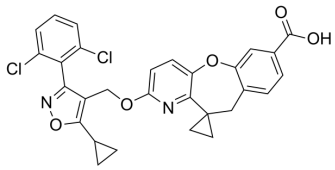
HEC96719

Cat. No.:	HY-153114
CAS No.:	2181834-03-5
Molecular Formula:	$C_{29}H_{22}Cl_2N_2O_5$
Molecular Weight:	549.4
Target:	FXR
Pathway:	Metabolic Enzyme/Protease
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 (182.02 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8202 mL	9.1008 mL	18.2017 mL
5 mM	0.3640 mL	1.8202 mL	3.6403 mL
10 mM	0.1820 mL	0.9101 mL	1.8202 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: 5 mg/mL (9.10 mM); Clear solution; Need ultrasonic and warming and heat to 80°C
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 5 mg/mL (9.10 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

HEC96719 is a selective and orally active tricyclic farnesoid X receptor (FXR) agonist with EC_{50} values of 1.37 and 1.55 nM by time-resolved fluorescence energy transfer (TR-FRET) and luciferase reporter assays, respectively. HEC96719 significantly improves non-alcoholic steatohepatitis (NASH) and liver fibrosis with favorable tissue distribution in liver and intestine. HEC96719 can be used for the research of non-alcoholic steatohepatitis[1].

IC_{50} & Target

EC50: 1.37 nM (FXR, TR-FRET), 1.55 nM (FXR, luciferase reporter assay)[1].

In Vivo

HEC96719 (0.5, 1.5 and 5 mg/kg; oral administration, once daily for 14 days) shows in vivo efficacy for the activation of FXR by measuring the increasing level of fibroblast growth factor 15 (FGF15)[1].
HEC96719 (5 mg/kg; oral administration, once) increases the level of liver bile salt export pump (BSEP) and ileum FGF15[1].

HEC96719 (0.1, 0.3 and 1 mg/kg; oral administration, once daily for 6 weeks) significantly improves NASH symptoms[1].
HEC96719 (0.1, 0.3 and 1 mg/kg; oral administration, once daily for 4 weeks) shows efficacy for improving liver fibrosis and has better effects than obeticholic acid (OCA)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male ob/ob nonalcoholic steatohepatitis (NASH) mouse models[1]
Dosage:	0.1, 0.3 and 1 mg/kg
Administration:	Oral administration; 0.1, 0.3 and 1 mg/kg, once daily for 6 weeks
Result:	Decreased levels of serum alanine aminotransferase (ALT) and liver triglyceride (TG), dose-dependently increased NASH activity and reduced NASH activity score.

Animal Model:	Male C57BL/6 liver fibrosis mouse models[1]
Dosage:	0.1, 0.3 and 1 mg/kg
Administration:	Oral administration; 0.1, 0.3 and 1 mg/kg, once daily for 4 weeks
Result:	Decreased levels of serum ALT and TBIL, and reduced fibrosis area.

REFERENCES

[1]. Cao S, et al. Discovery of a tricyclic farnesoid X receptor agonist HEC96719, a clinical candidate for treatment of non-alcoholic steatohepatitis. Eur J Med Chem. 2022 Feb 15;230:114089.

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