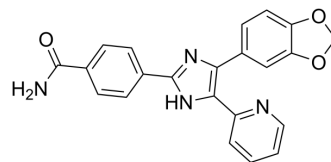


SB-431542

Cat. No.:	HY-10431		
CAS No.:	301836-41-9		
Molecular Formula:	C ₂₂ H ₁₆ N ₄ O ₃		
Molecular Weight:	384.39		
Target:	TGF-β Receptor; Apoptosis		
Pathway:	TGF-beta/Smad; Apoptosis		
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 (260.15 mM)
 Ethanol : 11.17 mg/mL (29.06 mM; Need ultrasonic and warming)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.6015 mL	13.0076 mL	26.0152 mL
	5 mM	0.5203 mL	2.6015 mL	5.2030 mL
	10 mM	0.2602 mL	1.3008 mL	2.6015 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.08 mg/mL (5.41 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.08 mg/mL (5.41 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 IC₅₀ 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]}.

IC₅₀ & Target

ALK4 1 μM (IC ₅₀)	ALK5 0.75 μM (IC ₅₀)	ALK7 2 μM (IC ₅₀)
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In Vitro

SB-431542 can inhibit the activity for ALK4, ALK5 and ALK7 with IC₅₀ values of 1 μ M, 0.75 μ M and 2 μ M, respectively^[1]. SB-431542 (0- 10 μ M; 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 μ M; 30 min) efficiently inhibits Smad phosphorylation induced by TGF- β and activin but not BMP4^[1].

SB-431542 (0-10 μ M) 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 μ M; 0.1, 0.5, 1, 5, or 10 μ M
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 μ M
Incubation Time:	24 h
Result:	Inhibited TGF-induced growth suppression and apoptosis.

Cell Invasion Assay^[2]

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

Cell Migration Assay^[2]

Cell Line:	A549 cells
Concentration:	2, 10 μ M
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.
- Cell Metab. 2022 Aug 15;S1550-4131(22)00313-8.
- Nat Biomed Eng. 2022 Nov 24.
- Gut. 2022 Jan 7;gutjnl-2021-325018.
- Chem Eng J. 1 January 2023, 138737.

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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.

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

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