Losmapimod

Cat. No.:	HY-10402				
CAS No.:	585543-15-3				
Molecular Formula:	C ₂₂ H ₂₆ FN ₃ O ₂				
Molecular Weight:	383.46				
Target:	p38 MAPK; Autophagy				
Pathway:	MAPK/ERK Pathway; Autophagy				
Storage:	Powder	-20°C	3 years		
		4°C	2 years		
	In solvent	-80°C	2 years		
		-20°C	1 year		

R

MedChemExpress

SOLVENT & SOLUBILITY

In Vitro	Ethanol : 33.33 mg/mL (86.92 mM; Need ultrasonic) DMSO : 27.5 mg/mL (71.72 mM; Need ultrasonic)						
Preparing Stock Solutions		Solvent Mass Concentration	1 mg	5 mg	10 mg		
	Preparing Stock Solutions	1 mM	2.6078 mL	13.0392 mL	26.0783 mL		
		5 mM	0.5216 mL	2.6078 mL	5.2157 mL		
	10 mM	0.2608 mL	1.3039 mL	2.6078 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: 2.75 mg/mL (7.17 mM); Suspended solution; Need ultrasonic						
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.75 mg/mL (7.17 mM); Suspended solution; Need ultrasonic						
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.75 mg/mL (7.17 mM); Clear solution						
	4. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (6.52 mM); Suspended solution; Need ultrasonic						
	5. Add each solvent of Solubility: ≥ 2.5 m	one by one: 10% EtOH >> 90% cor g/mL (6.52 mM); Clear solution	m oil				

BIOLOGICAL ACTIVITY

Description

Losmapimod (GSK-AHAB) is a selective, potent, and orally active p38 MAPK inhibitor with pK_is of 8.1 and 7.6 for p38α and p38β, respectively^[1].

∧ ° H (

IC ₅₀ & Target	pKi: 8.1 (p38α), 7.6 (p38β)
In Vivo	In the spontaneously hypertensive stroke-prone rat (SHR-SP), chronic treatment with GSK-AHAB significantly and dose- dependently improves survival, endothelial-dependent and -independent vascular relaxation, and indices of renal function, and it attenuates dyslipidemia, hypertension, cardiac remodeling, plasma renin activity (PRA), aldosterone, and interleukin- 1β (IL-1β) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration ^[1]

Male SHR-SPs (n=70) are randomly assigned according to body weight into five groups (n=14 per group): normal diet controls (ND), high salt-fat diet controls (SFD), SFD + GSK-AHAB (1.2 mg/kg/day), and SFD + GSK-AHAB (12 mg/kg/day) and SFD + MK 966 (18 mg/kg/day). All drugs are administered in the diet by mixing with the SFD. A subgroup of animals from each group (n=6 per group) are anesthetized and surgically instrumented with radiotelemetry units for the conscious measurement of mean arterial blood pressure and heart rate. These animals are allowed to recover for at least 7 days before the start of the study.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Exp Clin Cancer Res. 2023 Jul 13;42(1):166.
- EMBO Mol Med. 2023 Jan 18;e16235.
- EBioMedicine. 2018 Feb;28:51-61.
- Cell Syst. 2018 Apr 25;6(4):424-443.e7.
- Cell Mol Life Sci. 2022 Aug 5;79(8):467.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Willette RN, et al. Differential effects of p38 mitogen-activated protein kinase and cyclooxygenase 2 inhibitors in a model of cardiovascular disease. J Pharmacol Exp Ther. 2009 Sep;330(3):964-70.

[2]. Zhang XM, et al. Suppression of mitochondrial fission in experimental cerebral ischemia: The potential neuroprotective target of p38 MAPK inhibition. Neurochem Int. 2015 Nov;90:1-8.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA