

4th Baltic meeting on Microbial Carbohydrates Hyytiälä Forestry Field Station, Finland September 19-22, 2010



ABSTRACT - POSTER 24

Synthesis of new analogs of vancomycin with potential bactericidal properties

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Vancomycin was the first glycopeptide antibiotic to be discovered and was isolated in the 1950s during the screening of natural products from a soil sample [1]. The mechanism of action of vancomycin is to halt cell-wall biosynthesis of Gram-positive bacteria by binding to the terminal D-Ala-D-Ala sequence of the peptidoglycan cell-wall precursors [2]. In the common strains of vancomycin-resistant enterococci, VanA and VanB, the terminal residues are reprogrammed to the depsipeptide D-Ala-D-Lac [3]. Over the past decade the global emergence of vancomycin-resistant enterococci (VRE) and vancomycin-resistant *Staphylococcus aureus* (VRSA) reveals the need for more potent antibiotics. Consequently, the search for vancomycin analogs with improved activity against VRE and VRSA is an apposite and active area of research [4]. We want to present the results of our study on the synthesis of new analogs of vancomycin with potential bactericidal properties.

At first we want to define an influence for antibiotic activity amino group of vancosamine through protection of this group of casings, which we often use in peptide chemistry (acetyl, 9-fluorenylmethoxycarbonyl, benzyloxycarbonyl and benzoyl). We also intend to compare their activity and vancomycin. In addition we wish to determine an influence on modification of free carboxyl group of cyclic heptapeptide (modified of peptide fragments) for biological activity of vancomycin, respectively. Additionally we will be planning to define a part of the disaccharide fragment which is present in antibiotic, that is a treatment of last resort in therapeutics against Gram-positive bacteria. Modified this way analogs of vancomycin will be tested on VRSA strains.

Acknowledgements: "Publication part-financed by the European Union within the European Regional Development Fund".

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