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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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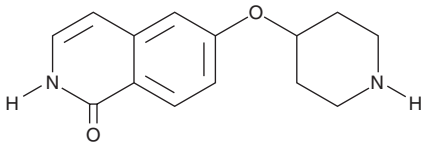
PRODUCT INFORMATION

SAR407899

Item No. 21717

CAS Registry No.:	923359-38-0
Formal Name:	6-(4-piperidinyloxy)-1(2H)-isoquinolinone
MF:	$C_{14}H_{16}N_2O_2$
FW:	244.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 249 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SAR407899 is supplied as a crystalline solid. A stock solution may be made by dissolving the SAR407899 in the solvent of choice. SAR407899 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of SAR407899 in these solvents is approximately 2.5, 3.3, and 5 mg/ml, respectively.

Description

SAR407899 is an ATP-competitive inhibitor of the Rho-associated kinases ROCK1 and ROCK2 (IC_{50}s = 102 and 276 nM, respectively at a concentration of 40 µM ATP).[1] SAR407899 is selective for ROCK over 79 other kinases at IC_{50} values up to 10 µM but had IC_{50} values ranging from 1 to 10 µM for RSK, PKB, PKCδ, and MSK-1. It is also selective for ROCK over 115 receptor and enzyme targets at IC_{50} values up to 10 µM, but it does inhibit the serotonin transporter and µ-opioid receptors with IC_{50} values of 1.1 and 8.9 µM, respectively. *In vitro*, SAR407899 inhibits ROCK-mediated phosphorylation of myosin phosphatase (MYPT), thrombin-induced stress fiber formation, PDGF-induced proliferation, and monocyte chemotactic protein-1-stimulated chemotaxis. SAR407899 relaxes precontracted isolated arteries from various species (IC_{50}s = 122-280 nM) and precontracted corpora cavernosa in both healthy and diabetic animals (IC_{50}s = 0.05-0.42 µM).[1,2] It inhibits constriction of rat and human arteries induced by endothelin-1 (ET-1; E_{max}s = 24-83% of control).[3]

References

1. Löhn, M., Plettenburg, O., Ivashchenko, Y., *et al.* Pharmacological characterization of SAR407899, a novel rho-kinase inhibitor. *Hypertension* **54(3)**, 676-683 (2009).
2. 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. Transl. Med.* **10**, 59 (2012).
3. Grisk, O., Schlüter, T., Reimer, N., *et al.* The Rho kinase inhibitor SAR407899 potently inhibits endothelin-1-induced constriction of renal resistance arteries. *J. Hypertens.* **30(5)**, 980-989 (2012).

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