CARBAMATE INSECTICIDES

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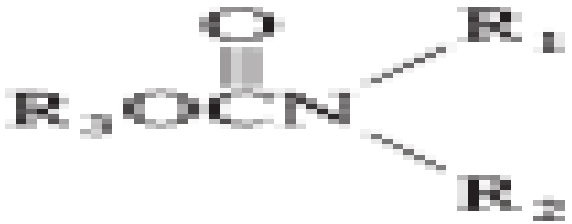
Introduction

Carbamate insecticides are synthetic derivatives of physostigmine which is the principal alkaloid of the plant calabar beans.

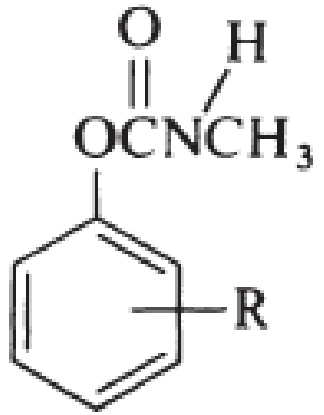
The modern carbamate insecticides have been modified by eliminating the polar moiety of physostigmine so that they can easily penetrate the insect cuticle as well as the nerve sheath. The general carbamate structure is



R 1 and R2 are hydrogen, methyl, ethyl, propyl, or other short-chain alkyls, and R3 is phenol, naphthalene, or other cyclic hydrocarbon rings.

Phenylcarbamates

The basic structure of the phenylcarbamates is



The position of R is important in determining toxicity for the following reason. It explained that phenylcarbamates inhibit cholinesterase by competing with acetylcholine and interacting through van der Waals forces at the esteratic and anionic sites of cholinesterase where normally acetylcholine interacts.

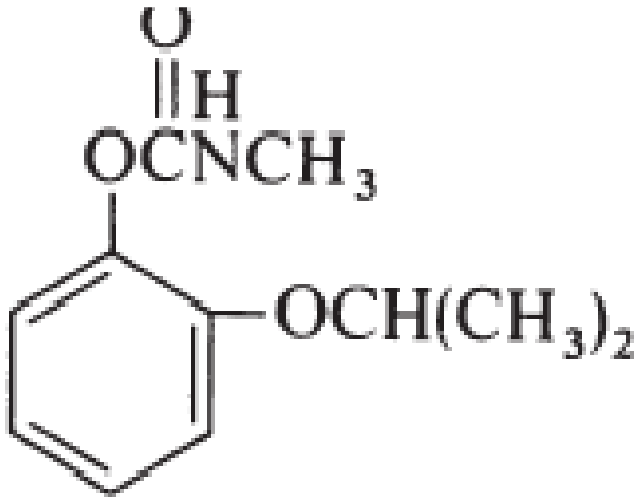
Zectran

- Zectran is a contact and systemic insecticide which kills a relatively broad spectrum of insects and related pests, including some mollusks.
- It is highly toxic and its toxicity can be enhanced by the addition of various pyrethrin synergists.
- It has a special effectiveness against Mexican bean beetles and southern army worms. Its usefulness for forest pest control is now being recognized.
- Zectran is an odorless, white cyrstalline solid with a melting point of 85°C.
- It has a vapor pressure of less than 0.1 mm Hg at 139°C.
- It is soluble in most organic solvents and only slightly soluble in water

Propoxur

Propoxur is a contact insecticide which shows systemic action with soil application. It has a rapid knockdown and has a long residual life. It is a promising insecticide for the control of adult mosquitoes.

It is effectively used against cockroaches, flies, mosquitoes, chinch bugs, spiders, and sand flies.

