

Product Data Sheet

Product Name: Gracillin
 Cat. No.: GN10533

Chemical Properties

Cas. No. 19083-00-2

SMILES CC1CCC2(C(C3C(O2)CC4C3(CCC5C4CC=C6C5(CCC(C6)OC7C(C(C(C(O7)CO)O)OC8C(C(C(C(O8)CO)O)O)OC9C(C(C(C(O9)C)O)O)O)C)C)C)OC1

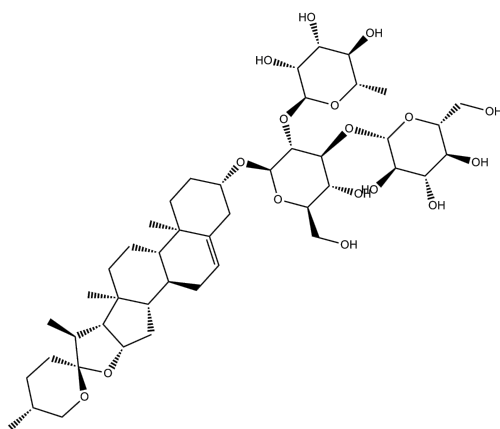
Formula $C_{45}H_{72}O_{17}$ M.Wt 884.48

Solubility $\geq 174.4\text{mg/mL}$ in DMSO, Limited solubility in EtOH Storage 4°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]:

Cell lines	A549 cells
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Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation Method	A549 cells were cultured in 6-well plates at a density of 1.5×10^5 /well and cultured for 12h, and then treated with a series of concentrations of Gracillin (0.25, 0.5, 1, 2, 4 μ M) for 24h. The cells were stained with Hoechst 33258 staining buffer and the morphology of apoptosis was observed by fluorescence microscopy.
Reaction Conditions	0.25, 0.5, 1, 2, 4 μ M; 24h
Applications	Gracillin induced morphological changes in apoptosis of A549 cells by fluorescence microscopy after staining with Hoechst33258. Compared with the blank group, the Gracillin treatment group showed the typical morphological characteristics of apoptotic cells, specifically, the number of cells was relatively reduced, the nucleus was pyknotic, the chromatin condensed into lumps under the nuclear membrane, and showed bright blue fluorescence staining.
Animal experiment [2]:	
Animal models	Female BALB/c nude mice
Preparation Method	HCT116 cells were harvested and then transplanted subcutaneously (5×10^6 cells in 100 μ L of PBS) on the right flank of the mice. The mice were randomly divided into three groups, which were injected intraperitoneal every other day with Gracillin (1mg/kg or 2mg/kg) or PBS (control) till the tumours' volume reached $\sim 100 \text{ mm}^3$.
Dosage form	1mg/kg or 2mg/kg; i.p.; 8 times

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Applications	Results clearly show that the volume and weight of the tumours were lower in the Gracillin treated mice when compared to the control group. This inhibitory effect was in a clear dose dependent manner.
References: [1] Yang J, et al. Gracillin Isolated from <i>Reineckia carnea</i> Induces Apoptosis of A549 Cells via the Mitochondrial Pathway. <i>Drug Des Devel Ther.</i> 2021 Jan 20;15:233-243. [2] Yang L, et al. Gracillin shows potent efficacy against colorectal cancer through inhibiting the STAT3 pathway. <i>J Cell Mol Med.</i> 2021 Jan;25(2):801-812.	

Background

Gracillin, as a natural steroidal saponin component of *D. quinqueloba*, has antitumour, antimicrobial, antioxidant, pro-apoptotic and anti-inflammatory properties^[1].

In vitro, Gracillin markedly inhibited the viability of RKO, SW480 and HCT116 cells, with IC₅₀ of 3.118, 2.671 and 5.473µM, respectively. Gracillin (0, 2.5, 5 or 10µM; 36h) also stimulated apoptosis in human CRC cells in a dose-dependent manner^[1]. Gracillin obviously inhibited the proliferation of A549 cells with IC₅₀ of 2.54µmol/L in a concentration-dependent and time-dependent manner and induced morphological changes^[2]. Gracillin inhibited the gastric tumor BGC823 cell proliferation in a concentration-dependent manner with IC₅₀ of 8.3µM and gastric tumor SGC7901 cell proliferation with IC₅₀ of 8.9µM in the range of 0-15µM^[3]. Gracillin (0-12µM; 12h) induced TIPE2 expression in BGC-823 cells in a concentration-dependent manner^[3]. Gracillin had dose-dependent inhibitory effects on the mitochondrial function in various human cancer cell lines derived from lung, colorectum, prostate, pharynx, and liver with IC₅₀ of ~1-5µM^[4].

In vivo, Gracillin (1 or 2mg/kg; i.p.; administered 8 times over 14 days) dose-dependently inhibited the growth of HCT116 cell-derived xenograft tumors in BALB/c nude mice while maintaining stable body weight^[1]. Fish treated with 1mg/kg Gracillin carried significantly fewer parasites than the

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control, and the acute toxicity LD₅₀ of Gracillin for grass carp was 1.64mg/kg [5].

References:

- [1] Yang L, et al. Gracillin shows potent efficacy against colorectal cancer through inhibiting the STAT3 pathway. J Cell Mol Med. 2021 Jan;25(2):801-812.
- [2] Yang J, et al. Gracillin Isolated from Reineckia carnea Induces Apoptosis of A549 Cells via the Mitochondrial Pathway. Drug Des Devel Ther. 2021 Jan 20;15:233-243.
- [3] Liu W, et al. Beneficial Effects of Gracillin From Rhizoma Paridis Against Gastric Carcinoma via the Potential TIPE2-Mediated Induction of Endogenous Apoptosis and Inhibition of Migration in BGC823 Cells. Front Pharmacol. 2021 Sep 24;12:669199.
- [4] Min HY, et al. The natural compound gracillin exerts potent antitumor activity by targeting mitochondrial complex II. Cell Death Dis. 2019 Oct 24;10(11):810.
- 5[5] Zheng W, et al. Antiparasitic efficacy of Gracillin and Zingiberis newsaponin from Costus speciosus (Koen ex. Retz) Sm. against Ichthyophthirius multifiliis. Parasitology. 2015 Mar;142(3):473-9.

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