SYNTHESIS AND PHARMACOLOGICAL PROPERTIES OF 1-FURFURYL-2-OXO-4-HYDROXY-1,2,5,6,7,8-HEXA-HYDROQUINOLINE-3-CARBOXYLIC ACID'S ANILIDES

Zaviazun M. A., Bereznyakova N. L.

The National University of Pharmacy, Kharkiv, Ukraine e-mail: zavjazun@gmail.com

The great attention of researchers involved into seeach for biological active substances and new drugs' creation on their basis, has recently directed to the 1-furfuryl-2-oxo-4-hydroxy-1,2,5,6,7,8-hexahydroquinoline-3-carboxylic acid's anilides. This can be explained by not only the increased interest in a wide range of pharmacological properties of furan's derivatives and their natural abundance, but also the easiness of the parent structure chemical modification.

With the purpose of regularities determination of the "structure – antituberculosis action" relationship, the synthesis of range of 1-furfuryl-4-hydroxy-2-oxo-1,2,5,6,7,8-hexahydroquinoline-3-carboxylic acid's anilides has been carried out.

By means of amidation of ethylic ester **1** of 1-furfuryl-2-oxo-4-hydroxy-1,2,5,6,7,8-hexahydroquinoline-3-carboxylic acid the relevant anilides **2a-f** have been got.

OH O

OH O

OH O

NHR

$$R = 3$$
-Py, 4-Py, thiazolyl-2, 4-substituted thiazolyl-2

To confirm the chemical structure of all synthesized compounds the elemental analysis and NMR¹H spectroscopy were applied, and moreover, for unambiguous interpretation of the methylene groups' signals the special NMR techniques were used that is homonuclear Overhauser effect.

Study of antituberculosis activity of the synthesized compounds was conducted at the National Institute of Allergy and Infectious Diseases (USA) by the radiometric method in relation to Mycobacterium tuberculosis H37Rv ATCC 27294.

The conducted microbiological research of the synthesized compounds have allowed to identify a number of general regularities of relationship between chemical structure and antimycobacterial activity.