

THE DERIVATIVES OF 4-R-PHENYL(BENZYL-, ALLIL-)-5-(R¹)-PHENYL(OXY-, THIO-, AMINE)-METHYL-1,2,4-TRIAZOL(4*H*)- 3-THIONS AS POTENTIAL ANTIULCER AGENT

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Introduction. In recent years, great attention of scientist in many countries of world is devoted to the synthesis of derivatives of 1,2,4-triazole. This is due to several factors – wide opportunities of introducing radicals into the heterocyclic ring, which allows you to vary widely series of compounds, as well as the high potential of the derivatives as potential pharmacological agents.

Aim. The work devoted to the synthesis and researches about physical-chemical properties of the newly synthesized compounds the derivatives of 4-R-phenyl(benzyl-, allil-)-5-(R¹)-phenyl(oxy-, thio-, amine-)-methyl-1,2,4-triazol(4*H*)- 3-thions and the study of their antiulcer activity. Analysis of the literature shows that among the derivatives of 1,2,4-triazol(4*H*) there are a lot of promising compounds in terms of pharmacy, but at the same time, their biological properties have been insufficiently studied.

Materials and methods. In order to search for new bioactive substances - potential antiulcer 4-R-phenyl(benzyl-, allil-)-5-(R¹)-phenyl(oxy-, thio-, amine-)-methyl-1,2,4-triazol(4*H*)-3-thions were synthesized. Therefore, as the starting materials for the formation of the 5-substituted triazoles nucleus, we selected anilines - unsubstituted and 4-substituted ones, phenols and thiophenols. Resulting from the alkylation of ethyl chloroacetate and subsequent hydrazinolysis hydrazides were involved into interaction with phenyl(benzyl-, allil-) isothiocyanates. Reaction was carried out in an alcohol in the presence of alkali solution. Target products have been obtained with satisfactory yields. The structure of obtained 4-R-phenyl(benzyl, allil)-5-(R¹)-phenyl(oxy, thio, amine)-methyl-1,2,4-triazol(4*H*)-3-thions was proved by modern physical and chemical methods of ¹H NMR-spectroscopy, the purity was confirmed by the method of thin-layer chromatography. The computer prognosis of biological activity spectrum of all new compound by program PASS has set that the several substances are able to show the antiulcer and antihelicobacter activity (activity indexes of compounds are in the range for 0.5 to 0.6). It was determined also by PASS program high possibility such pharmacological activities as analgesic, sedative and antineurotic. Pharmacological screening for antiulcer activity has been carried out. **Results and discussion.** New R-phenyl(benzyl, allil)-5-(R¹)-phenyl(oxy, thio, amine)-methyl-1,2,4-triazol(4*H*)-3-thions were synthesized. The structure of the compounds obtained was proved by methods NMR-spectroscopy and their purity and individuality was determined by thin-layer chromatography.

Conclusions. The results of studying an antiulcer activity have shown that the compounds synthesized are antagonists against the ulcer activity of mixture of ethanol-prednisolone. Performed researches have allowed to select two leading compounds for in-depth researches.