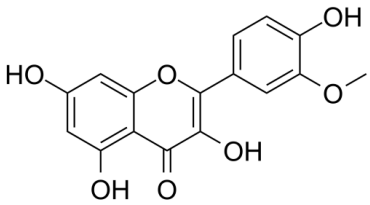
Data Sheet

Product Name:	Isorhamnetin
Cat. No.:	CS-5945
CAS No.:	480-19-3
Molecular Formula:	$C_{16}H_{12}O_7$
Molecular Weight:	316.26
Target:	Endogenous Metabolite; MEK; PI3K
Pathway:	MAPK/ERK Pathway; Metabolic Enzyme/Protease; PI3K/Akt/mTOR
Solubility:	DMSO : 100 mg/mL (316.20 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Isorhamnetin is a flavonoid compound extracted from the Chinese herb Hippophae rhamnoides L.. Isorhamnetin suppresses skin cancer through direct inhibition of **MEK1** and **PI3K**. IC50 & Target: MEK1[1]

PI3K[1] **In Vitro:** Isorhamnetin is a plant flavonoid that occurs in fruits and medicinal herbs. Isorhamnetin binds directly to MEK1 in an ATP-noncompetitive manner and to PI3-K in an ATP-competitive manner. In vitro and ex vivo kinase assay data show that Isorhamnetin inhibits the kinase activity of MAP/ERK kinase (MEK) 1 and PI3-K and the inhibition is due to direct binding with Isorhamnetin[1]. Isorhamnetin inhibits the Akt/mTOR and MEK/ERK signaling pathways, and promotes the activity of the mitochondrial apoptosis signaling pathway. The inhibitory effects of Isorhamnetin on breast cancer cells are determined using the CCK-8 method. Isorhamnetin inhibits the proliferation of numerous breast cancer cells (IC_{50}, ~10 μM), including MCF7, T47D, BT474, BT-549, MDA-MB-231 and MDA-MB-468, whereas less inhibitory activity is observed in the MCF10A normal breast epithelial cell line (IC_{50}, 38 μM)[2]. **In Vivo:** Photographic data shows that Isorhamnetin treatment suppresses tumor development in mice. The average volume of tumors in untreated mice increases over time and reaches a volume of 623 mm^3 at 4 weeks post-inoculation; however, at this time, in mice treated with 1 or 5 mg/kg Isorhamnetin, the average tumor volume is only 280 or 198 mm^3, respectively. At the end of the study, Isorhamnetin treatment (1 or 5 mg/kg) reduces tumor weight compared with the untreated control group[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [2]**MCF7, T47D, BT474, BT-549, MDA-MB-231 and MDA-MB-468 breast cancer cell lines**, as well as a MCF10A normal breast epithelial cell line (control) are seeded into 96-well plates at a density of 5×10^3 cells/well in 100 μL DMEM and placed in cell incubator for 12 h at 37°C in an atmosphere containing 5% CO_2. The cells are then treated with various concentrations of **Isorhamnetin (100, 33.3, 11.1, 3.7, 1.2, 0.4 and 0 μM) for 48 h**, and cell proliferation rates are determined by adding 10 μL CCK-8 solution prior to incubation at 37°C for 2 h. The absorbance is measured at a wavelength of 450 nm using a SpectraMax 190 Microplate Reader. For each assay, four parallel wells are included, and the half maximal inhibitory concentration (IC_{50}) is measured using the inhibition curve and presented as the mean of three independent experiments[2].

Animal Administration: Isorhamnetin is prepared in 40% DMSO/PBS buffer (Mice)[1].[1]Mice[1]

Female athymic nude mice are injected subcutaneously in the flank with A431 cells (1×10^6 cells in 50 μL of medium and 50 μL of Matrigel). Cells are allowed to form tumors, and once the tumors reach a size of 40 mm^3, the mice are randomly assigned into groups (6 mice/group) and treated with (**1 or 5 mg/kg** body weight) or without **Isorhamnetin** in 40% DMSO/PBS buffer, administered **intraperitoneally every other day for 28 days**. Tumor size is measured every week with calipers, and the tumor volume is calculated. Mice are sacrificed after 28 days of treatment when the control tumors reach approximately 600 mm^3. The tumors are harvested, photographed, and weighed. Tumor tissues are used for western blot analysis and immunohistochemical analysis.

References:

[1]. Kim JE, et al. Isorhamnetin suppresses skin cancer through direct inhibition of MEK1 and PI3-K. Cancer Prev Res (Phila). 2011 Apr;4(4):582-91.

[2]. Hu S, et al. Isorhamnetin inhibits cell proliferation and induces apoptosis in breast cancer via Akt and mitogen activated protein kinase kinase signaling pathways. Mol Med Rep. 2015 Nov;12(5):6745-51.

CAIndexNames:

4H-1-Benzopyran-4-one, 3,5,7-trihydroxy-2-(4-hydroxy-3-methoxyphenyl)-

SMILES:

O=C1C(O)=C(C2=CC=C(O)C(OC)=C2)OC3=CC(O)=CC(O)=C13

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