产品名称：SB525334
产品别名：SB 525334

生物活性：

Description	SB 525334 is a potent and selective transforming growth factor β1 receptor (ALK5) inhibitor with an IC_{50} of 14.3 nM.
IC_{50} & Target	IC50: 14.3 nM (ALK5)[1]
In Vitro	SB525334 (1 μM; for 15 minutes before stimulating with 0.625 ng/ml of TGF-β1, assesses after 6 days) inhibits TGF-β1-mediated proliferation of familial idiopathic pulmonary arterial hypertension (iPAH) pulmonary artery smooth muscle cells (PASMCs) at an IC50 of 295 nM [2].

Cell Proliferation Assay[2]	
Cell Line:	PASMC cells
Concentration:	1 μM
Incubation Time:	Pre-incubated for 15 minutes (before stimulating with 0.625 ng/ml of TGF-β1), assessed after 6 days
Result:	Inhibited TGF-β1-mediated proliferation of familial iPAH PASMCs at an IC_{50} of 295 nM.

In Vivo	SB525334 (3-30 mg/kg; p.o.; daily from days 17 to 35) significantly reverses pulmonary arterial pressure in a rat model of pulmonary arterial hypertension (PAH)[2].
Animal Model:	Adult male Sprague-Dawley rats (MCT rat model of pulmonary hypertension)[2]
Dosage:	3, 30 mg/kg
Administration:	Oral administration; daily from days 17 to 35
Result:	Reduced the proportion of fully muscularized vessels to 28% at 3 mg/kg and returned fully muscularized vessel distribution beyond that seen at day 17 and approaching the phenotype observed in saline-exposed controls at 30 mg/kg.

Solvent&Solubility

In Vitro:

DMSO : ≥ 46 mg/mL (133.95 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9119 mL	14.5594 mL	29.1189 mL
	5 mM	0.5824 mL	2.9119 mL	5.8238 mL
	10 mM	0.2912 mL	1.4559 mL	2.9119 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: ≥ 2.08 mg/mL (6.06 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (6.06 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。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (6.06 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (6.06 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。
References	[1]. Grygielko ET, et al. Inhibition of gene markers of fibrosis with a novel inhibitor of transforming growth factor-beta type I receptor kinase in puromycin-induced nephritis. J Pharmacol Exp Ther, 2005, 313(3), 943-951. [2]. Thomas M, et al. ALK5 mediates abnormal proliferation of vascular smooth muscle cells from patients with familial pulmonary arterial hypertension and is involved in the progression of experimental pulmonary arterial hypertension induced by monocrotaline. Am J Pathol, 2009, 174(2), 380-389. [3]. Laping NJ, et al. Tumor-specific efficacy of transforming growth factor-beta RI inhibition in Eker rats. Clin Cancer Res, 2007, 13(10), 3087-3899.