post-Test

Identify the active ingredients and mode of action of each chemical group of synthetic insecticides.

1. **Organophosphorus Insecticides**

Active Ingredient:____

Mode of Action:____

____

2. **Carbamates**

Active Ingredient:____

Mode of Action:____

____

3. **Chlorinated Hydrocarbons**

Active Ingredient:____

Mode of Action:____

____

4. **Pyrethroids**

Active Ingredient:____

Mode of Action:____

____

5. **Neonicotinoids**

Active Ingredient:____

Mode of Action:____

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VI. Summary

- The main synthetic groups are the chlorinated hydrocarbons, organic phosphates (organophosphates), and carbamates.

- The organophosphates are now the largest and most versatile class of insecticides. Two widely used compounds in this class are parathion and malathion; others are Diazinon, naled, methyl parathion, and dichlorvos. They are especially effective against sucking insects such as aphids and mites, which feed on plant juices.
- Organophosphates kill insects by inhibiting the enzyme cholinesterase, which is essential in the functioning of the nervous system.

- The chlorinated hydrocarbons which was developed in the 1930s and 1940s, includes the chlorinated ethanes, chlorinated cyclodienes, and other chlorinated compounds.

- Dichlorodiphenyltrichlorethane (DDT) is the most famous of the chlorinated insecticides. It was first used to control disease-carrying insects such as body lice and mosquitoes that spread malaria. DDT also provided effective against a variety of agricultural pests and was extensively used on crops.

- The carbamates are a group of insecticides that includes such compounds as carbamyl, methomyl, and carbofuran. They are rapidly detoxified and eliminated from animal tissues. Their toxicity is thought to arise from a mechanism somewhat similar to that for the organophosphates.

VII. References

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