Studies on the Insecticidal Properties of Benfuracarb as a Soil Treatment

Norio OSAKI,¹ Norio YASUDOMI, Yukio AOKI
and Noriharu UMETSU

*Naruto Research Center, Otsuka Chemical Company Ltd.,
Naruto, Tokushima 772, Japan*

(Received October 11, 1991; Accepted December 16, 1991)

The insecticidal properties of the carbamate insecticide benfuracarb were investigated. Benfuracarb, a sulfonylated derivative of carbofuran, proved to be a highly effective soil insecticide against a wide range of agricultural pests when applied as granules or as an emulsifiable concentrate. Under these conditions it was more effective (on a molar basis) than carbofuran. Studies on the superior efficacy of benfuracarb were conducted using the small brown planthopper *Laodelphax striatellus* as a test insect. Benfuracarb was as effective as carbofuran by soil treatment in the closed system where no movement or loss of active ingredient in the soil occurred. Successive mobility studies in the soil indicated that the active ingredient in the benfuracarb-treated soil was either mostly or completely immobile, and was retained for a longer period than was carbofuran. These properties of benfuracarb, combined with its excellent systemic action, probably lead to a higher ratio of absorption of the active ingredient than from carbofuran, thereby accounting for its higher insecticidal activity when applied to soil.

Key words: benfuracarb, carbamate insecticide, soil treatment, mobility, Oncol®

INTRODUCTION

Benfuracarb (Oncol®, ethyl *N*-[2,3-dihydro-2,2-dimethylbenzofuran-7-yloxy-carbonyl(methyl)aminothio]-*N*-isopropyl- β -alaninate, in Fig. 1) is a systemic carbamate insecticide marketed by the Otsuka Chemical Company. It is a new sulfonylated derivative of carbofuran (2,3-dihydro-2,2-dimethylbenzofuran-7-yl methylcarbamate) which has outstanding insecticidal activity against a number of economically important insects, but with improved mammalian safety.

Previous papers from these laboratories (GOTO et al., 1983; TAKAGI 1989) described the excellent insecticidal activity of benfuracarb against a wide range of insects infesting rice, maize, sugar beet, vegetable and other major food crops. The reports also indicated that benfuracarb is very effective in greenhouse pot tests or in field trials, although it showed relatively poor insecticidal activity in topical applications. In continuing studies we have found that benfuracarb is particularly effective when applied to soil as granules or as emulsifiable concentrates; it can also be used as a foliar insecticide.

¹ Present address: Research and Development Department, Agrochemicals Division, ICI Japan Limited, Chiyoda-ku, Tokyo 100, Japan

This paper describes these interesting insecticidal properties of benfuracarb as well as the mechanism involved in its action as a soil insecticide.

MATERIALS AND METHODS

Insects. Green rice leafhopper (*Nephotettix cincticeps*), brown rice planthopper (*Nilaparvata lugens*), whitebacked rice planthopper (*Sogatella furcifera*), small brown planthopper (*Laodelphax striatellus*), common cutworm (*Spodoptera litura*) and green peach aphid (*Muzus persicae*) were used in this study. The Miyagi susceptible strain of green rice leafhopper was received from the Kagawa Agricultural Experimental Station in 1981. Brown rice planthoppers, collected at Takamatsu, Kagawa Pref. in 1980, were also from the same source. Whitebacked rice planthoppers, small brown planthoppers, common cutworms and green peach aphids were collected in our farm at Naruto, Tokushima Pref. in 1981. Green rice leafhoppers, brown rice planthoppers, whitebacked rice planthoppers and small brown planthoppers were reared on rice seedlings, renewed weekly, at $25 \pm 1^\circ\text{C}$, 16L–8D. Common cutworms and green peach aphids were reared on an artificial diet (OYAMA and KAMANO, 1976) and cabbage leaves, respectively, under the same conditions.

Chemicals. Benfuracarb, technical grade (93%), was synthesized in our research center, and 5% granules (G) and 60% emulsifiable concentrate (EC) were formulated from the technical material. Carbofuran (95%) was prepared by extracting the active ingredient from the commercially available 5% granules. Methomyl (*S*-methyl *N*-(methylcarbamoyloxy)-thioacetimidate, 99%), propoxur (2-isopropoxyphenyl methylcarbamate, 99%) and diazinon (*O,O*-diethyl *O*-2-isopropyl-6-methylpyrimidin-4-yl phosphorothioate, 99%) were purchased from Wako Pure Chemical Industries, Ltd., Osaka, Japan. Diazinon 5G was purchased from a commercial source.

