DESIGN, SYNTHESIS AND BIOLOGICAL EVALUATION OF SULFONIC ACID ESTERS/ BENZENESULFONAMIDES AS POTENTIAL CETP INHIBITORS

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Cholesteryl ester transfer protein (CETP) is a glycoprotein involved in transporting lipoprotein particles and neutral lipids between high-density lipoprotein (HDL) and low density lipoproteins (LDL) and therefore it›s a proper target for treating dyslipidemia and related disorders. Guided by our previosuly-reported pharmacophore and QSAR models for CETP inhibition, we synthesized and bioassayed a series of toluene-4-sulfonic acid 4-benzylamino-phenyl ester (8a-8m)/ N-(4-benzyl-phenyl)-4-methyl-benzenesulfonamide (6a-6l) derivatives. The proposed structures for compounds 6a-l and 8a-m were confirmed via elemental analyses, IR spectroscopy, mass spectroscopy, 1H- and 13C-NMR spectra. The most potent synthesized compound 6j illustrated anti-CETP IC50 of 3.4 μM.