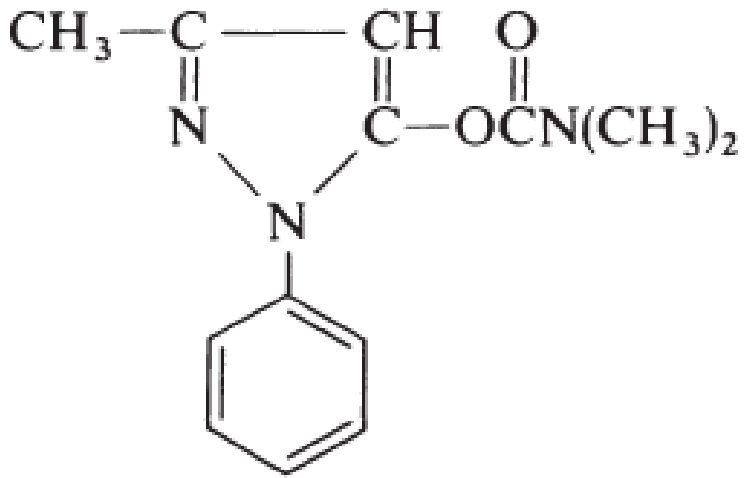


o-ISOPROPOXYPHENYL METHYLCARBAMATE

Heterocyclic Dimethylcarbamates

PYROLAN

Pyrolan is an experimental insecticide. It is moderately toxic to mammals. Pyrolan has some systemic action. It is said to be effective against aphids and the Oriental fruit fly in methyleugenol baits.

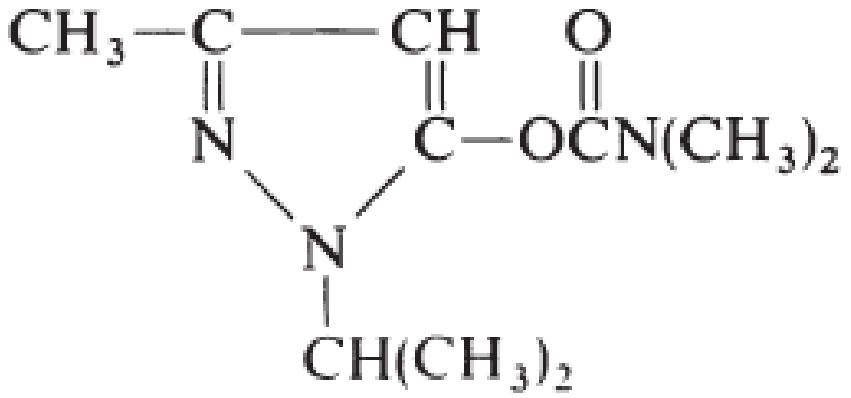


3-METHYL-1-PHENYL-5-PYRAZOL DIMETHYLCARBAMATE

Isolan

Isolan is also an experimental insecticide which is not commercially available. It is more toxic to mammals than pyrolan and also has better systemic action.

Isolan and pyrolan have rapid knockdown action on houseflies and are highly toxic by contact to aphids, thrips, bedbugs, and other small insects. They have a short residual life.

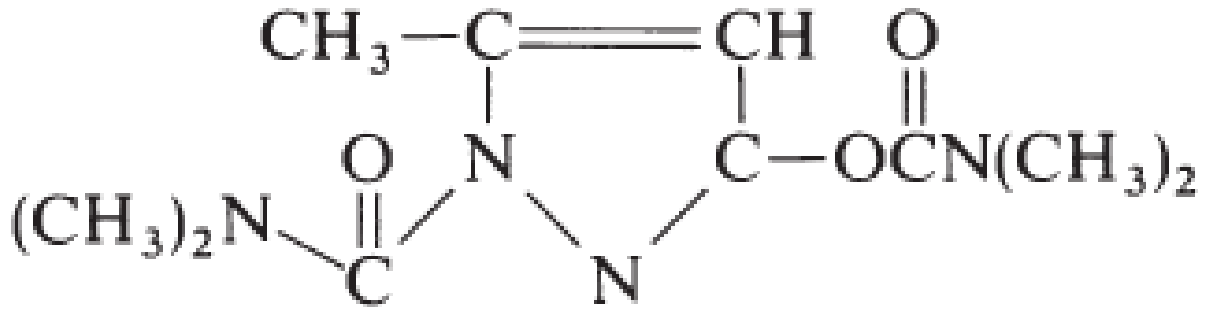


1-ISOPROPYL-3-METHYL-5-PYRAZOL DIMETHYLCARBAMATE

Dimetilan

Dimetilan can be used to control flies in barns, stables, etc. A plastic fabric (fly band) is impregnated with Dimetilan and then hung from the ceiling.

