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产品名称：N-[2-[2-(二甲基氨基)乙氧基]-4-(1H-吡唑-4-基)苯基]-2,3-二氢-1,4-苯并二恶烷-2-甲酰胺
产品别名：SR-3677

生物活性：

Description	SR-3677 is a potent and selective ROCK-II inhibitor with an IC50 of ~3 nM.	
IC_{50} & Target	ROCK-II	ROCK-I
	3 nM (IC_{50})	56 nM (IC_{50})
In Vitro	SR-3677 has an IC50 of ~3 nM in enzyme and cell based assays and has an off-target hit rate of 1.4% against 353 kinases, and inhibits only 3 out of 70 nonkinase enzymes and receptors. The IC50 value of SR-3677 for ROCK-I is 56±12 nM. The hydrophobic interaction of the benzodioxane phenyl ring with the hydrophobic surface of the pocket is the dominating factor that contributes to the high potency of SR-3677[1].	
In Vivo	ExVivo: Pharmacology studies shows that SR-3677 is efficacious in both, increasing ex vivo aqueous humor outflow in porcine eyes and inhibiting myosin light chain phosphorylation. Continuous exposure of 25 μM SR-3677 increases the outflow facility by 60% at 1 h perfusion, increasing to 70–80% for the 2–5 h time points[1].	
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 43 mg/mL (105.28 mM)** * "≥" means soluble, but saturation unknown.	

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4483 mL	12.2414 mL	24.4828 mL
	5 mM	0.4897 mL	2.4483 mL	4.8966 mL
	10 mM	0.2448 mL	1.2241 mL	2.4483 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。

References	[1]. Feng Y, et al. Discovery of substituted 4-(pyrazol-4-yl)-phenylbenzodioxane-2-carboxamides as potent and highly selective Rho kinase (ROCK-II) inhibitors. J Med Chem. 2008 Nov 13;51(21):6642-5.

实验参考：

Kinase Assay	Assays are performed using the STK2 kinase system from Cisbio. 5 μL mixture of a 1 μM STK2 substrate and ATP (ROCK-I: 4 μM; ROCK-II: 20 μM) in STK-buffer is added to the wells. 20 nL of test compounds (SR-3677) is dispensed. Reaction is started by addition of 5 μL of 2.5 nM. ROCK-I or 0.5 nM ROCK-II in STK-buffer. After 4 h at RT the reaction is stopped by addition of 10 μL of 1x antibody and 62.5 nM Sa-XL in detection buffer[1].
References	[1]. Feng Y, et al. Discovery of substituted 4-(pyrazol-4-yl)-phenylbenzodioxane-2-carboxamides as potent and highly selective Rho kinase (ROCK-II) inhibitors. J Med Chem. 2008 Nov 13;51(21):6642-5.