

Product Data Sheet

Product Name: Lobetyolin

Cat. No.: GN10569

Chemical Properties

Cas. No. 136085-37-5

Chemical Name (2R,3R,4S,5S,6R)-2-[(4E,12E)-1,7-dihydroxytetradeca-4,12-dien-8,10-diyn-6-yl]oxy-6-(hydroxymethyl)oxane-3,4,5-triol

SMILES CC=CC#CC#CC(C(C=CCCCO)OC1C(C(C(C(O1)CO)O)O)O)O

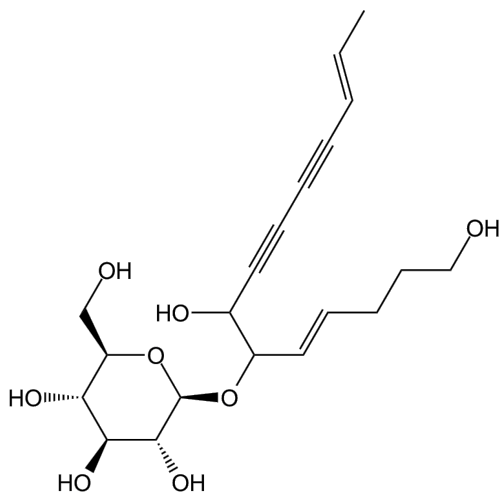
Formula $C_{20}H_{28}O_8$ M.Wt 396.43

Solubility $\geq 17.4\text{mg/mL}$ in EtOH; 50mg/ml in DMSO Storage Store at -20°C , protect from light

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]:

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Cell lines	epithelial cells derived from human embryonic kidney
Preparation Method	HEK293, an epithelial cell derived from the human embryonic kidney cell line, was cultured in Dulbecco's modified eagle's medium (DMEM) supplemented with 10% fetal bovine serum (FBS). Following a 24h treatment with varying concentrations of Lobetyolin, the cells will subsequently undergo cisplatin treatment at a concentration of 20μM.
Reaction Conditions	5, 10, 15, 20, 25, 30μM; 24h
Applications	The experimental results demonstrate that Lobetyolin exhibits a dose-dependent cytoprotective effect against cisplatin-induced toxicity in HEK293 cells, establishing it as an effective cytoprotective agent.
Animal experiment [2]:	
Animal models	BALB/c female nude mice (5–6 weeks) weighing ~ 20g
Preparation Method	0.1mL cell suspension containing about 5×10^6 MKN-45 cells was injected subcutaneously into the right flank region. The Lobetyolin-treated group was given saline containing 10mg/kg Lobetyolin once per day, and the control group was given the same volume of saline once per day. The tumors were administered every five days, and the tumor size was measured simultaneously to plot the growth curve. The tumor growth was monitored by measuring tumor volume. After 30 days, mice were euthanized by cervical dislocation to dissect the tumor tissues.
Dosage form	10mg/kg; subcutaneously injected

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Applications Lobetyolin showed a significant anti-tumor effect in cancer cell xenograft models. The expression levels of ASCT2 and Ki67 were significantly reduced in the Lobetyolin group compared to the control group.

Reference:

- [1] Hou YY, Qi SM, Leng J, et al. Lobetyolin, a Q-cmarker isolated from Radix Platycodi, exerts protective effects on cisplatin-induced cytotoxicity in HEK293 cells. J Nat Med. 2023;77(4):721-734.
- [2] Cheng L, Zhai H, Du J, Zhang G, Shi G. Lobetyolin inhibits cell proliferation and induces cell apoptosis by downregulating ASCT2 in gastric cancer. Cytotechnology. 2023;75(5):435-448.

Background

Lobetyolin is a derivative of lobetyol substituted with a glucopyranose^[1]. Lobetyolin is an important polyacetylene in Codonopsis Radix, which is of great interest on account of its unique chemical structures as well as its potential physiological functions. As suggested by extensive studies, Lobetyolin shows diverse functions such as antioxidant, anti-inflammatory, and immunomodulatory activities, especially antitumor activity, which may be due to the strong affinity of polyacetylenes to bind to proteins serving as the natural receptors of Michael response. Lobetyolin greatly impaired the proliferation of PC-3 cells, with corresponding IC₅₀ values of 5.73μM^[2].

In vitro, epithelial cells derived from human embryonic kidney were treated with a gradient of different Lobetyolin concentrations (5, 10, 15, 20, 25, 30μM) for 24 hours, followed by treatment with cisplatin at a concentration of 20μM. The experimental results demonstrate that Lobetyolin exhibits a dose-dependent cytoprotective effect against cisplatin-induced toxicity in HEK293 cells, establishing it as an effective cytoprotective agent^[3]. Pre-treatment with Lobetyolin (1, 10, and 100μM) for 30 minutes, followed by stimulation with phorbol 12-myristate 13-acetate (PMA, 10ng/mL) for 24 hours in NCI-H292 cells, significantly inhibited the expression of MUC5AC gene induced by PMA^[4].

In vivo, 10mM Lobetyolin with intraperitoneal injections treatment in mice demonstrated a remarkable reduction in the production of IL-6, TNF-α, and IL-1β in the serum, along with mitigated lung and liver tissue damage characterized by reduced inflammatory cell infiltration^[5]. Lobetyolin, when administered intraperitoneally at doses of 10, 20, and 40mg/kg for two weeks, significantly reduced tumor volume and markedly decreased the expression of Alanine, Serine, Cysteine-Preferring

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Transporter 2 (ASCT2) mRNA in mice. These results suggest that Lobetyolin exhibits anti-cancer effects in nude mice, possibly through the inhibition of glutamine metabolism^[6].

Reference:

- [1] Bailly C. Anticancer Properties of Lobetyolin, an Essential Component of Radix Codonopsis (Dangshen). *Nat Prod Bioprospect*. 2021;11(2):143-153.
- [2] Xie Q, Wang H, Guan H, et al. The in vitro/in vivo metabolic pathways analysis of lobetyol, lobetyolin, and lobetyolinin, three polyacetylenes from Codonopsis Radix, by UHPLC-Q/TOF-MS and UHPLC-MS/MS. *J Pharm Biomed Anal*. 2023;223:115140.
- [3] Hou YY, Qi SM, Leng J, et al. Lobetyolin, a Q-marker isolated from Radix Platycodi, exerts protective effects on cisplatin-induced cytotoxicity in HEK293 cells. *J Nat Med*. 2023;77(4):721-734.
- [4] Yoon YP, Ryu J, Park SH, et al. Effects of Lobetyolin, Lobetyol and Methyl linoleate on Secretion, Production and Gene Expression of MUC5AC Mucin from Airway Epithelial Cells. *Tuberc Respir Dis (Seoul)*. 2014;77(5):203-208.
- [5] Chen Z, Su Y, Ding J, He J, Lai L, Song Y. Lobetyolin protects mice against LPS-induced sepsis by downregulating the production of inflammatory cytokines in macrophage. *Front Pharmacol*. 2024;15:1405163.
- [6] He W, Tao W, Zhang F, et al. Lobetyolin induces apoptosis of colon cancer cells by inhibiting glutamine metabolism. *J Cell Mol Med*. 2020;24(6):3359-3369.

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