

Product Data Sheet

Product Name: Amarogentin

Cat. No.: GC42776

Chemical Properties

Cas. No. 21018-84-8

SMILES O=C1OCC[C@]2([H])C1=CO[C@@H](O[C@]3([H])O[C@H](CO)[C@@H](O)[C@H](O)[C@H]3OC(C4=C(C5=CC=CC(O)=C5)C=C(O)C=C4O)=O)[C@@H]2C=C

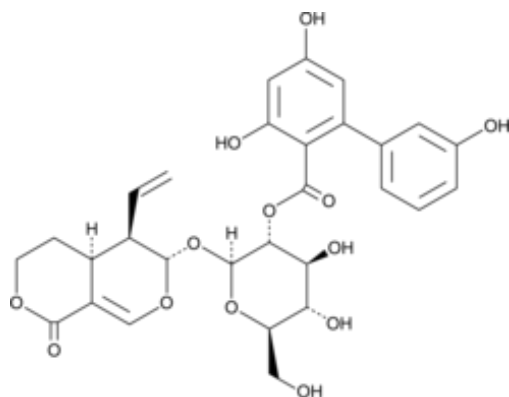
Formula C₂₉H₃₀O₁₃ M.Wt 586.5

Solubility DMSO: 100 mg/ml, PBS (pH 7.2): 0.1 mg/ml 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 PC12 cells (rat pheochromocytoma cell line)

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Preparation Method PC12 cells were maintained in Dulbecco's modified Eagle's medium (DMEM) supplemented with 7.5% fetal bovine serum (FBS) and 10% horse serum at 37°C, 5% CO₂. PC12 cells were pretreated with Amarogentin (1, 3, and 10μM) for 24 hours, followed by oxidative stress induction with 0.9mM H₂O₂ for 1 hour.

Reaction Conditions 1–10μM; pretreatment for 24 hours.

Applications In PC12 cells, Amarogentin (3μM) reduced H₂O₂-induced reactive oxygen species (ROS) and malondialdehyde (MDA) levels, respectively, while increasing SOD2 activity and gene expression of SOD2, CAT, GPx, Nrf2, and Bcl-x1.

Animal experiment [2]:

Animal models Female Sprague-Dawley rats with bilateral ovariectomy (OVX)-induced osteoporosis

Preparation Method Osteoporosis was induced via bilateral ovariectomy. Rats were orally administered Amarogentin (50 or 100mg/kg) daily for 5 weeks. Bone mineral density (BMD) and serum biochemical markers were measured post-treatment.

Dosage form 50 or 100mg/kg; p.o.; Once daily for 5 weeks.

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Applications

Amarogentin (100mg/kg) significantly increased BMD in the whole body, lumbar spine, and femur of OVX rats compared to untreated OVX controls.

Amarogentin attenuated serum levels of bone resorption markers (CTX) and enhanced bone formation markers (osteocalcin, PINP, and BSAP). Amarogentin reduced pro-inflammatory cytokines (IL-1 β , IL-17, and TNF- α) in serum and modulated bone tissue expression of Akt, Nrf-2, ERK, and NF- κ B p65 proteins.

References:

[1] Disasa D, Cheng L, Manzoor M, et al. Amarogentin from *Gentiana rigescens* Franch Exhibits Antiaging and Neuroprotective Effects through Antioxidative Stress. *Oxid Med Cell Longev*. 2020 Aug 1;2020:3184019.

[2] Li S, Li X, He F, et al. Amarogentin promotes osteoblast differentiation in oestrogen-deficiency-induced osteoporosis rats by modulating the Nrf-2/MAPK/ERK signalling pathway. *Arch Med Sci*. 2019 Nov 11;19(2):452-457.

Background

Amarogentin is a secoiridoid glycoside natural product extracted from the roots of *Swertia* and *Gentiana* plants. Amarogentin possesses a range of biological activities, including immunomodulatory, antidiabetic, and antitumor effects^[1-2]. Amarogentin has demonstrated potential value in research areas such as gastric cancer, colorectal cancer, diabetes, and neuroprotection^[3-4].

