SYNTHESIS OF NEW VANCOMYCIN AGLYCON DERIVATIVES

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Vancomycin is one of the most common glycopeptide antibiotics used in therapy against *Staphylococcus aureus* and other Gram-positive bacteria [1]. The mechanism of action of this antibiotic is lining on selective binding to a precursor of peptidoglycan fragments UDP-MurNAc-L-Ala-D-iGlu-L-Lys-D-Ala-D-Ala, exactly the terminal D-Ala-D-Ala fragment by five hydrogen bonds, creating a stable complex. This complex regulates the biosynthesis by stop of growing and accumulating murein fragments. In the common strains of vancomycin-resistant enterococci, VanA and VanB, the terminal residues are reprogrammed to the depsipeptide D-Ala-D-Lac [2].
Here we present results of our studies on synthesis of new analogs of the vancomycin aglycon.

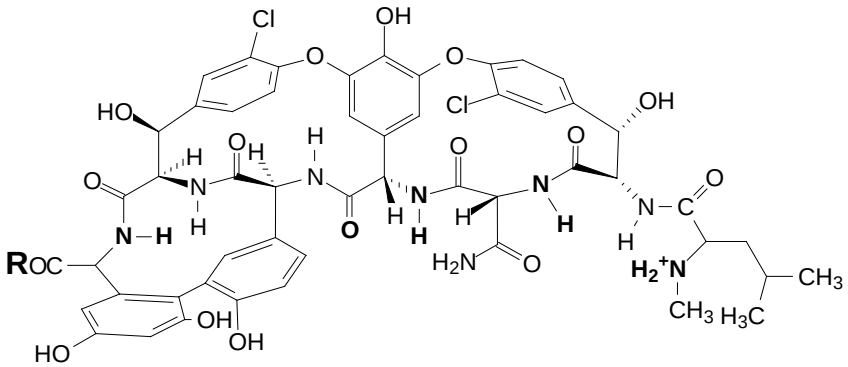

Fig. 1. Vancomycin aglycon (R - place of modification)

Our aim is to define the influence of the free and modified carboxyl group of the cyclic heptapeptide fragment of the aglycon on the antibiotic activity. We also intend to compare the activity of new vancomycin aglycon derivatives with those of vancomycin and its aglycon.
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).

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2. J. J. McAtee, S. L. Castle, Q. Jin, D. L. Boger. *Bioorg. Med. Chem. Lett*. 12: 1319-1322, 2002.