DATA EVALUATION RECORD

TRICHLORFON

Metabolism in Rats, Certain Insect Species, and Cotton Plants

CITATION: Bull DL, Ridgway RL. 1969. Metabolism of trichlorfon in animals and plants. J. Agr. Food Chem. 17:837-841.

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DATA EVALUATION RECORD

STUDY TYPE: Metabolism in Rats, Certain Insect Species, and Cotton Plants.

CITATION: Bull DL, Ridgway RL. 1969. Metabolism of trichlorfon in animals and plants. J. Agr. Food Chem. 17:837-841.

ACCESSION NUMBER: Not available.

MRID NUMBER: Not available.

LABORATORY: Entomology Research Division, USDA, College Station, Texas.

TEST MATERIAL: [^{32}P]Trichlorfon (99 percent pure).

PROTOCOL:

1. [^{32}P]Trichlorfon, dimethyl(2,2,2-trichloro-1-hydroxyethyl) phosphonate (Nuclear-Chicago Corp., 99 percent pure, initial specific activity = 10m Ci/mmole) was used in this study. Standard compounds used for comparison were [^{32}P]dichlorvos and [^{32}P]dimethylphosphate. The other compounds used in this study were prepared and purified by the authors.

2. There were several species used in the toxicity and metabolism studies. Insect species included lygus bugs, tobacco budworm larvae, and green lacewing larvae. The animal species was the white rat (female Sprague-Dawley rats weighing 200 to 250 g). The plant species was the cotton plant (Deltapine Smoothleaf variety).

3. a. Insects were treated topically (anesthetized lightly with CO_2 and the test material applied topically, using a micrometer-driven syringe, calibrated to deliver a 1 µl solution or orally (fifth instar tobacco budworm) using a blunt-tipped hypodermic needle.

   b. Rats were injected intaperitoneally with 0.5 ml (aqueous solution) containing 5 mg of [^{32}P]trichlorfon (per animal) and held in metabolism cages.

   c. Cotton plants were treated by injection of chemicals into the petioles of individually, fully expanded leaves.

   d. Anticholinesterase assays were performed in vitro, using bovine erythrocyte acetylcholinesterase and the colorimetric procedures of Simpson et al. (Ann. Entomol. Soc. Am. 57:367, 1964).

Analytical Procedures:

a. Distilled water at pH 5 was used during the preparation of samples to minimize spontaneous rearrangement of trichlorfon to dichlorvos.

b. Extracts were obtained from each species and were partitioned with chloroform and water; then the chloroform fractions were resolved by one-dimensional thin layer chromatography (0.25 mm thickness of silica gel). The solvent systems were either 9:1 chloroform:methanol or 1:1 chloroform:ethyl acetate. Compounds remaining in the aqueous phase were resolved by one-dimensional paper chromatography using a solvent system of either 40:9:1 acetonitrile, water, and ammonium hydroxide or 12:8:6 butanol, pyridine, and water.

c. Tentative identifications were based on chromatography of radioactive materials with authentic compounds after two-dimensional development with two different solvent systems. Radioactive spots were located by exposing the chromatograms to x-ray film, whereas non-radioactive spots were located colorimetrically by spraying chromatograms with 5 percent silver nitrate solution or by using the phosphorus-detection reagent of Hanes and Isherword (Nature 164:1107, 1949) and exposure to UV light.

d. Radioactive fractions from chromatograms were assayed in a liquid scintillation counter.

RESULTS:

The acute toxicities of trichlorfon and dichlorvos and their respective in vitro anticholinesterase (AChE) activities are given in Table 1.

Table 1. Acute Toxicity and Anticholinesterase (AChE) Activities of Trichlorfon and Dichlorvos

Compound Tested	LD_{50}/48 hr (mg/kg)			pI_{50}
	Green Lacewing (3rd instar)	Tobacco Budworm (2nd instar)	Lygus Bug (Adult)	Bovine AChE
Trichlorfon	20,000	77	1.6	5.2
Dichlorvos	200	63	0.8	6.3

The high level of resistance of the green lacewing relative to the sensitive lygus bug was apparently related to the rates of absorption and to the individual animal metabolism. Absorption of trichlorfon by the lygus bug and tobacco budworm was more rapid than for the green lacewing. In addition, accumulation of trichlorfon in the lygus bug reached 57.3 percent of the dose administered, whereas not more than 8 percent accumulated in the green lacewing and the tobacco budworm. Consequently, the high toxicity of trichlorfon to the lygus bug was due to its rapid penetration and accumulation together with the toxic metabolite, dichlorvos. This toxic metabolite and trichlorfon did not accumulate in the more resistant insects, but were rapidly excreted.

In rats, only trace amounts of trichlorfon were detected in the urine 16 hours after treatment, and dimethylphosphate was the major detoxification product. However, there were minor concentrations of O-demethyl derivatives and 2 major unidentified products which were designated B and E. The unknown E was found to be a nontoxic conjugate with glucuronic acid.

In plants, the major metabolic products were dimethylphosphate and an unknown product designated A. The parent material was essentially depleted after 2 days.

CONCLUSIONS:

The authors were of the opinion that toxicity of trichlorfon in insects was due to the formation and accumulation of trichlorfon and dichlorvos (a toxic metabolite). This was especially true for the lygus bug. Cotton plants detoxified trichlorfon primarily by forming dimethylphosphate. Rats formed dimethylphosphate and a nontoxic glucuronide conjugate which were excreted in the urine.

CORE CLASSIFICATION/EVALUATION: Supplementary Data.

The study provides useful information on the in vivo metabolism of trichlorfon in rats, as well as certain insect species and cotton plants. However, analysis of tissues and feces for radioactivity and identification of the radioactive moieties in the conjugates was not performed.