

# SYNTHESIS AND STUDY OF THE ANTI-CANDIDA ACTIVITY OF DERIVATIVES OF IMIDAZO[1,2-*a*]PYRIMIDINE

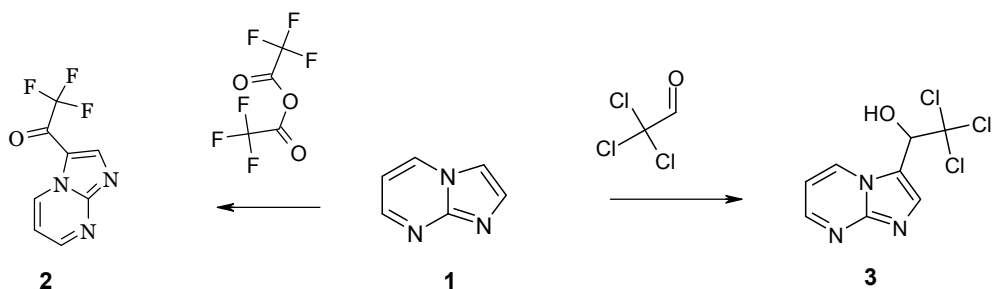
Zavada O.O., Borisov O.V., Kazmirchuk V.V., Zhuravel I.O., Kovalenko S.M.  
National University of Pharmacy, Kharkiv, Ukraine

zavadaoksana@mail.ru

Antifungal agents occupy an important segment of the pharmaceutical market, but because of the rapid spread of resistant strains of microorganisms, the improvement of existing and finding of new antimycotic medicines becomes a very important issue. The derivatives of imidazo[1,2-*a*]pyrimidine are promising for searching for new synthetic antifungal drugs.

The aim of our work was the development of methods for synthesis of new derivatives of imidazo[1,2-*a*]pyrimidine and study of the anti-candida activity of compounds produced.

We performed the synthesis of imidazo[1,2-*a*]pyrimidine **1** and conducted the modification of the molecule by entering of highly lipophilic fragments into its structure. So, the 3-(trifluoroacetyl)imidazo[1,2-*a*]pyrimidine **2** was obtained at the reaction of the initial **1** with trifluoroacetic anhydride under argon atmosphere. In the reaction with chloral in glacial acetic acid, the 3-(2,2,2-trichloro-1-hydroxyethyl)imidazo[1,2-*a*]pyrimidine **3** was produced:



The structure of the synthesized compounds was proved by the elemental analysis data, and by <sup>1</sup>H-NMR spectroscopy.

The study of the anti-candida activity of the samples produced was performed by two-fold serial dilutions. As a result, a significant activeness of the substance **2** with respect to the strains of *C. albicans* at a concentration of 31.25 mg/mL (reference drug - fluconazole - 15.6 mg/ml) was identified. The compound **3** showed a high level of activity to other causative agents of mycoses.

The results of studies conducted indicate the reasonability of a further modification of the basic structure of imidazo[1,2-*a*]pyrimidine with the aim to search and develop antifungal agents.