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SYNTHESES AND CHARACTERIZATION OF SOME NOVEL SUBSTITUTED PYRIDOSULFONAMIDE DERIVATIVES AS ANTIMICROBIAL AGENTS

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ABSTRACT

Increasing incidences of antimicrobial resistance are proving to be a menace for the society. There is a demand for the synthesis of some new antimicrobial agents which can overcome this problem. In the present study, a series of pyridosulfonamide derivatives have been synthesized, to exploit the combined potential of pyridine and sulphonamide nuclei. Different derivatives were synthesized through a three step process. The success of syntheses was confirmed through physical and spectral characterization on the basis of IR spectroscopy, Mass spectrometry and PNMR spectroscopy. These derivatives were evaluated at varying concentrations for antimicrobial activity by cup plate method using Co-trimoxazole and Fluconazole were used as the standard drug for antimicrobial and antifungal activity respectively. The synthesized compounds were found to be active against the tested strains of Gram positive (*Bacillus subtilis* and *Staphylococcus aureus*) and Gram negative (*Escherchia coli* and *Psuedomonas. aeruginosa*) organisms. However, none of the compounds was active against *Candida albicans*.

Keywords: Pyridosulfonamide derivatives, antimicrobial resistance, antibacterial activity, cup plate method.

INTRODUCTION

The deterioration of human life due to the enhanced prevalence of infectious diseases is becoming a worldwide problem. Medicinal chemists are hard pressed to bring out new molecules which can overcome antimicrobial resistance. Heterocyclic compounds, if properly manipulated, hold the key to this problem. They are widely distributed in nature and are essential to life as they play a vital role in the metabolism of all living cells. For example pyrimidine and purine bases of the genetic material DNA; the essential amino acids proline, histidine and tryptophan; the vitamins and coenzyme precursors thiamine, riboflavine, pyridoxine, folic acid and biotin; the B_{12} and E families of vitamins etc. are all examples of heterocyclic compounds. Not only this, heterocycles are also the basis of the majority of medicines. Pyridines belong to the category of such compounds. Pyridine derivatives have been found to exhibit antimicrobial, anti-inflammatory[1-5] and antitumor [6] activities.

The sulfonamides and sulfones have a relatively broad antibacterial spectrum. Individual sulfonamides do differ in their antibacterial spectrum. The bacteria most susceptible to sulfonamides include *Pneumococci*, *Streptococci*, *Meningococci*, *Staphylococci*, some coliform bacteria, and *Shigellae*. They have been extensively studied in the past. The presence of a *p*-aminobenzenesulfonyl radical seems inevitable for maintaining good activity and practically all the attention was focused on N^1-substitutents. These substituents appeared to affect mainly the physicochemical and the pharmacokinetic characteristic of the drugs[7]. However, the main drawback of sulfonamides, as antimicrobial agents, is the development of resistance which has been studied by a number of workers[8].

The conjugation of sulfonamides with pyridine nucleus has been proven to yield potent antiproliferative[9-10], antitubercular[11] and antimicrobial agents[12].

Antimicrobials are the current need of the society and they influence the human community very much. Among the existing antimicrobial agents, sulpha drugs are reported to have an enormous potential to