

ESTERS OF 4-HYDROXY-2-OXO-6-R-CYCLOHEXENE-2-CARBOXYLIC ACIDS AND THEIR USE IN THE SYNTHESIS OF A NEW 2-AMINO-3-CYANO-5,6,7,8-TETRAHYDRO-4H-CHROMENES

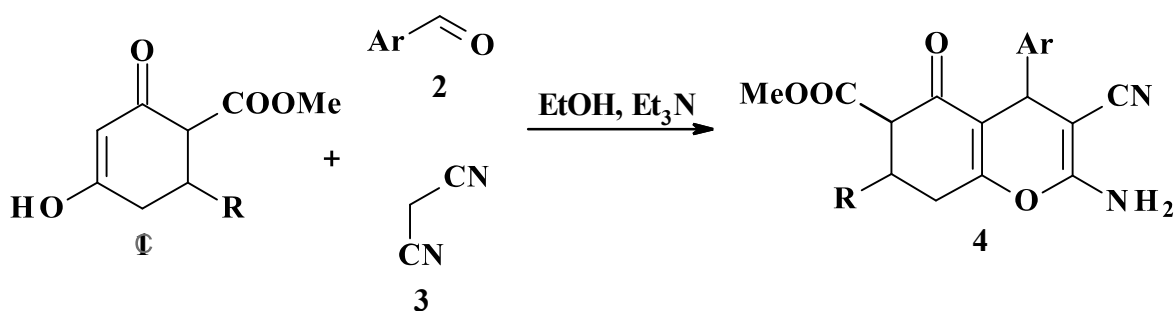
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The work is devoted to the synthesis of a new carbanelated derivatives of 2-amino-4*H*-pyran, in particular 2-amino-3-cyano-5,6,7,8-tetrahydro-4*H*-chromenes and study of the spatial structure of the compounds synthesized.

One of the effective methods used to construct 2-amino-4*H*-pyran is the three-component "domino" interaction between enolnucleophiles, methylene active nitriles and carbonyl compounds. The esters of 4-hydroxy-2-oxo-6-arylcyclohexene-2-carboxylic acids (1) were reacted with aromatic aldehydes (2) and malononitrile (3) in ethanol in the presence of a catalytic amount of triethylamine. As a result, a number of new 2-amino-4-aryl-3-cyano-6-methoxycarbonyl-5-oxo-5,6,7,8-tetrahydro-4*H*-chromenes (4) were synthesized.



The obtained compounds are interesting both as the objects for pharmacological studies and as substrates for further convenient transformations, because of the presence of the ester group.

In the course of our research we confirmed the structure not only of the synthesized chromenes, but also of the starting esters of 4-hydroxy-2-oxo-6-arylcyclohexene-2-carboxylic acid (different structures are given in different sources). The fact that the structure of esters has not been given so far is most likely due to the fact that they were used as intermediates. The use of X-ray diffraction revealed that of the three possible tautomeric forms, the esters in the crystalline state are in the 4-hydroxy form and exist in the form of a pair of enantiomers with a *trans*-configuration. According to the four possible pairs of enantiomers, only two pairs are formed during chromenes synthesis.

The use of esters of 4-hydroxy-2-oxo-6-arylcyclohexene-2-carboxylic acid as an enolnucleophile in a three-component interaction has made it possible to develop a simple, efficient one-step method for the synthesis of new carbanelated derivatives of 2-amino-4*H*-pyran, in particular 2-amino-3-cyano-5,6,7,8-tetrahydro-4*H*-chromenes with a high yield from readily available reagents.