



上海源叶生物科技有限公司  
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产品名称: **BMS-582949 (hydrochloride)**  
产品别名: **BMS-582949 hydrochloride**

生物活性:

Description	BMS-582949 hydrochloride is an orally active and highly selective p38α MAPK inhibitor, with an IC50 of 13 nM. BMS-582949 hydrochloride displays a significantly improved pharmacokinetic profile and is effective in inflammatory disease[1].					
IC50 & Target	p38α MAPK	TNFα				
	13 nM (IC50)	50 nM (IC50, in cells)				
In Vitro	BMS-582949 displays a p38α IC50 of 13 nM and a cellular TNFα IC50 of 50 nM[1]. BMS-582949 is a weak inhibitor of CYP3A4 BMS-582949 displays >2000-fold selectivity for p38α over a diverse panel of 57 kinases that include serine kinases, nonreceptor tyrosine kinases, receptor tyrosine kinases, and the p38γ and δ isoforms[1].					
In Vivo	BMS-582949 (5-100 mg/kg, orally) is effective in both the acute murine model of inflammation and rat adjuvant arthritis model despite its slightly reduced potency[1].					
	Animal Model:	Male Sprague-Dawley rats (250-300 g) adjuvant arthritis model[1].				
	Dosage:	1, 10, 100 mg/kg.				
	Administration:	Orally once daily (from day 11 to day 19).				
	Result:	Displayed dose-dependent reduction in paw swelling with qd dosing, with efficacy observed at doses of 10 and 100 mg/kg.				
Solvent&Solubility	In Vitro: DMSO : 25 mg/mL (56.44 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		2.2576 mL	11.2882 mL	22.5764 mL
		5 mM		0.4515 mL	2.2576 mL	4.5153 mL
		10 mM		0.2258 mL	1.1288 mL	2.2576 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 →90% corn oil Solubility: ≥ 2.5 mg/mL (5.64 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.64 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。					



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	以 1 mL 工作液为例, 取 100 $\mu$ L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 $\mu$ L 玉米油中, 混合均匀。
References	[1]. Liu C, et al. Discovery of 4-(5-(cyclopropylcarbamoyl)-2-methylphenylamino)-5-methyl-N-propylpyrrolo[1,2-f][1,2,4]triazine-6-carboxamide (BMS-582949), a clinical p38 $\alpha$ MAP kinase inhibitor for the treatment of inflammatory diseases. J Med Chem. 2010 Sep 23;53(18):6629-39.



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