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BRIEF COMMUNICATIONS

SYNTHESIS OF POTENTIAL PHOTOACTIVE PHARMACOSOMAL THERAPEUTIC TRANSPORT SYSTEMS AND PESTICIDES

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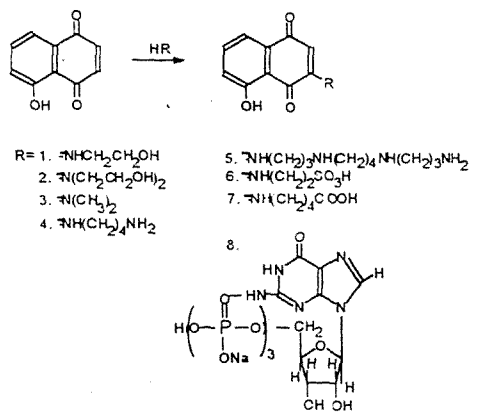
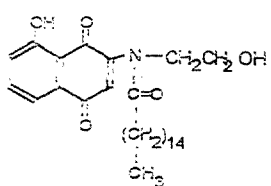
The isolation of the photoactive compound juglone (5-hydroxy-1,4-naphthoquinone) and related 1,4-naphthoquinones from culture media pathogenic for plants, animals, and Man, from fungi imperfecti, and from higher plants has been reported previously [1, 2]. In a study of the interrelationships between micro- and macroorganisms it has been shown that in some cases juglone is a phytotoxin and in others a phytoalexin [1, 3]. It is also known [4] that the plasmatic membrane is permeable for juglone and 2-hydroxyjuglone, as a result of which they are capable of exerting influence on the functional state of the mitochondria under the conditions of an intact cell. In continuation of investigations of the role of juglone in pathogen—host interrelationships begun earlier, we have performed a number of syntheses from it by known methods [2] at the physiological pH value and at room temperature by the following scheme:



The possibility has been shown of using juglone in the creation of potential photoactivable drugs and pesticides. On the basis of the pharmacosomal approach [5], which permits a substantial lowering of the effective doses of drugs and of the consumption norms of pesticides, a conjugate has been synthesized the active part (pharmacon) of which is 3-hydroxyethylaminojuglone and the carrier (soma) palmitic acid.



The individuality of the compounds isolated was shown by chromatographic (TLC in the solvent systems benzene—acetone—formic acid (50:50:1) and isopropanol—ammonia—water (10:1:)) and spectral (IR, PMR, and mass spectra)

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methods. A comparison of the physicochemical properties of the compounds synthesized with those described in the literature [1-4] showed their authenticity.

The results of a determination of the cytotoxicity of the conjugate in a monolayer culture of chick embryo fibroblasts and of its antiviral activity in comparison with that of the initial juglone showed the efficacy of the pharmacosomal approach.

Thus, the compounds synthesized are of interest not only as potential chemical signals in the interrelationships of micro- and macroorganisms that are apparently formed both *in vitro* and *in vivo*, but also as transport systems for delivering functionally active compounds to their action targets, which is important in the creation of a new generation of preparations for medicine and agriculture.

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