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产品名称: **SB 242235**
产品别名: **SB 242235**

生物活性:

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] .			
	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		
In Vivo	Result:	Dose-dependently inhibited the activation of MAPKAP K2 with an IC ₅₀ of 1.0 μM.		
	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].			
	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.			
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
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。				
储备液的保存方式和期限：-80℃, 6 months; -20℃, 1 month。-80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。				
In Vivo:				
请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：				



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Solvent&Solubility	<p>——为保证实验结果的可靠性,澄清的储备液可以根据储存条件,适当保存;体内实验的工作液,建议您现用现配,当天使用;以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比;如在配制过程中出现沉淀、析出现象,可以通过加热和/或超声的方式助溶</p> <p>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p> <p>Solubility: ≥ 2.5 mg/mL (7.07 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.07 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例,取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中,混合均匀向上述体系中加入 50 μL Tween-80,混合均匀;然后继续加入 450 μL 生理盐水定容至 1 mL。</p> <p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (7.07 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.07 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例,取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中,混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (7.07 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.07 mM, 饱和度未知) 的澄清溶液,此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例,取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中,混合均匀。</p>
References	<p>[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.</p> <p>[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.</p> <p>[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.</p>