Belumosudil mesylate

Cat. No.: HY-15307A

CAS No.: 2109704-99-4

Molecular Formula: $C_{27}H_{28}N_6O_5S$

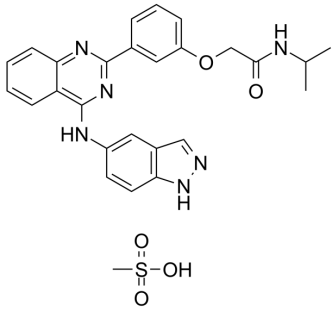
Molecular Weight: 548.61

Target: ROCK

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt; TGF-beta/Smad

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (22.78 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8228 mL	9.1139 mL	18.2279 mL
	5 mM	0.3646 mL	1.8228 mL	3.6456 mL
	10 mM	0.1823 mL	0.9114 mL	1.8228 mL

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

BIOLOGICAL ACTIVITY

Description

Belumosudil mesylate (KD025 mesylate) 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.

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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