

Product Data Sheet

Product Name: Carbenoxolone

Cat. No.: GC35605

Chemical Properties

Cas. No. 5697-56-3

SMILES CC(C)([C@]1([H])CC[C@@]([C@@]2(CC[C@]3(CC[C@](C(O)=O)(C[C@]3(C2=C4)[H])C)C)C)5C)[C@@H](OC(CCC(O)=O)=O)CC[C@]1(C)[C@@]5([H])C4=O

Formula $C_{34}H_{50}O_7$

M.Wt 570.76

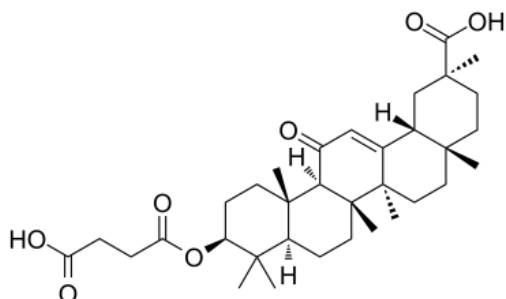
Solubility Soluble in DMSO

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 HaCaT cells (spontaneously immortalised human keratinocyte cell line), N2a cells (murine neuroblastoma cell line)

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Preparation Method	HaCaT and N2a cells were maintained in Dulbecco's modified Eagle's medium (DMEM) supplemented with 10% foetal bovine serum, 50IU/ml penicillin and 50µg/ml streptomycin at 37°C, 5% CO ₂ . HaCaT and N2a cells were pretreated with Carbenoxolone at 30µM Carbenoxolone for 1h prior to Vaccinia virus infection, and Carbenoxolone was retained in the overlay medium throughout the infection period.
Reaction Conditions	30µM; 1h pretreatment followed by Vaccinia virus infection
Applications	Carbenoxolone inhibited Vaccinia virus replication in HaCaT and N2a cells, with the inhibitory effect independent of gap junction function and PP2A upregulation. Carbenoxolone did not suppress Vaccinia virus early protein expression, DNA replication or late protein (including A27) synthesis, but almost entirely blocked intracellular mature virus (IMV) and extracellular enveloped virus (EEV) formation.
Animal experiment [2]:	
Animal models	6-week-old male C57BL/6J mice with high-fat diet (HFD)-induced obesity
Preparation Method	After 1 week of acclimation with normal chow diet, mice were fed with HFD (60% calories from fat) for 8 weeks to establish obesity model. Then mice were given Carbenoxolone dissolved in sterile water by daily gavage (15mg/kg) for 12 weeks. All mice were sacrificed after 12-week treatment for serum and liver tissue detection.
Dosage form	15mg/kg; oral gavage; daily for 12 weeks

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Applications

Carbenoxolone attenuated HFD-induced body weight gain, decreased serum triglyceride (TG), total cholesterol (TC) and low-density lipoprotein (LDL) levels, increased high-density lipoprotein (HDL) level, with no significant alteration in serum alanine aminotransferase (ALT) and aspartate aminotransferase (AST). Carbenoxolone relieved hepatic intracellular lipid accumulation, hepatocellular ballooning and non-alcoholic fatty liver disease (NAFLD) activity score, downregulated mRNA and protein expressions of SOCS-3, SREBP-1c and FAS in liver, reduced hepatic interleukin-6 (IL-6) and tumor necrosis factor- α (TNF- α) levels, and elevated phosphorylated JAK2/JAK2 and phosphorylated STAT3/STAT3 ratios in liver.

References:

- [1] Haga IR, Simpson JL, Hawes PC, et al. Carbenoxolone-mediated cytotoxicity inhibits Vaccinia virus replication in a human keratinocyte cell line. *Sci Rep.* 2018 Nov 16;8(1):16956.
- [2] Chen Y, Lu W, Jin Z, et al. Carbenoxolone ameliorates hepatic lipid metabolism and inflammation in obese mice induced by high fat diet via regulating the JAK2/STAT3 signaling pathway. *Int Immunopharmacol.* 2019 Sep;74:105498.

