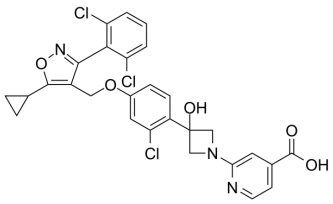
Cilofexor

Cat. No.:	HY-109083
CAS No.:	1418274-28-8
Molecular Formula:	$C_{28}H_{22}Cl_3N_3O_5$
Molecular Weight:	586.85
Target:	FXR; Autophagy
Pathway:	Metabolic Enzyme/Protease; Autophagy
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (85.20 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7040 mL	8.5201 mL	17.0401 mL
	5 mM	0.3408 mL	1.7040 mL	3.4080 mL
	10 mM	0.1704 mL	0.8520 mL	1.7040 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: ≥ 2.08 mg/mL (3.54 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cilofexor (GS-9674) is a potent, selective and orally active nonsteroidal FXR agonist with an EC_{50} of 43 nM. Cilofexor has anti-inflammatory and antifibrotic effects. Cilofexor has the potential for primary sclerosing cholangitis (PSC) and nonalcoholic steatohepatitis (NASH) research[1][2].

IC_{50} & Target

EC50: 43 nM (FXR)[1]

In Vivo

Cilofexor (GS-9674; 30 mg/kg; oral gavage; once daily; for 10 weeks; male Wistar rats) treatment significantly increases Fgf15 expression in the ileum and decreased Cyp7a1 in the liver in nonalcoholic steatohepatitis (NASH) rats. Liver fibrosis and hepatic collagen expression are significantly reduced. Cilofexor also significantly reduces hepatic stellate cell (HSC) activation and significantly decreases portal pressure, without affecting systemic hemodynamics[3].

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

Animal Model:	Male Wistar rats received a choline-deficient high fat diet (CDHFD)[3]
Dosage:	30 mg/kg
Administration:	Oral gavage; once daily; for 10 weeks
Result:	Significantly increased Fgf15 expression in the ileum and decreased Cyp7a1 in the liver. Liver fibrosis and hepatic collagen expression were significantly reduced.

CUSTOMER VALIDATION

- Science. 2023 Jun 9;380(6649):eabo2296.
- JHEP Rep. 2023 Sep 25, 100917.
- Arch Toxicol. 2022 Mar 10.
- Biochem Biophys Res Commun. 21 January 2022.
- Université Laval. 2023 Nov 8.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Trauner M, et al. The Nonsteroidal Farnesoid X Receptor Agonist Cilofexor (GS-9674) Improves Markers of Cholestasis and Liver Injury in Patients With Primary Sclerosing Cholangitis. Hepatology. 2019 Sep;70(3):788-801.

[2]. Patel K, et al. Cilofexor, a Nonsteroidal FXR Agonist, in Non-Cirrhotic Patients with Nonalcoholic Steatohepatitis: A Phase 2 Randomized Controlled Trial. Hepatology. 2020 Mar 1.

[3]. P. Schwab, et al. The FXR agonist GS-9674 reduces fibrosis and portal hypertension in a rat model of NASH. April 2018,Volume 68, Supplement 1, Pages S471-S472.

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