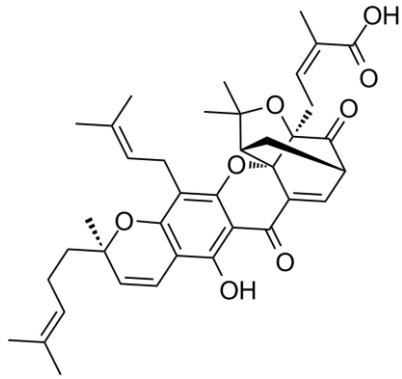
Product Name: Gambogic Acid

Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties



Product Name: Gambogic Acid

Cas No.: 2752-65-0

M.Wt: 628.75

Formula: C38H44O8

Synonyms: Beta-Guttiferrin,Gambogic

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

Canonical 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

Solubility: >22.45mg/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.

Shopping Condition: Evaluation sample solution : ship with blue ice
All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets : Apoptosis

Pathways: Caspase

Description:

Gambogic acid (GA) is an inducer of apoptosis with EC50 value of 0.78-1.64 μM for caspases and

with IC50 values of 1.47, 1.21, 2.02, 0.66, 1.06 and 0.79 μM for Bcl-XL, Bcl-2, Bcl-W, Bcl-B, Bfl-1 and Mcl-1, respectively [1].
The cytotoxic natural product GA competes for BH3 peptide binding sites on several antiapoptotic members of the Bcl-2 family and neutralizes the ability of these proteins to suppress release of apoptogenic proteins from mitochondria.
In vitro, it was demonstrated that GA inhibited the proliferation of human gastric carcinoma MGC-803 cells in a dose-dependent manner. When the cells were exposed to GA 5 mg/ml for 72 h, the rate of inhibition reached 89.45%. The IC50 value was 0.96 mg/ml at 48 h. In addition, GA can't induce cell death in normal unimmortalized cells, but it can selectively kill the tumor cells. Treatment with GA at concentrations above 0.4 μM led to a significant dose-dependent inhibition of U266 cell growth under normoxia and hypoxia when U266 cells exposed to GA under normoxia and hypoxia for 8 h [2, 3].
Using a prostate cancer xenograft model, s.c. injection daily for 15 days was reported that GA effectively inhibited tumor angiogenesis and suppressed tumor growth with few side effects. And using a mouse model of glioma, i.v. injection of GA daily for 14 days was reported to significantly reduce tumor volumes with little side effects. The effects of GA on expression of HIF-1a and its downstream target gene vascular endothelial growth factor was investigated in human MM U266 cells. Tumor xenografts transplanted by U266 cells were used to test the antitumor effect of GA in BALB ?c nude mice in vivo. After a treatment of 14-day, the tumors were moved and photographed. The results indicated that GA significantly inhibited tumor growth in a dosage-dependent manner. After exposure of MGC-803 cells to GA (1 μg/ml) for 24, 48, and 72 h, the apoptosis rate was 38.56, 73.70, and 71.77%, respectively. A number of MGC-803 cells turned round in shape and necrosed, while the untreated cells grew well and the skeleton was clear after cultured with GA 1mg/ml for 48 h [1, 3].

***Reference**:*

[1]. Zhai DY, Jin CF, Shiau CW, et al. Gambogic acid is an antagonist of antiapoptotic Bcl-2 family proteins. Molecular Cancer Therapeutics, 2008, 7(6): 329-340.
[2]. Zhao L, Guo QL, You QD, et al. Gambogic acid induces apoptosis and regulates expressions of Bax and Bcl-2 protein in human gastric carcinoma MGC-803 cells. Biological & Pharmaceutical Bulletin, 2004, 27(7): 998-1003.
[3]. Wang F, Zhang W, Guo LT, et al. Gambogic acid suppresses hypoxia-induced hypoxia-inducible factor-1/vascular endothelial growth factor expression via inhibiting phosphatidylinositol 3-kinase/Akt/mammalian target protein of rapamycin pathway in multiple myeloma cells. Cancer Science, 2014, 105(8): 1063-1070.

Protocol

Cell experiment:

Cell lines	MGC-803 cells
Preparation method	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.Reaction

	Conditions
Reacting conditions	1 μg/ml, 48 h
Applications	After exposure of MGC-803 cells to GA (1 μg/ml) for 24, 48, and 72 h, the apoptosis rate was 38.56, 73.70, and 71.77%, respectively. The proportion of G2/M phase cells increased after being treated with GA. Under an inverted-microscope, after cultured with GA 1 mg/ml for 48 h, many MGC-803 cells turned round in shape and necrosed; the untreated cells grew well and the skeleton was clear. Under electron microscope, “dotted” chromatins were found; in a large quantity of tumor cells these condensed chromatin divided into “Apoptosis bodies”.

Animal experiment [3]:

Animal models	BALB/c nude mice bearing SMMC-7721 xenografts
Dosage form	Intravenous injection, 2, 4, and 8 mg/kg, 3 times per week
Applications	The results indicated that iv injection of GGA 2, 4, and 8 mg/kg inhibited dramatically the growth of human hepatocellular cell line SMMC-7721 in nude mice from the early administration.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

***Reference**:*
[1] Zhao L, Guo Q L, You Q D, et al. Gambogic acid induces apoptosis and regulates expressions of Bax and Bcl-2 protein in human gastric carcinoma MGC-803 cells. Biological and Pharmaceutical Bulletin, 2004, 27(7): 998-1003.
[2] Guo Q L, You Q D, Wu Z Q, et al. General gambogic acids inhibited growth of human hepatoma SMMC-7721 cells in vitro and in nude mice. Acta Pharmacologica Sinica, 2004, 25: 769-774.

Caution

Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

ApexBio Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com