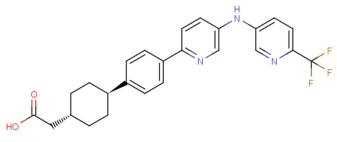
Data Sheet (Cat.No.T11827)

TargetMol
A DRUG SCREENING EXPERT

Pradigastat

Chemical Properties



CAS No.:	956136-95-1
Formula:	C25H24F3N3O2
Molecular Weight:	455.47
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Pradigastat has anti-obesity and anti-diabetic effects. Pradigastat is a potent, selective and orally active diacylglycerol acyltransferase 1 (DGAT1) inhibitor.
Targets(IC_{50})	Diacylglycerol acyltransferase 1 (DGAT1): None
In vitro	Pradigastat inhibits OATP1B1, OATP1B3, and OAT3 activity in a concentration-dependent manner with estimated IC50 values of 1.66 μM, 3.34 μM, and 0.973 μM, respectively.Pradigastat inhibits breast cancer resistance protein (BCRP)-mediated efflux activity in a dose-dependent fashion in a BCRP over-expressing human ovarian cancer cell line with an IC50 value of 5 μM.
In vivo	In rats whose lipoprotein lipase (LPL) activity has been abolished, Pradigastat reduces the postprandial accumulation of plasma triglyceride. Pradigastat decreases the postprandial rate of chylomicron triglyceride (CM-TG) secretion into the lymphatic duct and reduces the size of chylomicrons[3].Pradigastat inhibits the postprandial triglyceride levels in rats, dogs and monkeys.

Solubility Information

Solubility	DMSO: 16.67 mg/mL (36.60 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.196 mL	10.978 mL	21.955 mL
5 mM	0.439 mL	2.196 mL	4.391 mL
10 mM	0.22 mL	1.098 mL	2.196 mL
50 mM	0.044 mL	0.22 mL	0.439 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.
2. 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.
3. Charles DanielMeyersMD, 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.

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