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Datasheet (Version 1.0)

Product Name : SAR407899

Synonyms : ——

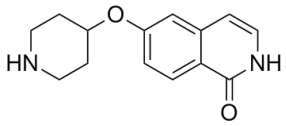
Cat No. : M20766

CAS Number : 923359-38-0

Molecular Formula : C14H16N2O2

Formula Weight : 244.29

Chemical Name : ——



Description : SAR407899 is Rho kinase inhibitor potently inhibits endothelin-1-induced constriction of renal resistance arteries.(In Vitro):SAR407899 is a potent and ATP-competitive ROCK inhibitor, with Kis of 36 nM and 41 nM for human and rat ROCK-2, respectively. SAR407899 inhibits ROCK-2 better than ROCK-1, with IC50s 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 IC50s 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 MYPTT696 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 IC50 of 5.0 ± 1.3 μM. SAR407899 also effectively inhibits THP-1 migration with an IC50 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 IC50 values between 122 and 280 nM. SAR407899 dose-dependently relaxes the phenylephrine pre-contracted smooth muscle, with IC50s of 0.07 and 0.05 μM, respectively.(In Vivo):SAR407899 (3 mg/kg, i.v.) inhibits ROCK-mediated phosphorylation of MYPTT696 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. 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.

Pathway : Cell Cycle/DNA Damage

Target : Rho

Receptor : Rho

Solubility : DMSO:6 mg/mL (24.56 mM)

SMILES : O=c1[nH]ccc2cc(OC3CCNCC3)ccc12

Storage : (-20℃)

Stability : ≥ 2 years

Reference :

1.Guagnini F Ferazzini M Grasso M et al. Erectile properties of the Rho-kinase inhibitor SAR407899 in diabetic animals and human isolated corpora cavernosa[J]. Journal of Translational Medicine 2012 10(1):59-0.