Abstract
A series of substituted 1,2,4-Triazole derivatives were synthesized and evaluated for antitubercular activity against H37Rv strain of *Mycobacterium tuberculosis*. A total of eight 1,2,4-triazole derivatives were found to possess MIC < 20 μg/mL against *Mycobacterium tuberculosis* H37Rv. Most of the synthesized compounds were having good safety profile with CC50 > 300 μg/mL against Vero and HepG2 cell lines. Most of the synthesized compounds were following the Lipinski’s rule of five and thus can be anticipated to be druggable. Molecular docking studies reveal the similar kind of ligand-protein interactions as of Econazole. Among the most promising eight triazoles, two derivatives having Selectivity Index >10 was found to possess MIC of 30 μg/mL against Multi Drug Resistant (MDR) clinical strain of *Mycobacterium tuberculosis*. 