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产品名称: **Ki20227**
产品别名: **Ki20227**

生物活性:					
Description	Ki20227 is an orally active and highly selective c-Fms tyrosine kinase (CSF1R) inhibitor with IC50s of 2 nM, 12 nM, 451 and 217 nM for CSF1R, VEGFR2 (vascular endothelial growth factor receptor-2), c-Kit (stem cell factor receptor) and PDGFRβ (platelet-derived growth factor receptor β). Ki20227 suppresses osteoclast differentiation and osteolytic bone destruction[1].				
IC50 & Target	IC50: 2 nM (CSF1R), 12 nM (VEGFR2), 451 nM (c-Kit) and 217 nM (PDGFRβ)[1]				
In Vitro	Ki20227 (0.1-1000 nM; 72 hours) with 100 and 1,000 nM almost suppresses M-NFS-60 cell growth and HUVEC cell growth, respectively[1].				
	Ki20227 (0.1-1000 nM; 1 hour) suppresses M-CSF-dependent c-Fms phosphorylation in a dose-dependent manner[1].				
	Cell Viability Assay[1]				
	Cell Line:	M-NFS-60 cells, HUVEC cells, human A375 melanoma cells			
	Concentration:	0.1, 0.3, 1, 3, 10, 30, 100, 300, 1000 nM			
	Incubation Time:	72 hours			
	Result:	100 and 1,000 nM almost suppressed M-NFS-60 cell growth and HUVEC cell growth, respectively.			
	Cell Viability Assay[1]				
	Cell Line:	RAW264.7 cell lysate			
	Concentration:	0.1, 0.3, 1, 3, 10, 30, 100, 300, 1000 nM			
	Incubation Time:	1 hour			
Result:	Suppressed M-CSF-dependent c-Fms phosphorylation in a dose-dependent manner.				
In Vivo	Ki20227 (orally;10-50 mg/kg/d for 20 days) of 50 mg/kg/d of Ki20227 for 20 days markedly decreases the osteolytic lesion areas[1].				
	ki20227 during global ischemia led to a significant deficit in microglial density in the CNS in mice, and CSF1R-inhibition led to a significant reduction in the neuronal density of mice[2].				
	Animal Model:	4-week-old male F344/NJcl-rnu rats[1]			
	Dosage:	10, 20, and 50 mg/kg			
	Administration:	Orally; once per day for 20 days			
Result:	Oral administration of 50 mg/kg/d markedly decreased the osteolytic lesion areas.				
	In Vitro:				
	DMSO : 62.5 mg/mL (130.06 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent / Mass Concentration	1 mg	5 mg	10 mg
		1 mM	2.0810 mL	10.4050 mL	20.8099 mL
		5 mM	0.4162 mL	2.0810 mL	4.1620 mL
		10 mM	0.2081 mL	1.0405 mL	2.0810 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				



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Solvent&Solubility	<p>复冻融造成的产品失效。</p> <p>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。</p> <p>In Vivo:</p> <p>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:</p> <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: ≥ 2.17 mg/mL (4.52 mM); Clear solution</p> <p>此方案可获得 ≥ 2.17 mg/mL (4.52 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 21.7 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: ≥ 2.17 mg/mL (4.52 mM); Clear solution</p> <p>此方案可获得 ≥ 2.17 mg/mL (4.52 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 21.7 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: ≥ 2.17 mg/mL (4.52 mM); Clear solution</p> <p>此方案可获得 ≥ 2.17 mg/mL (4.52 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 21.7 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]. Ohno H, et al. A c-fms tyrosine kinase inhibitor, Ki20227, suppresses osteoclast differentiation and osteolytic bone destruction in a bone metastasis model. Mol Cancer Ther. 2006 Nov;5(11):2634-43.</p> <p>[2]. Boru Hou, et al. Ki20227 influences the morphology of microglia and neurons through inhibition of CSF1R during global ischemia. Int J Clin Exp Pathol. 2016;9(12):12459-12469.</p>