Its water solubility is cited as 24 %, and it has a systemic property.

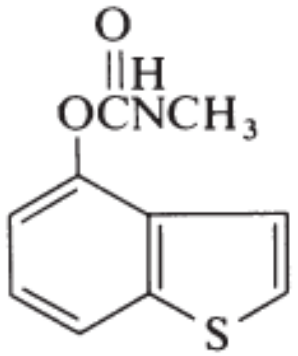


1-(DIMETHYLCARBAMOYL)-5-METHYL-3-PYRAZOL DIMETHYLCARBAMATE

Heterocyclic Methylcarbamates

MCA 600

MCA 600, which is now commercially available, is said to be effective in controlling leafhoppers, alfalfa weevils, and cotton insects. MCA 600 is a white crystalline solid with a melting point of 128°e.



4-BENZOTHIENYL-*N*-METHYLCARBAMATE

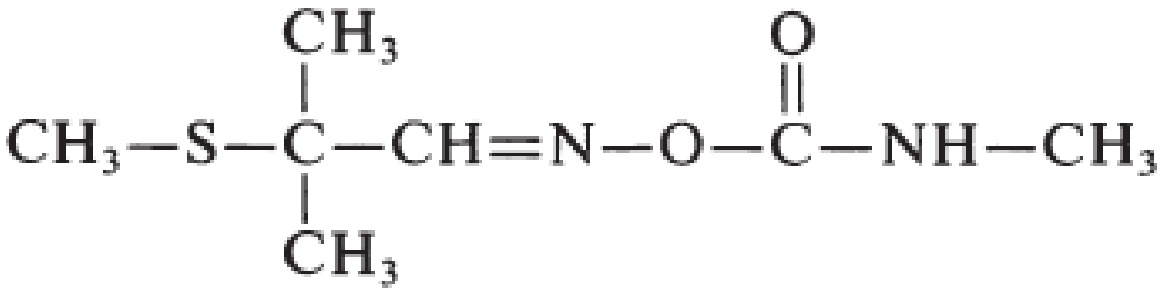
Carbofuran

Carbofuran, which ranks number two in the current (1971) list of carbamates produced in the United States, is highly toxic, with an acute oral Lethal toxicity in rats of 5 mg/kg.

It is effective against soil insects in corn, cotton insects, and pests on potatoes. It is, however, not effective against spider mites.

Oximes

Temik is a new type of systemic carbamate insecticide, acaricide, and nematocide which is absorbed into the root system of plants and has a residual life of up to 10 weeks.



2-METHYL-2-(METHYLTHIO)PROPIONALDEHYDE
O-(METHYLCARBAMOYL) OXIME

THIOCYANATE INSECTICIDES

The organic thiocyanates were important insecticides before DDT and the chlorinated cyclodienes came into widespread use.

In general, the thiocyanates produce rapid knockdown and paralysis of insects and have been especially used for fly sprays. No insects have developed resistance to these compounds.

Thiocyanates are mild general poisons and are selfwarning. Methyl- and ethylthiocyanates have been used as fumigants. However, they are also toxic to plants, which limits their use somewhat.

Lethane 60

- Many of the thiocyanates are known commercially as Lethanes; one of the most useful of these is Lethane 60, 2-thiocyanatoethy laurate.

- Its chemical formula is $C_{II}H_{23}COOCH_2CH_2SCN$.

