

# SAR407899 (hydrochloride)

Catalog No: tcsc3569



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

923262-96-8

**Formula:**

$C_{14}H_{17}ClN_2O_2$

**Pathway:**

TGF-beta/Smad;Stem Cell/Wnt;Cell Cycle/DNA Damage

**Target:**

ROCK;ROCK;ROCK

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 2.8$  mg/mL (9.97 mM)

**Observed Molecular Weight:**

280.75

## Product Description

SAR407899 hydrochloride is a selective, potent and ATP-competitive **ROCK** inhibitor, with an **IC<sub>50</sub>** of 135 nM for **ROCK-2**, and **K<sub>i</sub>**s of

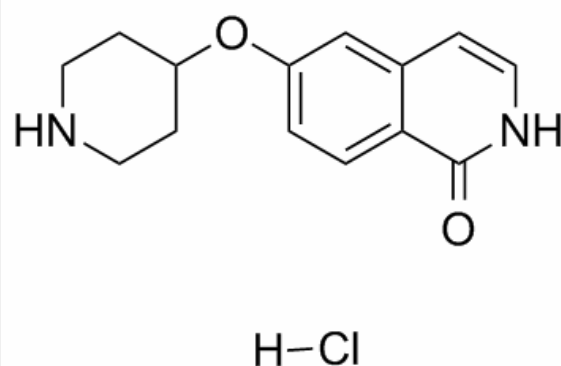
36 nM and 41 nM for human and rat **ROCK-2**, respectively.

IC<sub>50</sub> & Target: IC<sub>50</sub>: 135 nM (ROCK-2), 102 nM (Human ROCK-2), 276 nM (Human ROCK-1)<sup>[1]</sup>

K<sub>i</sub>: 36 nM (Human ROCK-2), 41 nM (Rat ROCK-2)<sup>[1]</sup>

**In Vitro:** SAR407899 hydrochloride is a potent and ATP-competitive ROCK inhibitor, with K<sub>i</sub>s of 36 nM and 41 nM for human and rat ROCK-2, respectively. SAR407899 inhibits ROCK-2 better than ROCK-1, with IC<sub>50</sub>s of 102±19 nM and 276±26 nM, respectively, in the presence of 40 μM ATP. SAR407899 also less potently inhibits PKC-Δ and MSK-1, with IC<sub>50</sub>s of 5.4 and 3.1 μM, respectively. SAR407899 (0.1, 0.3, 1.0, and 3.0 μM) specifically inhibits the ROCK-mediated phosphorylation of MYPT<sup>T696</sup> in HeLa cells stimulated with PHEN, and shows such effects at 1 μM and 10 μM in primary rat aortic smooth muscle cells. SAR407899 (3 μM) completely blocks thrombin-induced shrinkage of human umbilical vein endothelial cells (HUVECs) and stress fiber formation. SAR407899 concentration-dependently inhibits 5-bromodeoxyuridine incorporation into the cells with an IC<sub>50</sub> of 5.0±1.3 μM. SAR407899 also effectively inhibits THP-1 migration with an IC<sub>50</sub> of 2.5±1.0 μM. SAR407899 shows a potent vasorelaxant activity in a broad variety of isolated arteries taken from different vascular beds and species, with a range of IC<sub>50</sub> values between 122 and 280 nM<sup>[1]</sup>. SAR407899 dose-dependently relaxes the phenylephrine pre-contracted smooth muscle, with IC<sub>50</sub>s of 0.07 and 0.05 μM, respectively [2].

**In Vivo:** SAR407899 (3 mg/kg, i.v.) inhibits ROCK-mediated phosphorylation of MYPT<sup>T696</sup> in thoracic aorta of spontaneously hypertensive rats (SHRs). SAR407899 (0.01-0.30 mg/kg, i.v.) efficiently reduces pressor responses to vasoconstrictor agents in rats. SAR407899 (1, 3, 10, and 30 mg/kg, p.o.) dose dependently lowers blood pressure in hypertensive SHRs<sup>[1]</sup>. SAR407899 (1-3 mg/kg, i.v. or 3, 10 mg/kg, p.o.) increases the length of the penis in healthy rabbits. SAR407899 (3-10 mg/kg, p.o.) also dose-dependently increases penile length in diabetic rabbits<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!