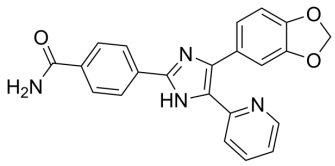
SB-431542

Cat. No.:	HY-10431
CAS No.:	301836-41-9
Molecular Formula:	$C_{22}H_{16}N_4O_3$
Molecular Weight:	384
Target:	TGF-β Receptor; Apoptosis; Organoid
Pathway:	TGF-beta/Smad; Apoptosis; 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 : ≥ 100 mg/mL (260.42 mM)
Ethanol : 11.17 mg/mL (29.09 mM; Need ultrasonic and warming)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6042 mL	13.0208 mL	26.0417 mL
	5 mM	0.5208 mL	2.6042 mL	5.2083 mL
	10 mM	0.2604 mL	1.3021 mL	2.6042 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: ≥ 2.08 mg/mL (5.42 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SB-431542 is a TGF-β receptor kinase inhibitor (TRKI). SB-431542 has inhibitory activity for ALK4, ALK5 and ALK7 with IC_{50} values of 1 μM, 0.75 μM and 2 μM, respectively. SB-431542 also inhibits TGF-β-induced transcription, gene expression, apoptosis, and growth suppression. SB-431542 can be used for the research of cancer and signal transduction pathways[1][2][3].

IC_{50} & Target			
	ALK4 1 μM (IC_{50})	ALK5 0.75 μM (IC_{50})	ALK7 2 μM (IC_{50})

In Vitro

SB-431542 can inhibit the activity for ALK4, ALK5 and ALK7 with IC_{50} values of 1 μM, 0.75 μM and 2 μM, respectively[1].
SB-431542 (0- 10 μM; 24 h) inhibits ALK5 and also the activin type I receptor ALK4 and the nodal type I receptor ALK7, which are very highly related to ALK5 in their kinase domains[1].
SB-431542 (0.1, 0.5, 1, 5, or 10 μM; 30 min) efficiently inhibits Smad phosphorylation induced by TGF-β and activin but not BMP4[1].
SB-431542 (0-10 μM) inhibits TGF-beta-induced transcription, gene expression, apoptosis, and growth suppression[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	NIH 3T3 cells; HaCaT, NIH 3T3, C2C12 cells and T47D cells
Concentration:	10 μM; 0.1, 0.5, 1, 5, or 10 μM
Incubation Time:	24 h; 30 min
Result:	Inhibited efficiently phosphorylated Smad2. Inhibited the TGF-β- and activin-induced phosphorylation of Smad2 but not BMP-induced phosphorylation of Smad1.

Apoptosis Analysis[2]

Cell Line:	A549 and HT29 cells
Concentration:	10 μM
Incubation Time:	24 h
Result:	Inhibited TGF-induced growth suppression and apoptosis.

Cell Invasion Assay[2]

Cell Line:	A549 cells
Concentration:	2, 10 μM
Incubation Time:	21 h
Result:	Blocked TGF- induced tumor cell invasion.

Cell Migration Assay [2]

Cell Line:	A549 cells
Concentration:	2, 10 μM
Incubation Time:	5 h , 30 h
Result:	Blocked TGF- induced tumor cell migration.

In Vivo

SB-431542 (subconjunctival; 0.5 and 2 mM; on days 1, 2, 3, and 7) inhibits scar formation after glaucoma filtration surgery in New Zealand rabbits[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rabbits (3 to 5 months, 1.8 - 2.5 kg)[3]
Dosage:	0.5 and 2 mM

Administration:	Subconjunctival injection, on days 1, 2, 3, and 7
Result:	Showed wound healing and less severe scar formation.

CUSTOMER VALIDATION

- Immunity. 2022 Mar 15;S1074-7613(22)00124-8.
- Nat Genet. 2024 Jan 24.
- Cell Metab. 2022 Aug 15;S1550-4131(22)00313-8.
- Nat Biomed Eng. 2022 Nov 24.
- Gut. 2022 Jan 7;gutjnl-2021-325018.

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REFERENCES

[1]. Gareth J Inman, et al. SB-431542 is a potent and specific inhibitor of transforming growth factor-beta superfamily type I activin receptor-like kinase (ALK) receptors ALK4, ALK5, and ALK7. Mol Pharmacol. 2002 Jul;62(1):65-74.

[2]. Sunil K Halder, et al. A specific inhibitor of TGF-beta receptor kinase, SB-431542, as a potent antitumor agent for human cancers. Neoplasia. 2005 May;7(5):509-21.

[3]. Yi-qin Xiao, et al. SB-431542 inhibition of scar formation after filtration surgery and its potential mechanism. Invest Ophthalmol Vis Sci. 2009 Apr;50(4):1698-706.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA