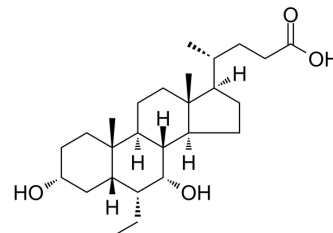


Obeticholic acid

Cat. No.:	HY-12222
CAS No.:	459789-99-2
Molecular Formula:	C ₂₆ H ₄₄ O ₄
Molecular Weight:	420.63
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 : ≥ 100 mg/mL (237.74 mM)
 Ethanol : ≥ 50 mg/mL (118.87 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		2.3774 mL	11.8869 mL	23.7739 mL
	5 mM		0.4755 mL	2.3774 mL	4.7548 mL
	10 mM		0.2377 mL	1.1887 mL	2.3774 mL

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

In Vivo

- Add each solvent one by one: 1% Methylcellulose(MC)
Solubility: 5 mg/mL (11.89 mM); Suspension solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 5 mg/mL (11.89 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 5 mg/mL (11.89 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 4.76 mg/mL (11.32 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (5.94 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (5.94 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (5.94 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (5.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Obeticholic acid (INT-747) is a potent, selective and orally active FXR agonist with an EC ₅₀ of 99 nM. Obeticholic acid has anticholeretic and anti-inflammation effect. Obeticholic acid also induces autophagy ^{[1][2][3]} .
IC ₅₀ & Target	EC ₅₀ : 99 nM (FXR)
In Vitro	<p>Obeticholic acid (INT-747) increases the expression of FXR-regulated genes in rat hepatocytes^[1]. Obeticholic acid (INT-747) reduces expression of liver JNK-1 and JNK-2^[2]. Obeticholic acid (INT-747) (256 μg/mL) shows complete inhibition of bacterial growth in all strains tested. Intestinal permeability remains unaffected after INT-747-addition to an IFN-γ-exposed intestinal epithelium of Caco-2 cells^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>Obeticholic acid (INT-747) (10 mg/kg/day) completely reverted cholestasis induced by E₂17α. Administration of Obeticholic acid (INT-747) partially prevents the impairment in total bile acid output caused by E₂17α by increasing the relative abundance of β-MCA and TCDA and TDCA^[1]. Obeticholic acid (INT-747) (10 mg/kg) and HS increases the pulmonary congestion in the animals. INT-747 does not improve renal pathology in the HS-fed animals^[2]. Obeticholic acid (INT-747) (5 mg/kg) significantly increases survival in BDL rats. Obeticholic acid (INT-747)-treated BDL rats exhibits a significant selective ileal increase in expression of pore-closing claudin-1. Ileal expression of ZO-1 is significantly up-regulated in INT-747-treated BDL rats^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Animal Administration ^[2]	<p>Initially, all animals (at 6-weeks age) are placed on a standard rodent diet for a week. Baseline blood and urine samples are collected and basal blood pressure (BP) is measured prior to grouping the animals. Subsequently, the animals are randomized into low (LS; n=9) or high salt (HS) diet groups. Hypertension is induced in the HS group by daily high-salt diet feeding and the group is subdivided to receive one of two doses of INT-747: low dose (10 mg/kg/day; n=15) or high dose (30 mg/kg/day; n=15) in 1% methylcellulose; or vehicle (1% methylcellulose in distilled water; n=15) orally everyday for 6 weeks. In parallel, the LS group also receive 1% methylcellulose. BP is measured weekly for the duration of the study as described below.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
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CUSTOMER VALIDATION

- Cell Host Microbe. 2018 Sep 12;24(3):353-363.e5.
- Cell Stem Cell. 2022 Sep 1;29(9):1366-1381.e9.
- Acta Pharm Sin B. 27 August 2022.
- Biomaterials. 2022 Sep 28;290:121817.
- Biomaterials. 2021, 121006.

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REFERENCES

- [1]. Fiorucci S, et al. Protective effects of 6-ethyl chenodeoxycholic acid, a farnesoid X receptor ligand, in estrogen-induced cholestasis. *J Pharmacol Exp Ther*. 2005 May;313(2):604-12.
- [2]. Ghebremariam YT, et al. FXR agonist INT-747 upregulates DDAH expression and enhances sensitivity in high-salt fed Dahl rats. *PLoS One*. 2013 Apr 4;8(4):e60653.
- [3]. Verbeke L, et al. The FXR Agonist Obeticholic Acid Prevents Gut Barrier Dysfunction and Bacterial Translocation in Cholestatic Rats. *Am J Pathol*. 2015 Feb;185(2):409-19.
- [4]. Pellicciari R, et al. 6alpha-ethyl-chenodeoxycholic acid (6-ECDCA), a potent and selective FXR agonist endowed with anticholestatic activity. *J Med Chem*. 2002 Aug 15;45(17):3569-72.
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Caution: Product has not been fully validated for medical applications. For research use only.

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