SYNTHESIS, STRUCTURE AND ANTIMICROBIAL ACTIVITY OF SOME DERIVATIVES OF 1,3,4-THIADIAZOLES

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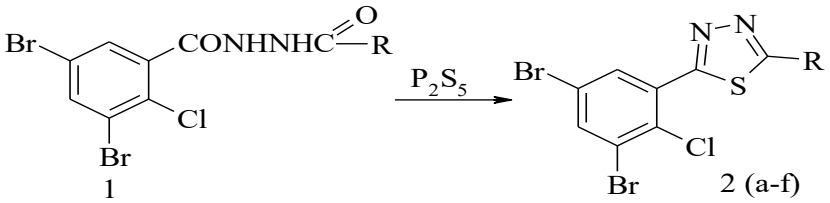
Introduction. Over the past few years, pathogenic microorganisms are increasingly being mutated and strains are becoming increasingly resistant to most antibiotics and antibacterial drugs. According to the data of the literature, the derivatives of hydrazides of anthranilic acids, as well as their cyclic derivatives, have a high antimicrobial activity.

Aim. Taking into account the above, the aim of our work was the synthesis of 3,5-dibromoantranilic acid hydrazides and their cyclization in to 1,3,4-oxadiazole, as well as the study of their antimicrobial properties.

Materials and methods. Synthesis of 2-(3,5-dibromo-2-chlorophenyl)-5-R-phenyl-[1,3,4]thiadiazoles was carried out by the interaction of pentasulfide phosphorus with the hydrazides of 2-chloro-3,5-dibromobenzoic acids by heating for a 12 hours (scheme 1):

Scheme 1



a) R=Ph; b) R=Ph-4-CH_3; c) R=Ph-4-OCH_3;

d) R=Ph-4-C_2H_5; e) R=Ph-4-OC_2H_5; f) R= 

The structure of compounds (2 a-f) is confirmed by elemental analysis, IR- and PMR-spectroscopy, and individuality by chromatography in a thin layer sorbent.

Investigation of antimicrobial activity was performed by two-fold serial dilutions in vitro.

Results and discussion. Synthesized compounds (2 a-f) were studied for the presence of bacteriostatic, fungistatic activity. The results of microbiological screening indicate that 2-(3,5-dibromo-2-chlorophenyl)-5-R-phenyl-[1,3,4]thiadiazoles exhibit bacteriostatic activity against gram-positive and gram-negative microorganisms at a concentration of 15.6-62.5 mg/ml. The fungistatic activity of synthesized compounds to *C. albicans*, *C. triandis* and *C. tropicalis* is between 31.2-250 mg/ml.

Conclusions. Synthesis of 2-(3,5-dibromo-2-chlorophenyl)-5-R-phenyl-[1,3,4]thiadiazoles was carried out. Also, were detected compounds with antimicrobial activity.

SYNTHESIS AND ANTIMICROBIAL ACTIVITY OF DERIVATIVES 2-AMINO-5-ARYLTHIO-4-OXO-5-(2-ARYLETHYL-2-OXO)-4,5-DIHYDROFURAN-3-CARBOXYLIC ACID

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Introduction. One of the modern tasks of organic and pharmaceutical chemistry is the production of new substances with antimicrobial activity. The previously obtained 2-aminofurans are promising starting substances for the synthesis of a variety of structures, including those possessing biological activity: analgesic, antihypoxic, antimicrobial.

Aim. Study the interaction of 2-aminofurans with substituted thiophenols and check the products for antimicrobial activity.