

ABSTRACT

Sulfonamide is the base of many drug groups. Synthetically prepared antibacterial drugs containing the sulfonamide functional group are called sulfa drugs. Sulfonamides have diverse applications as antibacterial, anti-carbonic anhydrase, diuretic, hypoglycemic, antithyroid activity and antitumor activity. They inhibit both gram positive and gram negative bacteria species. Recent searches showed that they can act as bacteriostatic and bactericidal when their concentration increases or when environmental unfavorable conditions occur. In the present research, nucleophilic attack of nitrogen of 2,3-Dihydro-1,4-benzodioxin-6-amine (**1**) on sulfur of 4-Nitro benzene sulfonyl chloride (**2**) facilitates the formation of *N*-(2,3-dihydro-1,4-benzodioxin -6-yl)-4 nitro benzenesulfonamide (**3**) parent compound and HCl acid as by-product which lowers reaction pH. Hence, the pH was maintained at 9-10 by 10% sodium carbonate solution with stirring for 4 hours. The parent compound (**3**) further produces various derivative compounds (**5a-f**) by reacting with substituted benzyl halides (**4a-f**) acting as electrophiles. It is carried out in the presence of *N,N*-dimethylformamide (DMF) solvent that assists S_N2 polar reactions and lithium hydride (LiH) acting as reducing agent. It results in the production of various *N*-(2,3-dihydro-1,4-benzodioxin -6-yl)-4 nitro-*N*-(substituted benzyl)benzenesulfonamide derivatives (**5a-f**). These were characterized by FT-IR, EI-MS, ¹H NMR and ¹³C NMR spectral data and screened for their antibacterial activities. The percentage inhibition of compounds 5a-f against strains of gram positive *Bacillus subtilis* and gram negative *Escherichia Coli* shows **5a** and **5e** have maximum value compared to Ampillicin. Therefore, **5a** and **5e** compounds could be further screened for drug development program. The synthesized compounds (**5a-f**) were evaluated for their cytotoxicity profile by hemolytic activity on bovine red blood cells. It was evident from the results that most of the molecules retain mild cytotoxicity relative to that of Triton-X. So, they can be utilized as less cytotoxic agents.