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产品名称：LX7101
产品别名：LX7101

生物活性：

Description	LX7101 is a potent inhibitor of LIMK and ROCK2 with IC50 values of 24, 1.6 and 10 nM for LIMK1, LIMK2 and ROCK2, respectively; also inhibits PKA with an IC50 less than 1 nM.			
IC_{50} & Target	ROCK2	LIMK2	LIMK1	PKA
	10 nM (IC_{50})	1.6 nM (IC_{50})	24 nM (IC_{50})	1 nM (IC_{50})
In Vitro	LX7101 is a dual LIM-kinase and ROCK inhibitor for the treatment of ocular hypertension and associated glaucoma. LX-7101 also displays potent inhibition of Akt1 with an IC50 of less than 1 nM[1]. The overall selectivity of LX7101 for LIMK2 increases at the higher physiological ATP concentrations. Under physiological conditions, the activity of LX7101 is primarily due to inhibition of LIMK2[2].			
In Vivo	LX-7101 is advanced to Phase-I clinical trials as an intraocular pressure (IOP)-lowering agent for treatment of glaucoma. LX-7101 displays a significant IOP reduction at time points ranging from 1 h to 6 h post administration in rabbits[1]. Topical doses of LX-7101 are evaluated for tolerability on the eyes of mice, rats, and rabbits. It is well tolerated at doses up to 0.5% in non-GLP single dose studies. In the mouse IOP assay, LX-7101 (5%) achieved additional reduction of IOP (5.0 mmHg total reduction) compared to the 0.1% formulation and demonstrated a long duration of action, with IOP not returning to baseline until more than 8 h postdose[2].			

Solvent&Solubility

In Vitro:

DMSO : 150 mg/mL (**332.21 mM**; Need ultrasonic)

	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.2147 mL	11.0737 mL	22.1474 mL
	5 mM	0.4429 mL	2.2147 mL	4.4295 mL
	10 mM	0.2215 mL	1.1074 mL	2.2147 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: ≥ 7.5 mg/mL (16.61 mM); Clear solution

此方案可获得 ≥ 7.5 mg/mL (16.61 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 75.0 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% (20% SBE-β-CD in saline) Solubility: ≥ 7.5 mg/mL (16.61 mM); Clear solution 此方案可获得 ≥ 7.5 mg/mL (16.61 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 75.0 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。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 7.5 mg/mL (16.61 mM); Clear solution 此方案可获得 ≥ 7.5 mg/mL (16.61 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 75.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Boland S, et al. Design, synthesis and biological characterization of selective LIMK inhibitors. Bioorganic & Medicinal Chemistry Letters (2015), 25(18), 4005-4010. [2]. Harrison BA, et al. Discovery and Development of LX7101, a Dual LIM-Kinase and ROCK Inhibitor for the Treatment of Glaucoma. ACS Medicinal Chemistry Letters (2015), 6(1), 84-88.