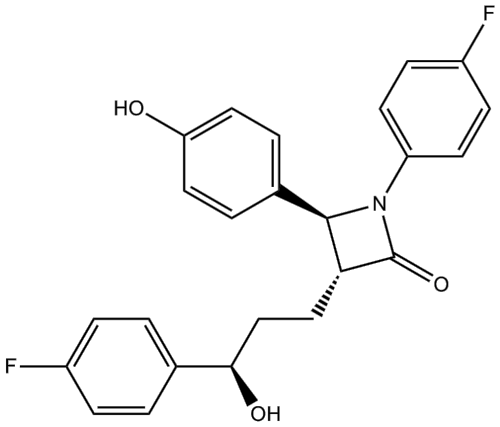
Product Data Sheet

Product Name: Ezetimibe
Cat. No.: GC15605

Chemical Properties

Cas. No.	163222-33-1		
Chemical Name	(3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)azetidin-2-one		
SMILES	C1=CC(=CC=C1C2C(C(=O)N2C3=CC=C(C=C3)F)CCC(C4=CC=C(C=C4)F)O)O		
Formula	$C_{24}H_{21}F_2NO_3$	M.Wt	409.4
Solubility	≥ 20.45mg/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.		
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	Human normal hepatocytes cells (L-02) and human hepatocellular carcinoma cells (HepG2)

Product Data Sheet

Preparation Method	Human normal hepatocytes cells (L-02) and human hepatocellular carcinoma cells (HepG2) were cultured with Ezetimibe (1–6μM) for 48h.
Reaction Conditions	1–6μM; 48h
Applications	Ezetimibe significantly increased the viability of α-amanitin-stimulated hepatocytes and reduced inflammatory factor levels by inhibiting NTCP. Ezetimibe treatment also significantly reduced oxidative stress, with increased serum superoxide dismutase (SOD) and catalase (CAT) levels and decreased malondialdehyde (MDA) levels, suggesting alleviation of α-amanitin-induced oxidative stress.

Animal experiment [2]:

Animal models	OLETF rats
Preparation Method	Male obese and diabetic OLETF rats were administered either PBS or Ezetimibe (10mg/kg per day) via stomach gavage for 20 weeks.
Dosage form	10mg/kg; stomach gavage; daily for 20 weeks
Applications	In the OLETF rats that received Ezetimibe, liver weight were significantly decreased by 20%. Lipid parameters including TG, FFA, and TC in liver tissue were dramatically decreased at least by 30%. Autophagy-related mRNA expression including ATG5, ATG6, and ATG7 and the protein level of microtubule-associated protein light chain 3 (LC3) were significantly increased in the liver in rats that received Ezetimibe.

Caution: Product has not been fully validated for medical applications. For research use only.
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References:
[1]Xue J F, Lou X R, Ning D Y, et al. Ezetimibe protects against alpha-amanitin-induced hepatotoxicity by targeting the NTCP receptor: Mechanistic insights from in vitro and in vivo models. Toxicon. 2025 Sep:264:108423.
[2]Chang E, Kim L, Park S E. et al. Ezetimibe improves hepatic steatosis in relation to autophagy in obese and diabetic rats. World J Gastroenterol. 2015 Jul 7;21(25):7754-63.

Background

Ezetimibe is a selective cholesterol absorption inhibitor with IC_{50} values of 50μM for lung cancer cell (A549), human embryonic kidney cells (HEK293) and 30μM for melanoma cell (A375) for 48h[1]. Ezetimibe primarily acts by blocking the Niemann-Pick C1-Like 1 (NPC1L1) protein in the small intestine, reducing the intestinal absorption of dietary and biliary cholesterol, which leads to a decrease in low-density lipoprotein cholesterol (LDL-C) levels [2].

