Addendum to the Book of Abstracts

V Multidyscyplinarna Konferencja Nauki o Leku

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NEW 3-ALKENYL DERIVATIVES OF RIFAMYCIN ANTIBIOTICS

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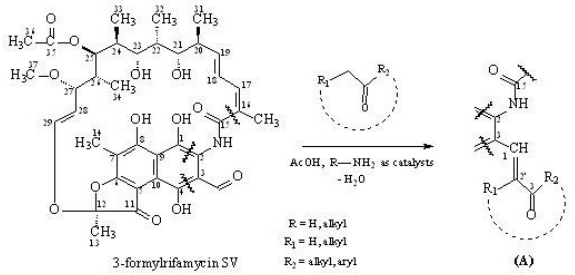
Within the framework of our Polish-German co-operation we have studied the chemistry of new biologically active semi-synthetic rifamycin antibiotics useful in the therapy of tuberculosis and other diseases caused by pathogenic mycobacteria. Thus, we have broadly elaborated the reaction of 3-formylrifamycin SV with monoalkyloamines and ketones: R_1-CH_2-CO-R_2 [1]. It resulted in the synthesis of some dozen of interesting crystalline or amorphous 3-alkenyl derivatives of rifamycin SV (*Scheme 1* - **A**) with a substituent at C(3) of a,b-unsaturated ketone character [2]. Their structures have been determined on the basis of mass spectrometry results as well as (1D) and (2D) ^{1}H- and ^{13}C-NMR analysis.

Scheme 1



The obtained compounds were tested *in vitro* for activity against different strains of *Mycobacterium tuberculosis* with respect to standard rifampicin and rifabutin samples. They were also tested against different types of MOTT (Mycobacteria other than *Tuberculosis*) sensitive or resistant to rifampicin. These derivatives, showing a marked but clearly lower antimycobacterial activity, than that of the reference drugs, can serve as potential substrates for further modifications. We have already obtained their new analogues with very high activity against mycobacteria [3].

References:

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[2] Bujnowski, K.; Synoradzki, L.; Bojarska-Dahlig, H.; Dinjus, E. to *Warsaw University of Technology*, PL Pat. 188 889, 2005.

[3] Patent Application - in preparation.

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