

NASHVILLE ROSE SOCIETY NEWSLETTER ARTICLE 2011

What Are Pesticide Metabolites?

The primary means of dealing with insect and/or mite pests on roses involves the use of pesticides (in this case, insecticides and miticides). A pesticide formulation includes the active ingredient and inert ingredients, which are usually considered proprietary information. The active ingredient component of a pesticide formulation is responsible for the toxic effects on insect and/or mite pests. However, what many rose growers may not know is that the active ingredient of some pesticides is converted into one or more metabolites by either the insect or mite, or the plant in which the pesticide is being applied to. This may occur due to changes or alterations of the active ingredient. Some materials designated as either pro-insecticides or pro-miticides/acaricides need to be converted, in an organism (insect or mite), into metabolite(s) that have either insecticidal or miticidal properties. So what is a metabolite? A metabolite is a substance or compound formed during metabolism, which is an overall set of chemical reactions that occur in an organism or cell. In fact, a number of currently available insecticides and/or miticides that may be used on roses are converted into metabolites once inside the insect and/or mite pest, or plant including imidacloprid (Merit), acephate (Orthene), bifenthrin (Floramite), and thiamethoxam (Meridian). A number of insecticides and miticide metabolites possess no or very little insecticidal or miticidal activity, respectively. Therefore, such mechanisms are known as detoxification. However, some processes may result in metabolites that are more toxic than the actual active ingredient. As such, these alterations are required for some insecticides and miticides to confer toxic effects on insect and mite pests.

Imidacloprid, when applied as a drench or granule is metabolized almost immediately. In fact, approximately 95% of the active ingredient or parent compound is metabolized; however, this is dependent on the plant species and time. Certain metabolites of imidacloprid are active against insect pests such as aphids. The primary metabolites are olefine, 4-hydroxy, and 5-hydroxy imidacloprid. Olefine is 10 times more active and is more toxic to phloem-feeding insect pests than imidacloprid, and also has a higher attraction for the target site, nicotinic acetylcholine receptor (nAChR), in certain

aphid species. In addition, the water solubility of the metabolite is higher than imidacloprid.

Some insecticides including malathion are converted inside the insect into less toxic substances or metabolites by means of enzyme activity. However, this may also occur through **hydrolysis** (reaction of a compound with water resulting in decomposition into less complex compounds) as is the case with acephate (Orthene). Malathion, which is an with activity against many different types of insects, is converted through **oxidative reactions** (in which a substance loses electrons to oxygen and forms bonds with the oxygen atom) into the metabolite malaoxon that is an efficient acetylcholinesterase inhibitor but not a good insecticide because of reduced stability and the inability to penetrate through the insect cuticle. In addition, **oxidative metabolism** may convert certain organophosphate insecticides into compounds that have higher insecticidal activity than the original insecticide or active ingredient. For example, acephate (Orthene) is converted, when applied to plant leaves, into methamidaphos via hydrolysis. This metabolite is more active on insects such as whiteflies than acephate. Furthermore, the metabolite is readily translocated throughout the plant, and is actually more toxic to insects than mammals.

Bifenazate (Floramite), another pro-acaricide, is converted inside mites into the principal active metabolite—diazene. This metabolite is likely responsible for the miticidal activity of the active ingredient against the twospotted spider mite (*Tetranychus urticae*) and may be associated with the mode of action, which is a mitochondria electron transport inhibitor (METI). Thiamethoxam (Meridian) is a neonicotinoid-based insecticide, similar to imidacloprid (Merit) that is also a pro-insecticide readily converted into the metabolite, clothianidin. Clothianidin is less water soluble than imidacloprid (0.32 vs. 0.61 g/L at 20°C) but has a higher binding affinity to the nicotinic acetylcholine receptors, which are the target sites of the neonicotinoid-based insecticides. In addition, clothianidin is rapidly absorbed by plant roots due to the lipophilicity of the active ingredient, which refers to the ability of compounds to dissolve in fats, oils, and lipids. Highly lipophilic compounds are generally not systemic whereas compounds that are either moderate or intermediate in lipophilicity can move through the xylem (water-conducting tissues) to plant shoots. Furthermore, root absorption is greater when

compounds are more lipophilic. As such, clothianidin is rapidly taken-up in the transpiration stream, which is responsible for water movement through plants, and may accumulate at higher concentrations in plant parts and tissues compared to other neonicotinoid-based insecticides. Clothianidin may also be evenly distributed within the entire leaf lamina.

Another pesticide that is not commonly used on roses, but which is converted into an active metabolite is indoxacarb (Provaunt). Indoxacarb is a pro-insecticide that is metabolized by esterase/amidase to an N-decarboxylated active metabolite—DCJW. This metabolite works on a different pathway in insects, being considered a sodium channel blocker, which is different than the action of pyrethroid-based insecticides such as bifenthrin (Talstar) and cyfluthrin (Tempo).

In summary, this article has provided information indicating that a number of insecticides and miticides are converted into metabolites that are not toxic, have reduced toxicity, or have increased toxicity against the array of insect and mite pests. Finally, nearly all pesticides or chemicals may undergo changes either within the insect or mite pest, or treated plant.

“Happy Rose Growing”

Author:

Raymond A. Cloyd, Ph.D

Professor and Extension Specialist in Ornamental Entomology/Integrated Pest
Management

Department of Entomology

Kansas State University

123 Waters Hall

Manhattan, KS 66506-4004

Phone: (785) 532-4750

Email: rcloyd@ksu.edu