LD₅₀ determination. The LD₅₀ value of the compounds against different pests was determined by the usual procedure (METCALF and MARCH, 1949) with slight modification. In the case of planthoppers, an aliquot of an acetone solution of each compound (0.5 μl for green rice leafhopper, 0.25 μl for brown rice planthopper, 0.1 μl for whitebacked rice planthopper, 0.05 μl for small brown planthopper) was topically applied with an Arnold Hand Microapplicator to the dorsal abdomen of each of ten female adult planthoppers (3–5 d after emergence). The treated planthoppers were placed in a plastic cup (8 cm dia. $\times$ 4 cm depth) with rice seedlings and held at $25 \pm 1^\circ\text{C}$, 16L–8D. Mortality was determined after 24 h. In the case of common cutworm, 1.0 μl of an acetone solution of each compound was topically applied to the dorsal abdomen of ten 4th instar larvae. The treated larvae were placed in a plastic cup with an artificial diet and their mortality was determined by the same procedure. Data are the means of six replicates.

Pot test. The insecticidal activity against whitebacked rice planthoppers in intact rice plants was determined as follows. Ten ml of a 5% acetone solution containing each test compound at the concentration of 25 to 100 ppm were sprayed on 25-d-old rice seedlings (five plants per pot, about 14–15 cm ht., 2.5 leaf stage) in 12 cm (ϕ) pots. To avoid absorption of the insecticide through the roots, the surface of the soil in the pot was covered with paper towel material. Each plant and pot was then covered with a stainless net cage (10 cm (ϕ) $\times$ 20 cm) and ten female insects were introduced. The cage was kept in a greenhouse ($25 \pm 5^\circ\text{C}$) during the course of the test. Mortality was

determined 24 h after the release of the insects and data are the means of three replicates.

The insecticidal activity against green peach aphids in radish was determined as follows. Four ml of 1,000-fold diluted benfuracarb 60EC or 46 mg each of 5% granules were incorporated into the soil around 15-d-old radish (one plant per pot, about 8–12 cm ht.) in 12 cm (ϕ) pots into which ten each of the insects were released after 1- to 11-d standing periods. Mortality was determined 24 h after the release of the insects. Data are the means of six replicates.

Determination of insecticidal activity by glass cylinder test method. The insecticidal activity of the soil containing different insecticides against small brown planthoppers was determined using intact rice plants as follows. Three g of the soil were transferred into a glass sample container (2.6 cm dia. $\times$ 5.5 cm ht.) where 5 ml of an aqueous solution containing each insecticide, or 5 ml of water, was added and then one 15-d-old rice seedling was transplanted. On the top of the sample container, a glass tube (2.6 cm dia. $\times$ 15 cm ht.) was placed to make the total length of the glass cylinder 20.5 cm. The joint connecting glass container and tube were fixed with tape. After the release of ten of the planthoppers into the cylinder, the cylinder top was covered with cheese cloth. Mortality was determined at 24 h and data are the means of four or five replicates.

Insecticidal activity of insecticide-treated and then water-washed soil. Ten g each of the soil (Tokushima clay loam, composition: sand 45.5%, silt 36.6%, clay 17.9%; C.E.C. 7.8 mEq/100 g; total carbon 0.75%; pH 5.4) and 10 ml of water–acetone (9:1) mixture containing 4.6×10^{-4} M benfuracarb or carbofuran were added into 100-ml beakers and mixed well. After standing for 3, 6, 12 or 24 h, the supernatant was discarded. The residual soil in the beakers was washed with water (3×100 ml), with thorough mixing during each wash. The insecticidal activity of the insecticide-treated and water-washed soil thus obtained (3 g each) was determined against small brown planthoppers by the glass cylinder test method.

