

AZ 12799734

Chemical Properties

CAS No. : 1117684-36-2

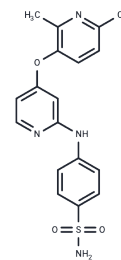
Formula: C₁₈H₁₈N₄O₃S

Molecular Weight: 370.43

Keep away from direct sunlight

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

Actual storage temperature shall be subject to the COA.



Biological Description

Description	AZ 12799734 is an orally active, selective and potent dual inhibitor of TGFBR1 and ALK5 with inhibitory effects on BMP and TGFβ for the study of tumours.
Targets(IC50)	ALK,TGF-beta/Smad
In vitro	Inhibiting ligand-activated SMAD3/4 transcription, AZ12799734[2]. AZ12799734 (10 nM; 24 h) inhibits phosphorylation of both SMAD1 and SMAD2[2]. AZ12799734 (500 nM; 36 h) inhibits TGFβ-induced migration in HaCaT epithelial cells[2].
In vivo	Inducing histopathologic heart valve lesions in rats, AZ12799734 is administered orally at doses ranging from 0 to 400 mg/kg/day for 3-7 days[1]. At a single oral dose of 50 mg/kg, AZ12799734 shows total and free pharmacokinetic (PK) levels in nude mice over time, exceeding the in vitro IC50 of 0.01885 μM[2].

Solubility Information

Solubility	DMSO: 80 mg/mL (215.97 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6996 mL	13.4978 mL	26.9957 mL
5 mM	0.5399 mL	2.6996 mL	5.3991 mL
10 mM	0.270 mL	1.3498 mL	2.6996 mL
50 mM	0.054 mL	0.270 mL	0.5399 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Anderton MJ, et al. Induction of heart valve lesions by small-molecule ALK5 inhibitors. *Toxicol Pathol.* 2011 Oct;39(6):916-24.

Spender LC, et al. Preclinical Evaluation of AZ12601011 and AZ12799734, Inhibitors of Transforming Growth Factor β Superfamily Type 1 Receptors. *Mol Pharmacol.* 2019 Feb;95(2):222-234.

Spender et al (2019) Preclinical evaluation of AZ12601011 and AZ12799734, inhibitors of transforming growth factor β superfamily type 1 receptors. *Mol.Pharmacol.* 95 222 PMID:30459156

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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