Brief communications

Translated from *Uspekhi Khimii* **60** 552 (1991)

Possible approaches to ecologically sparing insecticides

A V Kamernitskii, I G Reshetova
N D Zelinskii Institute of Organic Chemistry,
Academy of Sciences of the USSR, Moscow

The main danger of using synthetic insecticides is their toxic action on warm-blooded animals and man, linked with the fact that the preparations used until now act on the life-guaranteeing systems common to the living world. There are two possible ways to reduce this danger, either to reduce the stability of preparations or to increase the selectivity of their action. The first is a palliative since it, in the final consideration, leads to accumulation in nature of only secondary conversion products of the labile preparation. Solution of the selectivity of action problem may in our view be found in diverting interest to that region of insect physiology which is most removed from the physiology of vertebrates, viz. the insect endocrine system, the functional principles of which differ markedly from those of vertebrates. Thus compounds replacing or blocking juvenile hormones or shedding (ecdysteroids) and capable of causing sudden or irreversible changes in insect activity may prove to be completely neutral or even useful for all remaining living beings.

The possibility of such an approach has been verified in our laboratory using synthesised compounds of the polyhydroxysteroid series as examples.

These compounds were represented by derivatives of 20-keto-21,24-dinorcholane with an open side chain or tetrahydropyran ring E and with Δ^5,5αH,5αOH-6-keto grouping in rings A/B, with derivatives of 20-acetoxy-21,24-dinorcholane with increasing number of oxygen-containing substituents in ring E and with the same substituents in rings A/B, with derivatives of Δ^5,5αH,5αOH-6-keto-steroids with a δ-lactone ring E, with analogues of the natural chiogralactone with various degrees of saturation and oxidation state of ring E or derivatives of 21,24-dinorcholan-23-oic acids.

It was shown that compounds of the types investigated may actually intrude in the process of metamorphosis of insects, inhibiting it. At the same time, as was established in other experiments, compounds of the same type are synergists of the binding of glucocorticoids with cytosol receptors in the heart of warm-blooded animals, i.e. they display adaptogenic properties. Such a combination of actions on insects and warm-blooded animals is at least extremely promising. Naturally the direct use of complex steroidal preparations as insecticides is unsuitable because of their low availability, however determination of the parameters of groups strengthening their interaction with those and other receptors, may lead to simpler analogues.

Translated from *Uspekhi Khimii* **60** 553–554 (1991)

New trends in the creation of pesticides with a low ecological stress

V G Kartsev
Division of the Institute of Chemical Physics, USSR Academy of Sciences, Chernogolovka

Modern farming cannot do without effective protecting agents against pests, disease, and weeds. The use of pesticides makes it possible to reduce the labour expended in agriculture on the care of seedlings and makes it possible to proceed to the growing of the most important cultures by an industrial technology which ensures high and stable crops.

At the same time the use of pesticides poses a series of most important ecological problems because of their negative side effects on the ecosphere, accumulation in objects within the environment, etc. World science—chemical, biological, and ecological—is therefore faced by the important and urgent problem of creating a new generation of ecologically safe chemical plant protecting agents.

The use of the ideas suggested by nature appears the most promising, in particular the creation of compounds analogous to natural chemical plant protecting agents, as well as their chemical modification. Such compounds act as a rule at low and ultralow concentrations, are highly selective, and do not persist for a long time. They include, for example, phytoalexins (substances of endogenic origin synthesised in plants in response to the action of various factors, including pathogenic microorganisms, in order to combat them), allelopathic agents (minor metabolites of higher plants which suppress the development of other plant species, i.e. which exhibit herbicidal properties), phytocides, cytokinins, and auxins of natural origin, etc.

The procedures for the chemical modification of natural biologically active compounds are similar to the approaches used in the modification of natural chrysanthemic acid (secondary metabolite of camomile), which led to a whole new branch in the field of synthetic metabolites—pyrethroids.

Ecologically clean biotechnological processes for the preparation of pesticides have been developed vigorously in recent years, for example, the advances by Japanese investigators in the biotechnological synthesis of avermectins—ionoform antibiotics exceptionally active against a number of vicious pests (ticks, phytophages, and nematodes). These studies were noted in 1986 as the greatest worldwide achievement in the field of pesticides.

A series of other aspects of the creation of highly selective and relatively ecologically safe pesticides are also noteworthy. These include photodecomposable pesticides, herbicides with photodynamic activity (PDH), and propesticides.

The photodecomposable pesticides include compounds which exhibit fungicidal and herbicidal effects in the dark and which are converted into inactive and non-toxic compounds in the light. The first representatives of these compounds have been synthesised in the

Division of the Institute of Chemical Physics of the USSR Academy of Sciences.

