

Synthesis, Characterization, and CETP Inhibitory Potential of Novel meta-Trifluoromethylated Aromatic Sulfonamides

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Abstract

Atherosclerosis results in global morbidity and mortality, it causes arterial constriction or obstruction resulting in strokes and many myocardial infarctions. Cholesteryl ester transfer protein (CETP) facilitates reverse cholesterol transport. It supports transfer of cholesteryl ester from HDL to LDL and VLDL. Inhibition of CETP by drugs will decrease LDL and increase HDL.

In this study, ten trifluoromethyl substituted benzene sulfonamides **7a-7j** were prepared, and by using ¹H-NMR, ¹³C-NMR, FT-IR, and MS their structures were fully determined. *In vitro* biological evaluation, it showed that compounds **7f**, **7i**, and **7j** had the highest inhibitory efficacy with 52.6%, 58.2% and 63.8% inhibition, respectively. While compounds **7a-7e** and **7g-7h** activities ranged from 27.0-49.5% at 10 μ M concentration. It was found that the presence of hydrophilic electron withdrawing group such as NO₂ at the *para* position had the best CETP inhibition.

Keywords: Atherosclerosis, Cholesteryl ester transfer protein, Myocardial infarctions, Stokes, Sulfonamides, Trifluoromethyl.