SYNTHESIS AND ANTI-MICROBIAL ACTIVITY OF N-DERIVATIVES OF PYROLIDIN-5-OH-2(3)-CARBOXYLIC ACIDS AND THEIR AMIDES

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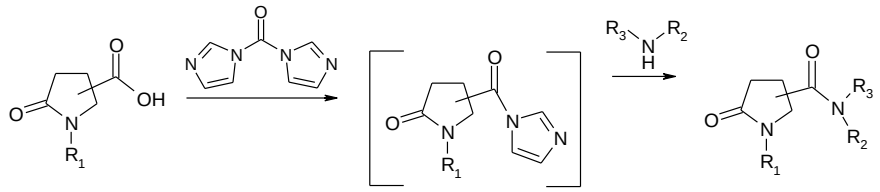
Introduction. It is known from literature sources, that pyrolidoncarboxylic acids are perspective objects for scientific research, and among them compounds have been discovered, which experimentally in vivo displayed stimulating activity on biochemical processes in cells of micro- and macroorganisms, reduced features of stress-syndrome, increased the organism's adaptogenic activity. The compounds have also found with significant anticonvulsant, antitumoral, antimicrobial and many other types of activity.

Aim. To conduct synthesis of new biologically active compounds, to define their physical and chemical properties, to screen microbiologically so that to reveal types and levels of the antimicrobial activity.

Matherials and methods. As the object of our research, N-substituted pyrolidin-5-он-2(3)-carboxylic acids and their amides were applied, which synthesis is presented in the scheme.



Within the synthesis, as precursors N-arylsubstituted pyrolidin-5-он-2(3)-carboxylic acids were used, which amidated upon activation of carboxylic group by force of carbodiimidazole. Structures of synthesized compounds were proved by the current instrumental methods.

Trials of antimicrobial activity of N-substituted pyrolidin-5-он-2(3)-carboxylic acids and their amides were conducted on a sample of serial two-folds dilution in a liquid environment and by diffusion method of water solutions to agar. Тест-microorganisms were the following: Staphylococcus aureus, Bacterium subtilis, Echerichia coli, Pseudomonas aeruginosa, beside this Candida were applied.

Results and discussion. Within experiment, the minimum overwhelming concentration of N- substituted pyrolidin-5-он-2(3)-carboxylic acids and their amides was displayed in the dosages of 5-20 mg/ml.

Conclusions. Compounds that have been obtained are quite interesting scientifically as potential antimicrobial preparations.