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Synthesis, Crystallography and Anti-TB Activity of Substituted Benzothiazole Analogues

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Abstract : Tuberculosis (TB) infection is caused mainly by Mycobacterium tuberculosis (MTB) and it is one of the most threatening and wide spread infectious diseases in the world. Benzothiazole derivatives are found to have diverse chemical reactivity and broad spectrum of pharmacological activity. Some of the important pharmacological activities shown by the benzothiazole analogues are antitumor, anti-inflammatory, antimicrobial, anti-tubercular, anti-leishmanial, anticonvulsant and anti-HIV properties. Keeping all these facts in mind in the present investigation it was envisaged to synthesize a series of novel {2-(benzo[d]-thiazol-2-yl-methoxy)-substitutedaryl}-(substitutedaryl)-methanones (4a-f) and characterize by IR, NMR (1H and 13C), HRMS and single crystal x-ray studies. The title compounds are investigated for in vitro anti-tubercular activity against two TB strains such as H37Rv (ATCC 25177) and MDR-MTB (multi drug resistant MTB resistant to Isoniazid, Rifampicin and Ethambutol) by agar diffusion method. Among the synthesized compounds in the series, test compound {2-(benzo[d]thiazol-2-yl-methoxy)-5-fluorophenyl}-(4-chlorophenyl)-methanone (2c) was found to exhibit significant activity with MICs of 1 µg/mL and 2 µg/mL against H37Rv and MDR-MTB, respectively when compared to standard drugs. Single crystal x-ray studies was used to study intra and intermolecular interactions, including polymorphism behavior of the test compounds, but none of the compounds exhibited polymorphism behavior.

Keywords : benzothiazole analogues, characterization, crystallography, anti-TB activity
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