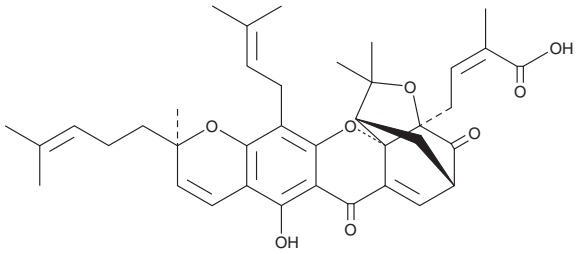
PRODUCT INFORMATION

Gambogic Acid

Item No. 14761

CAS Registry No.: 2752-65-0
Formal Name: 2-methyl-4-[(1R,3aS,5S,11R,14aS)-3a,4,5,7-tetrahydro-8-hydroxy-3,3,11-trimethyl-13-(3-methyl-2-buten-1-yl)-11-(4-methyl-3-penten-1-yl)-7,15-dioxo-1,5-methano-1H,3H,11H-furo[3,4-g]pyrano[3,2-b]xanthen-1-yl]-2Z-butenoic acid
Synonyms: GA, β-Guttiferin
MF: $C_{38}H_{44}O_8$
FW: 628.8
Purity: ≥95% (mixture of epimers)
UV/Vis.: λ_{max}: 291, 361 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GA is supplied as a crystalline solid. A stock solution may be made by dissolving the GA in the solvent of choice. GA is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of GA in ethanol is approximately 25 mg/ml and approximately 16.7 mg/ml in DMSO and DMF.

GA is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GA should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. GA has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Gambogic acid (GA) is a xanthonoid that has been found in G. hanburyi resin and has anticancer activity.[1-3] It binds covalently to the regulatory subunit B (SPTSSB) of the serine palmitoyltransferase complex (K_i = 1.5 µM; k_{inact} = 0.05 min^{-1}) and inhibits *de novo* sphingolipid biosynthesis.[1] GA activates caspases in T47D breast cancer cells (EC_{50} = 0.78 µM) and inhibits binding of anti-apoptotic proteins to a BH3 peptide (IC_{50}s = 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), as well as decreases the expression of Bcl-2 and increases the expression of Bax in MGC-803 human gastric carcinoma cells.[4-6] GA binds to the transferrin receptor (IC_{50} = 4.1 µM), reduces growth of a variety of cancer cell lines (GI_{50}s = 0.115-1 µM), and induces apoptosis in T47D cells.[2] It also inhibits growth of U87 glioma cells, as well as increases the levels of leucine-rich repeats and immunoglobulin-like domains protein 1 (LRIG1), decreases the levels of EGFR, and reduces Akt/mTORC1 signaling in these cells.[3] GA inhibits the chymotrypsin activity of the 20S proteasome in a dose-dependent manner and is a slow inhibitor of inward-rectifying potassium channel 2.1 (K_{ir}2.1; IC_{50} = <0.1 µM).[7,8]

References

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5. Zhai, D., Jin, C., Shiau, C.-W., *et al. Mol. Cancer Ther.* **7(6)**, 1639-1646 (2008).
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