Abstract

SYNTHESIS AND EVALUATION OF *N*-PYRIDYLBENZAMIDES AS POTENTIAL ANTIMICROBIAL COMPOUNDS

Eliška Suchánková
Department of Pharmaceutical Chemistry and Pharmaceutical Analysis
Faculty of Pharmacy in Hradec Králové, Charles University, Czech Republic

The derivatives of *N*-pyridylbenzamide were designed and synthesized to be *in vitro* tested for antimycobacterial activity against *Mycobacterium tuberculosis* H37Ra, *M. smegmatis*, *M. aurum* and *in vitro* cytotoxicity in HepG2 cells. These compounds are pyridinyl analogues of previously prepared *N*-pyrazinylbenzamides that have shown a significant *in vitro* antimycobacterial activity. The title compounds were synthesized by acylation of aminopyridine or chloropyridine-2-amine by selected benzoyl chlorides.

Final compounds were described by elementary analysis, melting point, ^{1}H and ^{13}C spectra and IR spectroscopy.

Generally, prepared compounds possess lower antimycobacterial activity than previously tested *N*-pyrazinylbenzamides. However, there are some cases, in which the derivatives of pyridine were more effective compared to the derivatives of pyrazine; mainly against *M. smegmatis*. The best antimycobacterial activity was proved for derivatives of 2-amino-6-chloropyridine and substituted benzoyl chloride, corresponding with higher lipophilicity of these compounds; specifically the most effective one is *N*-(6-chloropyridin-2-yl)-4-methylbenzamide (JZ-EV 14) with MIC 15,625 μg/ml (or 63,4 μM) against *Mtb* H37Ra and 31,25 μg/ml (or 126,7 μM) against *M. smegmatis*. Unfortunately, the derivatives of *N*-pyridylbenzamide with better antimycobacterial activity have also relatively high *in vitro* cytotoxicity (SI < 10) in HepG2 cell line compared to *N*-pyrazinylbenzamides.