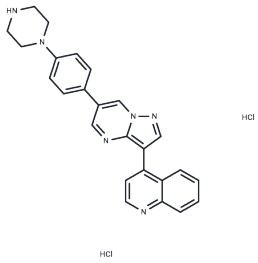
Data Sheet (Cat.No.T35348)

TargetMol

LDN-193189 2HCl

Chemical Properties



CAS No. : 1435934-00-1

Formula: C25H24Cl2N6

Molecular Weight: 479.4

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	LDN-193189 2HCl (DM-3189 2HCl) is a selective BMP signaling inhibitor that inhibits ALK1,ALK2,ALK3 and ALK6, showing IC50s of 0.8 nM, 0.8 nM, 5.3 nM, and 16.7 nM, respectively, in kinase assays.LDN-193189 2HCl inhibited the transcriptional activity of BMP type I receptors in C2C12 cells, with IC50s of 5 nM and 30 nM, respectively. LDN-193189 2HCl inhibited the transcriptional activity of BMP type I receptors ALK2 and ALK3 in C2C12 cells, with IC50s of 5 nM and 30 nM, respectively, and was 200-fold more selective for BMP than for TGF-β.
Targets(IC50)	ALK,TGF-beta/Smad
In vitro	LDN193189 can effectively inhibit BMP4-mediated activation of Smad1, Smad5, and Smad8, with IC50 of 5 nM. It can also effectively inhibit the transcriptional activity of BMP type I receptors ALK2 and ALK3, with IC50 of 5 nM and 30 nM, respectively. LDN193189 also has an inhibitory effect on transcriptional activation induced by persistently activated ALK2R206H or ALK2Q207D mutant proteins.[1] A recent study showed that LDN-193189 blocks the production of oxidative free radicals induced by oxidized LDL in human arterial endothelial cells.[4]
In vivo	LDN-193189 (3 mg/kg, intraperitoneal injection; birth in conditional caALK2) caused weak calcification of the left tibia and fibula, which was visible on day 13 and blocked on day 15 without causing weight loss or growth retardation, spontaneous fractures, decreased bone density, or abnormal behavior.[1] LDN193189 forms curved Zebrafish embryos by inhibiting the signal pathway induced by bone morphogenetic protein (BMP) 6 and has no effect on vascular development.[2] In mice with PCa-118b tumors, LDN-193189 treatment slowed down tumor growth and reduced bone formation in the tumor.[3] In LDLR -/- mice, LDN-193189 inhibited the development of arterial atherosclerosis. In addition, LDN-193189 has inhibitory effects on vascular inflammation, osteogenic activity, and calcification.[4]

Solubility Information

Solubility	DMSO: 8.77 mg/mL (18.29 mM),Sonication is recommended. H2O: 45 mg/mL (93.87 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+90% Saline: 0.88 mg/mL (1.84 mM),Solution. *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	2.0859 mL	10.4297 mL	20.8594 mL
5 mM	0.4172 mL	2.0859 mL	4.1719 mL
10 mM	0.2086 mL	1.043 mL	2.0859 mL
50 mM	0.0417 mL	0.2086 mL	0.4172 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

Yu PB, et al. BMP type I receptor inhibition reduces heterotopic [corrected] ossification [published correction appears in Nat Med. Nat Med. 2008;14(12):1363-1369.
Cannon JE, et al. Intersegmental vessel formation in zebrafish: requirement for VEGF but not BMP signalling revealed by selective and non-selective BMP antagonists. Br J Pharmacol. 2010;161(1):140-149.
Lee YC, et al. BMP4 promotes prostate tumor growth in bone through osteogenesis. Cancer Res. 2011;71(15):5194-520
Derwall M, et al. Inhibition of bone morphogenetic protein signaling reduces vascular calcification and atherosclerosis. Arterioscler Thromb Vasc Biol. 2012;32(3):613-622.
Sanvitale CE, et al. A new class of small molecule inhibitor of BMP signaling. PLoS One. 2013;8(4):e62721.