ARYLIZATION AND HETERYLIZATION OF ETHYL ESTER 1-FURFURYL-2-OXO-4-HYDROXY-1,2,5,6,7,8-HEXA-HYDROQUINOLINE-3-CARBOXYLIC ACID

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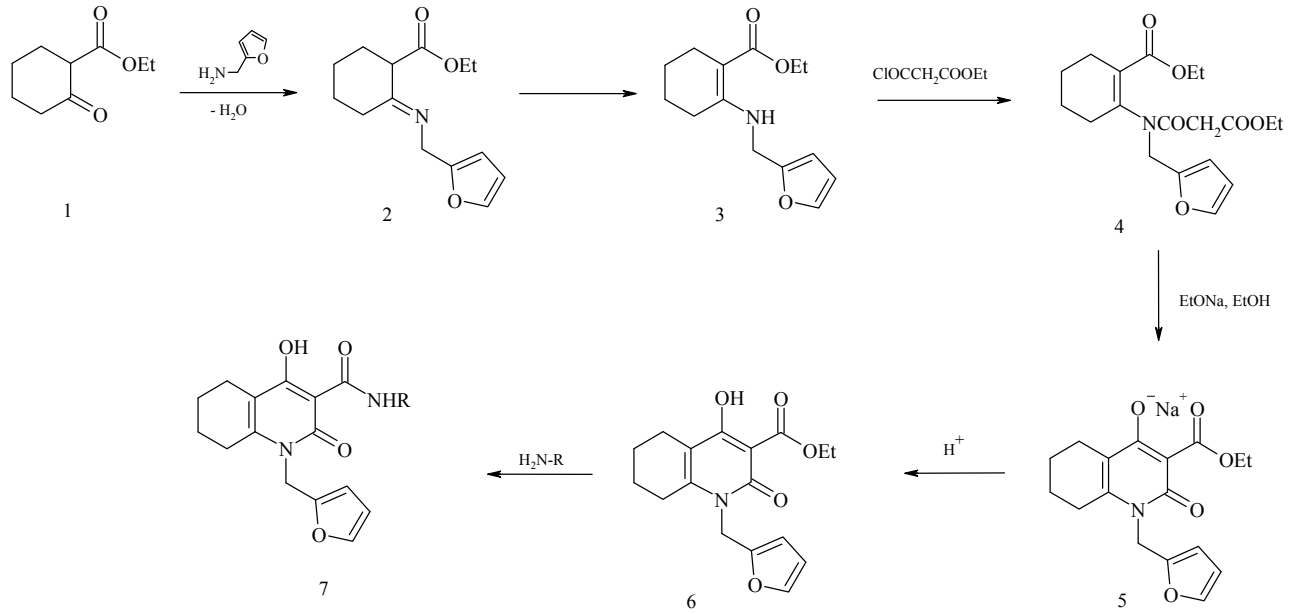
Purpose. As is known from the chemistry sources, furan derivatives are non-toxic or low-toxic substances that may be the basis for drugs development. So we thought it is appropriate to carry out arylization and heterylization reactions of ethyl ester 1-furfuryl-2-oxo-4-hydroxy-1,2,5,6,7,8-hexahydroquinoline-3-carboxylic acid and study the biological activity of the obtained compounds.

Materials and methods. For the synthesis of ethyl ester 1-furfuryl-2-oxo-4-hydroxy-1,2,5,6,7,8-hexa-hydroquinoline-3-carboxylic acid **6** starting ethyl-cyclohexanon-2-carboxylate **1** reacts with furfurylamine **2** to form Schiff bases which tautomerise to enamine **3** (scheme).



Acylation of compound **3** with ethoxymalonylchloride gives anilide **4**, which turns to quinolone **6** after treatment with sodium ethoxide in which the medium of anhydrous ethanol. In turn, the ethyl ester **6** amidating easily with amines and heterylamines, forming the corresponding amides **7** with high yields.

Results and conclusions. The elemental analysis and ^{1}H NMR spectroscopy we used in the study of the structure of the obtained derivatives 1-furfuryl-2-oxo-4-hydroxy-1,2,5,6,7,8-hexahydroquinoline-3-carboxylic acid. The studied compounds **7** show a moderate anti-tuberculosis activity, but do not exceed reference drugs.