Locostatin

Cat. No.: HY-W013411A

CAS No.: 90719-30-5

Molecular Formula: $C_{14}H_{15}NO_3$

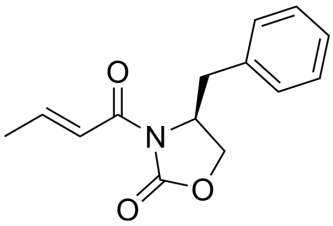
Molecular Weight: 245.27

Target: Others

Pathway: Others

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 (407.71 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.0771 mL	20.3857 mL	40.7714 mL
	5 mM	0.8154 mL	4.0771 mL	8.1543 mL
	10 mM	0.4077 mL	2.0386 mL	4.0771 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 (10.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.19 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Locostatin (UIC-1005) is a potent RKIP inhibitor. Locostatin binds Raf kinase inhibitor RKIP protein and disrupts the interaction of RKIP with Raf-1 kinase and G protein-coupled receptor kinase 2. Locostatin inhibits cell proliferation and migration. Locostatin can be used to synthesize chemical probes toward PEBP-proteins. Locostatin aggravates thioacetamide (HY-Y0698)-induced acute liver failure in mice [1][2][3].

IC_{50} & Target

RKIP[3]

In Vitro

Locostatin (200 μM; 37 °C; 6 h) disrupts the interaction of RKIP with Raf-1, and GRK2[1].
Locostatin (50 μM; 0-48 h) inhibits cell proliferation and migration in MDCK cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	MDCK cells
Concentration:	50 μM
Incubation Time:	0-48 h
Result:	Inhibited cell proliferation and sheet migration.

In Vivo

Locostatin (0.5 mg/kg; i.p.; once a day for 7 days) aggravates thioacetamide (HY-Y0698)-induced acute liver failure in mice[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6 weeks, 18-22 g, male ICR mice (TAA model; injected intraperitoneally with 300 mg/kg TAA once a day for 2 days)[3]
Dosage:	0.5 mg/kg
Administration:	I.p.; once a day for 7 days
Result:	Decreased the expression of RKIP, led to more severe damage, such as steatosis and hepatic lesions, increased the production of ROS in the liver and TNF-α, IL-6 and IL-1β in the sera of mice with acute liver injury, inhibitd Nrf2 and HO-1 expression in the livers of mice, induced NF-κB activation in the livers of mice,increased the phosphorylation of JNK, p38 and ERK in liver tissues.

REFERENCES

[1]. Beshir AB, et al. Locostatin Disrupts Association of Raf Kinase Inhibitor Protein With Binding Proteins by Modifying a Conserved Histidine Residue in the Ligand-Binding Pocket. For Immunopathol Dis Therap. 2011;2(1):47-58.

[2]. Mc Henry KT, et al. A non-antibacterial oxazolidinone derivative that inhibits epithelial cell sheet migration. Chembiochem. 2002 Nov 4;3(11):1105-11.

[3]. Lin X, et al. Inhibition of RKIP aggravates thioacetamide-induced acute liver failure in mice. Exp Ther Med. 2018 Oct;16(4):2992-2998.

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