# Gambogic Acid

**Cat. No.:** HY-N0087

**CAS No.:** 2752-65-0

**Molecular Formula:** $C_{38}H_{44}O_8$

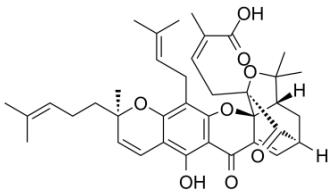
**Molecular Weight:** 628.75

**Target:** Bcl-2 Family; Autophagy

**Pathway:** Apoptosis; Autophagy

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 100 mg/mL (159.05 mM)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.5905 mL | 7.9523 mL | 15.9046 mL |
| 5 mM | 0.3181 mL | 1.5905 mL | 3.1809 mL |
| 10 mM | 0.1590 mL | 0.7952 mL | 1.5905 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.98 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.98 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Gambogic Acid (Beta-Guttiferrin) is derived from the gamboges resin of the tree Garcinia hanburyi. Gambogic Acid (Beta-Guttiferrin) inhibits Bcl-$X_L$, Bcl-2, Bcl-W, Bcl-B, Bfl-1 and Mcl-1 with $IC_{50}$s of 1.47 μM, 1.21 μM, 2.02 μM, 0.66 μM, 1.06 μM and 0.79 μM.

**$IC_{50}$ & Target**

| | | | |
|---|---|---|---|
| Bcl-B<br>0.66 μM ($IC_{50}$) | Mcl-1<br>0.79 μM ($IC_{50}$) | Bfl-1<br>1.06 μM ($IC_{50}$) | Bcl-2<br>1.21 μM ($IC_{50}$) |
| Bcl-xL<br>1.47 μM ($IC_{50}$) | Bcl-W<br>2.02 μM ($IC_{50}$) | Autophagy | |

| | |
|---|---|
| **In Vitro** | Gambogic Acid (Beta-Guttiferrin) is a medicinal compound derived from the gamboges resin of the tree, Garcinia hanburyi. Gambogic Acid has documented cytotoxic activity against tumor cell lines in culture, with concentrations required for killing 50% of cells ($LD_{50}$ of ~1 μM). The activity of Gambogic Acid against the 6 human anti-apoptotic Bcl-2-family proteins is contrasted, using FPAs. Gambogic Acid displaces to various extents FITC-BH3 peptide binding to all 6 proteins, with apparent $IC_{50}$ 1.47 μM for Bcl-$X_L$, 1.21 μM for Bcl-2, 2.02 μM for Bcl-W, 0.66 μM for Bcl-B, 1.06 μM for Bfl-1, and 0.79 μM for Mcl-1[1]. The growth inhibitory effects of Gambogic Acid or Cisplatin (CDDP) on A549, NCI-H460, and NCI-H1299 cells are assessed by the MTT assay after 48 h exposure. A concentration-dependent inhibition of cell growth is observed with Gambogic Acid and CDDP, with $IC_{50}$s of 3.56±0.36 and 21.88±3.21 μM for A549 cells, 4.05±0.51 and 25.76±4.03 μM for NCI-H460 cells, and 1.12±0.31 μM and 25.21±4.38 μM for NCI-H1299 cells[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | To further investigate whether Gambogic Acid (Beta-Guttiferrin) synergises CDDP against tumour growth in vivo, A549 tumors are implanted in SCID mice. When mice are treated with CDDP combined with Gambogic Acid, the tumor inhibition rate is 69.3%, whereas those of mice treated with CDDP and GA alone are 57.2% and 29.0%, respectively[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

| | |
|---|---|
| **Cell Assay** [2] | The in vitro cell viability effects of Gambogic Acid, CDDP alone, or combined treatments are determined by MTT assay. The cells ($2×10^4$ cells per mL) are seeded into 96-well culture plates. After overnight incubation, A549 cells are treated with Gambogic Acid (0.44, 0.88, 1.75, 3.5, 7, 10.5 and 14 μM); NCI-H460 cells are treated with Gambogic Acid (0.5, 1, 2, 4, 8, 12 and 16 μM); NCI-H1299 cells are treated with Gambogic Acid (0.125, 0.25, 0.5, 1, 2 and 4 μM). For the combined treatment in NSCLC cells, three sequences are tested: (a) Gambogic Acid followed by CDDP cells are exposed to Gambogic Acid for 48 h, and then after washout of Gambogic Acid, cells are treated with CDDP for an additional 48 h; (b) CDDP followed by Gambogic Acid cells are exposed to CDDP for 48 h, and then after washout of CDDP, cells are treated with Gambogic Acid for an additional 48 h; and (c) concurrent treatment cells are exposed to both Gambogic Acid and ADM for 48 h. The nature of the drug interaction is analysed by using the combination index (CI)[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Animal Administration** [2] | Mice[2]<br>To determine the in vivo antitumour activity of Gambogic Acid combined with CDDP, viable A549 cells ($5×10^6$/100 μL PBS per mouse) are subcutaneously injected into the right flank of 7- to 8-week-old male SCID mice. When the average tumor volume reach 100 $mm^3$, the mice are randomly divided into four treatment groups, including control (saline only, n=5), Gambogic Acid (3.0 mg/kg per 2 days, intravenously; n=6), CDDP (4 mg/kg per week, intravenously; n=6), and sequential combination (CDDP treatment one day before Gambogic Acid treatment, n=6). CDDP (4 mg/kg, weekly) is generally administered at doses less than the maximum-tolerated dose in an attempt to allow any additive effects of combination treatment with platinum-based agents and Gambogic Acid to be more easily detected. Tumor size is measured once every 2 days with a calipre. Body weight is recorded once every 2 days. After 14 days, the mice are killed and the tumors are excised and stored at -80 °C until further analysis.<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES


[1]. Zhai D, et al. Gambogic acid is an antagonist of antiapoptotic Bcl-2 family proteins. Mol Cancer Ther. 2008 Jun;7(6):1639-46.

[2]. Wang LH, et al. Gambogic acid synergistically potentiates cisplatin-induced apoptosis in non-small-cell lung cancer through suppressing NF-κB and MAPK/HO-1 signalling. Br J Cancer. 2014 Jan 21;110(2):341-52.

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

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