

Product Data Sheet

Product Name: Gambogenic acid

Cat. No.: GC38062

Chemical Properties

Cas. No. 173932-75-7

SMILES O=C(O)/C(C)=C\C[C@@]1(C2=O)OC(C)(C)[C@]([C@]31OC4=C5C(O)=C(C/C=C(C)/C/C=C(C)\C)C(O)=C4C/C=C(C)\C)([H])C[C@@]2([H])C=C3C5=O

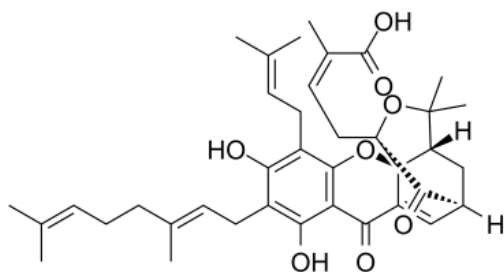
Formula C₃₈H₄₆O₈ M.Wt 630.77

Solubility DMSO: 250 mg/mL (396.34 mM) Storage 4°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 HepG2 cells

HepG2 cells were cultured in Dulbecco's modified Eagle's medium (DMEM) supplemented with 10% fetal bovine serum, 100units /ml penicillin, and 100µg/ml streptomycin. Cells were cultured at 37°C in a humidified atmosphere with 5% CO₂. Subsequently, HepG2 cells in the logarithmic growth phase were

Preparation Method collected and seeded in 96-well culture plates overnight at a density of approximately 6×10^4 cells/ml. Cells were incubated with different concentrations of Gambogenic acid (0, 0.75, 1.5, 3, 6, and 12µM). At various time points of 24, 48, or 72h, 5.0mg/ml MTT was added, and cells were then incubated at 37°C for 4h and measured at a wavelength of 570nm.

Reaction
Conditions 0, 0.75, 1.5, 3, 6, and 12µM; 24, 48, and 72h

Applications Gambogenic acid treatment reduced the percentage of viable HepG2 cells in a concentration- and time-dependent manner.

Animal experiment [2]:

Animal models Female BALB/c-nu mice

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Female BALB/c-nu mice (4 weeks of age) were maintained under specific pathogen free (SPF) conditions and provided with sterile food and water.

HCT116 cells (1×10^6 cells per mouse) were injected subcutaneously into the right abdomen of each mouse. When the tumor volume was approximately 100mm^3 , mice were randomly divided into a vehicle group, a 2mg/kg

Preparation Method Gambogenic acid group, and a positive control 15mg/kg paclitaxel (PTX) group (n=6 mice in each group). Mice were intraperitoneally injected with Gambogenic acid daily and PTX three times a week. Tumor size was measured and calculated using the standard formula: $0.5 \times \text{length} \times \text{width}^2$. The body weight of mice was measured at the same time. After 21 days, all mice were euthanized, and tumors were collected for analysis.

Dosage form 2mg/kg/day for 21 days; i.p.

Applications Gambogenic acid treatment significantly reduced tumor volume and weight in mice without affecting body weight.

References:

[1] Yan F, Wang M, Li J, et al. Gambogenic acid induced mitochondrial-dependent apoptosis and referred to phospho-Erk1/2 and phospho-p38 MAPK in human hepatoma HepG2 cells[J].

Environmental toxicology and pharmacology, 2012, 33(2): 181-190.

[2] Zhao Q, Zhong J, Bi Y, et al. Gambogenic acid induces Noxa-mediated apoptosis in colorectal cancer through ROS-dependent activation of IRE1 α /JNK[J]. Phytomedicine, 2020, 78: 153306.

Background

Gambogenic acid, the main active component of gamboge, inhibits Aurora A kinase, with an IC₅₀ value of $1.425\mu\text{M}$ ^[1]. Gambogenic acid triggers endoplasmic reticulum (ER) stress-mediated apoptosis through the reactive oxygen species/IRE1 α /c-Jun N-terminal kinase signalling pathway^[2]. Gambogenic acid has been widely used as an anticancer agent to inhibit the growth of different cancer cells^[3].

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In vitro, Gambogenic acid treatment for 72h significantly inhibited the proliferation of HCC827, H1650, and HCC827 erlotinib-resistant (HCC827ER) cells with IC₅₀ values of 1.510, 1.328, and 0.909μM, respectively^[4]. Treatment of HepG2 cells with 3μM Gambogenic acid for 24h promoted apoptosis, accompanied by a decrease in Bcl-2 levels and an increase in Bax expression levels^[5]. Treatment with 1μM Gambogenic acid for 48h inhibited the invasion and migration of A375 cells and induced ferroptosis in A375 cells treated with TGF-β1 (5ng/ml; 48h)^[6].

In vivo, Gambogenic acid treatment via intraperitoneally injection at a dose of 2mg/kg/day for 21 days significantly reduced tumor volume and weight in HCT116 cell-xenograft mice, without affecting body weight^[7]. Intraperitoneal injection of Gambogenic acid (10mg/kg/day) for 7 consecutive days in male Sprague-Dawley rats can prevent liver oxidative damage caused by acetaminophen (APAP) and alleviate liver histopathological changes caused by APAP^[8].

References:

- [1] Liu C, Xu J, Guo C, et al. Gambogenic acid induces endoplasmic reticulum stress in colorectal cancer via the aurora a pathway[J]. *Frontiers in Cell and Developmental Biology*, 2021, 9: 736350.
- [2] Zhao Q, Zhong J, Bi Y, et al. Gambogenic acid induces Noxa-mediated apoptosis in colorectal cancer through ROS-dependent activation of IRE1α/JNK[J]. *Phytomedicine*, 2020, 78: 153306.
- [3] Mi L, Xing Z, Zhang Y, et al. Unveiling gambogenic acid as a promising antitumor compound: a review[J]. *Planta Medica*, 2024, 90(05): 353-367.
- [4] Xu L, Meng X, Xu N, et al. Gambogenic acid inhibits fibroblast growth factor receptor signaling pathway in erlotinib-resistant non-small-cell lung cancer and suppresses patient-derived xenograft growth[J]. *Cell death & disease*, 2018, 9(3): 262.
- [5] Yan F, Wang M, Li J, et al. Gambogenic acid induced mitochondrial-dependent apoptosis and referred to phospho-Erk1/2 and phospho-p38 MAPK in human hepatoma HepG2 cells[J]. *Environmental toxicology and pharmacology*, 2012, 33(2): 181-190.
- [6] Wang M, Li S, Wang Y, et al. Gambogenic acid induces ferroptosis in melanoma cells undergoing epithelial-to-mesenchymal transition[J]. *Toxicology and applied pharmacology*, 2020, 401: 115110.
- [7] Zhao Q, Zhong J, Bi Y, et al. Gambogenic acid induces Noxa-mediated apoptosis in colorectal cancer through ROS-dependent activation of IRE1α/JNK[J]. *Phytomedicine*, 2020, 78: 153306.
- [8] Ding Z, Li Y, Tang Z, et al. Role of gambogenic acid in regulating PI3K/Akt/NF-kβ signaling pathways in rat model of acute hepatotoxicity[J]. *Bioscience, Biotechnology, and Biochemistry*, 2021, 85(3): 520-527.

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