

Product Data Sheet

Product Name: Amentoflavone

Cat. No.: GN10484

Chemical Properties

Cas. No. 1617-53-4

Chemical Name 8-[5-(5,7-dihydroxy-4-oxochromen-2-yl)-2-hydroxyphenyl]-5,7-dihydroxy-2-(4-hydroxyphenyl)chromen-4-one

SMILES C1=CC(=CC=C1C2=CC(=O)C3=C(O2)C(=C(C=C3O)O)C4=C(C=CC(=C4)C5=CC(=O)C6=C(C=C(C=C6O5)O)O)O)O

Formula C₃₀H₁₈O₁₀

M.Wt 538.46

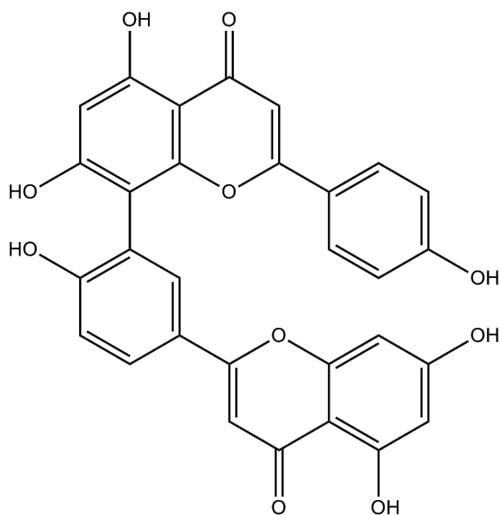
Solubility ≥ 26.9mg/mL in DMSO

Storage Store at 2-8°C, protect from light

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]:

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Cell lines A549 cells

Preparation Method A549 cells were cultured in DMEM medium supplemented with 10% fetal bovine serum, 100U/ml penicillin, and 100µg/ml streptomycin at 37°C with 5% CO₂ and 95% saturated atmospheric humidity. Cells were seeded into 96-well microplates at a density of 5×10⁴ cells/ml for 12h. Various concentrations of Amentoflavone (0, 1, 5, 10, 20, 50, and 100µM) were added to each well. At the end of the incubation period (48h at 37°C), cell viability was analyzed.

Reaction Conditions 0, 1, 5, 10, 20, 50, and 100µM; 48h

Applications Amentoflavone treatment significantly induced cell death of A549 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models BALB/c mice

Preparation Method BALB/c mice (5 weeks old) were maintained under a 12h light and 12h dark cycle at a temperature of 25°C and humidity of 50%±10%, free with water and diet. CT26 cells were suspended in 100µl of serum-free RPMI-1640 medium, which containing 30µl matrigel. Cells were subcutaneously injected into the right thigh (1×10⁷) or rectum (1×10⁶) of the mouse with 29-gauge needles and holding a cotton swab over the injection site for 1min. When the average tumor volume of the mice reached 100mm³, mice were randomly divided into a control group (1% DMSO/week), Amentoflavone (100mg/kg/week) group. DMSO and Amentoflavone were given by gavage for 2 weeks. During the treatment, the tumor volume of each group was evaluated by electronic caliper, and the calculation was followed by the formula (tumor volume=0.523×length×width²). Mouse body weight was measured every week.

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Dosage form 10mg/kg/week for 2 weeks; p.o.

Applications Amentoflavone treatment inhibited tumor growth in mice with CT26 xenografts, without affecting body weight.

References:

- [1] Wang C G, Yao W N, Zhang B, et al. Lung cancer and matrix metalloproteinases inhibitors of polyphenols from *Selaginella tamariscina* with suppression activity of migration[J]. Bioorganic & medicinal chemistry letters, 2018, 28(14): 2413-2417.
- [2] Fang Y J, Chen C H, Ku H J, et al. Amentoflavone inhibits colorectal tumor growth, stemness, and metastasis, prolonging survival through GLI-1/IL6/STAT3 axis targeting[J]. Biomedicine & Pharmacotherapy, 2025, 191: 118435.

Background

Amentoflavone is an orally active phenolic compound with anti-inflammatory, anti-oxidation, anti-microbial, and anti-cancer activities [1]. Amentoflavone exerts anti-SARS-CoV-2 effect via binding to the main protease (Mpro/3CLpro), spike protein receptor binding domain (RBD), and RNA-dependent RNA polymerase (RdRp) of SARS-CoV-2 [2]. Amentoflavone has been widely used to inhibit the growth of malignant tumors, induce apoptosis of tumor cells, and suppress angiogenesis[3].

In vitro, Amentoflavone treatment for 48 hours significantly inhibited the proliferation of HepG2, T24, and A549 cells, with IC₅₀ values of 9.13, 10.67, and 8.36μM, respectively [4]. Treatment with 5μM Amentoflavone for 24 hours significantly induced cell cycle arrest and autophagy in BV-2 cells, and increased the expression of CDK2, p27^{Kip1}, and p53/p-p53[5]. Treatment with 60μM Amentoflavone for 1 hour significantly inhibited the nuclear translocation of p65 and the activation of NF-κB in RAW 264.7 cells induced by lipopolysaccharide (LPS), and reduced NO production[6].

In vivo, Amentoflavone treatment via oral administration at a dose of 25mg/kg daily for 3 days prevented pilocarpine-induced epilepsy in mice, inhibited the activation and expression of NF-κB, and suppressed the hyperexcitability of hippocampal neurons [7]. Oral administration of Amentoflavone at

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a dose of 100mg/kg weekly for 2 weeks significantly inhibited tumor growth and prolonged the survival of CT26 xenograft tumor-bearing mice^[8].

References:

- [1] Yu S, Yan H, Zhang L, et al. A review on the phytochemistry, pharmacology, and pharmacokinetics of amentoflavone, a naturally-occurring biflavonoid[J]. *Molecules*, 2017, 22(2): 299.
- [2] Xiong X, Tang N, Lai X, et al. Insights into amentoflavone: A natural multifunctional biflavonoid[J]. *Frontiers in Pharmacology*, 2021, 12: 768708.
- [3] Tuli H S, Joshi H, Vashishth K, et al. Chemopreventive mechanisms of amentoflavone: recent trends and advancements[J]. *Naunyn-Schmiedeberg's Archives of Pharmacology*, 2023, 396(5): 865-876.
- [4] Wang C G, Yao W N, Zhang B, et al. Lung cancer and matrix metalloproteinases inhibitors of polyphenols from *Selaginella tamariscina* with suppression activity of migration[J]. *Bioorganic & medicinal chemistry letters*, 2018, 28(14): 2413-2417.
- [5] Liu Z, Wang F, Ma H, et al. Amentoflavone induces cell cycle arrest, apoptosis, and autophagy in BV-2 cells[J]. *Frontiers in Bioscience-Landmark*, 2020, 25(5): 798-816.
- [6] Woo E R, Lee J Y, Cho I J, et al. Amentoflavone inhibits the induction of nitric oxide synthase by inhibiting NF- κ B activation in macrophages[J]. *Pharmacological Research*, 2005, 51(6): 539-546.
- [7] Zhang Z, Sun T, Niu J, et al. Amentoflavone protects hippocampal neurons: anti-inflammatory, antioxidative, and antiapoptotic effects[J]. *Neural regeneration research*, 2015, 10(7): 1125-1133.
- [8] Fang Y J, Chen C H, Ku H J, et al. Amentoflavone inhibits colorectal tumor growth, stemness, and metastasis, prolonging survival through GLI-1/IL6/STAT3 axis targeting[J]. *Biomedicine & Pharmacotherapy*, 2025, 191: 118435.

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