

产品名称: **LGX 818**
 产品别名: **Encorafenib**

生物活性:

Description	Encorafenib (LGX818) is a highly potent BRAF inhibitor with selective anti-proliferative and apoptotic activity in cells expressing BRAF ^{V600E} (EC ₅₀ =4 nM).				
IC ₅₀ & Target	IC50: 0.3 nM (BRa ^f V600E)				
In Vitro	Encorafenib (LGX818) is a potent drug that can prevents diseases or disorders associated with abnormal or deregulated kinase activity, particularly diseases or disorders that involve abnormal activation of B-Ra ^f [1]. Encorafenib (LGX818) (10 nM) suppresses the ERK/MAPK pathway and displays marked inhibition of pERK in A375, G361 and SK-MEL-24 cells. 10 nM Encorafenib (LGX818) treatment for 12 days potently inhibits colony formation in A375, G361 and SK-MEL-24 cells, but not in RPMI7951 and C8161 cells. Encorafenib (LGX818) treatment induces a steady increase in the β-catenin level in G361 cells over time[2].				
Solvent&Solubility	In Vitro: DMSO : 50 mg/mL (92.59 mM; Need ultrasonic)				
	<div>Preparing Stock Solutions</div>	<div>Solvent / Mass Concentration</div>	1 mg	5 mg	10 mg
		1 mM	1.8518 mL	9.2591 mL	18.5182 mL
		5 mM	0.3704 mL	1.8518 mL	3.7036 mL
		10 mM	0.1852 mL	0.9259 mL	1.8518 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> <div><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 (4.63 mM); Clear solution</p><p>此方案可获得 ≥ 2.5 mg/mL (4.63 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></div> <div><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 (4.63 mM); Clear solution</p><p>此方案可获得 ≥ 2.5 mg/mL (4.63 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></div> <div><p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil</p></div>				

	<p>Solubility: ≥ 2.5 mg/mL (4.63 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.63 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 25.0 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]. Compounds and compositions as protein kinase inhibitors . Patent WO 2011025927 A1</p> <p>[2]. Li Z, et al. Encorafenib (LGX818), a potent BRAF inhibitor, induces senescence accompanied by autophagy in BRAFV600E melanoma cells. Cancer Lett. 2016 Jan 28;370(2):332-44.</p>
实验参考:	
Cell Assay	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
References	<p>[1]. Compounds and compositions as protein kinase inhibitors . Patent WO 2011025927 A1</p> <p>[2]. Li Z, et al. Encorafenib (LGX818), a potent BRAF inhibitor, induces senescence accompanied by autophagy in BRAFV600E melanoma cells. Cancer Lett. 2016 Jan 28;370(2):332-44.</p>

源叶生物