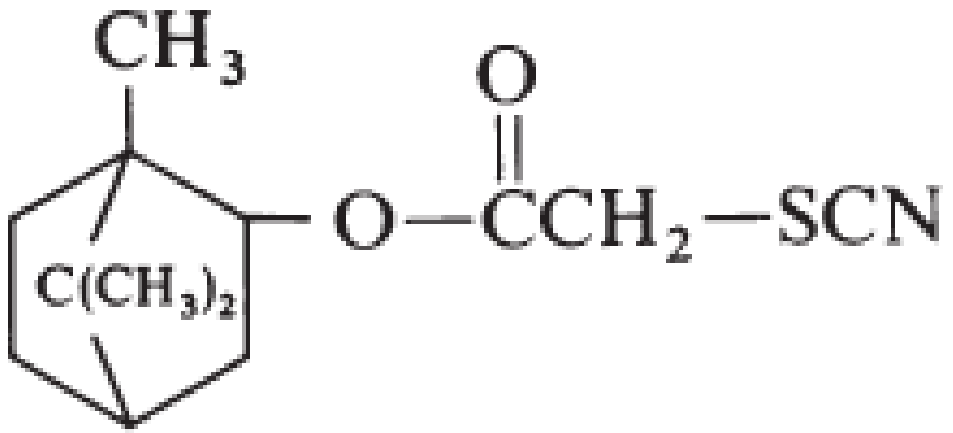
- It is a clear amber liquid and is soluble in most organic solvents and insoluble in water. It is harmful by skin absorption and if swallowed.

Lethane 384

- Another thiocyanate in the Lethane series is Lethane 384, 2-ethylthiocyanate.
- Its chemical formula is $C_4H_90CH_2CH_20CH_2CH_2SCN$.
- Lethane 384 is a clear brown oil, soluble in organic solvents and insoluble in water.
- It is toxic and also harmful by skin absorption or if swallowed.

Thanite

Thanite is a thiocyanate with a rapid knockdown of houseflies. It is a clear amber liquid with an aromatic odor.



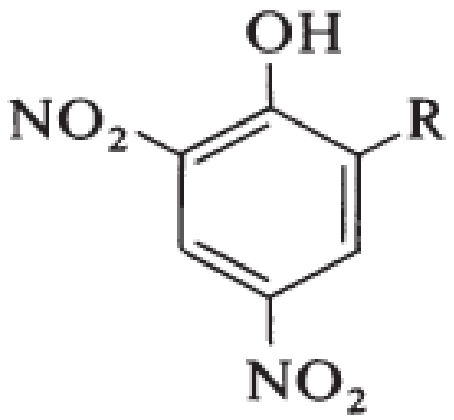
ISOBORNYL THIOCYANOACETATE

DINITROPHENOLS

The dinitrophenols were early insecticides and acaricides which were first used in the 1890s. They still have some usefulness today as dormant sprays and herbicides.

These compounds are quite toxic to cells of all types, including plant cells.

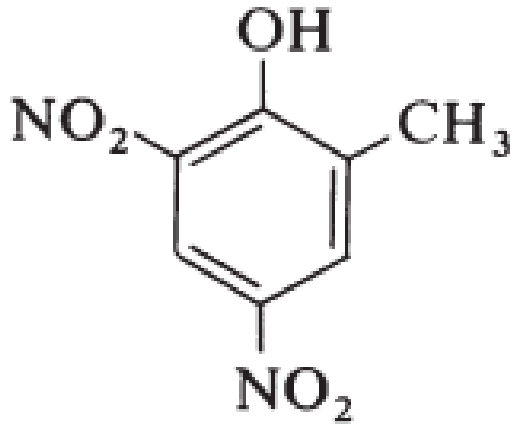
The dinitrophenols will kill mite eggs and have been used for this purpose as dormant sprays during the winter. The basic structure of the dinitrophenol insecticides is:



DINITROCRESOL (DNOC)

Dinitrocresol, also known as DNOC, is a yellow crystalline solid, melting point 85.8°C, with a slight, sharp odor.

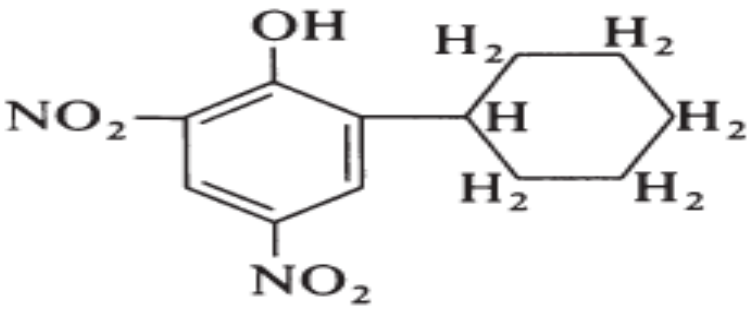
It has a vapor pressure of 5.2 x 10- 5 mm Hg at 25°C and is slightly soluble in water. The calcium, potassium, and ammonium salts of, DNOC are freely soluble in water. Dinitrocresol also forms compounds with amines, phenols, and hydrocarbons.



