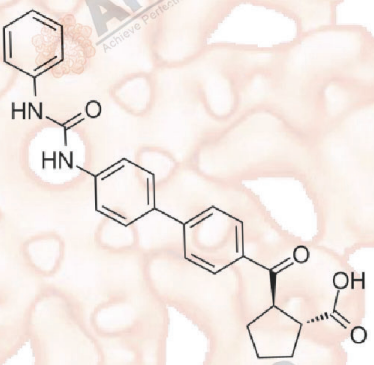
Product Data Sheet

A922500

Cat. No.:	A4382
CAS No.:	959122-11-3
Formula:	C26H24N2O4
M.Wt:	428.48
Synonyms:	A-922500, A 922500
Target:	Metabolism
Pathway:	Transferase
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥21.25 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Concentration \ Solvent \ Mass	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

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	DGAT-1 inhibitor
IC_{50} & Target	7 nM (human) (DGAT-1), 24 nM (mouse) (DGAT-1)

In Vitro

Cell Viability Assay

Cell Line:	HepG2 hepatoma cells
Preparation method:	The solubility of this compound in DMSO is > 21.25 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	1 μM, 60 min

	Applications:	In HepG2 cell lysates, A-922500 (1 μM) inhibited about 99% of recombinant DGAT1 enzymatic activity. Exposure of HepG2 cells to A-922500 dose-dependently inhibited TG synthesis (stable isotope-labeled triolein; 13C18-oleoyl, 13C18-oleoyl, 13C18-oleoyl). In HEK293 cells expressing DGAT1, A-922500 inhibited [13C18]oleoyl triolein incorporation with the IC50 values of 17 nM.
In Vivo	**Animal experiment**	
	Animal models:	Zucker fatty rats and diet-induced dyslipidemic hamsters, DIO mice, DGAT-1(-/-) mice,
	Dosage form:	oral gavage
	Applications:	In Zucker fatty rats and diet-induced dyslipidemic hamsters, oral administration of A 922500 (3 mg/kg, 14 days) significantly reduced serum triglycerides and free fatty acid levels. A 922500 (3 mg/kg) significantly increased high-density lipoprotein-cholesterol. In DIO mice, A 922500 induced weight loss and reduced liver triglycerides when dosed chronically. A 922500 depleted serum triglycerides following a lipid challenge in a dose-dependent manner, thus, reproducing major phenotypical characteristics of DGAT-1(-/-) mice. A 922500 (0.03, 0.3 and 3 mg/kg, p.o.) dose-dependently attenuated the maximal postprandial rise in serum triglyceride concentrations.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Qi J, Lang W, Geisler J G, et al. The use of stable isotope-labeled glycerol and oleic acid to differentiate the hepatic functions of DGAT1 and-2. Journal of lipid research, 2012, 53(6): 1106-1116.

[2]. Qi J, Lang W, Giardino E, et al. High-content assays for evaluating cellular and hepatic diacylglycerol acyltransferase activity. Journal of lipid research, 2010, 51(12): 3559-3567.

[3]. Zhao G, et al. Validation of diacyl glycerolacyltransferase I as a novel target for the treatment of obesity and dyslipidemia using a potent and selective small molecule inhibitor. J Med Chem. 2008, 51(3), 380-383.

[4]. King, Andrew J.; Segreti, Jason A.; Diacylglycerol acyltransferase 1 inhibition lowers serum triglycerides in the Zucker fatty rat and the hyperlipidemic hamster. Journal of Pharmacology and Experimental Therapeutics (2009), 330(2), 526-531. [5]. King AJ, Segreti JA, Larson KJ, Souers AJ, Kym PR, Reilly RM, Collins CA, Voorbach MJ, Zhao G, Mittelstadt SW, Cox BF.In vivo efficacy of acyl CoA:

diacylglycerol acyltransferase (DGAT) 1 inhibition in rodent models of postprandial hyperlipidemia.Eur J Ph

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com