P-67 SYNTHESIS AND CHARACTERIZATION OF AMINOALDITOL DERIVATIVES OF VANCOMYCIN

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Vancomycin is an antibiotic of last resort used to treat Gram-positive bacterial infections, in particular those caused by methicilin-resistant *Staphylococcus aureus* and for patients who are allergic to β-lactam antibiotics [1]. Vancomycin inhibits the biosynthesis of Gram-positive bacteria cell wall by specifically binding to the cell wall peptidoglycans that terminate in D-Ala-D-Ala. In the common strains of vancomycin-resistant *enterococci* VanA and VanB, the terminal residues are reprogrammed in to the depsipeptide sequence D-Ala-D-Lac [2]. However, the recent emergence of vancomycin-resistant *Enterococci* (VRE) and vancomycin-resistant *S. aureus* (VRSA) is a growing clinical problem worldwide. Accordingly, there is a vigorous effort to develop novel antibacterial drugs with activity against VRE and VRSA [3]. In an effort to search for compounds with improved activity against resistant bacteria, we synthesized a new derivatives of this glycopeptide antibiotics. The purpose of our study was to carry out the reaction of selected aminoalditols with vancomycin. These carbohydrate units were attached to the carboxyl group through amide bonds to obtain new analogs. We also wanted to define the influence on modifications of free carboxyl group for biological activity against both sensitive and resistant bacterial strains. Analogs of vancomycin modified this way will be tested on VRSA strains.

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References:

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