Linerixibat

Cat. No.: HY-16643

CAS No.: 1345982-69-5

Molecular Formula: $C_{28}H_{38}N_2O_7S$

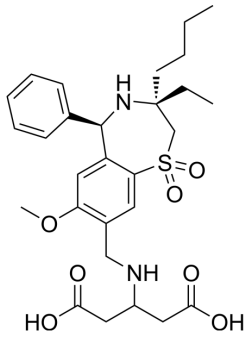
Molecular Weight: 546.68

Target: Apical Sodium-Dependent Bile Acid Transporter

Pathway: Membrane Transporter/Ion Channel

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 (91.46 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8292 mL	9.1461 mL	18.2922 mL
5 mM	0.3658 mL	1.8292 mL	3.6584 mL
10 mM	0.1829 mL	0.9146 mL	1.8292 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 (4.57 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Linerixibat (GSK2330672) is a highly potent, nonabsorbable and orally active apical sodium-dependent bile acid transporter (ASBT) inhibitor with an IC_{50} of 42 nM human ASBT. Linerixibat can be used as lipid-lowering agent. Linerixibat has the potential for type 2 diabetes and Primary Biliary Cholangitis treatment[1][2][3].

IC_{50} & Target

IC50: 42 nM (Apical sodium-dependent bile acid transporter (ASBT))[1]

In Vitro

The zwitterionic, nonhygroscopic, crystalline salt form of Linerixibat (Compound 56) shows good aqueous solubility at pH

	7.4 (>7 mg/mL), excellent thermal stability, and did not generate reactive or humanspecific metabolite, characteristics[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Linerixibat (GSK2330672; 0.05-10 mg/kg; oral gavage; twice daily; for 14 days; male ZDF rat) treatment lowers glucose in an animal model of type 2 diabetes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Zucker Diabetic Fatty (ZDF) rat[1]
Dosage:	0.05 mg/kg, 0.1 mg/kg, 0.5 mg/kg, 1 mg/kg, 5 mg/kg, 10 mg/kg
Administration:	Oral gavage; twice daily; for 14 days
Result:	Led to a 1.30-1.64% reduction in hemoglobin A1c (HbA1c), a greater than 50% reduction in nonfasted plasma glucose to below 200 mg/dL, and statistically significant higher plasma insulin.

CUSTOMER VALIDATION

- Nat Commun. 2020 Jul 17;11(1):3612.
- Sci Adv. 2021 Feb 3;7(6):eaaz9857.
- JHEP Rep. 2023 Sep 25, 100917.
- Biomed Pharmacother. 2024 Sep 6:179:117400.
- Cell Mol Gastroenterol Hepatol. 2021 May 6;S2352-345X(21)00084-9.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Wu Y, et al. Discovery of a highly potent, nonabsorbable apical sodium-dependent bile acid transporter inhibitor (GSK2330672) for treatment of type 2 diabetes. J Med Chem. 2013 Jun 27;56(12):5094-114.

[2]. Linerixibat (GSK2330672) granted Orphan Status. September 24, 2019.

[3]. Wang Y, et al. HNF4α Regulates CSAD to Couple Hepatic Taurine Production to Bile Acid Synthesis in Mice. Gene Expr. 2018 Aug 22;18(3):187-196.

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