SEARCH OF COMPOUNDS WITH ANTIMICROBIAL ACTIVITY INCLUDE DERIVATIVES OF [1,2,4]TRIAZOLO[4,3-*a*]PYRAZINE

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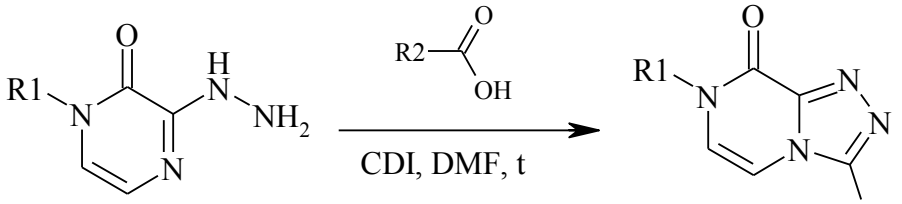
Introduction. Taking into account the wide spectrum of pharmacological activity of the [1,2,4]triazolo[4,3-*a*]pyrazine derivatives, it is very important to develop methods for the purposeful synthesis of systematic series of compounds based on this structure and to solve the problems of rational design of biologically active substances using computer prediction methods.

The **aim** is a targeted synthesis of biologically active substances in a series of derivatives of [1,2,4]triazolo[4,3-*a*]pyrazine and the study of the properties of the synthesized compounds with a view to finding an effective pharmacological agents.

Materials and methods. Methods of organic synthesis; physical and physicochemical methods of analysis of organic compounds (^{1}H and ^{13}C NMR spectroscopy, elemental analysis), the study of biological properties using standard techniques; analysis of the results obtained and their generalization, statistical methods for processing experimental data.

Results and discussion. The scheme of interaction of N^{1}-aryl/benzyl-3-hydrazinopyrazine-2(1*H*)-ones **1***{1-4}* with aromatic and heterocyclic carboxylic acids. To activate the carboxyl group, we proposed using of *N*,*N*′-carbonyldiimidazole (CDI) in anhydrous DMF with a 1:1 reagent ratio.



1*{1-4}* **2***{1-10}*

R1 = Ph; 4-FPh; 4-diFPh; 4-ClPhCH$_2$;
R2 = 3-ClPh; 3,4-diMePh; 3-Pyr; 3,4-diOEtPhCH$_2$

Microbiological screening of compounds of the [1,2,4]triazolo[4,3-*a*]pyrazine-8(7*H*)-one series allowed the identify of derivatives with antimicrobial and antifungal activity.

Conclusions. The proposed approach to the synthesis of [1,2,4]triazolo[4,3-*a*]-pyrazine-8(7*H*)-one derivatives allows obtaining arrays of compounds with antimicrobial activity for the needs of medical chemistry.