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ABSTRACT BOOK

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Synthesis of new Mannich bases containing 1,2,4-triazole and piperidine moiety

Yeromina H.O.^{1*}, Perekhoda L.O.¹, Ieromina Z.G.¹, Schepochkina S.S.¹, Demchenko A.M.^{2,3}

¹National University of Pharmacy, Kharkiv, Ukraine;

²Institute of Pharmacology and Toxicology, National Academy of Medical Sciences, Kyiv, Ukraine

³Nizhyn Mykola Gogol State University, Nizhyn, Ukraine

*Corresponding author e-mail: *annerem2012@gmail.com

Introduction: The 1,2,4-triazole nucleus forms the basis of a series of compounds of both therapeutic and chemical interest. 1,2,4-triazole derivatives possess antiviral, antibacterial, antitumor, antidepressant, antihypertensive activity etc. [1-3]. Substituted 1,2,4-triazole-3-thiones can be used as substrates containing active hydrogen for Mannich reaction. Mannich reaction is important for the construction of nitrogen-containing compounds. The literature studies enlighten the fact that Mannich bases are very reactive and recognized to possess potent diverse activities like anti-inflammatory, antibacterial, anti-HIV, antimalarial, antitubercular, analgesic, antipsychotic activities and so forth [4-5].

In view of this, the aim of our work was to synthesize new Mannich bases in order to find biologically active substances.

Materials and methods: The synthesis of new Mannich bases namely 5-(4-bromophenyl)-4-(R-phenyl)-2-(1-piperidylmethyl)-1,2,4-triazole-3-thiones was carried out by one-pot multicomponent Mannich reaction. Target compounds were obtained by interaction of substituted 1,2,4-triazole-3-thiones, piperidine and formaldehyde in ethanol medium at room temperature for 12 hours with pre-stirring of the reaction mixture for 1 hour. The yields of target compounds were 68-73%. The structure, individuality and purity of the compounds synthesized were confirmed by data of ¹H NMR and ¹³C NMR-spectra. The purity of compounds additionally was controlled by thin-layer chromatography.

Results: The new Mannich bases containing 1,2,4-triazole and piperidine moiety were synthesized and its structure, purity were confirmed successfully. The data obtained reliably confirm the aminomethylation reaction with the formation of Mannich N-bases. The reaction proceeds via the formation of immonium salt which subsequently attacks the N² atom of triazole giving rise to regioselective Mannich base. It should be noted that the reaction is highly regioselective and furnishes only N-Mannich base, though the intermediate Schiff base can exist in the thiol–thione tautomeric equilibrium.

Conclusions: New 5-(4-bromophenyl)-4-(R-phenyl)-2-(1-piperidylmethyl)-1,2,4-triazole-3-thiones were synthesized and its structure and purity were confirmed by data of modern physicochemical methods of analysis. The synthesis of substances in this series of derivatives continues.

References

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