SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

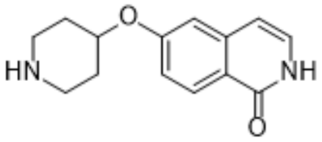
mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

SAR407899

Cat. No.:	HY-15687A
CAS No.:	923359-38-0
Molecular Formula:	$C_{14}H_{16}N_2O_2$
Molecular Weight:	244.29
Target:	ROCK
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt; TGF-beta/Smad
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.0935 mL	20.4675 mL	40.9350 mL
	5 mM	0.8187 mL	4.0935 mL	8.1870 mL
	10 mM	0.4093 mL	2.0467 mL	4.0935 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

SAR407899 is a selective, potent and ATP-competitive ROCK inhibitor, with an IC_{50} of 135 nM for ROCK-2, and K_is of 36 nM and 41 nM for human and rat ROCK-2, respectively. SAR407899 shows stable inhibition of migrasome formation.

IC_{50} & Target

ROCK-2	ROCK-1
102 nM (IC_{50})	276 nM (IC_{50})

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Rabbits are treated either intravenously (i.v., in an ear vein) with increasing doses of SAR407899 (0.3, 1, 3, 10 mg/kg) or orally with SAR407899 (1, 3, 10, 30 mg/kg) or sildenafil (2 or 6 mg/kg). Each animal is used several times for different doses and different agents, always with a week's washout. The length (mm) of uncovered penile mucosa (penile erection parameter) is measured at different time-points, using a sliding digital caliper. The results are expressed as mean ± SEM penile length of 3-5 rabbits[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Science. 2017 Dec 1;358(6367):eaan4368.
- JCI Insight. 2018 Jun 7;3(11). pii: 98921.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Puzhong Lu, et al. Chemical screening identifies ROCK1 as a regulator of migrasome formation. Cell Discov. 2020 Aug 4;6(1):51.

[2]. L?hn M, et al. Pharmacological characterization of SAR407899, a novel rho-kinase inhibitor. Hypertension. 2009 Sep;54(3):676-83.

[3]. Guagnini F, et al. Erectile properties of the Rho-kinase inhibitor SAR407899 in diabetic animals and human isolated corpora cavernosa. J Transl Med. 2012 Mar 23;10:59.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA