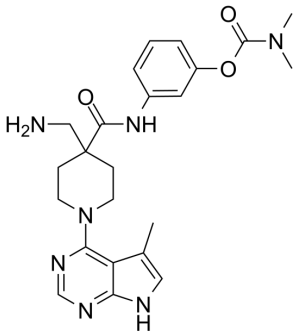
LX7101

Cat. No.:	HY-12659
CAS No.:	1192189-69-7
Molecular Formula:	$C_{23}H_{29}N_7O_3$
Molecular Weight:	451.52
Target:	ROCK
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt; TGF-beta/Smad
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 7.5 mg/mL (16.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 7.5 mg/mL (16.61 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 7.5 mg/mL (16.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LX7101 is a potent inhibitor of LIMK and ROCK2 with IC_{50} values of 24, 1.6 and 10 nM for LIMK1, LIMK2 and ROCK2, respectively; also inhibits PKA with an IC_{50} less than 1 nM.

IC_{50} & Target

IC50: 24 nM (LIMK1), 1.6 nM (LIMK2), 10 nM (ROCK2), <1 nM (PKA)[1]

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 IC_{50} 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Rep. 2018 Aug 2;8(1):11585.

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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.

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