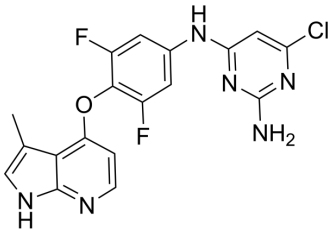
BAY-549

Cat. No.:	HY-10319
CAS No.:	867017-68-3
Molecular Formula:	$C_{18}H_{13}ClF_2N_6O$
Molecular Weight:	402.79
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 : 33.33 mg/mL (82.75 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4827 mL	12.4134 mL	24.8268 mL
	5 mM	0.4965 mL	2.4827 mL	4.9654 mL
	10 mM	0.2483 mL	1.2413 mL	2.4827 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: ≥ 2.5 mg/mL (6.21 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BAY-549 (Azaindole 1) is an orally active and ATP-competitive ROCK inhibitor with IC_{50}s of 0.6 and 1.1 nM for human ROCK-1 and ROCK-2, respectively[1].

IC_{50} & Target

ROCK-1	ROCK-2	TRK	FLT3
0.6 nM (IC_{50})	1.1 nM (IC_{50})	252 nM (IC_{50})	303 nM (IC_{50})

In Vitro

BAY-549 (Azaindole 1) is a highly potent inhibitor of human ROCK-1 and ROCK-2, with IC_{50}s of 0.6 and 1.1 nM, respectively, and also inhibits murine ROCK-2 or rat ROCK-2 with IC_{50}s of 2.4 and 0.8 nM, respectively. BAY-549 also inhibits receptor tyrosine kinases TRK and FLT3, with IC_{50}s of 252 and 303 nM, respectively, but shows slight inhibition of MLCK and ZIP-kinase with IC_{50}s of 7.4 μM and 4.1 μM, respectively. BAY-549 induces vasorelaxation in vitro, and suppresses the

	phenylephrine-induced contraction of rabbit saphenous artery in a concentration dependent manner with an IC_{50} value of 65 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	BAY-549 (Azaindole 1) (0.03, 0.1, 0.3 mg/kg, i.v.) results in a dose-dependent and long-lasting decrease in blood pressure in anaesthetized normotensive rats. BAY-549 (3 and 10 mg/kg, p.o.) decreases blood pressure dose-dependently and persistently both in normotensive and hypertensive rats, and shows such effects even at 1 mg/kg in hypertensive rats. BAY-549 (0.1 and 0.3 mg/kg, i.v. bolus injections) causes decreased mean arterial blood pressure in a dose-related manner and only leads to a moderate and dose-independent increase in heart rate of anaesthetized dogs[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Male Wistar rats weighing 300-350 g are anaesthetized with thiopental 100 mg/kg intraperitoneally (i.p.). A tracheotomy is performed and catheters are inserted into the femoral artery for blood pressure and heart rate measurements and into the femoral vein for test drug administration. The animals are ventilated with room air and their body temperature is controlled. ROCK-IN-2 is administered intravenously (i.v.) in doses of 0.03-0.1 mg/kg. The vehicle Transcutol/Cremophor EL/physiological saline (19/10/80 = v/v/v) without test drug is used as control. The volume administered is 1 mL/kg. Six animals are treated per group[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Ther-Nucl Acids. 2021 May 28.
- JCI Insight. 2018 Jun 7;3(11). pii: 98921.

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REFERENCES

[1]. Kast R, et al. Cardiovascular effects of a novel potent and highly selective azaindole-based inhibitor of Rho-kinase. Br J Pharmacol. 2007 Dec;152(7):1070-80. Epub 2007 Oct 15.

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