Background

Carbenoxolone is a semi-synthetic derivative of glycyrrhetic acid, an orally active gap junction/hemichannel inhibitor and a non-selective inhibitor of 11 β -hydroxysteroid dehydrogenase type 1 (11 β -HSD1). Carbenoxolone inhibits connexin-mediated intercellular communication and Pannexin1 channel activity to block gap junction communication, while also modulating local glucocorticoid metabolism through inhibition of 11 β -HSD1 to exert anti-inflammatory effects. Carbenoxolone can be used in research on peptic ulcer, Alzheimer's disease, liver fibrosis, and metabolic diseases^[1-4].

In vitro, Carbenoxolone (50–100 μ M) was applied to human colorectal cancer cells (HCT116) and glioblastoma cells (U251 and U87) for 56 hours. Carbenoxolone did not alter the proliferation rate of these cells, nor did it affect cell migration speed^[5]. Carbenoxolone (30 μ M) was used to pretreat HaCaT human keratinocytes and N2a mouse neuroblastoma cells for 1 hour, followed by infection

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with Vaccinia virus. Carbenoxolone did not inhibit viral early protein expression, DNA replication, or late protein A27 synthesis. Carbenoxolone blocked the formation of intracellular mature virus and extracellular enveloped virus^[6].

In vivo, Carbenoxolone (15mg/kg) was administered daily by oral gavage to obese model C57BL/6J male mice for 12 weeks. Carbenoxolone improved insulin sensitivity, inhibited I κ B- α /NF- κ B pathway activation and NLRP3 inflammasome activation, downregulated p-IRS-1 expression, upregulated p-PI3K and p-AKT expression, and alleviated lipid accumulation in the liver and skeletal muscle^[7]. Carbenoxolone (15mg/kg) was administered daily by oral gavage to obese model C57BL/6J male mice for 12 weeks. Carbenoxolone reduced serum triglycerides, total cholesterol, and low-density lipoprotein levels, increased high-density lipoprotein levels, attenuated body weight gain, alleviated hepatic lipid accumulation and hepatocyte ballooning, downregulated hepatic SOCS-3, SREBP-1c, and FAS expression, elevated phosphorylated JAK2 and phosphorylated STAT3 protein levels, and decreased hepatic inflammatory cytokine expression of IL-6 and TNF- α ^[8].

References:

- [1] Ahmadpourmir H, Mohammadi Tabar H, Gholamnezhad Z, et al. Analgesic and anti-inflammatory properties of carbenoxolone: a review. *Inflammopharmacology*. 2025 Oct;33(10):5719-5733.
- [2] Pinder RM, Brogden RN, Sawyer PR, et al. Carbenoxolone: a review of its pharmacological properties and therapeutic efficacy in peptic ulcer disease. *Drugs*. 1976;11(4):245-307.
- [3] Metcalfe MJ, Entrican JH. Carbenoxolone and hypokalaemia. *Lancet*. 1987 Dec 26;2(8574):1525-6.
- [4] Falk S. Carbenoxolone as a novel therapy for attenuation of cancer-induced bone pain. *Pain*. 2018 Jun;159(6):1127-1136.
- [5] Liu T, Stauber T. The Volume-Regulated Anion Channel LRRC8/VRAC Is Dispensable for Cell Proliferation and Migration. *Int J Mol Sci*. 2019 May 30;20(11):2663.
- [6] Haga IR, Simpson JL, Hawes PC, et al. Carbenoxolone-mediated cytotoxicity inhibits Vaccinia virus replication in a human keratinocyte cell line. *Sci Rep*. 2018 Nov 16;8(1):16956.
- [7] Chen Y, Qian Q, Yu J. Carbenoxolone ameliorates insulin sensitivity in obese mice induced by high fat diet via regulating the I κ B- α /NF- κ B pathway and NLRP3 inflammasome. *Biomed Pharmacother*. 2019 Jul;115:108868.
- [8] Chen Y, Lu W, Jin Z, et al. Carbenoxolone ameliorates hepatic lipid metabolism and inflammation in obese mice induced by high fat diet via regulating the JAK2/STAT3 signaling pathway. *Int Immunopharmacol*. 2019 Sep;74:105498.

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