Product Data Sheet

Chemical Properties

Product Name: Obeticholic Acid
Cas No.: 459789-99-2
M.Wt: 420.63
Formula: C26H44O4
Synonyms: N/A
Chemical Name: (4R)-4-[(3R,5S,6R,7R,8S,9S,10S,13R,14S,17R)-6-ethyl-3,7-dihydroxy-10,13-dimethyl-2,3,4,5,6,7,8,9,11,12,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-17-yl]pentanoic acid
Canonical SMILES: CCC1C2CC(C3CCC4(C(C3C1O)CCC4C(C)CCC(=O)O)C)C
Solubility: $\geq 21.5$ mg/mL in DMSO
Storage: Store at -20°C
General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Others
Pathways: FXR
Description:

Obeticholic Acid (6alpha-ethyl-chenodeoxycholic acid, 6-ECDCA, INT-747) is a potent and selective agonist of FXR with EC50 value of 99 nM [1]. The farnesoid X receptor (FXR) is a nuclear bile acid receptor involved in bile acid homeostasis, liver fibrosis, hepatic and intestinal inflammation and cardiovascular disease [2]. Obeticholic Acid is a potent and selective FXR agonist with anticholeretic activity [1]. Obeticholic
Acid is a semisynthetic bile acid derivative and potent FXR ligand. In estrogen-induced cholestasis rats, 6-ECDCA protected against cholestasis induced by 17α-ethynylestradiol (E217α) [2]. In cirrhotic portal hypertension (PHT) rat models, INT-747 (30 mg/kg) reactivated the FXR downstream signaling pathway and reduced portal pressure by lowering total intrahepatic vascular resistance (IHVR) without deleterious systemic hypotension. This effect was associated with an increased eNOS activity [3]. In the Dahl rat model of salt-sensitive hypertension and insulin-resistance (IR), high salt (HS) diet significantly increased systemic blood pressure and downregulated tissue DDAH expression. INT-747 enhanced insulin sensitivity and inhibited the decrease of DDAH expression [4].

Reference:

Protocol

Cell experiment:

Cell lines
Rat hepatocytes

Preparation method
Limited solubility. General tips for obtaining a higher concentration:
Please warm the tube at 37 °C for 10 minutes and/or shake it in
the ultrasonic bath for a while. Stock solution can be stored below
-20°C for several months.

Reacting conditions
24 h

Applications
In rat hepatocytes, obeticholic acid transactivates FXR and
modulates FXR regulated genes, resulting in increases of Shp and
bsep mRNA expression by 3- to 5-fold and reduction of cyp7a1,
cyp8b1, and ntcp mRNA expression by 50 to 70% after exposure to
FXR ligands.

Animal experiment [3]:

Animal models: Male Wistar rats weighing 200-250 g
Dosage form: 30 mg/kg
Applications: Obeticholic acid can reactivate downstream FXR signaling pathway and reduces PP in the TAA and BDL (thioacetamide (TAA)-intoxicated and bile-duct-ligated) models without systemic hemodynamic impact. It also restores endothelial function and reduces the total IHVR in experimental cirrhosis.

Other notes: Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Product Citations
2. Kent, Rebecca. "Effects of Fenofibrate on CYP2D6 and Regulation of ANG1 and RNASE4 by the FXR Agonist Obeticholic Acid." indigo.uic.edu.2017.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage
recommendations on the product data sheet.