Soil column chromatography. Soil column chromatography was conducted according to the method of the Japan Association for Advancement of Phyto-Regulators (1975). The glass column was composed of ten layers of separatable glass cylinder (16 cm dia. [corresponding to 1/5,000 a], 1.0 cm ht.) on top of the bottom cylinder part (16 cm dia. $\times$ 4 cm ht.), with a drain. Sand was added to the bottom part of the cylinder and sandy loam (Tokushima sandy loam, Particle size: sand 71.7%, silt 16.7%, clay 11.6%; C.E.C. 4.5 mEq/100 g; Total carbon 4.5%; pH 6.6) or clay loam soil was added to the separatable glass part. Five ml of water–acetone (9:1) mixture containing 2×10^{-3} M benfuracarb (4.1 mg) or carbofuran (2.2 mg) was sprayed on top of the soil and the apparatus allowed to stand for 1 h. Dosage of benfuracarb and carbofuran corresponded to about 0.21 and 0.11 kg a.i. (4.1 and 2.2 kg of 5% granules) per 10 a, respectively. The column was eluted six times with water (each elution 100 ml) for 1 h intervals, and then allowed to stand for 20 h to stabilize the soil layers. Three g of soil were taken from each of the three soil layers from the top (0–1, 1–2 and 2–3 cm) of the apparatus, and also from the 3–5 cm soil layer. The soil was subjected to determination of insecticidal activity against small brown planthoppers by the glass cylinder test method. The insecticidal activity of the soil from the 5–10 cm soil layer was not determined.

RESULTS

1. *Insecticidal properties of benfuracarb*

The topical LD₅₀ values of benfuracarb against green rice leafhopper, brown rice planthopper, whitebacked rice planthopper, small brown planthopper and common cutworm are given in Table 1. The values, of 9.9, 8.5, 5.4, 3.2 and 284 µg/g, respectively, indicate the insecticidal activity of benfuracarb applied this way to be inferior to the other carbamate insecticides listed in Table 1.

In spite of the relatively poor insecticidal activity by topical application, benfuracarb was effective against many different pests in potted crops by foliar and granular applications (Tables 2 and 3). As shown in Table 2, benfuracarb showed relatively good activity by foliar application, with 24 h mortality reaching 53% at 100 ppm against whitebacked rice planthoppers. This is far better than diazinon (24%) but inferior to the parent methylcarbamate insecticide, carbofuran (97%). However, benfuracarb 5G proved very effective against this pest through soil treatment (Table 3) and exhibited better or equal activity to carbofuran 5G and diazinon 5G at 10, 14 and

Table 1. Topical LD₅₀ values (µg/g) of benfuracarb and other carbamate insecticides against different pests

Insecticide	Green rice leafhopper	Brown rice planthopper	Whitebacked rice planthopper	Small brown planthopper	Common cutworm
Benfuracarb	9.9	8.5	5.4	3.2	284
Carbofuran	3.9	1.1	0.6	0.32	124
Methomyl	2.7	— ^a	—	—	0.95
Propoxur	5.5	4.3	0.6	1.5	—

^a Not tested

Table 2. Insecticidal activity of benfuracarb and other insecticides against whitebacked rice planthopper on potted rice plants: foliar application

Insecticide ^a	24 h mortality (%)		
	100 ppm	50 ppm	25 ppm
Benfuracarb	53	20	6
Carbofuran	97	67	24
Diazinon	24	6	0

^a Technical grade (benfuracarb, carbofuran) or analytical grade (diazinon).

Table 3. Insecticidal activity of benfuracarb and other insecticides against whitebacked rice planthopper on potted rice plants: granular application

Insecticide	Dose (kg a.i./ha)	24 h mortality (%) after release of the insect at indicated date				
		1	7	10	14	24
Benfuracarb (5G)	1.0	62	88	93	82	13
Carbofuran (5G)	1.0	93	97	87	67	10
Diazinon (5G)	1.0	93	97	93	60	3

Table 4. Insecticidal activity of benfuracarb against green peach aphids on potted radish following soil application of granular or emulsifiable concentrate formulation

Insecticide	Dose (kg a.i./ha)	24 h mortality (%) after release of the insect at indicated date				
		1	3	5	7	11
Benfuracarb						
(60EC)	2.0	96	100	—	100	—
(5G)	2.0	35	69	93	97	100
Carbofuran						
(5G)	2.0	49	82	100	100	100

Fig. 1. Structure of benfuracarb and carbofuran.

24 d after the treatment. It is noteworthy that it was slightly less active during earlier periods (1 and 7 d).

