CHAPTER 5

CYCLODEXTRINS IN PESTICIDES

Pesticides can be complexed with cyclodextrins just as the drug molecules or food flavours. (see chapter 3. and 4.). The "molecular encapsulation" of pesticides may result in interesting effects. Known, published examples confirm the prediction, that in the next decade a rapid development can be expected in the application of cyclodextrins to pesticide formulations.

All of the three industrially produced cyclodextrins can be utilised in the formulation of one or more pesticide complex. In the next few years however only β-cyclodextrin will be available in large amounts and at an economic price. For the future, α-cyclodextrin may also be important, especially for solubilisation purposes. Because of its price γ-cyclodextrin cannot be seriously taken into consideration during the next decade, at least for any large scale industrial applications.

It is however worth considering the methylated cyclodextrins, since in certain cases their application results in such unexpectedly interesting and practically important effects [33]. They can seriously be considered as possible future auxiliary substances of the pesticide industry, even if they are more expensive than β-cyclodextrin. Up to now relatively few reviews have appeared for the application of cyclodextrins in pesticides [19,21a,36,37,38a,50,54].

5.1. EFFECTS ATTAINABLE WITH CYCLODEXTRINS

The application of cyclodextrins in formulation of poorly soluble, or volatile, and/or unstable pesticides will result in the same effects as seen with drugs [31].

1. The cyclodextrin complexes of poorly wettable, slowly and sparingly soluble substances are fairly wettable, dissolve faster and in higher degree, when compared to uncomplexed hydrophobic substances. Consequently the bioavailability is improved, i.e. the same dose results in higher effect, or to reach the same effect, a reduced dose is required. This enables a reduction in the cost of expensive substances, and is often important from the environmental protection aspect.

2. Volatile liquids or sublimable crystalline substances can be transformed into stable, solid powders, and loss through volatilisation can be reduced. There are many highly effective substances with an intolerable odour. When they are complexed, they become rather odourless without altering their effect. A further advantage is that the entrapped substances are released by water e.g. rain, and liberated from their complex just at the due time from the viewpoint of their action. Sublimable substances, evaporating from
granules deteriorate the usefulness of the formula, this can be prevented by cyclodextrin.

3. The degradable substances, sensitive to light, heat, oxygen and ions, can be stabilised, and become compatible with other constituents of a formulation. Compounds can also be applied, that have been avoided in use, because of their rapid decomposition during their production, storage and application.

4. Substances in cyclodextrin complex form are "packed" into a hydrophilic cover, so that their affinity to hydrophilic surfaces such as the intestinal tract, increases; while conversely it is decreased to hydrophobic surfaces. The contact effect of insecticides is therefore reduced, whilst its stomach poisoning effect is enhanced. The poisoning power of insecticides to non-phytophag insects e.g. bees, can be reduced, while increasing it to the herbivorous ones. Since this carbohydrate has a slightly sweet taste the cyclodextrin "packing" reduces the repellent effect of poisons.

5. The biological effectiveness of solid insecticides used in powders or suspensions is generally enhanced by decreasing the particle size. The grinding to fine particles, micronisation, is an expensive and energy consuming procedure, and often appears to be unsuccessful. The micronised particles stick together due to electric charging. Cyclodextrin complexes are formed as microcrystalline powders in aqueous systems. Due to their hydrophilic character they are easily suspended in water, and there is no need to use any organic solvent.

6. Cyclodextrin complexation may also result in a retarded release of biologically active substances. For instance, the hormone activity of ethylene can be prolonged. More favourable results can be achieved by a treatment, that provides a continuous low ethylene level, than by an abrupt high, short term effect. Soil disinfectants are usually applied when the soil is dry, and can be cultivated with machines. A complex applied to a dry soil will be stable and will not be released until it rains.

7. Cyclodextrins have a direct effect on plants: they influence the germination of starch containing seeds. They also show an auxin-like activity in developed plants by a mechanism that is not yet known. Cyclodextrins are found to have some antidotum effects to certain phytotoxic substances, and also seem to inhibit the growth of fungi.

5.2. EFFECT OF CYCLODEXTRINS ON THE ABSORPTION OF SUBSTANCES

A precondition of biological activity for any chemical substance is that its molecules reach the cell membranes. Biological systems always mean aqueous milieu, therefore any biologically active substance can only get to the cells by penetrating through an aqueous phase.

At least 99% of substances enter the living cells by a transport process that postulates the molecular dispersity, namely the dissolved state of the substance. Associates, microcrystals or micelles consisting of more molecules, can enter the cells only by pinocytosis. This mechanism however plays a negligible role in the cellular uptake of substances. The only molecules which can be absorbed, and exert their action are those which are in a