4,6-DINITRO-*o*-CRESOL

Dinitrocyclohexylphenol (Dinex)

Dinitrocyclohexylphenol, also known as DNCHP, DNOCHP, or Dinex, is a yellow crystalline solid, melting point 106°C. It is an effective acaricide and is slightly soluble in water. Its amine salts are freely water soluble. Dinitrocyclohexylphenol is toxic.

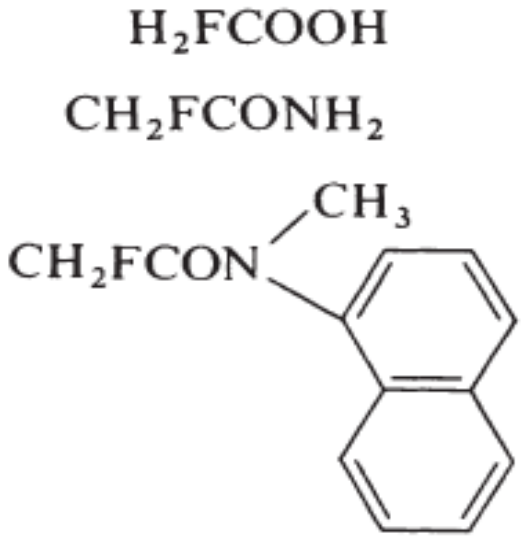


2-CYCLOHEXYL-4,6-DINITROPHENOL

Commercially, dinitrocyclohexylphenol is marketed as DNIII, which is a 20 % aqueous concentration of its dicyclohexylamine salt. DNIII is less phytotoxic than DNCHP and is commonly used for foliage applications.

FLUOROACETATE DERIVATIVES

Fluoroacetate derivatives are characterized by their rigid structural requirement that only the compounds that can give fluoroacetic acid on activation in the animal or plant tissues are active.



FLUOROACETIC ACID

FLUOROACETAMIDE

NISSOL®, 2-FLUORO-*N*-METHYL-*N*-(1-NAPHTHYL) ACETAMIDE

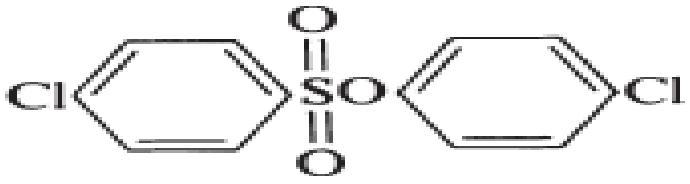
Their insecticidal actions is further converted in vivo into fluorocitric acid, which inhibits aconitase of the tricarboxylic acid cycle.

These compounds attack the same biochemical processes in insects and mammals.

Fluoroacetate derivatives are effective as systemic insecticides and acaricides against aphids and mites. They are freely or relatively soluble in water.

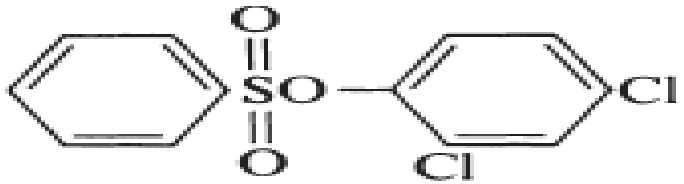
Acaricidal Chemicals

There is a group of acaricidal compounds that normally contain two chlorinated benzene rings and are either suifones, sulfonates, or sulfides (but never sulfates):

