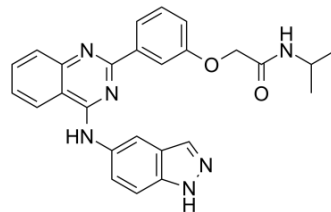


Belumosudil

Cat. No.:	HY-15307		
CAS No.:	911417-87-3		
Molecular Formula:	C ₂₆ H ₂₄ N ₆ O ₂		
Molecular Weight:	452.51		
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 : 250 mg/mL (552.47 mM; Need ultrasonic)
 H₂O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.2099 mL	11.0495 mL	22.0990 mL
	5 mM	0.4420 mL	2.2099 mL	4.4198 mL
	10 mM	0.2210 mL	1.1049 mL	2.2099 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.08 mg/mL (4.60 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: 2.08 mg/mL (4.60 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.08 mg/mL (4.60 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Belumosudil (KD025) is a selective inhibitor of ROCK2 with IC₅₀s of 105 nM and 24 μM for ROCK2 and ROCK1, respectively. Anti-fibrotic properties^[1].

IC₅₀ & Target

ROCK2	ROCK1
105 nM (IC ₅₀)	24 μM (IC ₅₀)

In Vitro	Belumosudil (SLx-2119; 40 μ M) induces significant down-regulations of Tsp-1 and CTGF mRNA levels in PSMC. The microarray hybridized with aRNA from HMVEC treated with Belumosudil, shows a 5-times higher background than the other arrays ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Belumosudil (KD-025; 100, 200 or 300 mg/kg, i.p.) dose-dependently reduces infarct volume after transient middle cerebral artery occlusion. Belumosudil is at least as efficacious in aged, diabetic or female mice, as in normal adult males ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[1]	Western blots are used to determine whether HMVEC, NHDF and PSMC express ROCK1 and ROCK2. The cells are incubated for 24 hours in 3 mL culture media containing Belumosudil. All cells are collected at passage 3 and lysed on ice in 25 mM Tris-HCl pH 7.5, 150 mM NaCl, 0.5% tritonX-100, 10% glycerol, 10 mM NaF and a protease inhibitor cocktail. Protein concentration is determined using a BCA protein assay reagent. Cell lysates (35 μ g) are separated on 7.5% or 12.5% SDS-PAGE polyacrylamide gels and transferred to PVDF membrane filters. Membranes are blocked in 5% non-fat milk in TBS containing 0.1% Tween 20. Blots are probed with antibodies to ROCK1, ROCK2 or actin and washed well before incubation with HRP-conjugated secondary antibodies and visualization with an enhanced chemiluminescence (ECL) kit. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration ^[2]	Young adult (C57BL/6, 2-3 months old, male 22-30 g, female 16-23 g), aged (C57BL/6, 12 months old, 33-52 g) are used in all experiments. Vehicle (0.4% methylcellulose) or Belumosudil (100, 200 or 300 mg/kg) is administered every 12 h via orogastric gavage. The dosing paradigm is chosen based on the pharmacokinetic profile after oral administration in mice. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Autoimmun. 2018 May;89:125-138.
- Am J Respir Cell Mol Biol. 2020 Oct;63(4):519-530.
- Neurobiol Dis. 2019 Apr;124:520-530.
- Sci Rep. 2018 Feb 6;8(1):2477.
- Molecules. 2020 Mar 17;25(6):1369.

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REFERENCES

- [1]. Boerma, M., et al. Comparative gene expression profiling in three primary human cell lines after treatment with a novel inhibitor of Rho kinase. Blood Coagul Fibrinolysis. 2008 Oct;19(7):709-18.
- [2]. Lee, J.H., et al. Selective ROCK2 Inhibition In Focal Cerebral Ischemia. Ann Clin Transl Neurol. 2014 Jan 1;1(1):2-14.

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