Fexaramine

Cat. No.: HY-10912

CAS No.: 574013-66-4

Molecular Formula: $C_{32}H_{36}N_2O_3$

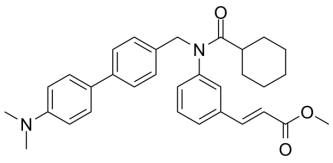
Molecular Weight: 496.64

Target: FXR; Autophagy

Pathway: Metabolic Enzyme/Protease; Autophagy

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 : 50 mg/mL (100.68 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0135 mL	10.0677 mL	20.1353 mL
5 mM	0.4027 mL	2.0135 mL	4.0271 mL
10 mM	0.2014 mL	1.0068 mL	2.0135 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: 2.75 mg/mL (5.54 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.03 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fexaramine is a potent and selective FXR agonist with an EC_{50} of 25 nM. Fexaramine has no activity against hRXRα, hPPAR αγδ, mPXR, hPXR, hLXRα, hTRβ, hRARβ, mCAR, mERRγ, and hVDR receptors[1][2].

In Vitro

Bile acid treatment is performed in HuTu-80 cells with Fexaramine (5, 25, and 50 μM) for 24 h. Fexaramine (50 μM) increases small heterodimer partner (SHP) transcript levels by 2.1-fold. The cells are treated with various concentrations of Fexaramine, and the endogenous secretin transcript levels are significantly reduced (33% in 50 μM Fexaramine). Fexaramine treatment also significantly suppresses secretin promoter activity by 32%[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Fexaramine treatment of DIO mice produces a striking metabolic profile that includes reduced weight gain, decreased inflammation, browning of WAT and increased insulin sensitization[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mech Ageing Dev. 2022 Jan 10;202:111630.
- Int J Mol Med. 2018 Sep;42(3):1723-1731.

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REFERENCES

[1]. Lam IP, et al. Bile acids inhibit duodenal secretin expression via orphan nuclear receptor small heterodimer partner (SHP). Am J Physiol Gastrointest Liver Physiol. 2009 Jul;297(1):G90-7.

[2]. Fang S, et al. Intestinal FXR agonism promotes adipose tissue browning and reduces obesity and insulin resistance. Nat Med. 2015 Feb;21(2):159-65.

[3]. Michael Downes, et al. A chemical, genetic, and structural analysis of the nuclear bile acid receptor FXR. Mol Cell. 2003 Apr;11(4):1079-92.

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