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产品名称：SB 203580 (hydrochloride)
产品别名：RWJ 64809 hydrochloride

生物活性：

Description	SB 203580 hydrochloride (RWJ 64809 hydrochloride) is a selective and ATP-competitive p38 MAPK inhibitor with IC50s of 50 nM and 500 nM for SAPK2a/p38 and SAPK2b/p38β2, respectively. SB 203580 hydrochloride inhibits LCK, GSK3β and PKBα with IC50s of 100-500-fold higher than that for SAPK2a/p38. SB 203580 hydrochloride is an autophagy and mitophagy activator[1].	
IC_{50} & Target	p38	p38β2
	50 nM (IC_{50})	500 nM (IC_{50})
In Vitro	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]. SB203580 blocks PKB phosphorylation (IC50 3-5 μM). SB203580 inhibitsthe 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].	
	Cell Proliferation Assay[1]	
	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	SB203580 (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].	
	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).
	In Vitro: **DMSO : 100 mg/mL** (**241.60 mM;** Need ultrasonic) **H_2O : 8.43 mg/mL** (**20.37 mM;** Need ultrasonic and warming)	

Solvent&Solubility

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4160 mL	12.0802 mL	24.1604 mL
5 mM	0.4832 mL	2.4160 mL	4.8321 mL
10 mM	0.2416 mL	1.2080 mL	2.4160 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°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 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：

——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

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (6.04 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.04 mM，饱和度未知) 的澄清溶液。

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。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (6.04 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.04 mM，饱和度未知) 的澄清溶液。

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。

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.