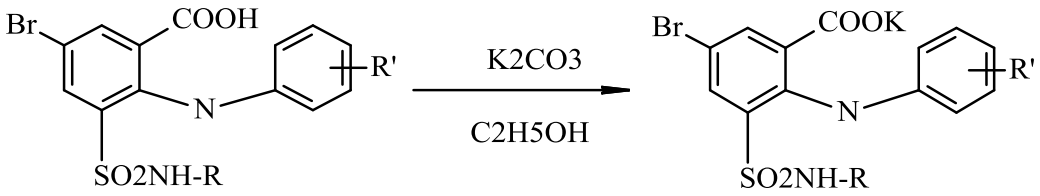
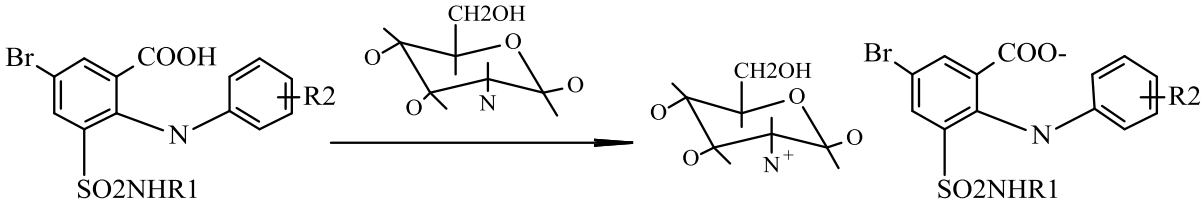
Scheme 1



D-(+)-glucosylammonium salts of 5-bromo-3-sulfamoyl-N-phenylaminobenzoic acids were synthesized by the interaction of the equimolar amounts of acid with D-(+)-glucosamine (scheme 2).

Scheme 2



The structure of compounds has been confirmed by qualitative reactions, data of elemental, IR-spectral analysis, and purity – by thin-layer chromatography

Results and discussion. The resulting potassium and D-(+)-glucosylammonium salts of 5-bromo-3-sulfamoyl-N-phenyaminobenzoic acids are crystalline substances, well soluble in water, worse in alcohol, insoluble in hexane, with melting point > 300° C.

The synthesized compounds were tested for antibacterial activity. Their acute toxicity has also been studied.

Conclusion. According to the results of pharmacological studies, it has established that these compounds have high anti-inflammatory, analgesic and antibacterial activities.

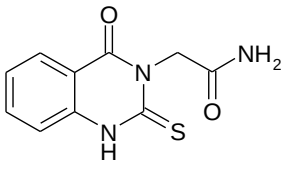
SYNTHESIS OF BIOLOGICALLY ACTIVE COMPOUNDS IN THE RANGE OF (2-(4-OXO-2-THIOXO-1,4-DIHYDRO-2H-QUINAZOLIN-3-YL)-ACETAMIDE DERIVATIVES

El Kayal Wassim, Abu Sharkh A.I., Burian G.O.
Scientific supervisor: assoc. prof. Abu Sharkh A.I.
National University of Pharmacy, Kharkiv, Ukraine
amjad1977a@gmail.com

Introduction. People with a various types of seizures have a number of symptoms and complications that need to be controlled with treatment in order to live a normal or more comfortable life.

The problem of the creation of chemical substances, which are potential medicines, is currently relevant throughout the world, despite the fact that synthetic chemists are doing everything possible and sometimes impossible at the same time with pharmacists and doctors by this direction. Although there are many medicines in the modern pharmacy, it helps to prevent illness and helps to improve its quality for patients, as well as the emergence of severe organ and systemic disorders.

