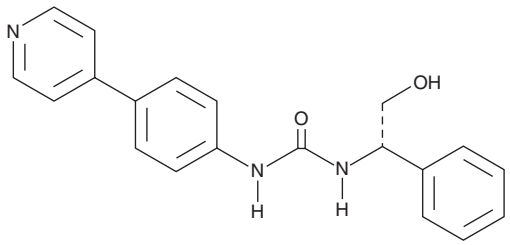
PRODUCT INFORMATION

AS-1892802

Item No. 14978

CAS Registry No.:	928320-12-1
Formal Name:	N-[(1S)-2-hydroxy-1-phenylethyl]-N'-[4-(4-pyridinyl)phenyl]-urea
MF:	$C_{20}H_{19}N_3O_2$
FW:	333.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 301 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

AS-1892802 is supplied as a crystalline solid. A stock solution may be made by dissolving the AS-1892802 in the solvent of choice, which should be purged with an inert gas. AS-1892802 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of AS-1892802 in these solvents is approximately 25 mg/ml.

AS-1892802 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AS-1892802 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AS-1892802 has a solubility of approximately 0.12 mg/ml in a 1:7 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AS-1892802 is a potent inhibitor of Rho-associated serine-threonine protein kinases (ROCKs; IC_{50}s = 122, 52, and 57 nM for human ROCK1, ROCK2, and rat ROCK2, respectively).[1] It is selective for ROCK1 and 2 over a panel of 167 kinases and a panel of 63 ion channels, receptors, and enzymes at a concentration of 10 µM. However, AS-1892802 inhibits protein kinase catalytic subunit (PKACα) and protein kinase X gene (PRKX) with IC_{50} values of 200 and 325 nM, respectively. AS-1892802 reduces weight imbalance deficits (ED_{50} = 0.15 mg/kg) in rats in a monoiodoacetate-induced model of non-inflammatory arthritis. It also has analgesic-like effects in a rat model of diabetic neuropathy induced by streptozotocin (Item No. 13104) and reduces cartilage damage and weight imbalance deficits in a rat model of osteoarthritis.[2,3]

References

1. Yoshimi, E., Kumakura, F., Hatori, C., *et al.* Antinociceptive effects of AS1892802, a novel Rho kinase inhibitor, in rat models of inflammatory and noninflammatory arthritis. *J. Pharmacol. Exp. Ther.* **334(3)**, 955-963 (2010).
2. Yoshimi, E., Yamamoto, H., Furuichi, Y., *et al.* Sustained analgesic effect of the Rho kinase inhibitor AS1892802 in rat models of chronic pain. *J. Pharmacobiodyn.* **114(1)**, 119-122 (2010).
3. Takeshita, N., Yoshimi, E., Hatoria, C., *et al.* Alleviating effects of AS1892802, a Rho kinase inhibitor, on osteoarthritic disorders in rodents. *J. Pharmacol. Sci.* **115(4)**, 481-489 (2011).

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