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ARTICLE

Synthesis, antimicrobial and anti-tubercular activity study of N-(substituted-benzyl)-4-(trifluoromethyl) thiazole-2-sulfonamide and 2-(N-(substituted-benzyl) sulfamoyl)thiazole-4-carboxylic acid

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Abstract

A series of novel N-(substituted-benzyl)-4-(trifluoromethyl)thiazole-2-sulfonamide (**4a-4i**) and 2-(N-[2-chlorobenzyl]sulfamoyl)thiazole-4-carboxylic acid (**7a-7i**) derivatives were synthesized from readily available 4-(trifluoromethyl)thiazol-2-amine (**1**) and ethyl 2-aminothiazole-4-carboxylate (**5**), respectively. Eighteen novel thiazole-2-sulfonamide derivatives were synthesized. The targets were synthesized through a series of reactions involving diazotization and sulfonamide coupling reactions. All the synthesized compounds were characterized by ^{1}H NMR, ^{19}F, ^{13}C NMR, HRMS, and HPLC analytical techniques. All the synthetic derivatives were evaluated for their antimicrobial activity (minimum inhibitory concentration) against a series of strains of *Bacillus subtillis*, *Staphylococcus aureus*, and *Escherichia coli* for antibacterial activity and against the strains of *Candida albicans*, *Aspergillus flavus*, and *Aspergillus niger* for antifungal activity. Also synthetic derivatives were tested for their in vitro anti-tubercular (*Mycobacterium tuberculosis*: H37 Rv, MDR, and XDR strains) activities. Most of compounds showed moderate to good activity for antimicrobial and anti-tubercular strains. The compounds **4b** (MIC = 12.5 μg/ml and 3.125 μM), **4c** (MIC = 1.562 μM), **4d** (MIC = 12.5 μg/ml), **7b** (MIC = 12.5 μg/ml), **7c** (MIC = 26 μg/ml and 1.562 μM), and **7i** (MIC = 26 μg/ml and 6.25 μM) showed good antimicrobial and anti-tubercular activity in the range of (MIC = 12.5–26 μg/ml) and (MIC = 1.562–6.25 μM) against tested strains, while some derivatives show moderate inhibitions through the series.

KEYWORDS

anti-microbial, anti-tubercular, diazotization, thiazole-2-sulfonamide

1 | INTRODUCTION

The heterocyclic drug discoveries are a continuous process as there are many reasons for it like drug resistance, cost of drugs, treatment time, ineffectiveness of drugs, and many more. There is a constant need for the development of better and effective drugs.[1] Tuberculosis is an air borne contagious diseases caused by *Mycobacterium*