Aim. The elaboration of synthesis of biologically active derivatives in a range 2-(4-Oxo-2-thioxo-1,4-dihydro-2H-quinazolin-3-yl)-acetamide, which can exhibit anticonvulsant activity and may serve as the basis for the development of new anticonvulsants with the following general formula:



Materials and methods. In a mixture of water and triethylamine glycine was dissolved. The resulting solution was added with stirring to a warm solution of methyl ester of 2-isothiocyanato-benzoic

acid in isopropanol. The solution was boiled and further acidified with hydrochloric acid. The next day the precipitate was filtered off, washed with water and boiled under stirring in acetone. After cooling, the precipitate is filtered off and washed twice with acetone. The next stage includes interaction with carbonyldiimidazole and correspondent amine.

Results and discussion. The analysis of the results states that some of the newly synthesized compounds in a range of 2-(4-Oxo-2-thioxo-1,4-dihydro-2H-quinazolin-3-yl)-acetamide derivatives has shown a statistically significant anticonvulsant effect according to the criterion of an intrarenal protective index – a decrease in lethality compared with co-control. They can be considered as highly effective anticonvulsants.

Conclusions. The obtained 2-(4-Oxo-2-thioxo-1,4-dihydro-2H-quinazolin-3-yl)-acetamide derivatives will be used for following syntheses and investigations in the range of perspective compounds with potential biological activity.

THE METHODS OF SYNTHESIS, ANALYSIS AND RESEARCHES OF THE PHARMACOLOGICAL PROPERTIES OF 5-BROMO-3-SULFAMOYL-2-R-PHENYLAMINOBENZOIC ACIDS

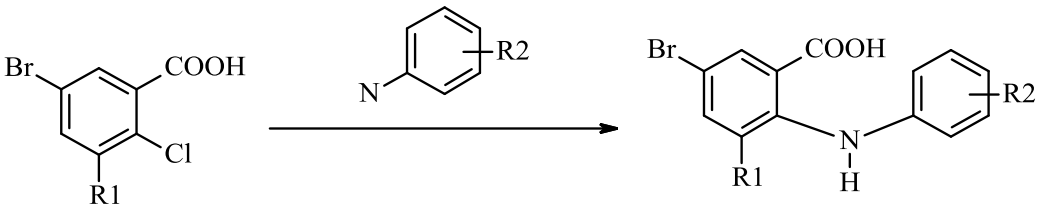
Farich H., Alferova D.A., Kobzar N.P., Skora I.V., Suleiman M.M., Kazakov G.P.
National University of Pharmacy, Kharkiv, Ukraine
di.alferova87@gmail.com

Introduction. Derivatives of 2-aminobenzoic acids have been used long time in medical practice as anti-inflammatory, anticancer, antibacterial and diuretic drugs. But according to publications in scientific journals, patents, it becomes clear, that their potential has not been disclosed yet and these derivatives can be modified to create new medicines that are more effective and less toxic.

Aim. The aim of our work has been resynthesis, analysis and studied of pharmacological activity of sulfamoyl- and bromo-substituted 2-R-phenylaminobenzoic acids.

Materials and methods. 5-bromo-3-sulfamoyl-2-R-phenylaminobenzoic acids has been synthesized, using microwave radiation, by the interaction of 5-bromo-3-sulfamoyl-2-chlorobenzoic acids with arylamines in the medium of n-amyl alcohol in the presence of potassium carbonate and copper powder (scheme 1).

Scheme 1



Structures of compounds were confirmed by data of elemental analysis, ^{1}H NMR-spectroscopy and chromatomass spectroscopy.

For the assay of synthesized compounds, an express method has been developed. The basis of the two-phase titration method was chosen in the presence of an indicator that is not extracted with organic solvents.

Results and discussion. Synthesized 5-bromo-3-sulphamoyl-2-R-phenylaminobenzoic acid are crystalline substances, well soluble in alcohol, acetone, dioxane, in alkaline solutions and insoluble in water. The synthesized compounds were tested for anti-inflammatory, analgesic, diuretic, antibacterial activity.

Conclusion. According to the results of pharmacological studies, it has established that these compounds have high anti-inflammatory, analgesic and antibacterial activities.