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Study of Reaction of Methyl Esters' Hydrozinolysis of 5-Bromine-3-Sulphamoil-2-chlorobenzoic Acids, and their Pharmacological Activity

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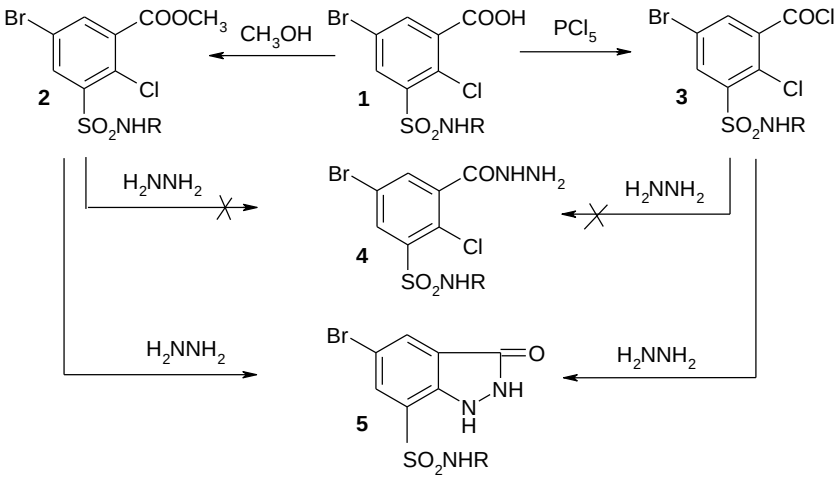
Derivatives of 5-bromine-3-sulphamoil-2-chlorobenzoic acid occupy focused attention of the NUPh scientists as potential compounds which reflect different pharmacological activity.

An object of our research was chosen to be methyl esters of 5-bromine-3-sulphamoil-2-chlorobenzoic acids (2), obtained by esterification of the mentioned above acids (1) by methanol in the presence of concentrated sulphate acid.

Scheme 1



Upon the reaction of methylic esters hydrazinolysis (scheme 1) of 5-bromine-3-sulphamoil-2-chlorobenzoic acids (2) at warming up for 30 minutes, particular substituted 5-bromine-3-oxo-1,2-dihydroindalize (5) have been obtained. Running the reaction of the esters' hydrozinolysis (2) in the cold also has not resulted in creation of hydrazides of 5-bromine-3-sulphamoil-2-chlorobenzoic acids (4). Probably, easiness of cyclization as a process can be explained by reactive capacity of chlor in the other position because of polarity rise of the connection C-Cl by means of ortho- influence of sulphamoil and carboxylic groups, that is approved by the research have conducted prior.

The products of cyclization (5) have also been synthesized by us through the direct effect of the phosphorus chloride (3) onto the 5-bromine-3-sulphamoil-2-chlorobenzoic acids (1) without disengagement of chloranhydrates and further addition of hydrate hydrazine. In support of creation of the products of cyclization (5) the negative reaction of "silver miracle" says.

The structure of obtained compounds (2-5) is proved by the modern methods of analysis.

The synthesized substances (2, 5) displayed medium antimicrobial and antifungal activity in the dose of 5-20 mg/ml.