SYNTHESIS AND BIOLOGICAL ACTIVITY OF 2-HYDROXY-4-OXO-4*H*-PYRIDO[1,2-*a*]PYRIMIDINE-3-CARBOXAMIDES

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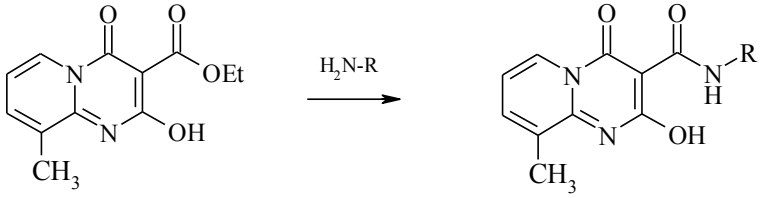
Introduction. The spectrum of nitrogen-containing heterocyclic compounds exhibiting biological and pharmaceutical activity is increasing every year. Despite the fact that drugs are known in medical practice, there is a considerable amount pyrido-pyrimidine derivatives, the biological activity of these compounds are poorly understood.

Aim. The aim of this work was synthesize and to search of antiviral activity for new amidated derivatives of 2-hydroxy-4-oxo-9-methyl-4*H*-pyrido[1,2-*a*]pyrimidine-3-carboxylic acids.

Materials and Methods. Based on the results of preliminary forecast for the PASS program showed a high enough probability (not less than 60%) of antiherpes properties as objects of research we selected N-R-amides 2-hydroxy-4-oxo-9-methyl-4*H*-pyrido[1,2-*a*]pyrimidine-3-carboxylic acids **2**, which were obtained by amidation of the corresponding esters **1** with primary amines.



1 **2**

***2**: R = 2-dimethylaminoethyl; 2-ethylaminothyl; 2-(2-hydroxyethylamino)-ethyl; 2- diethylaminoethyl; 1-ethylpyrrolidine-2-ilmethyl; 2-piperasine-1-ilethyl; 2-morpholine-4- ilethyl*

Results and discussions. The chemical structure of synthesized compounds is confirmed by ^{1}H NMR spectrum. Percularities of spatial structure and character inside and of intermolecular hydrogen bindings were defined on a certain sample by X-ray spectral analysis method. Study of antiviral activity of amidated derivatives of 2-hydroxy-4-oxo-9-methyl-4*H*-pyrido[1,2-*a*]pyrimidine-3-carboxylic acids allowed to discover in the examined line compounds, which in therapeutic dosages did not exhibit a cytotoxic activity on the processes of microorganism's cells action and, in the meantime, effects high activity (to keep reproduction down significantly) onto herpes simplex virus type I as in vitro, as in vivo.

Conclusions. The ^{1}H NMR spectroscopy we used in the study of the structure of the obtained derivatives. The studied compounds **2** show a high activity onto herpes simplex virus type I.