SYNTHESIS OF THE NEW DERIVATIVES OF 3-MERCAPTO-4-R-5-R^1-METHOXYPHENYL-1,2,4(4*H*)-TRIAZOLE

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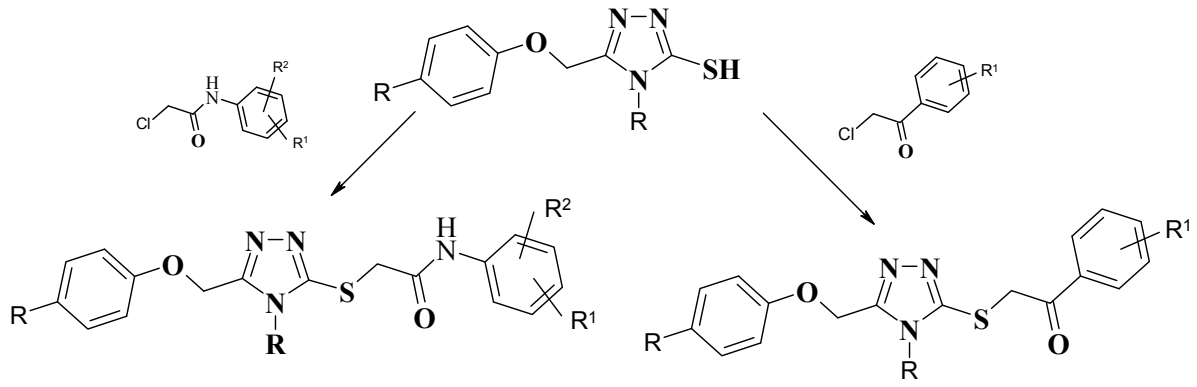
Purpose. The work devoted to the synthesis of new compounds the derivatives of 1,2,4(4*H*)-triazole and the study of their antiulcer activity.

Materials and Methods. Synthesis of new potential biological active substances on the base of 3-mercapto-4-R-5-R^1-methoxyphenyl-1,2,4(4*H*)-triazole has been carried out. Finished products have been obtained by the interaction of 3-mercapto-4-R-5-R^1-phenoxymethyl-1,2,4-triazole (4*H*) with corresponding chloroacetanilides or cloroacetophenones at standard alkylation conditions(Scheme 1)

Scheme 1



Target products have been obtained with satisfactory yields. Structure of substances synthesized have been proved by elemental analysis and NMR spectra, the purity was confirmed by the method of thinlayer chromatography. All spectra of the compounds synthesized are characterized by the presence of signals of two methylene groups. The signals of these groups were identified in accordance with the electronegativity of adjacent functional groups: at 5,22-5,32 ppm - OCH_2; at 5,13-5,19 ppm - SCH_2. The signals of aromatic protons in most cases overlap each other and are in the form of complex multiplets. The computer prognosis of biological activity spectrum of all new compound by program PASS has set that the several acetophenones are able to show the antiulcer activity (activity indexes of compounds are in the range of 0.5 to 0. 7) and antihelicobacter activity (activity indexes of compounds are in the range of 0.6 to 0. 7). Pharmacological screening for antiulcer activity has been carried out.

Results and conclusions. New derivatives of 3-mercapto-4-R-5-R1-methoxy-phenyl-1,2,4(4H)-triazole were synthesized. The structure of the compounds obtained was proved by methods NMR-spectroscopy. Prognosis of pharmacological activity has showed high possibility of antiulcer activity for the acetophenones. Data of primary pharmacological screening have proved the computer prognosis data.