

**SYNTHESIS, PHYSICO-CHEMICAL PROPERTIES AND
PHARMACOLOGICAL ACTIVITY OF BIOLOGICALLY ACTIVE
SUBSTANCES IN A RANGE OF 2-HYDROXY-4-OXO-4H-PYRIDO [1,2- α]
PYRIMIDINE-3-CARBOXYLIC ACID DERIVATIVES**

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Introduction. Nowadays one of the important problems of pharmaceutical industry of Ukraine is a problem of import substitution of various drugs and pharmacologically active agents that will reduce dependence on import on the pharmaceutical market, and can expand the range of products of domestic pharmaceutical companies production.

From this point of considerable interest and of perspective application are the derivatives of 2-hydroxy-4-oxo-4H-pyrido[1,2 α]-pyrimidine-3-carboxylic acid. As established earlier, unsubstituted derivatives showed relatively high diuretic activity, some substances were promising and currently undergoing extensive pharmacological studies. Taking it into consideration, carrying out the synthesis of analogues of the compounds described before is very interesting.

Materials and methods. For synthesis we have taken as a starting materials 2-amino-pyridine that will result in appropriate esters after interaction with triethylmethane tricarboxylate. The logical subsequent conversion of esters have been obtained is the synthesis of their amides of various nature.

The literature describes a process for obtaining for aryl and alkylamides of 2-hydroxy-4-oxo-4H-pyrido[1,2 α] pyrimidine-3-carboxylic acid by reaction with ethyl ester of 2- hydroxy-4-oxo-4H-pyrido[1,2 α] pyrimidine-3-carboxylic acid with a double, but better - triple number of excess of the corresponding alkylamine in the boiling ethanol. We have taken the synthesis of previously undescribed corresponding amides of 2-hydroxy-4-oxo-4H-pyrido[1,2 α] pyrimidine-3-carboxylic acid.

As substituents in the amide function we have planned radicals, which allow to get the aimed products in this reaction without especial synthetic complications – alkyl, aryl and heteroalkyl.

Results and discussion. The method of the synthesis for 2-hydroxy-4-oxo-4H-pyrido [1,2- α] pyrimidine-3-carboxylic acid has been elaborated. It has been stated, that the technique elaborated is reproducible, yield is up to 85%.

Conclusions. The technique of synthesis can be used in following researches in the range of search 2-hydroxy-4-oxo-4H-pyrido [1,2- α] pyrimidine-3-carboxylic acid using as potential biologically active compounds.