DETERMINATION OF BIOLOGICAL ACTIVITY IN A RANGE OF 8-METHYL-2-HYDROXY-4-OXO-4H-PYRIDO [1,2 α] PYRIMIDINE-3-CARBOXYLIC ACID DERIVATIVES

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As it is known, the pharmacological activity of compounds, especially drugs, depend mainly on their interaction with biological matrices or drug targets, such as proteins, which are either receptors or enzymes, nucleic acids and biomembranes (phospholipids and glycolipids).

All these matrices have complex three-dimensional structures, which are capable to recognize specifically the ligand of drug molecule in only one of the many possible arrangements in the three-dimensional space. It is the three-dimensional structure of the drug target that determines which of the potential drug candidate molecules is bound within its cavity and with what affinity.

Nowadays the widely spread procedure for chemist-synthetics in searching potential biologically active compounds is use of software. It helps not only to save time, solvents and make searching cheaper but minimizes application of laboratory animals.

Among the aims of our research were working out techniques of synthesis in the range of 2-hydroxy-4-oxo-4H-pyrido [1,2 α] pyrimidine-3-carboxylic acid derivatives; and making prognosis of probable biological activity in the range of newly synthesized compounds, especially for 8-methyl substituted derivatives of 2-hydroxy-4-oxo-4H-pyrido [1,2 α] pyrimidine-3-carboxylic acid.

For planning and further optimization the synthesis process by us was carried out prediction of the pharmacological activity for the obtained products using the PASS program. Thus for statistical significance in the calculation of activity were used formula of the most affordable radicals in the amide function.

In view of the fact that the starting material may also be of interest as potential biologically active compounds, considered it appropriate to predict their potential pharmacological activity.

Forecast of the expected pharmacological activity for initial 8-methyl amides of 2-hydroxy-4-oxo-4H-pyrido [1,2 α] of pyrimidine-3-carboxylic acid has shown that almost all the compounds are antagonists of leukotriene C, that are potential antispasmodics, and have membrane-stabilizing and hepatoprotective activity types. Quite interesting is the antagonistic activity of the compounds on growth factor.