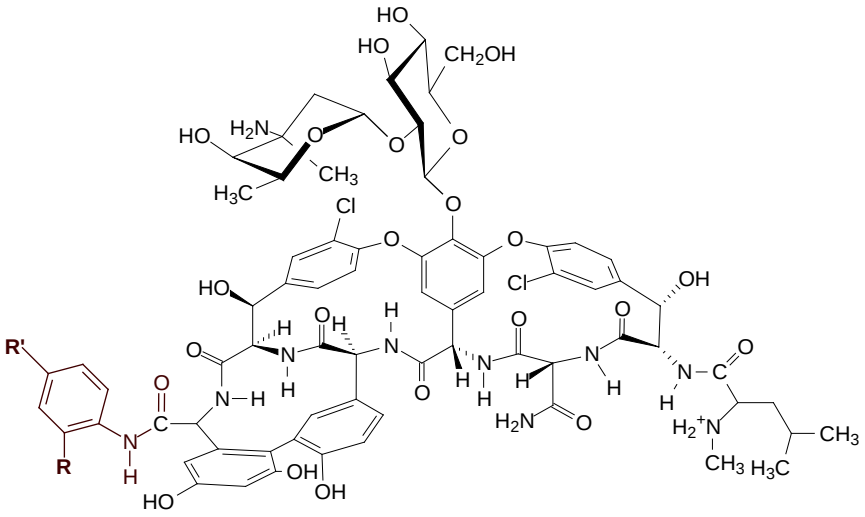
AROMATIC AMIDE DERIVATIVES OF VANCOMYCIN

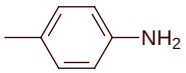
Monika Szulc, Rafał Śledzianowski, Justyna Samaszko, Janusz Madaj

University of Gdańsk, Faculty of Chemistry, Sugar Chemistry Group
J. Sobieskiego 18, 80-952 Gdańsk, Poland
e-mail: januszm@chem.univ.gda.pl

In 1960, Abraham and Newton define antibiotics as "compounds of natural origin produced primarily by microorganisms, characterized by high activity against pathogens, and relatively low toxicity to humans and animals, and resistance to inactivating enzymes and body fluids" [1]. Today, this term should be used for bio-based chemicals and their synthetic analogs, which work selectively to microorganisms [2]. The emergence of resistance to many antibiotics, such as β-lactams, macrolides, quinolones and vancomycin, is becoming a major health problem worldwide. When looking for new solutions in the treatment of vancomycin-resistant strains, we should pay attention to vancomycin dimers, which are usually a more reactive form showing a greater affinity for the dipeptide which connects to this antibiotic [3].
In connection with these reports, the purpose of our study was to carry out the reaction of two selected aromatic amines, *o*-phenylenediamine and biphenyl-4,4'-diamine, with vancomycin for obtaining monomers and dimers. The aim of this project is exhibiting antibacterial activity and improvement of properties against resistant bacterial strains.



1. R = NH_2 , R' = H

2. R = H , R' = 

Reactions we conducted were the most effective in case of use of PyBOP and DIPEA as coupling agents.
This research was part-financed by the European Union within the European Regional Development Fund (grant UDA-POIG.01.01.02-14-102/09-03).

1. *Antybiotyki aktualny stan wiedzy*, W. Kuryłowicz, ed. Państwowy Zakład Wydawnictw Lekarskich, Warszawa, 1979.
2. S. Russel. *Antybiotyki*. PWN, Warszawa, 1977.
3. U. N. Sundram, J. H. Griffin, T. I. Nicas. *J. Am. Chem. Soc.* 118: 13107-13108, 1996.