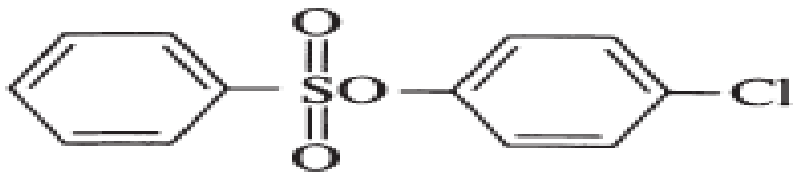


OVEX

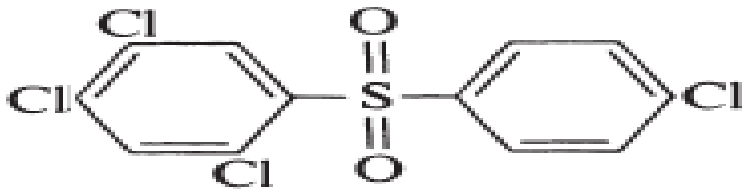
(*p*-CHLOROPHENYL *p*-CHLOROBENZENESULFONATE)



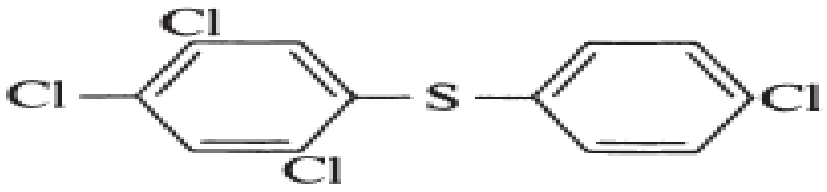
GENITE®



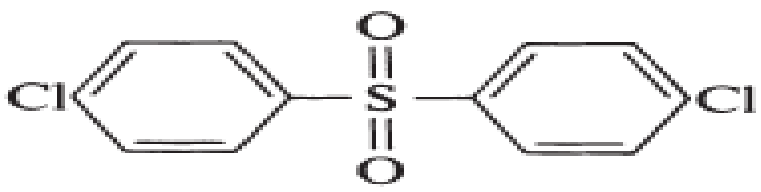
FENSON



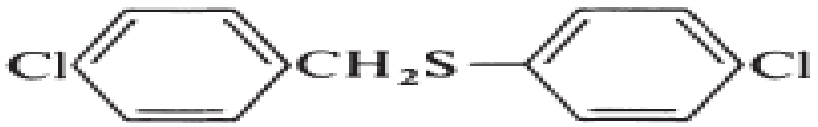
TETRADIFON (TEDION®)



TETRASUL



SULPHENONE® (*p*-CHLOROPHENYL PHENYL SULFONE)



CHLORBENSIDE

ARAMITE

Ovex

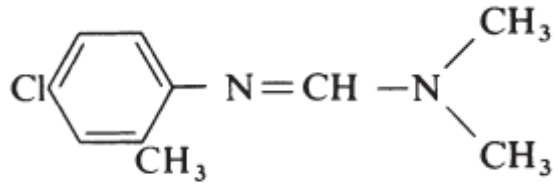
- Ovex is a sulfonate ovicide which is too polar to be a good insecticide.
- It is also ineffective against adult mites and aphids.
- It is most effective against newly hatched larvae and eggs.
- It has a long-lasting residual effect and a low mammalian toxicity.
- This makes the compound useful as a spray for slow-growing crops such as fruit trees.
- Ovex has been used for the control of tetranychid mites.
- Technical ovex is a flaky tan product with a melting point of 81°e.
- The pure compound is a colorless, crystalline solid with a melting point of 86°C. It is very stable but is hydrolyzed in alkali. Ovex is practically insoluble in water.

Sulphenone

- Sulphenone is a sulfone acaricide and ovicide.
- Pure Sulphenone is a white solid with a melting point of 98°e.
- Because of its low phytotoxicity to otherwise susceptible crops (e.g., some apple and pear varieties), it is used as a substitute acaricide.
- It is a short-life acaricide.

Chlordimeform, Chlorphenamidine, Galecron, Fundal

Chlordimeform has been developed as an acaricidal compound, but it has been shown to have excellent properties in controlling some lepidopterous larvae in fields.



CHLORDIMEFORM

Its HCl salt is freely soluble in water. Although its exact volatility figure is not available, it is regarded as relatively volatile, since it kills mite eggs through vapor action.