The activity of the PDH is based on the use of natural regulators of intracellular biochemical processes. This suggests a comparatively high ecological cleanliness of preparations with photodynamic activity. Among the advantages of the PDH, one may include the high selectivity of their toxic activity based on the photodependent biological effect, achieved in plant organisms, and the impossibility of their photoactivation in the organisms of warm-blooded animals. In addition, one should note that the outcome of the effect of the PDH on the cell is the activation of radical oxidation reactions of various intercellular components and in the first place membrane components. The quantum yield of these processes can significantly exceed unity. By virtue of this feature of photodynamic processes, one may hope that it will be possible to reduce sharply the active concentrations of the preparations, which is important from the ecological and economical points of view. Furthermore, one should postulate that the non-specificity of the activity in the photodependent stage rules out the possibility of the development of plant species resistant to the PDH.

The action of propesticides (compounds which do not exhibit a pesticidal activity from the beginning) is based on the biochemical activation of the molecules by the enzyme systems of plants, as a result of which the pesticide molecules are generated in the plant cells. The species specificity of such preparations is based on the differences in the activities of enzymes in cultivated plants and weeds. For example, the difference between the activities of alcohol dehydrogenase in various plants served as a basis for the creation of selective propesticides of the chlorophenoxyethanol series (phenteracol — Hungary).

The study designed to create herbicides of this type as well as PDH necessitates the development of a biochemical base for the investigation of the principles governing the species specificity and selective toxicity. Here it is possible to distinguish three most important problems:

The study of the characteristic features of the transport of pesticides through biological membranes, the establishment of relations between their transport characteristics and their structure, and the determination of the characteristic features of the interaction of pesticides with biological membranes, including the molecular mechanisms of the influence of pesticides on the structure and function of membranes.

The study of the differences in the biochemical homeostasis of cells of useful and harmful organisms and in pathological states. The determination of the molecular mechanisms of the response reactions to the action of xenobiotics.

The study of the characteristic features and pathways in the metabolism of pesticides in the cells of living beings and in objects within the environment in order to elucidate the mechanisms of the pesticide effect and also the routes to their metabolic activation and the characteristics of the latter.

Translated from *Uspekhi Khimii* **60** 554–555 (1991)

Biologically active substances of ecological importance and methodological aspects of the estimation of the biological activity of pollutants

S A Ostroumov
Lomonosov Moscow State University

The study of natural ecological chemoregulators and chemomediators is important for the development of new ecologically safe pesticides and chemical plant and crop protecting agents.

Substances of this kind include phytoalexins and other antifungal substances in plants, phage repellants, antifeedants, toxins, antiovipositants, phytoecdysones, juvenile hormone substances, and various attractants and pheromones. One of the problems associated with the chemical pollution of the biosphere is that of the estimation of the biological activity of pollutants. In order to discover the optimum methods of estimating pollutants and xenobiotics, to investigate the possibility of a correspondence between data obtained by various methods and for various objects, and also with the aim of securing new information about the biological activity of anthropogenic substances, the author carried out biotests on certain pesticides and surfactants as well as certain industrial preparations on various biological objects. The substances investigated included pesticides (DNOK and lontrel), surfactants (sulphonol, sodium dodecanesulphonate [sodium dodecyl sulphate?], Triton X-100, ethonium, and TDTMA), and surfactant-containing preparations "Kashtan" (chestnut), "Verbena" (vervain), "Vil'va" [?], and others. The seedlings of a number of plants, including macrophytes, were used as the test objects. It has been shown in the latest experiments that sulphonol (0.5 ml litre^{-1}) almost completely suppresses the growth of the roots of *Oryza sativa*. It is proposed that the adopted modifications of biotesting methods be used on a wider scale for the estimation of the biological activity and ecological danger of substances.

Translated from *Uspekhi Khimii* **60** 555–557 (1991)

Social-ecological aspects of the use of plant protecting agents in Uzbekistan

I N Ruban, N L Voropaeva, S Sh Rashidova
Institute of the Chemistry and Physics of Polymers, Academy of Sciences of the Uzbek SSR, Tashkent

Since the 1970s, the problem of dangerous xenobiotics among polyhalogenated polycyclic compounds capable of exhibiting mutagenic, carcinogenic, teratogenic, and embryotoxic activities and of damaging the liver and the immune and central nervous systems as well as the blood forming organs at very low concentrations has been widely discussed in the world press. One of such compounds is dioxin, which forms part of the composition of copper