In vitro, Hepa1c1c7 (mouse hepatoma) and MEF (mouse embryonic fibroblast) cells were treated with Ezetimibe (50μM) for 18h. Ezetimibe enhanced transactivation of Nrf2, as revealed by a luciferase reporter assay. Ezetimibe also upregulated Nrf2 target genes, including GSTA1, heme oxygenase-1 (HO-1) and Nqo-1 in a p62-dependent manner. Saturated fatty acids such as PA lead to ROS-mediated apoptotic cell death. Hepa1c1c7 cells pretreated with Ezetimibe (50μM) for 1h and incubated in the presence of PA for 18h have reduced cell death, apoptotic cell death, and TUNEL positive cells. Ezetimibe prevented against PA-induced apoptotic cell death through p62 phosphorylation-mediated Nrf2 activation[3]. The sodium taurocholate co-transporting polypeptide (NTCP), a hepatic bile acid transporter, facilitates α-amanitin entry into hepatocytes. Human normal hepatocytes cells (L-02) and human hepatocellular carcinoma cells (HepG2) were cultured with Ezetimibe (1–6μM) for 48h. Ezetimibe significantly increased the viability of α-amanitin-stimulated hepatocytes and reduced inflammatory factor levels by inhibiting NTCP. Ezetimibe treatment also significantly reduced oxidative stress, with increased serum superoxide dismutase (SOD) and catalase (CAT) levels and decreased malondialdehyde (MDA) levels, suggesting alleviation of α-amanitin-induced oxidative stress[4].

In vivo, albino mice received Ezetimibe at a dose of 2.5mg/kg p.o or a dispersion of the physical mixture of atorvastatin (5mg/kg; p.o) and Ezetimibe (2.5mg/kg; p.o) on daily basis for 2 weeks. Co-

administration of both drugs in the form of physical mixture resulted in additional reduction in cholesterol concentration compared with each drug individually and this can be attributed to the synergetic pharmacological effect of atorvastatin and Ezetimibe. This synergistic pharmacological effect was reported as atorvastatin is able to inhibit HMG-CoA reductase (the rate-limiting enzyme in cholesterol biosynthesis in liver) and Ezetimibe that inhibits cholesterol absorption from small intestine[5]. The Wistar rats were randomly assigned to an Ezetimibe or control group at 6 weeks of age. The control group received an high fat diet (60 Kcal%), whereas the Ezetimibe group received an high fat diet (60 Kcal%) containing 160mg/kg Ezetimibe for 14 weeks. The use of Ezetimibe reduced the sizes of adipocytes in visceral fat. Ezetimibe also reduced the accumulation of pro-inflammatory cytokines and induced the production of anti-inflammatory cytokines within adipocytes, leading to increased fatty acid oxidation; reduced levels of free fatty acids and improved insulin resistance[6]. Male obese and diabetic OLETF rats were administered either PBS or Ezetimibe (10mg/kg per day) via stomach gavage for 20 weeks. Ezetimibe significantly decreased liver weight and lipid parameters including triglycerides (TG), free fatty acids (FFA), and total cholesterol (TC) in liver tissue. Autophagy-related mRNA expression including ATG5, ATG6, and ATG7 and the protein level of microtubule-associated protein light chain 3 (LC3) were significantly increased in the liver in rats that received Ezetimibe[7].

References:

[1] Twala C, Malindisa S, Munnik C, Sooklal S, Ntwasa M. Ezetimibe Anticancer Activity via the p53/Mdm2 Pathway. Biomedicines. 2025 Jan 14;13(1):195.
[2] Olmastroni E, Scotti S, Galimberti F, Xie S, Casula M. Ezetimibe: Integrating Established Use with New Evidence - A Comprehensive Review. Curr Atheroscler Rep. 2024 Nov 25;27(1):10.
[3] Lee D H, Han D H, Nam K T, et al. Ezetimibe, an NPC1L1 inhibitor, is a potent Nrf2 activator that protects mice from diet-induced nonalcoholic steatohepatitis. Free Radic Biol Med. 2016 Sep 12;99:520-532.
[4] Xue J F, Lou X R, Ning D Y, et al. Ezetimibe protects against alpha-amanitin-induced hepatotoxicity by targeting the NTCP receptor: Mechanistic insights from in vitro and in vivo models. Toxicon. 2025 Sep:264:108423.
[5] Arafa M F, Alshaikh R A, Abdelquader M M, Maghraby G M E. Co-processing of Atorvastatin and Ezetimibe for Enhanced Dissolution Rate: In Vitro and In Vivo Correlation. AAPS PharmSciTech. 2021 Jan 31;22(2):59.
[6] Cho Y Q, Kim R H, Park H K, et al. Effect of Ezetimibe on Glucose Metabolism and Inflammatory Markers in Adipose Tissue. Biomedicines. 2020 Nov 18;8(11):512.

Product Data Sheet

[7] Chang E, Kim L, Park S E. et al. Ezetimibe improves hepatic steatosis in relation to autophagy in obese and diabetic rats. World J Gastroenterol. 2015 Jul 7;21(25):7754-63.

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