

Product Data Sheet

Product Name: LDN-193189

Cat. No.: GC16580

Chemical Properties

Cas. No. 1062368-24-4

Chemical Name 4-[6-(4-piperazin-1-ylphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]quinoline

SMILES C1CN(CCN1)C2=CC=C(C=C2)C3=CN4C(=C(C=N4)C5=CC=NC6=CC=CC=C56)N=C3

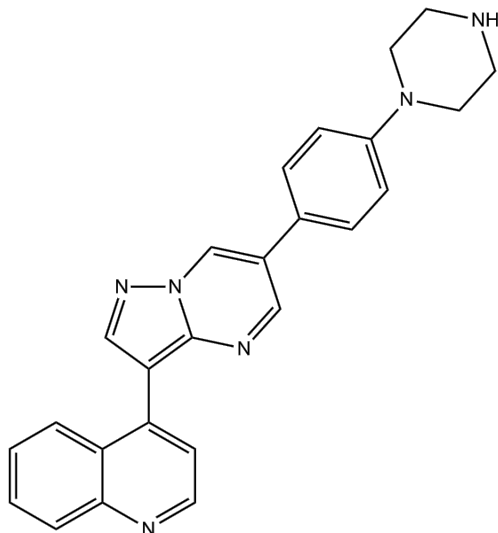
Formula $C_{25}H_{22}N_6$ M.Wt 406.48

Solubility <0.81mg/mL in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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

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Cell lines C2C12 myofibroblast cells; bronchial epithelial (Beas2B) cells

Preparation method This compound is limited soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting condition 0.005-5 µM. 30-60 min

Applications LDN-193189 inhibited both BMP induced Smad1/5/8 phosphorylation and BMP-mediated induction of the p38 MAPK, Erk1/2 and Akt pathway in C2C12 cells. LDN-193189 dose-dependently inhibited the activation of Smad1/5/8, p38 and Akt. LDN-193189 induced a strong increase in phosphorylated p38 MAPK levels and a slight increase in p-Akt in C2C12 cells. LDN (10 µM, 60 min) induced p38 and Akt phosphorylation. LDN (0.5 µM, 30 min) inhibited BMP-mediated Smad1/5/8, p38, ATF2 and CREB phosphorylation.

Animal experiment [2]:

Animal models C57BL/6 mice

Dosage form Intraperitoneal injection; 3 mg/kg every 12 h

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Application	In Ad.Cre-injected, caALK2-expressing mice, treatment with LDN-193189 prevented radiographic lesions at P15. LDN-193189-treated mice appeared to preserve knee and ankle joints at P30 and P60. LDN-193189-treated mice showed no ectopic bone at P15 but did show enhanced cartilage formation in surrounding soft tissues. LDN-193189-treated mice showed mildly impaired range of motion even in the absence of radiographically visible disease at P30.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

- [1]. Yu PB, Deng DY, Lai CS, Hong CC, Cuny GD, Buxsein ML, Hong DW, McManus PM, Katagiri T, Sachidanandan C, Kamiya N, Fukuda T, Mishina Y, Peterson RT, Bloch KD. BMP type I receptor inhibition reduces heterotopic [corrected] ossification. Nat Med. 2008 Dec;14(12):1363-9.
- [2]. Yu PB, Deng DY, Lai CS, Hong CC, Cuny GD, Buxsein ML, Hong DW, McManus PM, Katagiri T, Sachidanandan C, Kamiya N, Fukuda T, Mishina Y, Peterson RT, Bloch KD. BMP type I receptor inhibition reduces heterotopic [corrected] ossification. Nat Med. 2008 Dec;14(12):1363-9.

Background

LDN193189 is a selective transcriptional activity morphogenetic protein (BMP) type I receptors inhibitor. It inhibits activin receptor-like kinase-2 (ALK2) and ALK3 with IC50 values of 5 nM and 30 nM, respectively [1].

LDN193189 has been showed to inhibit BMP induced phosphorylation of Smad signaling (Smad1/5/8) and non-Smad signaling including p38 and Akt in C2C12 cells [2].

Pharmacological inhibition of BMP by LDN193189 has shown to prevent down-regulation of E-cadherin in response to BMP2 both in bronchial epithelial (Beas2B) cells and in C57BL/6 mice. LDN193189 also inhibits the BMP-induced reduction of epithelial permeability at cellular level [3].

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- [1] Yu PB, Deng DY, Lai CS, Hong CC, Cuny GD, Buxsein ML, Hong DW, McManus PM, Katagiri T, Sachidanandan C, Kamiya N, Fukuda T, Mishina Y, Peterson RT, Bloch KD. BMP type I receptor inhibition reduces heterotopic [corrected] ossification. Nat Med. 2008 Dec;14(12):1363-9.
- [2] Boergermann JH1, Kopf J, Yu PB, Knaus P. Dorsomorphin and LDN-193189 inhibit BMP-mediated Smad, p38 and Akt signalling in C2C12 cells. Int J Biochem Cell Biol. 2010 Nov;42(11):1802-7.
- [3] Helbing T1, Herold EM, Hornstein A, Wintrich S, Heinke J, Grundmann S, Patterson C, Bode C, Moser M. Inhibition of BMP activity protects epithelial barrier function in lung injury. J Pathol. 2013 Sep;231(1):105-16. doi: 10.1002/path.4215. Epub 2013 Jul 10.

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