

Product Data Sheet

Product Name: Gambogic Acid

Cat. No.: GC12139

Chemical Properties

Cas. No. 2752-65-0

Chemical Name (Z)-4-((1S,3aR,5S,11R,14aS)-8-hydroxy-2,2,11-trimethyl-13-(3-methylbut-2-en-1-yl)-11-(4-methylpent-3-en-1-yl)-4,7-dioxo-2,3a,4,5,7,11-hexahydro-1H-1,5-methanofuro[3,2-g]pyrano[3,2-b]xanthen-3a-yl)-2-methylbut-2-enoic acid

SMILES C/C(C)=C\CC[C@]1(C)C=CC(C(O)=C(C(C([C@]2([C@@H](C3)C(C)(C)O[C@]24C/C=C(C(O)=O)/C)O5)=C[C@H]3C4=O)=O)C5=C6C/C=C(C)\C)=C6O1

Formula $C_{38}H_{44}O_8$ M.Wt 628.75

Solubility ≥ 22.45 mg/mL in DMSO, ≥ 48.2 mg/mL in EtOH 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 MDA-MB 435S cell

Preparation Method

To test the detoxification of Gambogic Acid, aliquots of serum-free DMEM containing $1\mu\text{M}$ Gambogic Acid and different concentrations of NAC were pre-incubated at room temperature for the indicated time points, and then incubated with MDA-MB 435S cell cultures for 24h.

Caution: Product has not been fully validated for medical applications. For research use only.

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Address: 10292 Central Ave. #205, Montclair, CA, USA

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Reaction Conditions	Gambogic Acid: 1 μ M; 24h
Applications	Gambogic Acid treatment progressively increased the levels of poly-ubiquitinated proteins, proteasome-substrate proteins (e.g.Nrf1, Mcl-1, and Noxa) and ER stress marker proteins (e.g. phospho-eIF2 α , ATF4, and CHOP).
Animal experiment [1]:	
Animal models	Xenograft tumor model
Preparation Method	Mice were randomized into 3 groups, including vehicle (PBS containing 0.25% DMSO), 4mg/kg Gambogic Acid and 8mg/kg Gambogic Acid, and mice were received twice intraperitoneal (ip) injections of Gambogic Acid at the indicated concentrations (day 0 and day 2). On the 14th day, mice were sacrificed and the tumors were isolated.
Dosage form	Gambogic Acid: 4, 8mg/kg; ip; twice
Applications	Gambogic Acid (4, 8mg/kg; ip; twice) dose-dependently reduced the tumor size without inducing any significant loss of body weight.

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References:

[1]. Seo MJ, Lee DM, Kim IY, Lee D, Choi MK, Lee JY, Park SS, Jeong SY, Choi EK, Choi KS. Gambogic acid triggers vacuolization-associated cell death in cancer cells via disruption of thiol proteostasis. *Cell Death Dis.* 2019 Feb 22;10(3):187.

Background

Gambogic Acid A cell-permeable caspase activator and apoptosis inducer commonly used in studies of breast, lung, and liver cancers^[1].

Gambogic Acid (1.2-4.8 μ M; 24-72h) reduced the viability of Jurkat and Molt-4 cells in a dose-dependent manner, The IC₅₀ values of Gambogic Acid for Jurkat and Molt-4 were 2.23 and 3.03 μ M, respectively^[2]. Gambogic Acid (2.4, 4.8 μ M; 72h) at concentrations of 2.4 and 4.8 μ M led to a remarkable increase in G2/M phase, with a corresponding decrease in the S phase compared with exposure of cells to 1.2 μ M Gambogic Acid^[3]. Gambogic Acid (1 μ M; 24h) treatment increased the levels of poly-ubiquitinated proteins, proteasome-substrate proteins (e.g. Nrf1, Mcl-1, and Noxa) and ER stress marker proteins (e.g. phospho-eIF2 α , ATF4, and CHOP) ^[4].

Gambogic Acid (4, 8mg/kg; ip; twice) dose-dependently reduced the tumor size without inducing any loss of body weight^[4]. In murine CT26 tumor-bearing mouse models, the treatment with Gambogic Acid (2, 8mg/kg; bid; 12d) reduced the volumes and weights of CRC solid tumors, The average of tumor weight decreased from 1.139g (control group) to 0.445g (low-dose group), or 0.214g (high-dose group) ^[5].

References:

[1]Vichitsakul K, Laowichuwakonnukul K, Soontornworajit B, et al. Anti-proliferation and induction of mitochondria-mediated apoptosis by Garcinia hanburyi resin in colorectal cancer cells[J]. *Heliyon*, 2023, 9(6).

[2].Zhai D, Jin C, Shiao CW, Kitada S, Satterthwait AC, Reed JC. Gambogic acid is an antagonist of antiapoptotic Bcl-2 family proteins. *Mol Cancer Ther.* 2008 Jun;7(6):1639-46.

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[3]. Gambogic acid inhibits proliferation and induces apoptosis of human acute T-cell leukemia cells by inducing autophagy and downregulating β -catenin signaling pathway: Mechanisms underlying the effect of Gambogic acid on T-ALL cells. *Oncol Rep.* 2020 Oct;44(4):1747-1757.

[4]. Seo MJ, Lee DM, Kim IY, Lee D, Choi MK, Lee JY, Park SS, Jeong SY, Choi EK, Choi KS. Gambogic acid triggers vacuolization-associated cell death in cancer cells via disruption of thiol proteostasis. *Cell Death Dis.* 2019 Feb 22;10(3):187.

[5]. Xu H, Zhang D, Wei R, Zhou Y, Dai G, Li J, Sun Y, Li F, Xi L. Gambogic Acid Induces Pyroptosis of Colorectal Cancer Cells through the GSDME-Dependent Pathway and Elicits an Antitumor Immune Response. *Cancers (Basel).* 2022 Nov 9;14(22):5505.

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