

A 922500

Catalog No: tcsc0308



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

959122-11-3

Formula:

$C_{26}H_{24}N_2O_4$

Pathway:

Metabolic Enzyme/Protease

Target:

Acyltransferase

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 102.9 mg/mL (240.15 mM)

Alternative Names:

DGAT-1 Inhibitor 4a

Observed Molecular Weight:

428.48

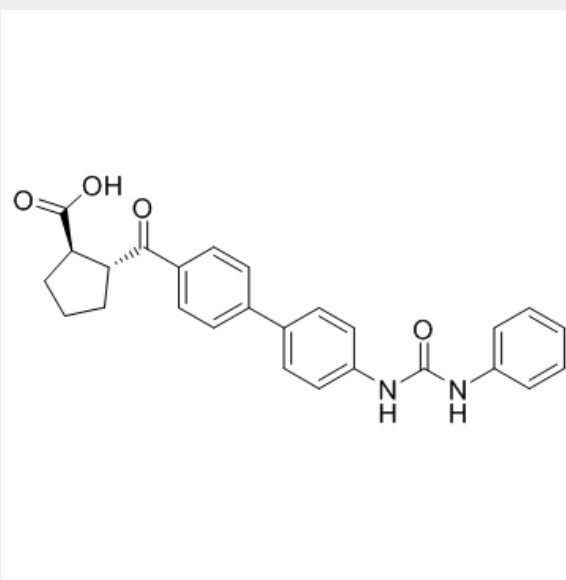
Product Description

A 922500 is a potent, selective, and orally bioavailable **DGAT-1** inhibitor exhibiting **IC₅₀** values of 9 and 22 nM against human and mouse DGAT-1, respectively.

IC50 & Target: IC50: 9 nM (human DGAT-1), 22 nM (mouse DGAT-1)^[1]

In Vitro: A 922500 (A-922500) demonstrates excellent selectivity over other acyltransferases, including DGAT-2 (IC₅₀=53 μM) and the phylogenetic family members acyl coenzyme A cholesterol acyltransferase-1 and -2 (IC₅₀=296 μM) ^[1].

In Vivo: DGAT-1 inhibitor A 922500 (A-922500) reduces serum triglyceride levels from baseline at all doses tested; however, this is only statistically significant at the 3 mg/kg dose, which lowers serum triglycerides by 53%. Similarly, the 3 mg/kg dose of A 922500 significantly reduces serum FFA concentrations by 55% and total cholesterol by 25%. DGAT-1 inhibition has no significant effect on body weight at any dose tested. Although A 922500 does not significantly affect LDL-cholesterol or HDL-cholesterol individually, the serum LDL/HDL-cholesterol ratio is significantly improved by A 922500 at 0.3 and 3 mg/kg. Similar to the dyslipidemic hamster, treatment with 3 mg/kg A 922500 significantly reduces serum triglyceride concentrations (39%). FFA levels significantly increase over the 14-day period in vehicle-treated animals. This increase is inhibited in a dose-dependent manner by A 922500 such that FFA concentrations are 32% lower after 14 days of treatment with the DGAT-1 inhibitor at 3 mg/kg, compared with the vehicle group (p [1]).



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