The high effectiveness of benfuracarb by soil treatment was also observed as a soil drench of the EC formulation. Table 4 gives representative data comparing the efficacy of benfuracarb granules (5G) and emulsifiable concentrates (60EC) by soil treatment. Benfuracarb 60EC at 2.0 kg a.i./ha was highly effective against green peach aphids on potted radish, being equally active to carbofuran during the entire test period (1 to 7 d after the treatment), and rather more active than benfuracarb 5G at the same dosage during earlier test periods (1 and 3 d).

Thus, benfuracarb proved to be highly effective when its granular or EC formulation was applied to soil. Because of its higher molecular weight (1.8-fold that of carbofuran), benfuracarb is more effective than carbofuran for soil treatment when calculated on a molar basis.

2. Mechanism involved in high insecticidal activity of benfuracarb following soil treatment

In order to probe the mechanism of the high efficacy of benfuracarb in pot tests or field trials by soil treatment, the insecticidal activity of benfuracarb and the parent compound, carbofuran, were compared under different conditions using small brown planthopper as the test insect.

Initially, efficacy comparisons were conducted in a closed system by the glass cylinder test method. Paddy soil (Tokushima clay loam) and aqueous solutions containing 1.98×10^{-5} M of benfuracarb (8.0 ppm) or carbofuran (4.3 ppm) were added

Fig. 2. Mortality of small brown planthoppers 24 h after release on the rice plant in the glass cylinder where soil and aqueous solution of insecticides were added. ● Benfuracarb (1.98×10^{-5} M), ○ Carbofuran (1.98×10^{-5} M).

Table 5. Insecticidal activity of insecticide-treated and water-washed soil against small brown planthopper

Insecticide ^a treated	Concentration (M)	24 h mortality (%) at indicated standing period (h) before washing			
		3	6	12	24
Benfuracarb	4.6×10^{-4}	72	46	40	28
Carbofuran	4.6×10^{-4}	14	20	12	14

^a Technical grade.

to each cylinder and one 15-d-old rice seedling was transplanted. The cylinder was allowed to stand for a pre-determined time period (1–9 d) before release of the planthopper. During this period active ingredients are absorbed by the seedling. Figure 2 shows the time course for the 24 h mortality of the small brown planthopper following release of the pest into the cylinder after standing periods of 1- to 9-d. Benfuracarb and carbofuran exhibited equal insecticidal activity against planthoppers during entire test periods. The result indicated that benfuracarb is as effective as carbofuran on a molar basis under the closed system test conditions where no movement or loss of active ingredient occurred.

The comparative study was conducted to determine the insecticidal activity of paddy soil treated with benfuracarb or carbofuran, followed by washing with water. Table 5 summarizes 24 h mortality data for small brown planthoppers released onto the rice seedlings in the glass cylinder in which the benfuracarb- or carbofuran-treated and water-washed soil (3, 6, 12 and 24 h standing periods before washing with water) was placed. The benfuracarb (4.6×10^{-4} M)-treated and water-washed soil showed much higher insecticidal activity than the carbofuran (4.6×10^{-4} M)-treated and water-washed soil at all standing periods (3–24 h), suggesting a higher ratio of absorption by the rice plant of the active ingredient from the benfuracarb-treated soil compared with the carbofuran-treated soil.

Table 6. Insecticidal activity of different soil layers from soil column (loaded with insecticides) against small brown planthopper following elution with water

Soil type	Soil layer (cm)	Mortality (%) after indicated hours			
		Benfuracarb ^a -loaded		Carbofuran ^a -loaded	
		48	72	48	72
Clay loam	0-1	23	85	8	40
	1-2	0	0	5	25
	2-3	0	0	0	15
	3-5	0	3	5	18
Sandy loam	0-1	5	45	0	15
	1-2	3	10	5	28
	2-3	0	3	3	15
	3-5	0	3	0	13

^a Technical grade

In order to directly compare the mobility of benfuracarb and carbofuran in soil, soil column chromatography was conducted using clay loam and sandy loam soils. The mobility of benfuracarb and carbofuran was determined by measuring the insecticidal activity of the soil obtained from different soil layers following elution with a total of 600 ml of water from the soil column loaded with 10 μ mol of either benfuracarb (4.1 mg) or carbofuran (2.2 mg). Table 6 gives 48 and 72 h mortality data for small brown planthoppers released into the rice seedling in the glass cylinder in which the soil from different soil layers was placed. In the soil column chromatography of benfuracarb, significant insecticidal activity was observed with the soil only from the 0-1 cm layer for clay loam, and from 0-1 and 1-2 cm layers for sandy loam 72 h after the release of pests. This indicated a very small mobility of benfuracarb in the soil. In the case of carbofuran, significant insecticidal activity was observed in all the soil layers from 0 to 5 cm; both for clay loam and sandy loam, indicating that carbofuran is readily mobile in the soil.

