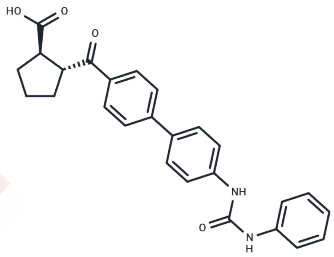
Data Sheet (Cat.No.T6365)

TargetMol

A 922500

Chemical Properties



CAS No. : 959122-11-3

Formula: C26H24N2O4

Molecular Weight: 428.48

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	A 922500 (DGAT-1 Inhibitor 4a) is an inhibitor of human and mouse DGAT-1 with IC50 values of 7 nM and 24 nM, respectively, demonstrating good selectivity over related acyltransferases, hERG, and a panel of anti-targets.
Targets(IC50)	Acyltransferase,Transferase
In vitro	A 922500 inhibits the phylogenetic family members acyl coenzyme A cholesterol acyltransferase-1 and -2 with IC50 of 296 μM. [1] A 922500 potently inhibits huDGAT-1 and mseDGAT-1. [2]
In vivo	Zucker fatty rats and diet-induced dyslipidemic hamsters are dosed orally with A 922500 (0.03, 0.3, and 3 mg/kg) for 14 days. Serum triglycerides ae significantly reduced by the 3 mg/kg dose of A 922500 in both the Zucker fatty rat (39%) and hyperlipidemic hamster (53%). These serum triglyceride changes are accompanied by significant reductions in free fatty acid levels by 32% in the Zucker fatty rat and 55% in the hyperlipidemic hamster. In addition, high-density lipoprotein-cholesterol is significantly increases (25%) in the Zucker fatty rat by A 922500 administered at 3 mg/kg. [1] A 922500 confers weight loss and a reduction in liver triglycerides when dosed chronically in DIO mice and depletes serum triglycerides following a lipid challenge in a dose-dependent manner, thus, reproducing major phenotypical characteristics of DGAT-1(-/-) mice. [2] A 922500 (0.03, 0.3 and 3 mg/kg, p.o.) dose-dependently attenuates the maximal postprandial rise in serum triglyceride concentrations. [3]

Solubility Information

Solubility	DMSO: 73 mg/mL (170.37 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.67 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3338 mL	11.6692 mL	23.3383 mL
5 mM	0.4668 mL	2.3338 mL	4.6677 mL
10 mM	0.2334 mL	1.1669 mL	2.3338 mL
50 mM	0.0467 mL	0.2334 mL	0.4668 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

King AJ et al. J Pharmacol Exp Ther. 2009, 330(2), 526-531.
Masouleh S K. Lipid droplet formation drives pathogenic ILC2 responses in airway inflammation. Immunity. 2020
Zhao G, et al. J Med Chem. 2008, 51(3), 380-383.
Karagiannis F, Masouleh S K, Wunderling K, et al. Lipid-Droplet Formation Drives Pathogenic Group 2 Innate Lymphoid Cells in Airway Inflammation. Immunity. 2020, 52(4): 620-634. e6.
Wang W, Qu Y, Wang X, et al.Genetic variety of ORF3a shapes SARS-CoV-2 fitness through modulation of lipid droplet.Journal of Medical Virology.2023
King AJ, et al. Eur J Pharmacol. 2010, 637(1-3), 155-161.
Masouleh S K. Lipid droplet formation drives pathogenic ILC2 responses in airway inflammation .
Karagiannis F, Masouleh S K, Wunderling K, et al. Lipid-Droplet Formation Drives Pathogenic Group 2 Innate Lymphoid Cells in Airway Inflammation[J]. Immunity. 2020, 52(4): 620-634. e6.

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