Product Data Sheet

Product Name: AS 1892802
Cat. No.: GC11754

Chemical Properties

Cas. No.	928320-12-1		
Chemical Name	(S,Z)-N'-(2-hydroxy-1-phenylethyl)-N-(4-(pyridin-4-yl)phenyl)carbamimidic acid		
SMILES	OC[C@](/N=C(O)/NC1=CC=C(C2=CC=NC=C2)C=C1)([H])C3=CC=CC=C3		
Formula	$C_{20}H_{19}N_3O_2$	M.Wt	333.38
Solubility	DMF: 25 mg/ml,DMSO: 25 mg/ml,DMSO:PBS (pH 7.2) (1:7): 0.12 mg/ml	Storage	Store at RT
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	AS 1892802		

Background

AS 1892802 is a potent and selective inhibitor of ROCK with IC50 values of 52, 57 and 122 nM for human ROCK2, rat ROCK2 and human ROCK1, respectively [1].

Rho kinase (ROCK) is a serine-threonine kinase and is a downstream effector of Rho, a small GTP-bound protein. ROCK phosphorylates target proteins such as myosin light chain kinase and LIM kinase and regulates cellular shape modification, migration, growth and contraction [2].

AS 1892802 is a potent ROCK inhibitor. AS 1892802 inhibited ROCK1 and ROCK2 with IC50 values of 1.69 and 0.10 μM, respectively [3]. In ATDC5 cells, AS1892802 induce chondrocyte differentiation. In HIG82 cells, AS1892802 significantly inhibited prostaglandin E2 production induced by IL-1β or bradykinin [4].

In both an adjuvant-induced arthritis (AIA) rat model and a monoiodoacetate (MIA) -

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

induced arthritis (MIA) rat model, AS1892802 showed potent antinociceptive effect with ED50 value of 0.15 mg/kg [1]. In monoiodoacetate-induced arthritis and streptozotocin-induced neuropathy models, AS1892802 showed analgesic effect [2]. In MIA-injected rats, the mRNA levels of ROCK I and II increased in knee joints. AS1892802 significantly inhibited cartilage damage in a dose-dependent way [4].

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, 2010, 334(3): 955-963.
[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 Pharmacol Sci, 2010, 114(1): 119-122.
[3]. Li R, Martin MP, Liu Y, et al. Fragment-based and structure-guided discovery and optimization of Rho kinase inhibitors. J Med Chem, 2012, 55(5): 2474-2478.
[4]. Takeshita N, Yoshimi E, Hatori C, et al. Alleviating effects of AS1892802, a Rho kinase inhibitor, on osteoarthritic disorders in rodents. J Pharmacol Sci, 2011, 115(4): 481-489.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA