SYNTHESIS OF 3-ARYLISOCOUMARINES WITH SULFAMIDE GROUPS

Although a number of usefull properties (wide spectrum of biological activity, chemical reactivity) isocoumarins' practical use is considerably smaller in contrast with other chromones, particularly their isomers coumarins. This can be explained by the fact that the existing methods for the synthesis of compounds with isocoumarin cycle are significantly limited by the range of reagents that can be used; foremost it's concerns substances with active functional groups. One of the solutions to this problem is to develop methods of functionalization of isocoumarins themselves by, for example, electrophilic substitution, which reaction was not examined for this class of compound earlier.

The studying of the chemical behavior of 3-arylisocoumarins in reactions with electrophiles, specifically sulfochlorination, showed that chlorosulfonic acid primarily attacks the aromatic substituent of 3-arylisocoumarin. In the absence of substituents in the phenyl nucleus (3-phenylisocoumarin) solely 3-(4-chlorosulfonylfenyl)isocoumarin was obtained in sulfochlorination. On the basis of compound with an electron-donor substituent in the phenyl group (3-(p-tolyl)isocoumarin), according to the conditions of the sulfochlorination mono- and disulfochlorides were obtained: first, the substitution occurs in the o-position to the methyl group, while increasing the amount of chlorosulfonic acid and rising the temperature promotes further sulfochlorination on the position 7 of isocoumarin cycle. If there is electron-acceptor substituent (4-bromo , 3-nitro , 4-nitro) in the phenyl ring it makes possible the chlorosulfonic acid attack only position 7 of isocoumarin. The highest yield and the best quality of the product were reached by unsubstituted 3-phenylisocoumarin sulfochlorination; sulfochlorination 3-(4-nitrophenyl)isocoumarin has no practical value due to the partial decomposition of the substance.

By the reaction of obtained sulfochlorides and p-anilizidine isocoumarins with pharmacophore sulfonamide group were synthesized.

Key words: isocoumarins (1H-isochromen-1-ones), chlorosulfonation, sulfonamides.