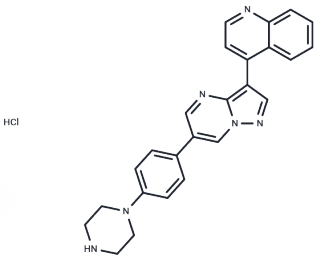
Data Sheet (Cat.No.T6158)

TargetMol

LDN-193189 HCl

Chemical Properties



CAS No. : 1062368-62-0

Formula: C25H22N6·HCl

Molecular Weight: 442.94

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	LDN-193189 HCl (LDN193189 Hydrochloride) is a selective BMP type I receptor kinases inhibitor.
Targets(IC50)	ALK
In vitro	LDN193189 potently inhibits BMP4-mediated Smad1, Smad5 and Smad8 activation with IC50 of 5 nM, and efficiently inhibits transcriptional activity of the BMP type I receptors ALK2 and ALK3 with IC50 of 5 nM and 30 nM, respectively. Furthermore, LDN193189 also shows the inhibitory effect on the transcriptional activity induced by either constitutively active ALK2R206H or ALK2Q207D mutant proteins. [1] A recent study shows that LDN-193189 blocks the production of reactive oxygen species induced by oxidized LDL during atherogenesis in human aortic endothelial cells. [4]
In vivo	In conditional caALK2-transgenic mice with Ad.Cre on on postnatal day 7 (P7), LDN-193189 (3 mg/kg i.p) leads to mild calcifications surrounding the left tibia and fibula first visible at P13, and prevents radiographic lesions at P15 without causing weight loss or growth retardation, spontaneous fractures, decreased bone density or behavioral abnormalities. [1] LDN193189 dorsalizes zebrafish embryos by inhibiting signaling pathways induced by bone morphogenetic protein (BMP)6 without effect on vascular development. [2] In PCa-118b tumor-bearing mice, LDN-193189 treatment attenuates tumor growth and reduces bone formation in the tumors. [3] In LDL receptor-deficient (LDLR-/-) mice, LDN-193189 potently inhibits development of atheroma. Moreover, LDN-193189 also exhibits the inhibitory effects on associated vascular inflammation, osteogenic activity, and calcification. [4]
Kinase Assay	C2C12 cells are seeded into 96-well plates at 2,000 cells per well in DMEM supplemented with 2% FBS. The wells are treated in quadruplicate with BMP ligands and LDN-193189 or vehicle. The cells are collected after 6 d in culture in 50 μL Tris-buffered saline and 1% Triton X-100. The lysates are added to p-nitro-phenylphosphate reagent in 96-well plates for 1 h and then evaluated alkaline phosphatase activity (absorbance at 405 nm). Cell viability are measured and quantity by Cell Titer Aqueous One (absorbance at 490 nm), using replicate wells treated identically to those used for alkaline phosphatase measurements[1].
Cell Research	Concentrations: 3 μM

Solubility Information

Solubility	DMSO: 10 mg/mL (22.58 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2576 mL	11.2882 mL	22.5764 mL
5 mM	0.4515 mL	2.2576 mL	4.5153 mL
10 mM	0.2258 mL	1.1288 mL	2.2576 mL
50 mM	0.0452 mL	0.2258 mL	0.4515 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.

Reference

Yu PB, et al. Nat Med, 2008, 14(12), 1363-1369.
Yang Y, Suo N, Cui S, et al.Trametinib, an anti-tumor drug, promotes oligodendrocytes generation and myelin formation.Acta Pharmacologica Sinica.2024: 1-13.
Cannon JE, et al. Br J Pharmacol, 2010, 161(1), 140-149.
Xue J, Chu Y, Huang Y, et al.A tumorigenicity evaluation platform for cell therapies based on brain organoids. Translational Neurodegeneration.2024, 13(1): 53.
Lee YC, et al. Cancer Res, 2011, 71(15), 5194-5203.
Derwall M, et al. Arterioscler Thromb Vasc Biol, 2012, 32(3), 613-622.