ABSTRACT

DERIVATIVES OF 5-ALKYLPYRAZINE-2-CARBOXYLIC ACID AS POTENTIAL ANTI-INFECTIVES

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In our previous study, we have demonstrated that 5-alkylamino-*N*-phenylpyrazine-2-carboxamides with longer alkyl chain (C_5-C_8) exerted micromolar growth inhibition activity against *M. tuberculosis* H37Rv. We speculated that the long alkylamino chain could facilitate the penetration of lipophilic mycobacterial cell envelope. To test this hypothesis, we performed the amino to methylene isosteric exchange and designed a series of 5-alkyl-*N*-phenylpyrazine-2-carboxamides. 5-Alkylpyrazine-2-carboxylic acids (5-Ak-POA) were prepared by homolytic alkylation of commercially available pyrazine-2-carbonitrile by respective alkanoic acid, followed by hydrolysis of the carbonitrile group. Final derivatives were prepared by CDI mediated coupling of 5-Ak-POA with corresponding aniline at RT.

Final compounds were described by melting point, elementary analysis, IR spectroscopy and ^{1}H, ^{13}C NMR. Then they were tested *in vitro* for antimycobacterial activity against *M. tuberculosis* H37Rv and several non–tuberculous mycobacterial strains. Several compounds exerted MIC of 3.13–6.25 μg mL^{-1}. Compounds with R = 3-CF_3 had a broad spectrum of activity covering the non-tuberculous mycobacteria. Detailed structure-activity relationships are discussed.