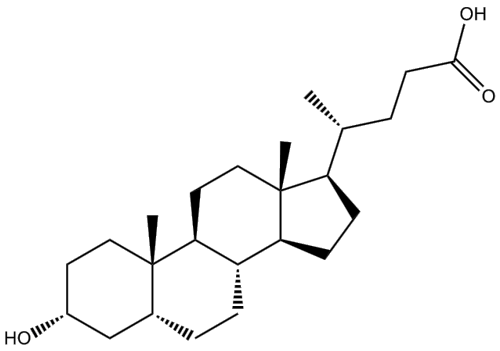
Product Data Sheet

Product Name: Lithocholic Acid
Cat. No.: GC17057

Chemical Properties

Cas. No.	434-13-9		
Chemical Name	(4R)-4-[(3R,5R,8R,9S,10S,13R,14S,17R)-3-hydroxy-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		
SMILES	CC(CCC(=O)O)C1CCC2C1(CCC3C2CCC4C3(CCC(C4)O)C)C		
Formula	$C_{24}H_{40}O_3$	M.Wt	376.57
Solubility	≥ 12.95mg/mL in DMSO	Storage	Store at 4°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines	HL-1 cells

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Preparation method	The solubility of this compound in DMSO is > 13 mg/mL. 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 condition	50 or 100 μM
Applications	In HL-1 cells, Lithocholic Acid reduced and prevented cardiomyocyte apoptosis at the concentrations of 50 and 100 μM, respectively. In the presences of the pro-apoptotic stimulus, Doxazosin, Lithocholic Acid inhibited hyperphosphorylation of EphA2. In addition, Lithocholic Acid increased the expression of total EphA2.

Animal experiment [2]:

Animal models	Mice
Dosage form	0.125 mg/g; i.p.; b.i.d., for 4 days.
Applications	In PXR-/- mice, Lithocholic Acid resulted in sticky residues. Analysis of the urine revealed that PXR-/- mice showed substantially increased levels of Lithocholic Acid compared with wild-type animals. In addition, wild-type mice treated with Lithocholic Acid in a shorter term showed significant increases in hepatic Cyp3a11 and Oatp2 expression, whereas Lithocholic Acid treatment exhibited no effect on the expression of those genes in PXR-/- mice.

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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.

References:

[1]. Jehle J, Staudacher I, Wiedmann F, Schweizer P, Becker R, Katus H, Thomas D. Regulation of apoptosis in HL-1 cardiomyocytes by phosphorylation of the receptor tyrosine kinase EphA2 and protection by lithocholic acid. Br J Pharmacol. 2012 Dec;167(7):1563-72.

[2]. Staudinger JL, Goodwin B, Jones SA, Hawkins-Brown D, MacKenzie KI, LaTour A, Liu Y, Klaassen CD, Brown KK, Reinhard J, Willson TM, Koller BH, Kliewer SA. The nuclear receptor PXR is a lithocholic acid sensor that protects against liver toxicity. Proc Natl Acad Sci U S A. 2001 Mar 13;98(6):3369-74.

Background

Lithocholic acid (LCA) is a toxic secondary bile acid, causing intrahepatic cholestasis, which has tumor-promoting activity.

In vitro: Among 17 kinds of bile acids with respect to inhibition of mammalian DNA polymerases, only LCA and its derivatives inhibited DNA polymerases, while other bile acids did not show inhibitory effect [1].

In vivo: Administration of LCA and its conjugates to rodents causes intrahepatic cholestasis, which is a pathogenic state characterized by decreased bile flow and the accumulation of bile constituents in the liver and blood [2].

Clinical trials: Currently no clinical data are available.

References:

[1] Ogawa A, Murate T, Suzuki M, Nimura Y, Yoshida S. Lithocholic acid, a putative tumor promoter, inhibits mammalian DNA polymerase beta. Jpn J Cancer Res. 1998 Nov;89(11):1154-9.
[2] Staudinger JL, Goodwin B, Jones SA, Hawkins-Brown D, MacKenzie KI, LaTour A, Liu Y, Klaassen CD, Brown KK, Reinhard J, Willson TM, Koller BH, Kliewer SA. The nuclear receptor PXR

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