In vitro, pretreatment of PC12 cells with Amarogentin (1-10 μ M) for 24 hours, followed by culture under oxidative stress conditions induced by hydrogen peroxide (0.9mM), Amarogentin significantly inhibited the increase in reactive oxygen species (ROS) and malondialdehyde (MDA) levels caused by oxidative stress. Amarogentin upregulated the gene expression of SOD2, CAT, GPx, Nrf2, and Bcl-x1 while enhancing SOD2 enzymatic activity, thereby exerting a neuroprotective effect^[5]. HepG2 and Huh7 cells treated with incomplete radiofrequency ablation (IRFA) were incubated with Amarogentin (120 μ g/ml) for 24 hours. Amarogentin significantly reduced the proportion of CD133-positive cells and the secretion of vascular endothelial growth factor A (VEGFA), and inhibited the VEGFA/Dll4/Notch1 signaling pathway via a p53-dependent mechanism, thereby suppressing angiogenesis^[6].

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In vivo, oral administration of Amarogentin (50 or 100mg/kg/day) to ovariectomy-induced estrogen-deficient osteoporotic rats for 5 consecutive weeks, Amarogentin significantly increased whole-body and lumbar spine bone mineral density, improved levels of serum bone formation markers (osteocalcin, C-terminal telopeptide of type I collagen, N-terminal propeptide of type I procollagen, and bone-specific alkaline phosphatase), Amarogentin reduced the expression of inflammatory cytokines (IL-1 β , IL-17, and TNF- α), and enhanced osteoblast differentiation by modulating the Nrf-2/MAPK/ERK signaling pathway^[7]. Intravenous administration of Amarogentin (0.5mg/kg/day; for 1 consecutive week) to streptozotocin-induced type 1 diabetic rats, Amarogentin significantly lowered fasting blood glucose, increased skeletal muscle glucose transporter 4 (GLUT4) expression, and inhibited liver phosphoenolpyruvate carboxykinase (PEPCK) activity^[8].

References:

- [1] Singh S, Varshney M, Sharma H. Amarogentin, Natural Bitter Terpenoids: Research Update with Pharmacological Potential, Patent and Toxicity Aspects. *Curr Top Med Chem*. 2025 Aug 21.
- [2] Patel K, Kumar V, Verma A, et al. Amarogentin as Topical Anticancer and Anti-Infective Potential: Scope of Lipid Based Vesicular in its Effective Delivery. *Recent Pat Antiinfect Drug Discov*. 2019;14(1):7-15.
- [3] Wölflé U, Haarhaus B, Schempp CM. Amarogentin Displays Immunomodulatory Effects in Human Mast Cells and Keratinocytes. *Mediators Inflamm*. 2015;2015:630128.
- [4] Sur S, Pal D, Banerjee K, et al. Amarogentin regulates self renewal pathways to restrict liver carcinogenesis in experimental mouse model. *Mol Carcinog*. 2016 Jul;55(7):1138-49.
- [5] Disasa D, Cheng L, Manzoor M, et al. Amarogentin from *Gentiana rigescens* Franch Exhibits Antiaging and Neuroprotective Effects through Antioxidative Stress. *Oxid Med Cell Longev*. 2020 Aug 1;2020:3184019.
- [6] Zhang Y, Zhang Y, Wang J, et al. Amarogentin Inhibits Liver Cancer Cell Angiogenesis after Insufficient Radiofrequency Ablation via Affecting Stemness and the p53-Dependent VEGFA/DII4/Notch1 Pathway. *Biomed Res Int*. 2020 Oct 20;2020:5391058.
- [7] Li S, Li X, He F, et al. Amarogentin promotes osteoblast differentiation in oestrogen-deficiency-induced osteoporosis rats by modulating the Nrf-2/MAPK/ERK signalling pathway. *Arch Med Sci*. 2019 Nov 11;19(2):452-457.
- [8] Niu HS, Chao PC, Ku PM, et al. Amarogentin ameliorates diabetic disorders in animal models. *Naunyn Schmiedeberg Arch Pharmacol*. 2016 Nov;389(11):1215-1223.

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