Lecture (4)

4- Pyrethroids:

One of the newer classes of insecticide, synthetic pyrethroids are loosely based upon the naturally occurring pyrethrum found in chrysanthemum flowers. Synthetic pyrethroids were first developed in the1980s, but the naturally occurring pyrethrum was first commercially used in the 1800s. Their use has increased significantly over the last 20 years. The chemical structure of pyrethroids is quite different from that of organochlorines, organophosphates, and carbamates but the primary site of action is also the nervous system. Pyrethroids affect the movement of sodium ions (Na+) into and out of nerve cells, causing the nerve cells to become hypersensitive to neurotransmitters. Structural differences between various pyrethroids can change their toxic effects on specific insects and even mammals.

Synthetic pyrethroids are more persistent in the environment than natural pyrethrum, which is unstable in light and breaks down very quickly in sunlight.

The pyrethrins are contact insecticides and have almost no stomach poison action because they are so readily hydrolyzed to nontoxic products. Their primary action is on the insect central nervous system, as shown by the fact that they produce such rapid paralysis.

Synthetic pyrethroids (SPs) are synthetic analogs and derivatives of the original pyrethrins and include a variety group of about 1,000 insecticides. Though they are analogs of pyrethrins, their production has involved extensive chemical modifications which make them highly toxic and less degradable in the environment. Due to complex chemical structure, the pyrethroids are composed of two, four or eight isomers, and their commercially products may contain a mixture of these various isomers. For increasing the efficiency of the insecticides, the pyrethroids are formulated with compounds like piperonylbutoxide, piperonyl sulfoxide and sesamex, which act as synergists.

Pyrethroids are broad spectrum insecticides, effective against a wide range of insect pests of the sucking complex such as aphids, jassids, and whiteflies as well as chewing pests such as borers and leaf feeders. Prior to harvest, they are sprayed over edible products to control pests, as grain protectants, veterinary pests and household insecticides.

*** The main characters of Pyrethroids are**:

1- Most, but not all, Pyrethroids have a very low mammalian toxicity.
2- The hazards they present relate mainly to short term toxicity, and particularly toxicity to fish and non-target invertebrates.

3- Although largely formulated as emulsifiable concentrates for spraying they can be microencapsulated (e. g. Tefluthrin) for control of soil insect pests.
4- They are used to control a wide range of insect pests of agriculture and horticultural and crops and for use in the control of insect vectors of disease (e. g. tsetse fly in parts of Africa).
5- Pyrethroids have also made substantial inroads into public health, industrial, amenity and household outlets, as well as grain and food stores.
6- Pyrethroids have become the first choice for an insecticide in these different situations because dosages can be kept extremely low. For instance, 5 g. of deltamethrin or lambda-cyhalothrin can protect the same area of cereals from aphid damage as 0.5 – 1 kg of an organophosphate and 15 kg can treat as many houses for mosquito control as one tone of DDT.

Mode of Action

Pyrethrins, pyrethroids, DDT and DDT analogs belong to a group of chemicals that are neurotoxic and share a similar mode of action that is distinctive from other classes of insecticides. There are several ways that pyrethrins and pyrethroids can enter the body of an organism to exert their effects. The first mode is non-stereospecific with rapid penetration through the epidermis, followed by uptake by the blood or hemolymph carrier proteins and subsequent distribution throughout the body. Pyrethroid diffusion along the epidermis cells is the main route of distribution to the central nervous system (CNS) after penetration. Pyrethroids also can enter the CNS directly via contact with sensory organs of the peripheral nervous system. The sensory structures of both invertebrates and vertebrates are sensitive to pyrethroids. Pyrethroids can also enter the body through the airway in the vapor phase, but such penetration represents only a small contribution due to the low vapor pressure of pyrethroids. Pyrethroids can also be ingested, and penetration into the blood–hemolymph through the alimentary canal can play an important role in toxicity.

Ecotoxicology

Pyrethrins and pyrethroids are broad spectrum insecticides, and as such they may also impact on beneficial insects, such as parasitoids, predators and bees.

They are also highly toxic to aquatic organisms which are generally more susceptible to pyrethroids than terrestrial organisms. Birds rapidly eliminate pyrethroids via ester hydrolysis and oxidation, and generally eliminate the insecticides two to three times faster than mammals. The lower toxicity and higher elimination rate is most likely a function of the higher metabolic rates of birds.

Pyrethroids are highly toxic to fish and aquatic invertebrates, excluding mollusks, and are slightly less toxic to amphibians. Symptoms of intoxication in fish include hyperactivity, loss of balance and the development of darkened areas on the body. Generally the toxicity of pyrethroids to fish increases with an increasing octanol–water partition coefficient.

The higher acute toxicity of pyrethroids to fish can be accounted for by the uptake and reduced metabolism with higher brain sensitivities compared with that of other vertebrates. Pyrethrins and pyrethroids are most toxic to trout species, but the differences between fish species are less than a half an order of magnitude. Trout are two to three times more sensitive to pyrethroids than bluegill sunfish and fathead minnows, and three to six times more sensitive than southern leopard frogs and boreal toads.

v. Modern insecticides: a) *Neonicotinoids insecticides*:

Neonicotinoids are a new class of insecticides with nicotinic receptor agonist. The neonicotinoid insecticides include imidacloprid, acetamiprid, nitenpyran, dinotefuran, thiamethoxam, thiacloprid and clothianidin. Among these, imidacloprid is most widely used at present.

b) Insect Growth Regulators:

Juvenile hormone analogs and mimics when applied to an insect, an abnormally high level of juvenilizing agent will produce another larval stage or produce larval-pupal intermediates. Juvenoid IGRs can also act on eggs, can cause sterilization, disrupt behavior and disrupt diapauses. Anti-juvenile hormone agents cancel the effect of juvenile hormone, an early instar treated with an anti-juvenile hormone molts prematurely into a nonfunctional adult. Some of the examples are methoprene, kinoprene, hydroprene, pyreproxyfen, fenoxycarb etc. Some examples for ecdysteroids include compounds namely tebufenozide , halofenozide, chromafenozide, difenolan etc.

c) Chitin synthesis inhibitors:

These are chemically diverse compounds that affect reproduction and development of chitin synthesizing organisms (insect and fungi) to varying degrees. Application of chitin synthesis inhibitors typically induces malformations of the cuticle and a significant reduction of chitin amounts. Ex. diflubenzuron, triflumuron, hexaflumuron, novaluron, lufenuron, teflubenzuron, etoxazole, hexythiazox, and buprofezin.