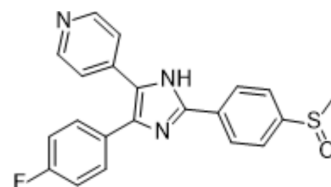


Adezmapimod

Cat. No.:	HY-10256
CAS No.:	152121-47-6
Molecular Formula:	C ₂₁ H ₁₆ FN ₃ OS
Molecular Weight:	377.43
Target:	p38 MAPK; Autophagy; Mitophagy; Organoid
Pathway:	MAPK/ERK Pathway; Autophagy; 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 : 20 mg/mL (52.99 mM); ultrasonic and warming and heat to 60°C)

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		2.6495 mL	13.2475 mL	26.4950 mL
	5 mM		0.5299 mL	2.6495 mL	5.2990 mL
	10 mM		0.2649 mL	1.3247 mL	2.6495 mL

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

In Vivo

- Add each solvent one by one: 0.5% CMC-Na/saline water
Solubility: 16.67 mg/mL (44.17 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
Solubility: ≥ 2.5 mg/mL (6.62 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: 2 mg/mL (5.30 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2 mg/mL (5.30 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: 2 mg/mL (5.30 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Adezmapimod (SB 203580) is a selective and ATP-competitive p38 MAPK inhibitor with IC₅₀s of 50 nM and 500 nM for SAPK2a/p38 and SAPK2b/p38β2, respectively. Adezmapimod inhibits LCK, GSK3β and PKBα with IC₅₀s of 100-500-fold higher than that for SAPK2a/p38. Adezmapimod does not disrupt JNK activity and is an autophagy and mitophagy activator^[1].

IC ₅₀ & Target	p38 50 nM (IC ₅₀)	p38β2 500 nM (IC ₅₀)
In Vitro	<p>Adezmapimod (SB 203580) (preincubated with 0-30 μM for 1 h and cultured for 24 h in the presence of 20 ng/mL IL-2) prevents the IL-2-induced proliferation of primary human T cells, murine CT6 T cells, or BAF F7 B cells with an IC₅₀ of 3-5 μM [1].</p> <p>SB203580 blocks PKB phosphorylation (IC₅₀ 3-5 μM). SB203580 inhibits the phosphorylation of Ser473 in a dose-dependent manner in both CT6 and activated human T cells and IL-2-responsive BA/F3 F7 B cells [1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay^[1]</p>	
	Cell Line:	CT6, BA/F3 cell line F7, and PBMC/T cells
	Concentration:	0-30 μM
	Incubation Time:	Preincubated with 0-30 μM SB203580 for 1 h and cultured for 24 h in the presence of 20 ng/mL IL-2
	Result:	Prevented the IL-2-induced proliferation of primary human T cells, murine CT6 T cells, or BAF F7 B cells with an IC ₅₀ of 3-5 μM.
	Western Blot Analysis ^[1]	
	Cell Line:	CT6 cells, activated human T cells, and BA/F3 F7 cells
	Concentration:	0-30 μM
	Incubation Time:	Preincubated with 0-30 μM SB203580 for 1 h before stimulating with 20 ng/mL IL-2 for 5 min
	Result:	Inhibited the phosphorylation of PKB at Ser473 in a dose-dependent manner.
In Vivo	<p>Adezmapimod (SB 203580) (5 mg/kg/day; intra peritoneal injected daily for 16 consecutive days, in female atymic Nu/Nu mice) treatment, p38WT tumors show a significantly smaller tumor burden when compared with p38TM tumors that were treated in parallel^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>	
	Animal Model:	Six-week-old female atymic Nu/Nu mice CAL27 p38WT and p38TM tumors ^[1]
	Dosage:	5 mg/kg/day
	Administration:	Intra peritoneal injected daily for 16 consecutive days
	Result:	After 2 weeks treatment, CAL27 p38WT tumors were significantly smaller; CAL27 p38TM tumors were not affected by the p38 inhibitor (n=10).

CUSTOMER VALIDATION

- Cell Res. 2020 Jul;30(7):574-589.
- Signal Transduct Target Ther. 2022 Jul 11;7(1):222.
- Signal Transduct Target Ther. 2020 Aug 25;5(1):163.
- Nat Immunol. 2023 Nov;24(11):1813-1824.

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- Sci Immunol. 2022 Jan 21;7(67):eabj5501.

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REFERENCES

- [1]. Davies SP, et al. Specificity and mechanism of action of some commonly used protein kinase inhibitors. Biochem J. 2000 Oct 1;351(Pt 1):95-105.
- [2]. Lali FV, et al. The pyridinyl imidazole inhibitor SB203580 blocks phosphoinositide-dependent protein kinase activity, protein kinase B phosphorylation, and retinoblastoma hyperphosphorylation in interleukin-2-stimulated T cells independently of p38 mitogen-activated protein kinase. J Biol Chem. 2000 Mar 10;275(10):7395-402.
- [3]. Leelahavanichkul K, et al. A role for p38 MAPK in head and neck cancer cell growth and tumor-induced angiogenesis and lymphangiogenesis. Mol Oncol. 2014 Feb;8(1):105-18.
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Caution: Product has not been fully validated for medical applications. For research use only.

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