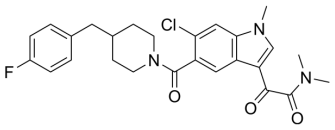
SX 011

Cat. No.:	HY-108646
CAS No.:	309913-42-6
Molecular Formula:	$C_{26}H_{27}ClFN_3O_3$
Molecular Weight:	483.96
Target:	p38 MAPK; JNK
Pathway:	MAPK/ERK Pathway
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (206.63 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0663 mL	10.3314 mL	20.6629 mL
5 mM	0.4133 mL	2.0663 mL	4.1326 mL
10 mM	0.2066 mL	1.0331 mL	2.0663 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.17 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.17 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SX 011 is a p38 inhibitor with IC_{50}s of 9 nM and 90 nM against p38α and p38β, respectively. SX 011 also inhibits JNK-2 with an IC_{50} of 100 nM. SX-011 is orally bioavailable[1].

IC_{50} & Target

p38α 9 nM (IC_{50})	p38β 90 nM (IC_{50})	p38δ > 300,000 nM (IC_{50})	p38γ > 300,000 nM (IC_{50})
JNK2 100 nM (IC_{50})	JNK1 > 300,000 nM (IC_{50})		

In Vitro	SX-011 inhibits LPS stimulated TNFα and interleukin-1β (IL-1β) from human peripheral blood mononuclear cells (PBMC) with an IC_{50} of 200 nM and 900 nM, respectively. Additionally, IL-6 (IC_{50} 250 nM) and IL-8 (IC_{50} 100 nM) are significantly inhibited in this assay[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	SX-011 is orally bioavailable in preclinical species (rat, 24%; monkey, 29%; dog, 43%) and has demonstrated efficacy in both acute and chronic models of inflammation in rats. Rat $t_{1/2}$ = 30 min[1][2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lee MR, et al. MAP kinase p38 inhibitors: clinical results and an intimate look at their interactions with p38alpha protein. Curr Med Chem. 2005;12(25):2979-94.

[2]. Hynes J Jr, et al. Small molecule p38 inhibitors: novel structural features and advances from 2002-2005. Curr Top Med Chem. 2005;5(10):967-85.

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