产品名称：**SB505124**
产品别名：**SB-505124**

生物活性：

Description	SB-505124 is a selective inhibitor of TGF-β Receptor type I receptor (ALK4, ALK5, ALK7), with IC_{50}s of 129 nM and 47 nM for ALK4, ALK5, respectively, but it does not inhibit ALK1, 2, 3, or 6.
IC_{50} & Target	IC50: 129 nM (ALK4), 47 nM (ALK5)
In Vitro	SB-505124 demonstrates no toxicity to renal epithelial A498 cells at concentrations up to 100 μM for 48 h. 505124 inhibits the closely related ALK4 with an IC50 value of 129±11 nM (about 2.5-fold less sensitive than ALK5) but does not inhibit ALK2 at concentrations up to 10 μM. SB-505124 (1 μM) inhibits the TGF-β-induced phosphorylation of Smad2 in all three of these cell lines in a concentration-dependent fashion. SB-505124 (1 or 5 μM) potently inhibits TGF-β-induced activation of JNK/SAP, extracellular signal-regulated kinase 1/2, and p38 despite the different patterns of activation in these cells[1]. SB-505124 (10 μM) impairs Smad2 phosphorylation and CTGF and α-SMA expression in vitro[2]. SB-505124 susspresses CTGF and α-SMA observed by immunofluorescence. Cell outgrowth from explants dissected from eyes to which SB-505124 is applied during GFS is robust while outgrowth is poor from those treated with MMC[3].
In Vivo	SB-505124 (5 mg/kg; i.p.) alone has no effect in C57Bl6 mice with A549 xenografts, but administration of SB-505124 with a single dose of Carboplatin (60 mg/kg) results in durable responses without the need for maintenance therapy in five animals[4].

Animal Model:	C57Bl6 mice with A549 xenografts[4]
Dosage:	5 mg/kg
Administration:	I.p.; daily
Result:	Had no effect alone, but administration with a single dose of carboplatin (60 mg/kg) resulted in durable responses without the need for maintenance therapy in five animals.

Solvent&Solubility

In Vitro:

DMSO : 113.33 mg/mL (**337.90 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9815 mL	14.9076 mL	29.8151 mL
	5 mM	0.5963 mL	2.9815 mL	5.9630 mL
	10 mM	0.2982 mL	1.4908 mL	2.9815 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°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: ≥ 2.5 mg/mL (7.45 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.45 mM，饱和度未知) 的澄清溶液。 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。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (7.45 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.45 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. DaCosta Byfield S, et al. SB-505124 is a selective inhibitor of transforming growth factor-beta type I receptors ALK4, ALK5, and ALK7. Mol Pharmacol. 2004 Mar;65(3):744-52. [2]. Sutariya V, et al. Thermoreversible gel for delivery of receptor-like kinase 5 inhibitor SB-505124 for glaucoma filtration surgery. Pharm Dev Technol. 2013 Jul-Aug;18(4):957-62. [3]. Sapitro J, et al. Suppression of transforming growth factor-β effects in rabbit subconjunctival fibroblasts by receptor-like kinase 5 inhibitor. Mol Vis. 2010 Sep 16;16:1880-92. [4]. Marini KD, et al. Inhibition of activin signaling in lung adenocarcinoma increases the therapeutic index of platinum chemotherapy. Sci Transl Med. 2018 Jul 25;10(451). pii: eaat3504.