产品名称：**SCH772984**
产品别名：**SCH772984**

生物活性：

Description	SCH772984 is a highly selective and ATP-competitive ERK inhibitor, with IC50s of 4 and 1 nM for ERK1 and ERK2, respectively. SCH772984 has antitumor activity in MAPK inhibitor-naïve and MAPK inhibitor-resistant cells containing BRAF or RAS mutations[1].	
IC_{50} & Target	ERK2	ERK1
	1 nM (IC_{50})	4 nM (IC_{50})
In Vitro	SCH772984 (300 nM; 24-48hours) results in a G1 arrest in SCH772984-sensitive melanoma cells[1]. SCH772984 (3-300 nM; 24 hours) inhibits ERK and RSK phosphorylation[1]. SCH772984 shows EC50 values less than 500 nM in approximately 88% and 49% of BRAF-mutant (n=25) or RAS-mutant (n=35) tumor lines, respectively[1].	
	Cell Cycle Analysis[1]	
	Cell Line:	LOX cells (SCH772984-sensitive melanoma cells)
	Concentration:	300 nM
	Incubation Time:	24, 48 hours
	Result:	Revealed a G1 arrest as well as an increase in the sub-G1 fraction indicative of apoptosis.
	Western Blot Analysis[1]	
	Cell Line:	LOX $BRAF^{V600E}$ melanoma cells
	Concentration:	3, 10, 30, 100, 300 nM
	Incubation Time:	24 hours
	Result:	A dose-dependent inhibition of phosphorylation of the ERK substrate RSK (T359/S363 phospho-RSK), and also inhibited phosphorylation of residues in the activation loop of ERK itself (T202/Y204 and T185/Y187 of ERK1 and ERK2, respectively).
In Vivo	SCH772984 (12.5-50 mg/kg; i.p.; twice daily for 14 days) leads to 98% tumor regression[1]. Dose-dependent antitumor activity is also observed in the KRAS-mutant pancreatic MiaPaCa model, with 36% regression at 50 mg/kg twice daily. Importantly, tumor regression is accompanied by robust inhibition of ERK phosphorylation in tumor tissue. SCH772984 is well tolerated on this schedule as measured by morbidity, lethality, or body weight loss[1].	
	Animal Model:	Female nude mice bearing human LOX BRAFV600E tumors[1]
	Dosage:	12.5, 25, 50 mg/kg
	Administration:	Intraperitoneal injection; twice daily for 14 days
	Result:	Tumor regressions were observed at all doses, such as 17% at 12.5 mg/kg, 84% at 25 mg/kg, and 98% at 50 mg/kg).
	In Vitro: **DMSO : 14.29 mg/mL (24.32 mM;** Need ultrasonic) **H_2O : < 0.1 mg/mL** (insoluble)	

	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
Preparing	1 mM	1.7016 mL	8.5082 mL	17.0164 mL

<table>
<tr><td rowspan="3">Solvent&Solubility</td><td rowspan="2">Stock Solutions</td><td>5 mM</td><td>0.3403 mL</td><td>1.7016 mL</td><td>3.4033 mL</td></tr>
<tr><td>10 mM</td><td>0.1702 mL</td><td>0.8508 mL</td><td>1.7016 mL</td></tr>
<tr><td colspan="4">*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→40% PEG300 →5% Tween-80 → 45% saline
Solubility: ≥ 1.43 mg/mL (2.43 mM); Clear solution
此方案可获得 ≥ 1.43 mg/mL (2.43 mM，饱和度未知) 的澄清溶液。
以 1 mL 工作液为例，取 100 μL 14.299999 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。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)
Solubility: 1.43 mg/mL (2.43 mM); Suspended solution; Need ultrasonic
此方案可获得 1.43 mg/mL (2.43 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。
以 1 mL 工作液为例，取 100 μL 14.299999 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。

3.请依序添加每种溶剂： 10% DMSO →90% corn oil
Solubility: ≥ 1.43 mg/mL (2.43 mM); Clear solution
此方案可获得 ≥ 1.43 mg/mL (2.43 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。
以 1 mL 工作液为例，取 100 μL 14.299999 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。

4.请依序添加每种溶剂： 20% SBE-β-CD adjusted to pH 4-4.5 with 1 N acetic
Solubility: 20 mg/mL (34.03 mM); Clear solution; Need ultrasonic</td></tr>
<tr><td>References</td><td colspan="4">[1]. Morris EJ, et al. Discovery of a novel ERK inhibitor with activity in models of acquired resistance to BRAF and MEK inhibitors. Cancer Discov. 2013 Jul;3(7):742-50.</td></tr>
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