Elobixibat

Cat. No.: HY-15790

CAS No.: 439087-18-0

Molecular Formula: $C_{36}H_{45}N_3O_7S_2$

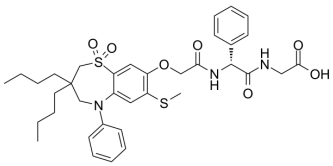
Molecular Weight: 695.89

Target: Apical Sodium-Dependent Bile Acid Transporter; Interleukin Related; TNF Receptor

Pathway: Membrane Transporter/Ion Channel; Immunology/Inflammation; Apoptosis

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 : 250 mg/mL (359.25 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4370 mL	7.1850 mL	14.3701 mL
	5 mM	0.2874 mL	1.4370 mL	2.8740 mL
	10 mM	0.1437 mL	0.7185 mL	1.4370 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 (2.99 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (2.99 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Elobixibat (A 3309; AZD 7806) is an orally effective Apical Sodium-Dependent Bile (IBAT) inhibitor, with an IC_{50} value of 0.53 nM (human IBAT), 0.13 nM (mouse IBAT), 5.8 nM (canine IBAT). Elobixibat lowers LDL cholesterol, increases serum GLP-1, promotes colon motility, and has the potential to treat metabolic syndrome. Elobixibat can be used to study constipation, dyslipidemia, non-alcoholic hepatitis, and liver tumors[1][2][3].

IC_{50} & Target	IBAT	IL-6	TNF-α	TNF-β
	0.53 nM (IC_{50})			

In Vivo

Elobixibat (0.27 mg/kg/day for 20 weeks, p.o.) inhibits tumor growth in the mouse model of fatty liver disease by inhibiting bile acid reabsorption and reducing total and primary bile acid levels in serum and liver[2].
Elobixibat (5 days a week for 4 weeks, 0.2, 0.6, or 1.2 mg/kg/day, gavage) improves NASH-related histopathology, reduces cytokine (TNF-α, IL-6, and TGF-β) expression, and normalizes gut microbiome composition in nonsteatohepatitis (NASH) mouse models[3].

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

Animal Model:	Mouse Model of Nonalcoholic Steatohepatitis (three-week-old male C57BL/6J mice)[2]
Dosage:	0.27 mg/kg/day for 20 weeks
Administration:	p.o.
Result:	Reduced the number and size of tumors. Significantly reduced the number of Gram-positive bacteria in the phyla Firmicutes, Deferobacteria and Actinobacteria and increased the number of Proteobacteria.

REFERENCES

[1]. Sugiyama Y, et al. Impact of elobixibat on liver tumors, microbiome, and bile acid levels in a mouse model of nonalcoholic steatohepatitis. Hepatol Int. 2023 Dec;17(6):1378-1392.

[2]. Yamauchi R, et al. Elobixibat, an ileal bile acid transporter inhibitor, ameliorates non-alcoholic steatohepatitis in mice. Hepatol Int. 2021 Apr;15(2):392-404.

[3]. Wong BS, et al. Elobixibat for the treatment of constipation. Expert Opin Investig Drugs. 2013 Feb; 22(2):277-84.

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