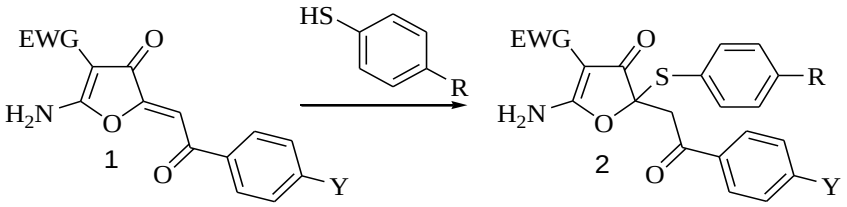
Materials and methods. The study uses various methods of organic chemistry. The starting materials are substituted 2-aminofurans, prepared according to known procedures. The structure of all the substances obtained is confirmed by IR, NMR spectroscopy, elemental analysis data.

Results and discussion. In the reaction of 2-aminofurans **1** with substituted thiophenols in acetonitrile, we found that derivatives 2-amino-5-arylthio-4-oxo-5-(2-arylethyl-2-oxo) -4,5-dihydrofuran-3-carboxylic acid **2**. The compounds obtained are white crystalline substances insoluble in water, alkanes.



EWG=COOEt, CN; Y=H, CH_3, OCH_3, Br, Cl; R=H, CH_3, F

For some test compounds, the minimum inhibitory concentrations (MIC) for the reference strains *S.epidermidis, S.aureus, E.coli, E.faecalis, B.cereus* have been determined. As a comparison standard, we used the linezolid drug. From the products obtained by us, 10 compounds showed high antimicrobial activity (MIC<15.6 mcg/ml) and 5 average activity (MIC 15.6-62.5 mcg/ml).

Conclusions. Thus, it can be concluded that the search for substances with antimicrobial activity in the series derivatives 2-amino-5-arylthio-4-oxo-5-(2-arylethyl-2-oxo) -4,5-dihydrofuran-3-carboxylic acid.

SYNTHESIS, STRUCTURE, NEUROLEPTIC AND ANTIHYPOXIC ACTIVITY OF 3,5-DIBROMO-2-N-(PHENYLAMIDOSUCCINYL)-ANTHRANILIC ACID ANILIDES

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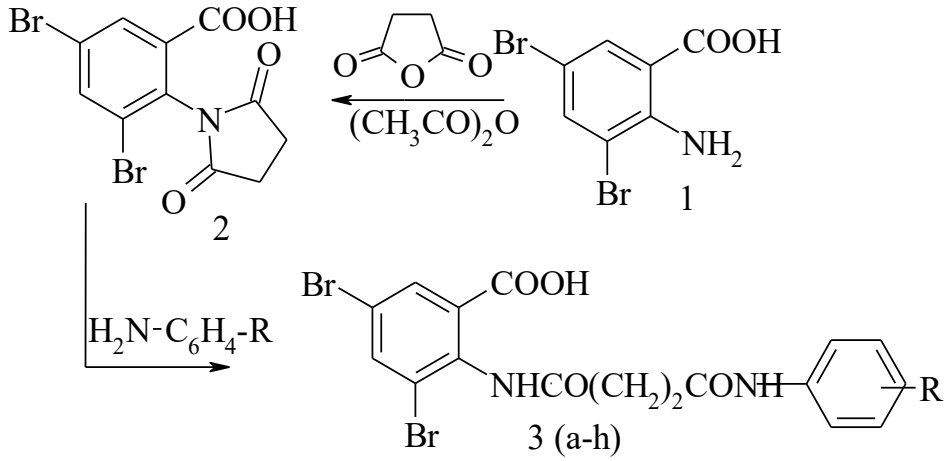
Introduction. Substances that combine in their structure several pharmacophores, namely the aromatic system, covalent bonded with bromine and the remainder of succinic acid, have potential opportunities and allow them to be modified to structure their biologically active compounds.

Aim. Therefore, the aim of our work was to synthesize 3,5-dibromo-2-N- (phenylamidosuccinyl)-anthranilic acid anilides and study their neuroleptic and antihypoxic activity.

Materials and methods. The synthesized 3,5-dibromo-2-N-(phenylamidosuccinyl) anthranilic acid anilides 3 (a-h) were synthesized with the using 3,5-dibromo-2-N-(phenylamidosuccinyl)-anthranilic acid N-imid 2, which is a highly reactive electrophilic agent. N-imide 2 was obtained by acylation of 3,5-dibromanthranilic acid 1 with succinic anhydride in the presence of acetic anhydride. Reaction of interaction of N-imide of 3,5-dibromo-2-N-(phenylamidosuccinyl)-anthranilic acid 2 with the aromatic amines in the medium of dimethylformamide when heated with the opening of the imide cycle, with the formation of 3,5-dibromo-2-N- phenylamidosuccinyl)-anthranilic acid 3 (a-h) with a yield of 65-72% (scheme 1):

Scheme 1



R=2'- NO_2, 6'-COOH; b) R=2'-Cl, 3'-COOH; c) R=2'-CH_3, 4'- NO_2 ; d) R=2'-CH_3, 5'- NO_2 ; e) R=2'-CH_3, 6'- NO_2 ; f) R=4'-$COOC_2H_5$; g) R=4'- SO_2NH_2 h) R=4'-NO_2

The structure of the compounds 3 (a-h) is confirmed by the data of elemental analysis, IR and PMR spectroscopy, and the individuality by chromatography in a thin layer of sorbent.

Results and discussion. The anilides of 3,5-dibromo-2-N- (phenylamidosuccinyl) -anthranilic acid 3 (a-h) are crystalline substances which are insoluble in water, hexanes, chloroform, soluble in ethanol, acetone, dioxane, DMFA, aqueous alkaline solutions.

Neuroleptic activity for anilides of 3,5-dibromo-2-N-(phenylamidosuccinyl)anthranilic acid was studied. Criteria for evaluation were selected: duration of drug sleep and toxicity. The neuroleptic activity of the synthesized substances was compared with aminazine, which was inject as 2.5% aqueous solution.

Compounds 3b, 3f, 3g, 3h are exceeding aminazine for neuroleptic activity. In addition, besides they are less toxic.

Also compounds 3b, 3f, 3g, 3h were researching to pharmacological screening for anti-hypoxic activity. Criteria for evaluation were the time of death of the standard group of experimental animals for a certain time and DL_{50}.

The antihypoxic effect of anilides of 3,5-dibromo-2-N-(phenylamidosuccinyl)anthranilic acid was compared with sodium oxybutyrate. It was established that the compounds 3b, 3f, 3g, 3h exceeded the reference drug by almost 3 times.

The acute toxicity of 3,5-dibromo-2-N-(phenylamidosuccinyl)anthranilic acid anilides 3 (a-h) is within the range of 3000-4500 mg/kg for intragastric injection to mice.

Conclusions. 1.Synthesis of 3,5-dibromo-2-N-(phenylamidosuccinyl)anthranilic acid anilides was carried out.

2.The structure of synthesized compounds was confirmed and proved.

3.According to the results of pharmacological screening, compounds with high neuroleptic and antihypoxic activity were detected.

4. Compounds that exceed reference drugs have been identified and recommended for in-depth pharmacological studies