

RP-64477

Catalog No: tcsc0006335

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Specifications

CAS No:

135239-65-5

Formula:

 $C_{29}H_{42}N_2O_3S$

Pathway: Metabolic Enzyme/Protease

Target:

Acyltransferase

Purity / Grade:

Solubility: 10 mM in DMSO

Observed Molecular Weight:

498.72

Product Description

RP-64477 is a potent inhibitor of the cholesterol esterifying enzyme Acyl-coenzyme A:cholesterol O-acyltransferase (**ACAT**).

IC50 & Target: ACAT^[1]

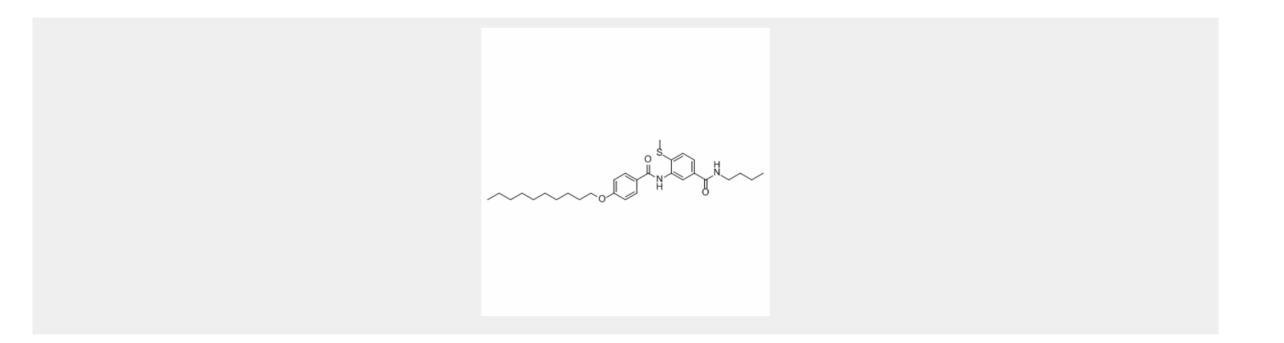
In Vitro:

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RP-64477 is a potent inhibitor of the cholesterol esterifying enzyme Acyl-coenzyme A:cholesterol O-acyltransferase (ACAT). Inhibitory potencies of RP-64477 *in vitro* in tissue preparations are obtained from a range of species and in human cell cultures. For animal tissues, IC_{50} values in the range 6 to 283 nM are recorded, with no obvious species/tissue differences apparent. Potent inhibitory activity of RP-64477 is also recorded in human cell lines of hepatic (HepGZ), intestinal (CaCo-2), and monocytic (THP-1) origin with IC $_{50}$ s of 503, 113, and 180 nM, respectively. No inhibitory activity is recorded against rat PCEH or LCAT at test concentrations up to 200 µM and 20 µM, respectively^[1].

In Vivo: Administration of RP-64477 (0.01% and 0.03% w/w by diet) reduces significantly plasma cholesterol levels in cholesterol/cholic acid-fed rats by 29% and 61%, respectively. Food consumption is not affected by dietary incorporation of RP-64477. Animals receiving RP-64477 (10 and 30 mg/kg b.i.d.) over this period exhibit significantly lower plasma cholesterol levels on both days 4 and 7 when compare to values recorded from vehicle treated animals fed the cholesterol-containing diet. Compare to cholesterol-fed controls, after 7 days of dosing, plasma cholesterol levels are 35% and 53% lower in animals receiving 10 and 30 mg/kg b.i.d. doses of RP-64477, respectively^[1].



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