Belumosudil

Cat. No.: HY-15307

CAS No.: 911417-87-3

Molecular Formula: $C_{26}H_{24}N_6O_2$

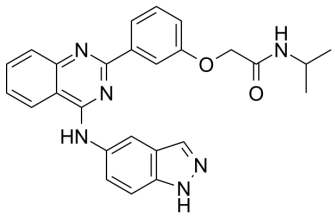
Molecular Weight: 453

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	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (220.75 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2075 mL	11.0375 mL	22.0751 mL
5 mM	0.4415 mL	2.2075 mL	4.4150 mL
10 mM	0.2208 mL	1.1038 mL	2.2075 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 3.33 mg/mL (7.35 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Belumosudil (KD025) is a selective inhibitor of ROCK2 with IC_{50}s of 105 nM and 24 μM for ROCK2 and ROCK1, respectively. Anti-fibrotic properties[1].

IC_{50} & Target

ROCK2	ROCK1
105 nM (IC_{50})	24 μM (IC_{50})

In Vitro

Belumosudil (SLx-2119; 40 μM) induces significant down-regulations of Tsp-1 and CTGF mRNA levels in PASMC. 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 PASMC 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 µg) 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.
- Int Immunopharmacol. 2023 Mar 15;118:110017.
- Am J Physiol Heart Circ Physiol. 2022 Jun 3.

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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.

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