LDN-212854

Cat. No.: HY-15897

CAS No.: 1432597-26-6

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

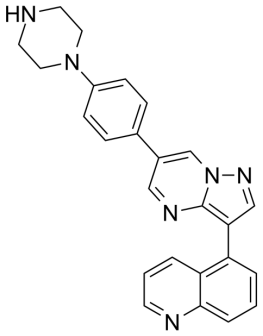
Molecular Weight: 406

Target: TGF-β Receptor

Pathway: TGF-beta/Smad

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 : ≥ 30 mg/mL (73.89 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4631 mL	12.3153 mL	24.6305 mL
5 mM	0.4926 mL	2.4631 mL	4.9261 mL
10 mM	0.2463 mL	1.2315 mL	2.4631 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: 2.5 mg/mL (6.16 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.16 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

LDN-212854 is a bone morphogenetic protein (BMP) inhibitor that potently inhibits ALK2 (IC_{50}: 1.3 nM). LDN-212854 also inhibits ALK1 (IC_{50}: 2.40 nM). LDN-212854 can be used in the research of fibrodysplasia ossificans progressive and cancers, such as hepatocellular carcinoma (HCC)[1][2].

IC_{50} & Target

ACVR1 1.3 nM (IC_{50})	ALK1 2.4 nM (IC_{50})	BMPR1A 85.8 nM (IC_{50})	ALK4 2133 nM (IC_{50})
ALK5 9276 nM (IC_{50})			

In Vitro

LDN-212854 (0-3.815 μM) blocks the phosphorylation of SMAD1/5/8 induced by BMP7 in BMPR2$^{-/-}$ cells[1].
LDN-212854 (2.5 μM, 5 days) inhibits cell proliferation in Huh7 and MT cells[2].
LDN-212854 (0.5 μM, 48 h) suppresses ID1 and EpCAM expression in Huh7 and MT cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	BMPR2-deficient pulmonary vascular smooth muscle cells
Concentration:	0, 1, 3, 6, 16, 39, 98, 244, 610, 1530, 3815 nM
Incubation Time:	
Result:	Inhibited the phosphorylation of SMAD1/5/8 induced by BMP7 with an IC_{50} value of 37 nM.

In Vivo

LDN-212854 (intraperitoneal injection, 6 mg/kg, twice daily for 4 weeks) potently inhibits heterotopic ossification in an inducible transgenic mutant ALK2 mouse model of fibrodysplasia ossificans progressiva[1].
LDN-212854 (intraperitoneal injection, 6 mg/kg, twice daily for 10-14 days) suppresses HCC tumor progression through repression of ID1 in HCC xenografts model[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Murine inducible transgenic ALK2Q207D model of heterotopic ossification[1]
Dosage:	6 mg/kg
Administration:	Intraperitoneal injection , twice daily for 4 weeks
Result:	Prevented the formation of heterotopic bone and preserved limb range of motion with minimal or no impairment in the majority of mice.

Animal Model:	HCC xenografts (Huh7 or MT cell)[1]
Dosage:	6 mg/kg
Administration:	Intraperitoneal injection, twice daily for 10-14 days.
Result:	Inhibited tumor growth and showed less spheroid/colony formation ability than PBS-treated tumor cells.

CUSTOMER VALIDATION

- Adv Sci (Weinh). 2024 Jan 16:e2306499.

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REFERENCES

[1]. Han Chen, et al. BMP9-ID1 signaling promotes EpCAM-positive cancer stem cell properties in hepatocellular carcinoma. Mol Oncol. 2021 Aug;15(8):2203-2218.

[2]. Mohedas AH, et al. Development of an ALK2-biased BMP type I receptor kinase inhibitor. ACS Chem Biol. 2013;8(6):1291-302.

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

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