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Ways of Synthesis and Study of Pharmacological Activity of the Analogs and Derivatives of Medical Preparation Phosenazid

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WAYS OF SYNTHESIS AND STUDY OF PHARMACOLOGICAL ACTIV-ITY OF THE ANALOGS AND DERIVATIVES OF MEDICAL PREPARA-TION PHOSENAZID

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Phosenazid is the first representative of "day-time" tranquillizers in a set of phosphorylated carbonacid derivatives. 4-Chlor and 4-fluorphenylsubstituted analogs of phosenazid have been synthesised. On their basis phosphorylated hydrazones, semicarbazides, methylamines, oxadiazols, pyrazolidindions have been obtained.

In the solution of chloroform phosenazid and its analogs exist as a mixture of the two rotational isomers, which differ by the character of the H-bond in the hydrazid fragment. For hydrazones the presence of cis- and trans-isomers was determened by ¹³C NMR spectroscopy. Acid-base equilibrium and complexing properties of phosenazid and its analogs were studied.

The toxic and neurotropic activities of the analogs of phosenazid and hydrazones were investigated on mice. The introduction of substitutes into the hydrazid fragment greatly decreases the toxicity of phosenazid. The analogs are comparable in neurotrophic activity with phosenazid. It has been found that hydrazones possess deprimational action upon the central nervous system of animals.

In the investigated class of compounds phosphorylic and hydrazid fragments of the molecules are pharmacophores. The efficiency of psychotrophic action depends upon the nature of both groups under discussion.