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OBTAINING AND RESEARCH OF 2-PHENYLIMINOTHIAZOLE DERIVATIVES CONTAINING HYDROXYETHYL MOIETY

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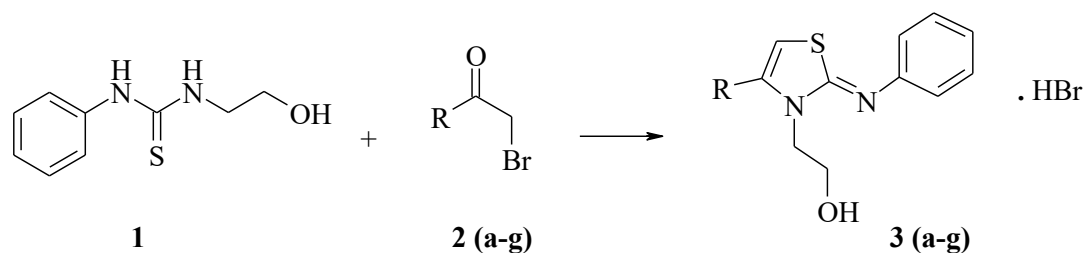
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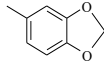

Introduction. Analysis of scientific literature shows that iminothiazole-containing heterocycles are prospective biologically active substances with antimicrobial, anti-inflammatory, antihistaminic, antihypertensive, hypnotic and anticonvulsant activity.

Aim. To continue the search of new biologically active substances among 2-phenyliminothiazole derivatives which possess anti-inflammatory activity.

Materials and methods. The synthesis of series 2-[4-aryl(adamantyl)-2-phenyliminothiazol-3-yl]-ethanol derivatives has been carried out:



a) $R=C_6H_5$, b) $R=4-CH(CH_3)_2C_6H_4$, c) $R=4-OCH_3C_6H_4$, d) $R=3-OCH_3C_6H_4$,

e) $R=4-BrC_6H_4$, f) $R=3-NO_2C_6H_4$, g) $R=$ , h) $R=$ .

To optimize the pharmacological screening of 2-[4-aryl(adamantyl)-2-phenyliminothiazol-3-yl]-ethanol derivatives «drug-like» parameters have been calculated and computer prognosis of biological properties by PASSonline programme has been done.

Results and discussions. The series 2-[4-aryl(adamantyl)-2-phenyliminothiazol-3-yl]-ethanol derivatives by interaction thiourea 1 and α -haloketones 2a-g in ethanol medium has been synthesized. Structure and purity of synthesized compounds 3a-g confirmed by elemental analysis, 1H NMR and chromat-mass spectra. According to obtained results of virtual screening compounds 3a, 3b for anti-inflammatory activity have been tested.

Conclusions. Thus we have synthesized an eight new 2-[4-aryl(adamantyl)-2-phenyliminothiazol-3-yl]-ethanol derivatives, confirmed their structure and purity. The virtual screening of synthesized compounds and pharmacological screening of most promising compounds for anti-inflammatory activity have been performed. The results of virtual screening confirm results of pharmacological screening. It was established that tested compounds possess moderate anti-inflammatory activity.

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