RESEARCHES ON BIOLOGICALLY ACTIVE NATURAL ANTHRAQUINONES

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Natural anthraquinones are submitted by the wide structural variety in wild-growing and cultivated high plants, glues, lichens, mushrooms, sea animals and seaweed etc. as restored, oxidized, condensed, glycoside forms.

About 60 individual and complex anthracenic preparations are also successfully used in public and official medicine of different countries for a long time. More than 400 plants of 120 families are used by itself or as various medical "collections", balms, pilled forms. The antiinflammatory, antitumour, antiasthmatic, antihelmint, antiitch, amebicidic, bactericidic and bacteriostatic, immunostimulating, radiosensibilizating, antidermatic action of them was established. They are used at ulcer gastric illnesses and duodenum, at burns and frostbites; they are repellents for termites, hormonal and growth-regulating remedies for plants.

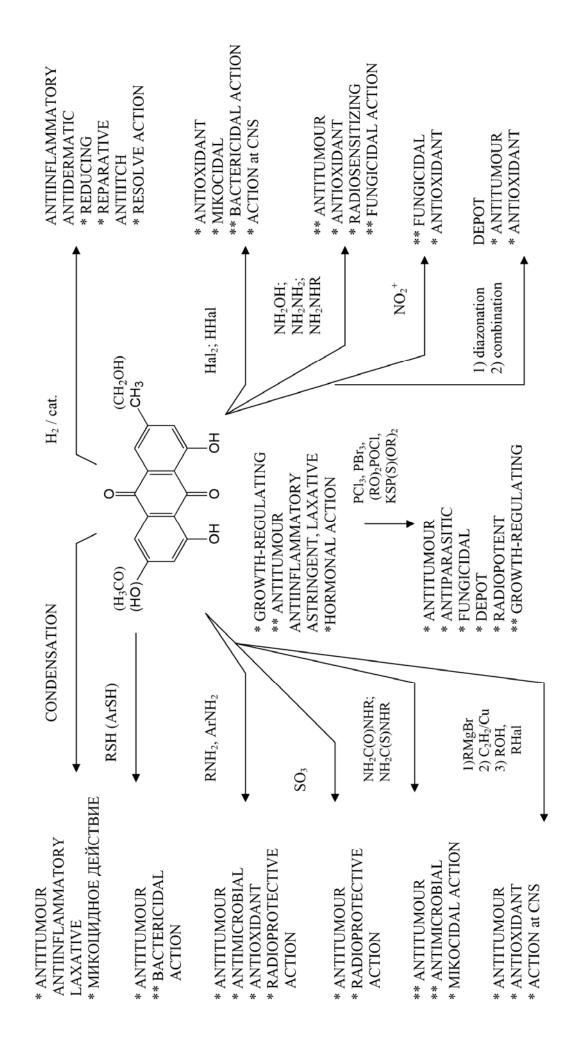
The synthetic analogues have more expressed orientation of action and wider spectrum of bioactivity. As a rule, toxicity of such compounds is low; they are quickly removed from the organism without causing by-effects. It tells us about the perspectives of screening of the BAS in the anthraquinone derivatives series.

The obtained products can be used by itself, since they show versatile bioactivity, or as the intermediate for the further transformations of structure.

It is shown, that the bioactive compounds can be produced in mono-stage reactions with a high degree of selectivity, for example, the selective catalytic reducing C=O, C=C, C=C, C=N, C=N and nitrate-groups and electrochemical oxidation CH₃>C(O)H>COOH, nucleophilic exchange of C=O and OH-groups and electrophilic substitution on aromatic system of molecules. The new for hydroxyanthraquinons ways in reactions, for example, vinylization at reaction with acetylene and its analogues, C-glycosidation on a lateral bonds, acilization aminoacids and derived of phosphorus acid, production mono- and diadducts with Gryniar's reagent, α -, and β - sulphurization at conditions of reaction sulphytization, condensation with formation of a various type of bond between monomers, ureido- and tioureidoderivatives, reception of pyrimidinoanthrons etc. were revealed.

It was shown by complex bioresearches, which the series of nitrogen-, sulfur- and phosphorus- derivatives of the mentioned above hydroxyanthraquinons considerably surpasses in activity the known radioprotectors when irradiating with 137 Cs γ -quanta. The sulphur acid salts protect plants from the action of ionizing radiation. The phosphoric derivatives named above hydroxyanthraguinons promote earlier and complete recovering of blood-creation processes and adrenocortical system in postirradiation period at the conditions of prolonging of ¹³⁷Cs ray energy. Chrysophanol and emodin phosphates influence on sprout of seeds, stimulate or oppress growth of separate parts of plants, what can find its application in selection and in struggle with weeds. Nitro-, ureido-, alkyltio-, sulphurderivatives and phenylhydrazons are active against the activators mealy dew of cucumbers, defeats of millet, wheat. They are much more active, in comparison with analogues on action, concerning rice boll weevil, cereal vermin, and dry rot of potatoes. More than 60 compounds of a various type have mycocide and bactericide activity, bacteriostatic and antivirus action. Chrysophanol shows hormonal activity with relation to vetch, salads and other food cultures. With the complex influence by various nitrogencontaining derivatives of emodin, physcion and chrysophanol with an irradiation the 96% deceleration of growth of tumors or complete necrosis them with change by scar tissues was achieved.

The researches on revealing the correlation of biological activity with thin chemical structure of products of modification of chrysophanol, emodin, physicion, aloe-emodin and some other anthraquinone analogues are under the operation.



Remarks: * for the first time detect activity (Prof. Raisa A. Muzychkina);

^{**} the additional investigations are carried out. More than 800 new compounds are synthesized, more 460 of them are investigated on different bio-activity