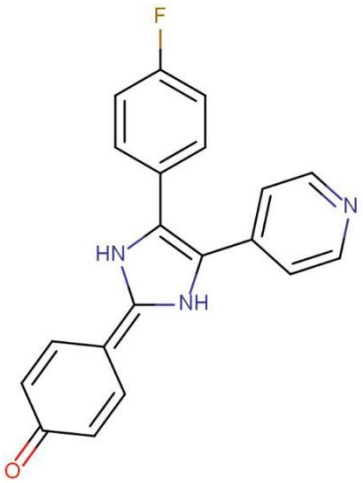
SB202190 (p38 MAPK 抑制剂)

货号：RPM0010

◆ 产品信息

中文名称/Chinese Name:	SB202190
别称/Synonyms:	4-(4-氟苯基)-2-(4-羟基苯基)-5-(4-吡啶基)-1H-咪唑
CAS 号/CAS NO.:	152121-30-7
化学式/Formula:	$C_{20}H_{14}FN_3O$
分子量/M.Wt:	331.34
外观/Appearance:	固体
保存条件/Storage:	Powder：-20℃，3 年 Insolvent：-80℃，1 年



◆ 溶解信息

溶解度/Solubility:	DMSO： 50 mg/mL (150.9 mM)

溶液配制参考/Preparing Stock Solutions:

	1 mg	5 mg	10 mg
1 mM	3.018 mL	15.0902 mL	30.1805 mL
5 mM	0.6036 mL	3.018 mL	6.0361 mL
10 mM	0.3018 mL	1.509 mL	3.018 mL
50 mM	0.0604 mL	0.3018 mL	0.6036 mL

◆ 生物活性

产品描述/Description	SB202190 是一种 p38 MAPK 抑制剂，可以抑制 p38α和 p38β2，具有选择性和细胞渗透性。SB202190 具有抗肿瘤活性，还可以诱导人胚胎干细胞向心肌细胞分化。
靶点活性/Targets (IC_{50})	p38β: 100 nM, p38α: 50 nM
体外活性/In vitro	1.用 SB202190（5-50 μM）处理人 Tenon 成纤维细胞，MTT 法检测细胞活力。SB202190 对细胞有毒性，IC_{50} 为 17.2 μM。[1] 2.用 SB202190（5-50 μM）处理人脐静脉内皮细胞 HUVEC 6-48 h，Western Blot 检测靶点蛋白表达水平。SB202190 孵育 24 小时后，LC3A/B-I 转化为 PE 偶联的 LC3A/B-II 以浓度依赖的方式增加。[2]
体内活性/In vivo	1.将 SB202190（2 mg/kg）腹腔注射给 C57BL/6 小鼠，30 min 后注射 LPS（10 mg/kg），研究 p38 MAPK 在急性内毒素血症小鼠中的作用。SB202190 处理

	可降低 TNF-α水平，显著逆转 LPS 诱导的左心室抑制，降低诱导的死亡率。[3] 2.将 SB202190（5 mg/kg）和 OSI-027（10 mg/kg）腹腔注射给携带人 CRC 肿瘤 SW620 的 BALB/c 小鼠，每天一次，持续十天，检测体内抗肿瘤活性。单独使用 SB202190 增强了 SW620 异种移植物的肿瘤增殖和肿瘤负荷，联合 OSI-027 使用显著减弱了异种移植物肿瘤的生长。[4]
激酶实验/Kinase Assay	All protein kinase activities were linear with respect to time in every incubation. Assays were performed either manually for 10 min at 30°C in 50 μL incubations using [γ-32P]ATP or with a Biomek 2000 Laboratory Automation Workstation in a 96-well format for 40 min at ambient temperature in 25 μL incubations using [γ-32P]ATP. The concentrations of ATP and magnesium acetate were 0.1 mM and 10 mM respectively, unless stated otherwise. This concentration of ATP is 5–10-fold higher than the Km for ATP of most of the protein kinases studied in the present paper, but lower than the normal intracellular concentration, which is in the millimolar range. All assays were initiated with MgATP. Manual assays were terminated by spotting aliquots of each incubation on to phosphocellulose paper, followed by immersion in 50 mM phosphoric acid. Robotic assays were terminated by the addition of 5 μl of 0.5 M phosphoric acid before spotting aliquots on to P30 filter mats. All papers were then washed four times in 50 mM phosphoric acid to remove ATP, once in acetone (manual incubations) or methanol (robotic incubations), and then dried and counted for radioactivity [1].

◆ 参考文献

[1] Nassar K, et al. A p38 MAPK inhibitor improves outcome after glaucoma filtration surgery. J Glaucoma. 2015 Feb;24(2):165-78.

[2] Schwartz M, et al. SB202190 inhibits endothelial cell apoptosis via induction of autophagy and heme oxygenase-1. Oncotarget. 2018 May 1;9(33):23149-23163.

[3] Peng T, et al. Inhibition of p38 MAPK decreases myocardial TNF-alpha expression and improves myocardial function and survival in endotoxemia. Cardiovasc Res. 2003 Oct 1;59(4):893-900.

[4] Zhang Y, et al. PP2AC Level Determines Differential Programming of p38-TSC-mTOR Signaling and Therapeutic Response to p38-Targeted Therapy in Colorectal Cancer. EBioMedicine. 2015 Nov 19;2(12):1944-56.

◆ 注意事项

1. 请在收到本产品后立即按照说明书中推荐的条件保存，使用前进行离心，使粉末充分沉降至管底。
2. 请根据实验目的及本产品的溶解性，选择相应的溶剂配制成高浓度母液后使用，最佳工作浓度请参考相关文献通过实验摸索优化，本说明书中的数据来源于公开文献，仅供参考。
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