

产品名称：**WZ3146**
产品别名：**WZ-3146**

生物活性：					
Description	WZ3146 is a mutant selective EGFR inhibitor with IC₅₀s of 2, 2, 5, 14 and 66 nM for EGFR ^{L858R} , EGFR ^{L858R/T790M} , EGFR ^{E746_A750} , EGFR ^{E746_A750/T790M} and EGFR, respectively.				
IC ₅₀ & Target	EGFR ^{L858R}	EGFR ^{L858R/T790M}	EGFR ^{E746_A750}	EGFR ^{E746_A750/T790M}	EGFR
	2 nM (IC ₅₀)	5 nM (IC ₅₀)	2 nM (IC ₅₀)	14 nM (IC ₅₀)	24 nM (IC ₅₀)
In Vitro	WZ3146 is a novel EGFR inhibitor, suppresses the growth of EGFR T790M containing cell lines and inhibits EGFR phosphorylation[1].				
Solvent&Solubility	In Vitro: DMSO : 150 mg/mL (322.62 mM; Need ultrasonic)				
	Preparing Stock Solutions	<div><div>Solvent</div><div>Mass</div><div>Concentration</div></div>	1 mg	5 mg	10 mg
		1 mM	2.1508 mL	10.7538 mL	21.5077 mL
		5 mM	0.4302 mL	2.1508 mL	4.3015 mL
		10 mM	0.2151 mL	1.0754 mL	2.1508 mL
	<p>*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。</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: ≥ 2.5 mg/mL (5.38 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.38 mM，饱和度未知) 的澄清溶液。</p> <p>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。</p>				
	<p>2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (5.38 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.38 mM，饱和度未知) 的澄清溶液。</p> <p>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。</p>				
	<p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (5.38 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.38 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>				

	以 1 mL 工作液为例，取 100 μ L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μ L 玉米油中，混合均匀。
References	[1]. Zhou W, et al. Novel mutant-selective EGFR kinase inhibitors against EGFR T790M.Nature. 2009 Dec 24;462(7276):1070-4
实验参考：	
Animal Administration	Growth and inhibition of growth is assessed by MTS assay. Ba/F3 cells are exposed to WZ3146 treatment for 72 hours. Growth and inhibition of growth is assessed by MTS assay[1].
Kinase Assay	In vitro inhibitory enzyme kinetic assays are carried out in triplicate using the ATP/NADH coupled assay system in a 96-well format. The final reaction mixture contains 0.5mg/mL Bovine Serum Albumin (BSA), 2mM MnCl ₂ , 1mM phospho(enol) pyruvic acid, 1mM TCEP, 0.1M Hepes 7.4, 2.5mM poly-[Glu4Tyr1] peptide, 1/50 of the final reaction mixture volume of pyruvate kinase/lactic dehydrogenase enzymes from rabbit muscle, 0.5mM NADH, 0.5 μ M EGFR kinase, 100 μ M ATP and varied amount of inhibitors. Inhibitors and ATP are mixed and made separate stock from the mixture with all other ingredients and added last to the latter to start the reaction. Steady state initial velocity data are drawn from the slopes of the A340 curves[1].
References	[1]. Zhou W, et al. Novel mutant-selective EGFR kinase inhibitors against EGFR T790M.Nature. 2009 Dec 24;462(7276):1070-4.

源叶生物