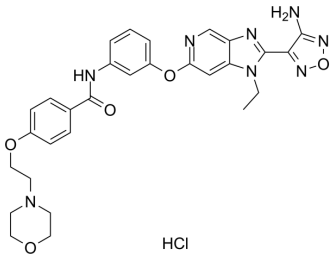
GSK269962A hydrochloride

Cat. No.:	HY-15556A
CAS No.:	2095432-71-4
Molecular Formula:	$C_{29}H_{31}ClN_8O_5$
Molecular Weight:	607.06
Target:	ROCK
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt; TGF-beta/Smad
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

GSK269962A hydrochloride (GSK 269962 hydrochloride) is a potent ROCK inhibitor with IC_{50}s of 1.6 and 4 nM for recombinant human ROCK1 and ROCK2 respectively. GSK269962A hydrochloride 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.

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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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