

ABSTRACT

Due to broad spectrum activity of sulfonamides, a series of new *N*-substituted derivatives of *N*-tetrahydrofurfuryl-4-chlorobenzenesulfonamide (**3**) have been synthesized and further evaluated for antibacterial activity against gram-positive and gram-negative bacteria. The compound (**3**) was prepared in an aqueous medium by the reaction of tetrahydrofurfurylamine (**1**) and 4-chlorobenzenesulfonyl chloride (**2**) under dynamic pH control. It was further stepped up to yield *N*-alkyl/aralkyl-*N*-tetrahydrofurfuryl-4-chlorobenzenesulfonamides, **5a-i**, by its reaction with alkyl/aralkyl halides, **4a-i**, in a polar aprotic medium in the presence of lithium hydride. The proposed structures of the synthesized compounds were corroborated by IR, ¹H-NMR and EI-MS spectral data. The resulted MIC values of these compounds showed their good activity against *S. aureus*, the gram-positive bacteria, but moderate against the remaining bacterial strains.