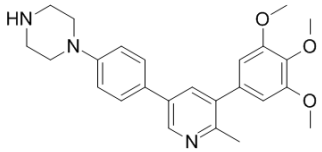
LDN-214117

Cat. No.:	HY-16712
CAS No.:	1627503-67-6
Molecular Formula:	$C_{25}H_{29}N_3O_3$
Molecular Weight:	419.52
Target:	TGF-β Receptor
Pathway:	TGF-beta/Smad
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (238.37 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3837 mL	11.9184 mL	23.8368 mL
5 mM	0.4767 mL	2.3837 mL	4.7674 mL
10 mM	0.2384 mL	1.1918 mL	2.3837 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 (5.96 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.96 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LDN-214117 is a potent and selective ALK2 inhibitor with IC50 of 22 nM; > 100 fold selectivity for ALK5; also inhibits BMP6(IC50=100 nM).IC50 value: 22 nM(ALK2) [1]Target: ALK2 inhibitorLDN-214117 is a highly BMP selective compound, significantly biased toward ALK2 and its cognate ligands including BMP6 and also demonstrates a high degree of kinome selectivity and lowcytotoxicity. LDN-214117 may be useful as highly selectiveprobes of BMP-mediated cellular physiology that may provide auseful complement to the dorsomorphin class of compounds. Furthermore, this class of BMP inhibitors offers a structurallydistinct template for the development of therapeutics for thetreatment of BMP signaling-mediated

diseases such as FOP.

REFERENCES

[1]. Mohedas AH, et al. Structure-activity relationship of 3,5-diaryl-2-aminopyridine ALK2 inhibitors reveals unaltered binding affinity for fibrodysplasia ossificans progressiva causing mutants. J Med Chem. 2014 Oct 9;57(19):7900-15.

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