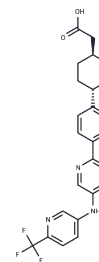


Pradigastat

Chemical Properties

CAS No. :	956136-95-1
Formula:	C ₂₅ H ₂₄ F ₃ N ₃ O ₂
Molecular Weight:	455.47
Storage:	Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	Pradigastat (LCQ-908) is an orally active, potent and selective diacylglycerol acyltransferase 1 (DGAT1) inhibitor for the treatment of constipation, which may be used in the study of obesity and diabetes.
Targets(IC50)	OAT,BCRP,Acyltransferase,Transferase
In vitro	Pradigastat inhibits BCRP-mediated efflux activity in BCRP-overexpressing human ovarian cancer cell lines in a dose-dependent manner, with an IC ₅₀ value of 5 μM. Similarly, pradigastat demonstrates concentration-dependent inhibition of OATP1B1, OATP1B3 (estradiol 17β-glucuronide transport), and OAT3 (sulfated estrone-3-sulfate transport), with estimated IC ₅₀ values of 1.66 ± 0.95 μM, 3.34 ± 0.64 μM, and 0.973 ± 0.11 μM, respectively.[3].
In vivo	Research indicates that Pradigastat (LCQ-908) can inhibit postprandial triglyceride levels in rats, dogs, and monkeys. In rats with eliminated lipoprotein lipase (LPL) activity, Pradigastat reduces postprandial plasma triglyceride accumulation. Additionally, Pradigastat lowers the rate of postprandial chylomicron triglyceride (CM-TG) secretion into the lymphatic system and decreases chylomicron particle size[2].

Solubility Information

Solubility	H ₂ O: <0.1 mg/mL (Insoluble) DMSO: 50 mg/mL (109.78 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1955 mL	10.9777 mL	21.9553 mL
5 mM	0.4391 mL	2.1955 mL	4.3911 mL
10 mM	0.2196 mL	1.0978 mL	2.1955 mL
50 mM	0.0439 mL	0.2196 mL	0.4391 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

Meyers CD, et al. Effect of the DGAT1 inhibitor pradigastat on triglyceride and apoB48 levels in patients with familial chylomicronemia syndrome. *Lipids Health Dis.* 2015 Feb 18;14:8.

Charles Daniel Meyers MD, et al. The DGAT1 inhibitor pradigastat decreases chylomicron secretion and prevents postprandial triglyceride elevation in humans. *Journal of Clinical Lipidology.* Volume 7, Issue 3, May-June 2013, Page 285.

Kulmatycki K, et al. Evaluation of a potential transporter-mediated drug interaction between ZD 4522 and pradigastat, a novel DGAT-1 inhibitor. *Int J Clin Pharmacol Ther.* 2015 May;53(5):345-55.

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