GSK269962A

Cat. No.: HY-15556

CAS No.: 850664-21-0

Molecular Formula: $C_{29}H_{30}N_8O_5$

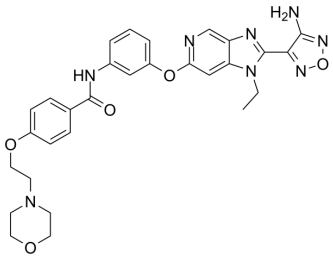
Molecular Weight: 570.6

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	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (52.58 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7525 mL	8.7627 mL	17.5254 mL
5 mM	0.3505 mL	1.7525 mL	3.5051 mL
10 mM	0.1753 mL	0.8763 mL	1.7525 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: ≥ 2.08 mg/mL (3.65 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.65 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK269962A (GSK 269962) is a potent ROCK inhibitor with IC_{50}s of 1.6 and 4 nM for recombinant human ROCK1 and ROCK2 respectively. GSK269962A has anti-inflammatory and vasodilatory activities[1].

IC_{50} & Target

ROCK1 1.6 nM (IC_{50})	ROCK2 4 nM (IC_{50})	RSK1 132 nM (IC_{50})	MSK1 49 nM (IC_{50})
AKT1 955 nM (IC_{50})	AKT2 1350 nM (IC_{50})	AKT3 1510 nM (IC_{50})	CDK2 3500 nM (IC_{50})

	GSK3α 1260 nM (IC_{50})
In Vitro	GSK269962A has an IC_{50} of 1.6 nM toward recombinant human ROCK1. GSK269962A exhibits more than 30-fold selectivity against a panel of serine/threonine kinases[1]. GSK269962A induces vasorelaxation in preconstricted rat aorta with an IC_{50} of 35 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GSK269962A is a potent antihypertensive agent. GSK269962A (0.3, 1, and 3 mg/kg; oral gavage) induces a dose-dependent reduction in blood pressure in spontaneously hypertensive rat (SHR). The reduction of blood pressure is acute and substantial[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (350-400g)[1]
Dosage:	0.3, 1, and 3 mg/kg
Administration:	Oral gavage; 12 hours
Result:	Induced a dose-dependent reduction in blood pressure.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Virology. 2023 Jun 21.

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REFERENCES

[1]. Doe C, et al. Novel Rho kinase inhibitors with anti-inflammatory and vasodilatory activities. J Pharmacol Exp Ther. 2007 Jan;320(1):89-98.

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