DISCUSSION

Benfuracarb is a procarbamate insecticide (UMETSU, 1986) and therefore is considered to be an intrinsically inactive compound, but is altered either biologically or chemically to an intrinsically active substance, i.e., carbofuran or its related compounds (USUI and UMETSU, 1986). Soil, plant and housefly metabolism studies of benfuracarb indicated that the major metabolites responsible for toxic action were carbofuran in soil, and carbofuran and 3-hydroxy-carbofuran in plants and houseflies (UMETSU, 1986).

It is reasonable to assume that benfuracarb, with a molecular weight nearly double that of carbofuran, exhibits lower efficacy against different insects than carbofuran when the same amounts of active ingredients are applied on a w/w basis. In fact, benfuracarb was less effective against different pests with topical or foliar application. However, pot tests (Table 3 and 4), and earlier efficacy trial data (GOTO et al., 1983; TAKAGI, 1989) indicated that benfuracarb was equally effective, or in some cases superior, to carbofuran even on a w/w basis. The current study on the mechanism

involved in the superiority of benfuracarb to carbofuran indicates that the active ingredient(s) in the benfuracarb-treated soil was either less mobile or immobile, and is therefore retained for longer periods. This property of benfuracarb, combined with its excellent systemic activity (UMETSU et al., 1985), probably leads to a higher ratio of absorption by the crop of the active ingredient from the benfuracarb-treated soil. This may be the factor responsible for the higher insecticidal activity of benfuracarb when applied to soil.

It is interesting to note that other sulfenyl derivatives of carbofuran such as carbosulfan (MAITLEN and SLADEN, 1979) and furathiocarb (BACKMAN and DRABEK, 1981) also showed excellent insecticidal activity in extensive field trials, and proved to be as effective as carbofuran on a w/w basis by soil treatment.

REFERENCES

- BACKMAN, F. and J. DRABEK (1981) CGA 73102, a new soil-applied systemic carbamate insecticide. *Proc. Br. Crop Prot. Conf.—Pests and Dis.* **11**: 51–58.
- GOTO, T., A. K. TANAKA, N. YASUDOMI, N. OSAKI, S. IIDA and N. UMETSU (1983) OK-174, a new broad-spectrum carbamate insecticide. In *Proc. 10th Int. Congr. Plant., Prot.*, Brighton, England, pp. 360–367.
- MAITLEN, E. G. and N. A. SLADEN (1979) Effectiveness of granular and sprayable formulations of FMC 35001, a new insecticide/nematocide, for use on agricultural crops. *Proc. Br. Crop Prot. Conf.—Pests and Dis.* **10**: 557–564.
- METCALF, R. L. and R. B. MARCH (1949) Studies of the mode of action of parathion and its derivatives and their toxicity to insects. *J. Econ. Entomol.* **42**: 721–728.
- OYAMA, M. and K. KAMANO (1976) A successful technique for mass rearing of the *Spodoptera litura* (F.). *Shokubutsu-Boeki* **30**: 470–474.
- TAKAGI, Y. (1989) Benfuracarb (Oncol®), a new broad-spectrum carbamate insecticide. *Jpn. Pestic. Inf.* **54**: 23–27.
- The Japan Association For Advancement of Phyto-Regulators (1975) Guide-line for standard methods in herbicide test.
- UMETSU, N. (1986) Studies on synthesis and metabolism of selective toxic derivatives of methylcarbamate insecticide. *J. Pestic. Sci.* **11**: 493–503.
- UMETSU, N., A. K. TANAKA and T. R. FUKUTO (1985) Absorption, translocation and metabolism of the insecticide benfuracarb in plants. *J. Pestic. Sci.* **10**: 501–511.
- USUI, M. and N. UMETSU (1986) Metabolism of the insecticide benfuracarb in the housefly. *J. Pestic. Sci.* **11**: 401–408.