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产品名称：6-氯-N4-[3,5-二氟-4-[(3-甲基-1H-吡咯并[2,3-B]吡啶-4-基)氧]苯基]-2,4-嘧啶二胺
产品别名：ROCK-IN-2；Azaindole 1; TC-S 7001

生物活性：

Description	ROCK-IN-2 (Azaindole 1; TC-S 7001) is an orally active and ATP-competitive ROCK inhibitor with IC50s 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	ROCK-IN-2 is a highly potent inhibitor of human ROCK-1 and ROCK-2, with IC50s of 0.6 and 1.1 nM, respectively, and also inhibits murine ROCK-2 or rat ROCK-2 with IC50s of 2.4 and 0.8 nM, respectively. ROCK-IN-2 also inhibits receptor tyrosine kinases TRK and FLT3, with IC50s of 252 and 303 nM, respectively, but shows slight inhibition of MLCK and ZIP-kinase with IC50s of 7.4 μM and 4.1 μM, respectively. ROCK-IN-2 induces vasorelaxation in vitro, and suppresses the phenylephrine-induced contraction of rabbit saphenous artery in a concentration dependent manner with an IC50 value of 65 nM[1].
In Vivo	ROCK-IN-2 (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. ROCK-IN-2 (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. ROCK-IN-2 (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].

Solvent&Solubility

In Vitro:

DMSO : 33.33 mg/mL (**82.75 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4827 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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

	Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.21 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.21 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Animal Administration	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].
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.