Introduction

The rapid progress of organic Fluorine chemistry since 1950 has been translated as a pathfinder to invent useful biodynamic agents in Medicinal and Biochemistry. The wide range of physical constants, elemental analysis, solubility tests, TLC and by UV, IR, NMR spectral studies. All the compounds were evaluated for in-vitro anti-mycobacterial activity. Compounds showed significant activity as comparing with that of standard.

Key words: Fluorobenzothiazole, Sulphonamido, Azetidinone, Anti-mycobacterial activity.

2. MATERIAL AND METHODS

2.1. General

The melting points were recorded by open capillary method and are uncorrected. IR spectra (in cm⁻¹) were recorded on a Shimadzu FTIR 8300 spectrophotometer, using KBr pellets. HNMR spectra were recorded on Bruker AM 400 instrument (at 400 MHz) using tetramethyl silane (TMS) as an internal standard and DMSO as a solvent. Chemical shifts are given in parts per million (ppm). The completion of reactions was monitored by TLC.

2.1.1. Condensation of 2-amino-6-fluoro-7-chloro-(1,3)benzothiazole and p-acetamido benzene sulphonyl chloride (2)

2-amino-6-fluoro-7-chloro (1,3) benzothiazole (0.013 mol) with 0.015 mol of p-acetamido benzene sulphonyl chloride (0.01 mol) were added and the mixture was kept in water bath for 2 hrs. The reaction mixture then poured in to 20 ml of ice cold water. The solid obtained was filtered and recrystallised from dil ethanol (80%).

2.1.2. Synthesis of fluorobenzothiazole-(1,3) benzoiazole (3)

The compound (2) hydrolyzed by boiling in 50 ml of 80% acetic acid for 4 to 5 hrs and the contents were poured onto crushed ice. The obtained hydrolyzed derivatives were filtered at suction and dried.

2.1.3. Synthesis of 6-fluoro-7-chloro-2-(p-amino benzene sulphonamido) (1,3) benzothiazole (4)

0.01 mol of compound (3) with 0.015 mol solution of m-nitro benzaldehyde, added 20 ml ethanol and 3-4 drops of HCl and refluxed for 2-3 Hrs. Solution cooled and poured into crushed ice. Recrystallised with benzene and ethanol.

2.1.4. Synthesis of 4-(m-nitrobenzene)-1-{6-[Fluoro-7'-substituted(1',3')benzothiazol-2'-yl]p-benzene sulphonamido}-3-chloro azetidin-2-one (5)

A Solution of Schiff’s base (0.01 mol)/compound 4 in 1,4-dioxane (50ml) was added to well-stirred mixture of Chloroacetyl Chloride (0.95 ml, 0.012 mol) and Triethylamine (1.08 ml, 0.02 mol) at 0°C. The reaction mixture was then stirred for 18 - 20 hrs and kept aside for 3 days at room temperature. The product was recrystallised from N, N’ Dimethyl formamide (DMF).

3. RESULTS

3.1. Chemistry

The synthesis of our target compounds P₁-P₁₂ is outlined in Scheme 1. IR Spectral data (Table 2), NMR (Table 3) fully support the structures assigned to them.

3.2. In-vitro Anti-mycobacterial Screening

Sterile Kirchner’s medium was dispensed in each borosilicate test tube (150 x20mm) and to this sterile horse serum (0.5 mL) was added. The stock solution was sterile by passing through a 0.2 mm polycarbonate sterile membrane (Nucleopore) filters. Further the serial dilution of test compounds were carried out. Test compounds at various concentrations (250, 125, 62, 32, 16, 8, 4 and 1 µg/mL) were added to culture medium in a sterilized borosilicate test tube and strain
of *M. tuberculosis* was inoculated at concentration (106 bacilli/mL). The tubes were incubated at 37°C for 21 days and then examined for the presence or absence of growth of the test organisms.

6. REFERENCES


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