SYNTHESIS, ANTIBACTERIAL AND ANTIFUNGAL ACTIVITY OF DERIVATIVES OF 1,3,4-OXADIAZOLES

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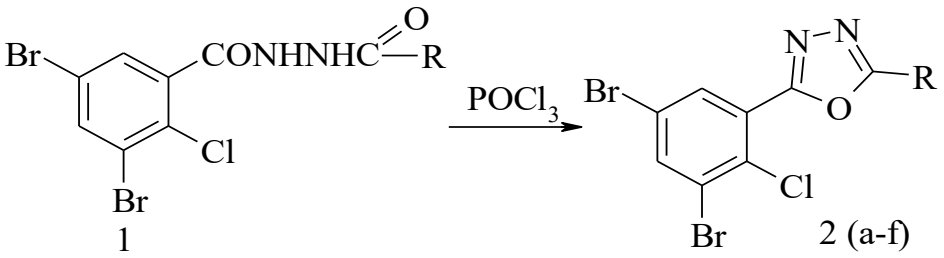
Over the past few years, reports from the World Health Organization about new outbreaks of infectious diseases are increasingly coming, with the bacteria being mutated every time and strains resistant to most antibacterial drugs.

Therefore, the search and development of the new antimicrobial substances, that would be different in their effectiveness and safety, is relevant.

Given the above, as the objects for research into antibacterial and antifungal activity, we selected certain derivatives of 1,3,4-oxadiazoles, the synthesis of which was carried out according to the 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= 

By boiling for 5-8 hours, the hydrazides of 2-chloro-3,5-dibromobenzoic acids (1) easily cyclize to 2-(3,5-dibromo-2-chlorophenyl)-5-R-phenyl-[1,3,4]oxadiazoles (2 a-f).

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.

Antibacterial activity of compounds (2 a-f) was studied in vitro. As test microorganisms used hay bacillus, Staphylococcus aureus 209R, E. coli strain 0-119 and Pseudomonas aeruginosa. As test cultures, fungal strains Candida albicans and Candida triadis were used for antifungal activity.

Analysis of the data of the conducted studies indicates that the minimum inhibitory concentration of synthesized oxadiazoles is in the range of 15.6-31.2 mkg/ml. It has also been established that the most potent antibacterial activity is exhibited by a substance that contains an isoniazid moiety, but this substance has not shown antifungal activity at all, in contrast to other 1,3,4-oxadiazole derivatives.

In addition, according to the computer forecast of the spectrum of biological activity, this substance with the isoniazid fragment, must have a powerful anti-TB effect.