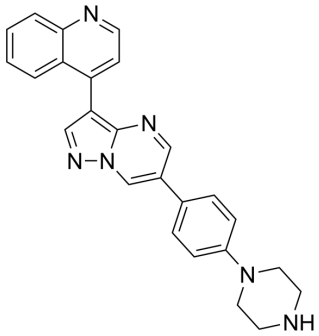
LDN193189



Cat. No.: HY-12071

CAS No.: 1062368-24-4

Molecular Formula: $C_{25}H_{22}N_6$

Molecular Weight: 406.48

Target: TGF-β Receptor; Organoid

Pathway: TGF-beta/Smad; Stem Cell/Wnt

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (24.60 mM; ultrasonic and warming and adjust pH to 2 with 1M HCl and heat to 80°C)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4601 mL	12.3007 mL	24.6015 mL
5 mM	0.4920 mL	2.4601 mL	4.9203 mL
10 mM	0.2460 mL	1.2301 mL	2.4601 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1 mg/mL (2.46 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1 mg/mL (2.46 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

LDN193189 is a potent selective BMP type I receptor (BMP I) inhibitor. LDN-193189 efficiently inhibits transcriptional activity of the BMP type I receptors ALK2 and ALK3 with IC_{50} values of 5 nM and 30 nM, respectively. LDN-193189 can be used for the research of bone morphogenetic protein signalling, such as fibrodysplasia ossificans progressiva[1][2][3].

IC_{50} & Target

ACVR1	BMPR1A
5 nM (IC_{50})	30 nM (IC_{50})

In Vitro

LDN-193189 efficiently inhibits transcriptional activity of the BMP type I receptors ALK2 and ALK3 with IC_{50} values of 5 nM and 30 nM, respectively[1].

LDN-193189 has weake effects on activin and the TGF-β type I receptors ALK4, ALK5 and ALK7 with IC_{50} values of ≥ 500 nM[1].
LDN-193189 binds ActRIIA with K_d value of 14 nM[2].
LDN-193189 (0.5 μM; 30 min) targets GDF8 induced Smad2/3 signaling and repression of myogenic transcription factors[2].
LDN-193189 (0.05, 0.5, 5 μM) efficiently inhibits GDF8 induced Smad3/4 reporter gene activity[2].
LDN-193189 (0-5 μM) rescues myogenesis in myoblasts treated with GDF8[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	Primary human myoblasts, C2C12 cells
Concentration:	0.5 μM
Incubation Time:	30 min
Result:	Inhibited GDF8-induced signaling pathways in undifferentiated and in differentiated primary human myoblasts and in C2C12 premyoblasts.

In Vivo

LDN-193189 (i.p.; 3 mg/kg; daily; for 35 days) might affect the interaction between breast cancer cells and the bone environment[3].
LDN-193189 (i.p.; 3 mg/kg; single) shows a reduction in ectopic ossification and functional impairment[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Ahymic NMRI nude female mice (6-week-old)[3]
Dosage:	3 mg/kg
Administration:	Itraperitoneal, daily, for 35 days
Result:	Ehanced etastases development in vivo.

Animal Model:	C57BL/6 mice[1]
Dosage:	3 mg/kg
Administration:	Intraperitoneal, single
Result:	Diminished ectopic bone formation and preserved joint spaces over the same interval without inducing fractures, osteopenia or skeletal abnormalities.

CUSTOMER VALIDATION

- Nature. 2022 May;605(7909):325-331.
- Eur Respir J. 2021 Dec 2;2100327.
- Mol Cell. 2022 Jun 3;S1097-2765(22)00480-4.
- Adv Sci (Weinh). 2024 Jan 18:e2308072.
- Biomaterials. 2020 May;240:119849.

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REFERENCES

[1]. Daniel Horbelt, et al. Small molecules dorsomorphin and LDN-193189 inhibit myostatin/GDF8 signaling and promote functional myoblast differentiation. J Biol Chem. 2015 Feb 6;290(6):3390-404.

[2]. Julien Vollaire, et al. The Bone Morphogenetic Protein Signaling Inhibitor LDN-193189 Enhances Metastasis Development in Mice. Front Pharmacol. 2019 Jun 19;10:667.

[3]. Yu PB, et al. BMP type I receptor inhibition reduces heterotopic [corrected] ossification. Nat Med, 2008, 14(12), 1363-1369.

Caution: Product has not been fully validated for medical applications. For research use only.

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