Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

SAR407899

Catalog No: tcsc3570

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
923359-38-0

Formula:
$C_{14}H_{16}N_2O_2$

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

Target:
ROCK;ROCK;ROCK

Purity / Grade:
>98%

Solubility:
DMSO : 6 mg/mL (24.56 mM; Need warming)

Observed Molecular Weight:
244.29

Product Description

SAR407899 is a selective, potent and ATP-competitive **ROCK** inhibitor, with an **IC_{50}** of 135 nM for **ROCK-2**, and **K_i**s of 36 nM and 41

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

IC50 & Target: IC50: 135 nM (ROCK-2), 102 nM (Human ROCK-2), 276 nM (Human ROCK-1)[1]

Ki: 36 nM (Human ROCK-2), 41 nM (Rat ROCK-2)[1]

In Vitro: SAR407899 is a potent and ATP-competitive ROCK inhibitor, with K_is of 36 nM and 41 nM for human and rat ROCK-2, respectively. SAR407899 inhibits ROCK-2 better than ROCK-1, with IC_{50}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_{50}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 $MYPT^{T696}$ 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_{50} of 5.0 ± 1.3 μM. SAR407899 also effectively inhibits THP-1 migration with an IC_{50} 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_{50} values between 122 and 280 nM[1]. SAR407899 dose-dependently relaxes the phenylephrine pre-contracted smooth muscle, with IC_{50}s of 0.07 and 0.05 μM, respectively [2].

In Vivo: SAR407899 (3 mg/kg, i.v.) inhibits ROCK-mediated phosphorylation of $MYPT^{T696}$ 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[1]. 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[2].