Brief communications

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Possible approaches to ecologically sparing insecticides

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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.

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

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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