Development of Silafluofen and Its Practical Uses in Japan

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Abstract

Endowed with a high selective toxicity due to the excellent insecticidal potency against insects in a small amount and high safety to mammals, pyrethroids have been regarded as ideal for household insecticides. Although main application fields of pyrethroids were limited to indoor uses because of their instability to heat, light, and oxygen, the developments of photostable synthetic pyrethroids have made them applicable to outdoor uses for agriculture, forestry, animal health, termite control and so on. And they have been used as alternatives for organochlorine compounds, organophosphorus compounds and carbamates which raised problems of safety concern and resistance developments to some insects. Then to overcome pyrethroid shortcomings such as high fish toxicity, Katsuda *et al.* invented silafluofen by introducing a silicone atom into the pyrethroidal chemical structure in 1984. In addition to the high insecticidal activity and low mammalian toxicity, this compound is featured by low fish toxicity, chemical stabilities under sunlight, in the soil and under alkaline environments, some of which are not common to pyrethroids. In Japan, silafluofen has been used as agricultural insecticides for 15 years from 1995 for plant protection of paddy rice, fruit trees, tea trees and turf. Especially it has been useful as agrochemicals for paddy rice protection because of its low fish toxicity. With business results over about 20 years, silafluofen termiticides including EC and oil formulations have been widely used in Japan for soil treatment and timber treatment respectively since 1991. Moreover anti-termitic plastic sheets prepared by impregnating silafluofen in plastic resin have been put into practical uses for buildings since 1998. This time, the development of silafluofen and its practical uses in Japan are reported.

Key words: pyrethroid, silafluofen, termiticide, fish toxicity

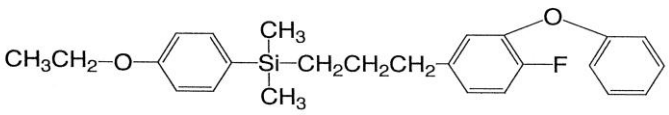
Development of silafluofen

Natural pyrethrins derived from pyrethrum contains 6 insecticidal ingredients. Endowed with a high selective toxicity due to the excellent insecticidal potency against insects in a small amount and high safety to mammals, it is the only natural insecticidal component used for more than 100 years to date in the world as household insecticides. However main application fields of pyrethrins were limited to indoor uses because of its instability to heat, light, and oxygen. Since the absolute structures of 6 insecticidal ingredients of pyrethrins were elucidated in 1958, various researches on structural modifications have been carried out actively in many countries for more than half a century, leading to the inventions of a variety of synthetic pyrethroids. At the initial stage, those pyrethroids obtained mainly by modifications of the alcohol moiety retain characteristics of pyrethrins and have been applied to fields of household insecticides similarly. Subsequent modification researches on the acid moiety created a number of photostable synthetic pyrethroids with improved residual activity, for example, permethrin, deltamethrin, fenvalerate and fluvalinate.

As a result, they have been widely put to outdoor uses for agriculture, forestry, animal health, termite control and so on. On the other hand, regarding the uses of pyrethroid compounds in areas of agrochemicals or termiticides for soil treatment, some problems of their high fish toxicity and chemical instability in the alkaline soil have been pointed out and the emergence of pyrethroid resistance to some pests has raised concern.

To overcome these pyrethroid problems, Katsuda *et al.* worked out various kinds of structural modifications and invented silafluofen (Katsuda *et al.* 1986, 2005, Minamite *et al*. 1990, Nakayama *et al.* 1998) in 1984 by introducing a silicone atom into the pyrethroidal chemical structure. This compound is quite different in structure from the prototype pyrethrins. It is certain, however, that the idea of sila-substitution in pyrethroids emerged in the course of pyrethroid development. It is truly interesting that silafluofen was independently published almost at the same time from Japan (1984), Germany (1985) and USA (1986). Silafluofen (Kern *et al.* 1990) acts on neuroaxonal sodium channels and is considered to belong to pyrethroids in terms of entomological physiology. While pyrethroids exhibit the insecticidal activity by only a contact poison, silafluofen acts as a contact and stomach poison, posing a big difference from pyrethroids. In Japan, fish toxicity is classified into the following 3 classes based on the LC_{50} values for carp, for example; A rank (>10 ppm), B rank (0.5-10 ppm) and C rank (<0.5 ppm). Generally, pyrethroids sre highly toxic to fish and belong to C rank except for etofenprox and cycloprothrin (B rank). In contrast, silafluofen is solely in A rank and this low toxicity to fish is regarded as greatly a favorable characteristic. Moreover, while pyrethroids which are ester compounds, decompose easily under the alkaline conditions, silafluofen are chemically stable under such environments as its linkage part is connected by carbon and silicon atoms. Thus, in addition to the high insecticidal activity and low mammalian toxicity similarly to pyrethroids, silafluofen is featured by low fish toxicity, activity as a contact and stomach poison, and high chemical stability in the alkaline soil. The latter characteristics are quitely different from those of conventional pyrethroids.

[Chemical structure of silafluofen]



4-Ethoxyphenyl [3-(4-fluoro-3-phenoxy phenyl)propyl] dimethyl silane

[Characteristics of silafluofen]

(1) high insecticidal (termiticidal) activity,
(2) safety to mammals,
(3) mode of action as a stomach poison as well as a contact poison,
(4) low fish toxicity,
(5) high chemical stability (to light, in soil, in alkaline environments, etc.).

Among those, (3)-(5) are not common to pyrethroids.

Practical uses of silafluofen-based products in Japan

Dainihon Jochugiku started development works of silafluofen jointly with Hoechst in agricultural fields of Japan in 1988. After agricultural insecticides containing silafliofen were registered in 1995, this compound has been used for plant protection of paddy rice, fruit trees, tea trees and turf, and especially has been useful as agrochemicals for paddy rice protection in Japan because of its low fish toxicity. On the other hand, Dainihon Jochugiku independently proceeded with development works for non-agricultural products such as termiticides. In Japan, the marketing of silafluofen termiticides including EC and oil formulations started for soil treatment and timber treatment respectively from 1991. Presently EC formulations for timber treatment are also in wide uses. Moreover anti-termitic plastic sheets prepared by impregnating silafluofen in plastic resin have been put into practical uses since 1998 and the laying of these sheets under the floor has been integrated into part of anti-termitic engineering method for newly-built houses. Thus, silaflufen has taken root as an ingredient of termiticides in Japan, and its business results over about 20 years have good reputation.

Table 1 Silafluofen termiticides in Japan

	Soil treatment	Timber treatment	Anti-termitic sheet
Termiticidal ingredient	Silafluofen 15.0% (w/w)	Silafluofen 3.0% (w/w) with fungicidal ingredient	Silafluofen ≧0.05% (w/w)
Use method	Dilute the EC (1kg) with water (99L) and spray on the soil (sila. conc.: 0.15%)	Dilute the EC (1kg) with water (19L) and spray or paint on the timber (sila. conc.: 0.15%)	Lay the sheet on the soil
Application rate	3L/m^2 (soil)	0.3L/m^2 (timber)	
Start of marketing	From 1991	From 1992	From 1998

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