UDC 547.53: 615.28

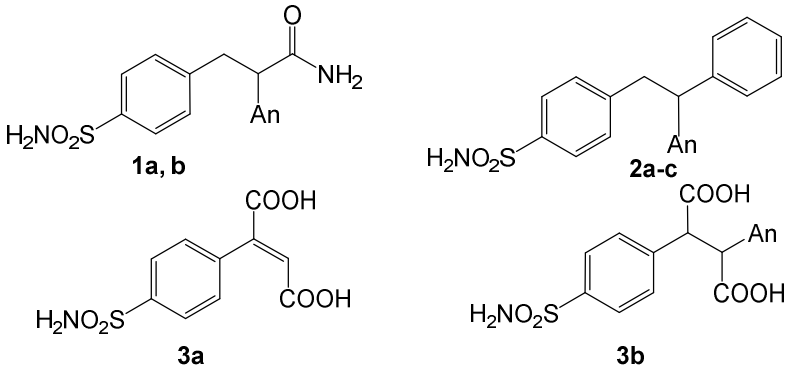
THE SULFAMIDOPHENYLATION PRODUCTS OF UNSATURATED COMPOUNDS. SYNTHESIS AND ANTIMICROBIAL ACTIVITY

[1]Symchak R.V., [2]Pokryshko O.V., [1]Tulaidan H.M., [2]Klymnyuk S.I., [1]Baranovskyi V.S.
[1] Ternopil Volodymyr Hnatiuk National Pedagogical University
[2] I. Horbachevsky Ternopil National Medical University

E-mail: baranovsky@tnpu.edu.ua

The anionarylation unsaturated compounds is a convenient method of obtaining biologically active substances that exhibit high antimicrobial, antiviral, antitubercular and antitumor activity.

In order to synthesize anionarylation products containing a sulfanilamide fragments, we used the reactions of 4-sulfamidophenyldiazonium tetrafluoroborate with acrylamide, styrene and fumaric acid in the presence of thiocyanate, bromide and chloride anions. The structure of the synthesized compounds is shown on the scheme.



1-3: An = SCN (**a**), Br (**b**), Cl (**c**)

It was found that the synthesized compounds generally show medium antimicrobial activity against museum bacteria strains (*S. aureus*, *B. subtilis*, *E. coli*, *P. aeruginosa*) and yeast fungi (*C. albicans*), but compounds **1a** and **3a** have a pronounced selective bactericidal action.

The culture of gram-positive cocci showed the highest sensitivity to compounds **2a** and **2b** (MIC = 31.2 μg /ml), and other substances were characterized by weak bacteriostatic action.

The sensitivity of *E. coli* culture to the synthesized compounds ranged from 31.2 to 125 μg/ml. The exception was compound **3b**, which effectively inhibited the growth of this culture at a dilution of 7.8μg/ml. With somewhat less force, the synthesized substances acted on gram-negative *P. aeruginosa*. Compounds **1b**, **2c** and **3a** were effective against *Pseudomonas* (MIC = 62.5 μg/ml). Thiocyanatoamide **1a** has the most pronounced antimicrobial properties, the activity of which against *C. albicans*, *P. aeruginosa* and *B. subtilis* strains was found at the level of 3.9-7.8 μg/ml.

Comparison of the compounds **1-3** antimicrobial activity with previously synthesized anionarylation products indicates a positive effect of sulfanilamide fragment in their structure on the expansion of activity spectrum and reducing of the minimum inhibitory concentrations values.

The obtained results allow asserting the effectiveness of compounds **1-3** in antimicrobial activity terms, which reveals the prospects of their usage as synthons for the construction of new sulfonamide drugs with selective action.