

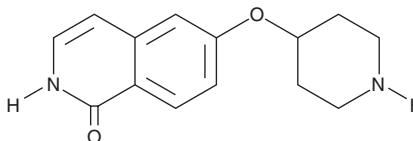
PRODUCT INFORMATION



SAR407899

Item No. 21717

CAS Registry No.: 923359-38-0
Formal Name: 6-(4-piperidinyloxy)-1(2H)-isoquinolinone
MF: C₁₄H₁₆N₂O₂
FW: 244.3
Purity: ≥98%
UV/Vis.: λ_{max}: 249 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 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, which should be purged with an inert gas. SAR407899 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. 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 (IC₅₀s = 102 and 276 nM, respectively at a concentration of 40 μM ATP).¹ SAR407899 is selective for ROCK over 79 other kinases at IC₅₀ values up to 10 μM but had IC₅₀ 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 IC₅₀ values up to 10 μM, but it does inhibit the serotonin transporter and μ-opioid receptors with IC₅₀ 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 (IC₅₀s = 122-280 nM) and precontracted corpora cavernosa in both healthy and diabetic animals (IC₅₀s = 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 11/15/2022

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM