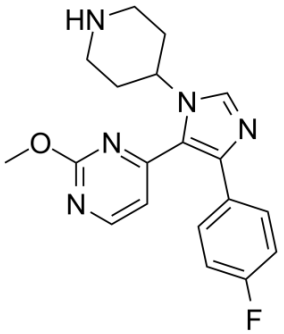
SB 242235



Cat. No.:	HY-18306
CAS No.:	193746-75-7
Molecular Formula:	$C_{19}H_{20}FN_5O$
Molecular Weight:	353.39
Target:	p38 MAPK; Autophagy
Pathway:	MAPK/ERK Pathway; Autophagy
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 : ≥ 48 mg/mL (135.83 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8297 mL	14.1487 mL	28.2973 mL
	5 mM	0.5659 mL	2.8297 mL	5.6595 mL
	10 mM	0.2830 mL	1.4149 mL	2.8297 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 (7.07 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.07 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	SB-242235 is a potent and selective p38 MAP kinase inhibitor, with an IC_{50} of 1.0 μM in primary human chondrocytes[1].
IC_{50} & Target	IC50: 1.0 μM (p38 MAPK, primary human chondrocytes)[1]
In Vitro	SB 242235 (0-10 μM) dose-dependently inhibits the activation of MAPKAP K2 with an IC_{50} of 1.0 μM in human chondrocytes stimulated with IL-1β[1].

SB 242235 inhibits intracellular p38 activity, MAPKAP K2 was then isolated from these cells and assayed using HSP27 as a substrate[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Human chondrocytes
Concentration:	0 μM,0.01 μM,0.1 μM,1 μM,10 μM
Incubation Time:	15 minutes
Result:	Dose-dependently inhibited the activation of MAPKAP K2 with an IC_{50} of 1.0 μM.

In Vivo

SB242235 (100 mg/kg; p.o.) abolishes MAP-KAPK-2 activity and HSP27 phosphorylation[2].

SB242235 inhibits expression of the pro-inflammatory cytokines interleukin (IL)-6 and KC (murine IL-8) and COX-2[2].

SB-242235 is demonstrated non-linear elimination kinetics that manifested as a decrease in clearance with increasing dose and apparent oral bioavailability > 100% at high oral doses in rat and monkey[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female SKH-1 hairless mice (4–6 weeks)[2]
Dosage:	100 mg/kg
Administration:	Oral administered, 30 minutes prior to ultraviolet B (UVB) irradiation
Result:	Abolished MAP-KAPK-2 activity and heat shock protein 27 (HSP27) phosphorylation.

REFERENCES

[1]. Badger, A.M., et al., Differential effects of SB 242235, a selective p38 mitogen-activated protein kinase inhibitor, on IL-1 treated bovine and human cartilage/chondrocyte cultures. Osteoarthritis Cartilage, 2000. 8(6): p. 434-43.

[2]. Kim AL , et al. Role of p38 MAPK in UVB-induced inflammatory responses in the skin of SKH-1 hairless mice. J Invest Dermatol. 2005 Jun;124(6):1318-25.

[3]. Ward, K.W., et al., SB-242235, a selective inhibitor of p38 mitogen-activated protein kinase. I: preclinical pharmacokinetics. Xenobiotica, 2002. 32(3): p. 221-33.

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