Synthesis, Characterization and Biological Evaluation of Novel Fluorinated Oxoacetamido Benzamides as Potential CETP Inhibitors

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Abstract

There is an alarming spread of cases of lipid-disorders in the world that occur due to harmful lifestyle habits or hereditary risk influences, or as a result of other illnesses or medicines. Cholesteryl ester transfer protein (CETP) is a 476-residue lipophilic glycoprotein, mainly secreted from the liver to the plasma, and it helps in the transport of CE and phospholipids from the atheroprotective HDL to the proatherogenic LDL and VLDL. Inhibition of CETP leads to elevation of HDL-C and reduction of LDL-C and TG, so it's considered a good target for the treatment of hyperlipidemia and its comorbidities.

In this research, fluorinated oxoacetamido benzamides **9a-9d** and **10a-10d** were synthesized and then characterized utilizing IR, ^{1}H-, and ^{13}C-NMR. Finally, our compounds were *in vitro* biologically tested at a concentration of 10 µM to estimate their CETP inhibitory effectiveness. These compounds offered a range of 42.2-100% inhibitory effectiveness. Compounds bearing either unsubstituted (**9a**) or with substituted *ortho*-CF_3 (**9b**) three aromatic rings were the most effective compounds among their analogs and showed 100% CETP inhibition.

Keywords: CETP, Fluorinated Oxoacetamido Benzamides, HDL-C, Hyperlipidemia, LDL-C.