# **Product** Data Sheet

# 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 2 years

-20°C 1 year

## **SOLVENT & SOLUBILITY**

In Vitro DMSO : ≥ 48 mg/mL (135.83 mM)

\* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass 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 $\mu$ M in primary human chondrocytes <sup>[1]</sup> .
IC <sub>50</sub> & Target	IC50: 1.0 μM (p38 MAPK, primary human chondrocytes) <sup>[1]</sup>
In Vitro	SB 242235 (0-10 $\mu$ M) dose-dependently inhibits the activation of MAPKAP K2 with an IC $_{50}$ of 1.0 $\mu$ M in human chondrocytes stimulated with IL-1 $\beta^{[1]}$ .

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

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

## Western Blot Analysis<sup>[1]</sup>

Cell Line:	Human chondrocytes	
Concentration:	0 μΜ,0.01 μΜ,0.1 μΜ,1 μΜ,10 μΜ	
Incubation Time:	15 minutes	
Result:	Dose-dependently inhibited the activation of MAPKAP K2 with an IC $_{50}$ of 1.0 $\mu\text{M}.$	

#### 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<sup>[3]</sup>.

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) <sup>[2]</sup>	
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.	

## **CUSTOMER VALIDATION**

• Cell Death Dis. 2020 Jul 27;11(7):588.

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#### **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.

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

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