Data Sheet (Cat.No.T1789)

TargetMol

Obeticholic Acid

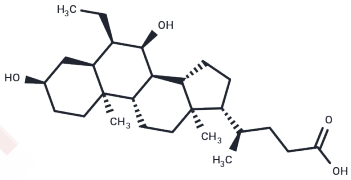
Chemical Properties

CAS No. : 459789-99-2

Formula: C26H44O4

Molecular Weight: 420.63

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	Obeticholic Acid (6-ECDCA, INT-747) is a high-affinity, semisynthetic, bile acid-derived FXR agonist with an EC50 of 99 nM and is able to upregulate IκB-α, KLF-2, and KLF-4 expression. Obeticholic Acid (6-ECDCA, INT-747) also shows potential for treating hepatic steatosis, inflammation, and fibrosis while increasing insulin sensitivity.
Targets(IC50)	FXR,Autophagy
In vitro	**METHODS**: SCC cells were treated with increasing concentrations of obeticholic acid (6-ECDCA, INT-747) (0-100 μM), hepatocytes and HSCs were seeded at the same density and treated with obeticholic acid (6-ECDCA, INT-747) (0.1, 1 and 10 μM), and finally MTT assay was performed. **RESULTS** Obeticholic acid (6-ECDCA, INT-747) reduced cell viability by more than 20% at a concentration of 10 μM. [4]
In vivo	**METHODS**: Thioacetamide (TAA)-intoxicated and bile duct ligation (BDL) rats were used as models. Two oral doses of 30 mg/kg obeticholic acid (INT-747) were administered within 24 hours to evaluate in vivo hemodynamics. The effects of short-term obeticholic acid (INT-747) treatment on intrahepatic hemodynamic dysfunction and signaling pathways in different rat models of cirrhotic portal hypertension (PHT) were investigated. **RESULTS** FXR expression was decreased in both cirrhotic models. Obeticholic acid (INT-747) administration in TAA and BDL reactivated FXR downstream signaling pathways and reduced portal pressure by reducing total IHVR without causing harmful systemic hypotension. Obeticholic acid (INT-747) improved endothelial vasodilation but not hyperresponsiveness in perfused TAA and BDL cirrhotics. [1] **METHODS**: Animals were fed a low-salt (control) or high-salt diet and treated with obeticholic acid (6-ECDCA, INT-747) (10, 30 mg/kg/day, oral, 6 weeks). Liver lysates were compared for c-JNK1 and 2 expression by Western blot. **RESULTS** INT-747 reduced hepatic JNK-1 and JNK-2 expression; proinflammatory proteins may be upregulated by a high-salt diet, thereby interfering with normal insulin signaling. [3]

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 237.5 mg/mL (564.63 mM),Sonication is recommended. Ethanol: 78 mg/mL (185.44 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (11.89 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0475 mL	0.2377 mL	0.4755 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Verbeke L, Farre R, Trebicka J, Komuta M, Roskams T, Klein S, Elst IV, Windmolders P, Vanuytsel T, Nevens F, Laleman W. Obeticholic acid, a farnesoid X receptor agonist, improves portal hypertension by two distinct pathways in cirrhotic rats. Hepatology. 2014 Jun;59(6):2286-98.

Wang XX, et al. The farnesoid X receptor modulates renal lipid metabolism and diet-induced renal inflammation, fibrosis, and proteinuria. Am J Physiol Renal Physiol. 2009 Dec;297(6):F1587-96.

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.

Anfuso B, et al. Obeticholic acid and INT-767 modulate collagen deposition in a NASH in vitro model. Sci Rep. 2020 Feb 3;10(1):1699.