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产品名称: **Savolitinib**

产品别名: 沃利替尼; **Volitinib; HMPL-504; AZD-6094**

生物活性:

Description	Savolitinib (AZD-6094) is a potent, highly selective, and orally bioavailable c-Met inhibitor with IC50 s of 5 nM and 3 nM for c-Met and p-Met, respectively. Savolitinib (AZD-6094) selectively binds to and inhibits the activation of c-Met in an ATP-competitive manner, and disrupts c-Met signal transduction pathways. Antineoplastic activity[1][2].				
IC50 & Target	IC50: 5 nM (c-Met) and 3 nM (p-Met)[1]				
In Vivo	Savolitinib (Compound 28; 1-10.0 mg/kg; oral administration; daily; for 21 days; athymic nude mice) demonstrates dose-dependent tumor growth inhibition in a U87MG subcutaneous xenograft model. In addition, none of the mice in the dosing groups exhibits body weight loss during the experiment[1].				
	Animal Model:	U87MG xenograft model in athymic nude mice[1]			
	Dosage:	1 mg/kg, 2.5 mg/kg and 10.0 mg/kg			
	Administration:	Oral administration; daily; for 21 days			
	Result:	Demonstrated dose-dependent tumor growth inhibition in a U87MG subcutaneous xenograft model.			
Solvent&Solubility	In Vitro: DMSO : ≥ 34 mg/mL (98.45 mM) H2O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	<div>SolventMassConcentration</div>	1 mg	5 mg	10 mg
		1 mM	2.8955 mL	14.4776 mL	28.9553 mL
		5 mM	0.5791 mL	2.8955 mL	5.7911 mL
		10 mM	0.2896 mL	1.4478 mL	2.8955 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： ——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: ≥ 2.08 mg/mL (6.02 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (6.02 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 20.8 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。				



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	<p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: 2.08 mg/mL (6.02 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 2.08 mg/mL (6.02 mM)的均匀悬浊液, 悬浊液可用于口服和腹腔注射。</p> <p>以 1 mL 工作液为例, 取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.08 mg/mL (6.02 mM); Clear solution</p> <p>此方案可获得 ≥ 2.08 mg/mL (6.02 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 20.8 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]. Jia H, et al. Discovery of (S)-1-(1-(Imidazo[1,2-a]pyridin-6-yl)ethyl)-6-(1-methyl-1H-pyrazol-4-yl)-1H-[1,2,3]triazolo[4,5-b]pyrazine (volitinib) as a highly potent and selective mesenchymal-epithelial transition factor (c-Met) inhibitor in clinical development for treatment of cancer. J Med Chem. 2014 Sep 25;57(18):7577-89.</p> <p>[2]. Gavine PR, et al. Volitinib, a potent and highly selective c-Met inhibitor, effectively blocks c-Met signaling and growth in c-MET amplified gastric cancer patient-derived tumor xenograft models. Mol Oncol. 2015 Jan;9(1):323-33.</p>

源叶生物