GERI-BP001 Compounds, New Inhibitors of Acyl-CoA: Cholesterol Acyltransferase from Aspergillus fumigatus F37

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Acyl-CoA:cholesterol acyltransferase (ACAT, EC 2.3.1.26) plays an important role in the control of intracellular free cholesterol content *via* its cholesterol esterifying activity. ACAT inhibitors are expected to be effective for treatment of atherosclerosis and hypercholesterolemia.

In the course of a screening program for ACAT inhibitors from microbial sources, GERI-BP001 M, A, and B were isolated from the fermentation broth of a fungal strain. GERI-BP001 compounds were isolated from a culture broth of *Aspergillus fumigatus* F37 by acetone extraction, EtOAc extraction, SiO₂ column chromatography, and reverse phase HPLC. The structure of GERI-BP001 coumpounds were determined by ¹H-NMR, ¹³C-NMR, 2D-NMR, NOESY, and long range C-H COSY experiments. GERI-BP001 M, A, and B inhibit ACAT activity in an enzyme assay system using rat liver microsomes by 50% at concentrations of 75, 147, and 71 μM, respectively.