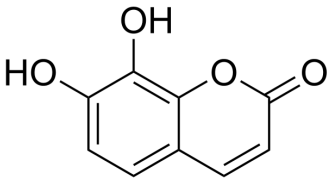
Daphnetin

Cat. No.: HY-N0281

CAS No.: 486-35-1

Molecular Formula: $C_9H_6O_4$

Molecular Weight: 178.14



Target: EGFR; PKA; PKC; Autophagy; Parasite; Apoptosis; AMPK; Akt; mTOR; Reactive Oxygen Species; Caspase; Bcl-2 Family; PARP

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt; TGF-beta/Smad; Epigenetics; Autophagy; Anti-infection; Apoptosis; PI3K/Akt/mTOR; Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB; Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (280.68 mM; Need ultrasonic)

H_2O : 1 mg/mL (5.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	5.6136 mL	28.0678 mL	56.1356 mL
	5 mM	1.1227 mL	5.6136 mL	11.2271 mL
	10 mM	0.5614 mL	2.8068 mL	5.6136 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 25 mg/mL (140.34 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (14.03 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (14.03 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (14.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Daphnetin (7,8-dihydroxycoumarin), one coumarin derivative can be found in plants of the Genus Daphne, is a potent, oral active protein kinase inhibitor, with IC_{50}s of 7.67 μM, 9.33 μM and 25.01 μM for EGFR, PKA and PKC in vitro, respectively. Daphnetin triggers ROS-induced cell apoptosis and induces cytoprotective autophagy by modulating the AMPK/Akt/mTOR pathway. Daphnetin has anti-inflammation activitity and inhibits TNF-α, IL-1ß, ROS, and MDA production. Daphnetin has schizontocidal activity against malaria parasites. Daphnetin can be used for rheumatoid arthritis , cancer and anti-malarian research[1][2][3][4].

IC_{50} & Target				
	EGFR 7.67 μM (IC_{50})	Plasmodium	PKA 9.33 μM (IC_{50})	PKC 25.01 μM (IC_{50})

In Vitro

Daphnetin (7,8-dihydroxycoumarin) (0-40 μg/mL; 24-48 hours) inhibits the proliferation of ovarian cancer cells[1].
Daphnetin (7,8-dihydroxycoumarin) (0-40 μg/mL; 24 hours; A2780 cells) induces apoptosis and increases ROS production in a dose-dependent manner[1].
Daphnetin (7,8-dihydroxycoumarin) (0-40 μg/mL; 24 hours; A2780 cells) induces autophagy through modulation of the AMPK/Akt/mTOR pathway[1].
Daphnetin (7,8-dihydroxycoumarin) (1-10 μM; plasmodium falciparum) exhibits schizontocidal activity in a dose-dependent manner[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	IOSE8C, A2780, SKOV3 and OVCAR8 cells
Concentration:	0, 5, 10, 20 and 40 μg/mL
Incubation Time:	24 h and 48 hours
Result:	Inhibited growth in ovarian cancer cells but not in normal cells.

Apoptosis Analysis[1]

Cell Line:	A2780 and SKOV3 cells
Concentration:	0, 10, 20 and 40 μg/mL
Incubation Time:	24 hours
Result:	Increased apoptosis in a dose-dependent manner in A2780 and SKOV3 cells.

Western Blot Analysis[1]

Cell Line:	A2780 and SKOV3 cells
Concentration:	0, 10, 20 and 40 μg/mL
Incubation Time:	24 hours
Result:	Increased proapoptotic protein (Caspase 3, Bax, and PARP) expression but decreased antiapoptotic protein (Bcl2) expression.

Western Blot Analysis[1]

Cell Line:	A2780 cells
Concentration:	0, 10, 20 and 40 μg/mL
Incubation Time:	24 hours
Result:	Increased LC3 II and p62 expression in a dose-dependent manner and reduced the

	expression levels of p-Akt, p-mTOR, but increased the expression level of p-AMPK.

In Vivo

Daphnetin (7,8-dihydroxycoumarin) (30 mg/kg; i.p.; daily; for 12 days; BALB/c nude mice) has antitumour activities in a xenograft animal model[1].

Daphnetin (7,8-dihydroxycoumarin) (2.5-10 mg/kg; i.p.; daily; for three days; C57BL/6 mice) inhibits cisplatin-induced inflammation, decreases TNF-α, IL-1β, ROS and MDA production in a dose-dependent manner in kidney tissues. Daphnetin inhibits cisplatin-induced NF-κB activation and up-regulated Nrf2 and HO-1[2].

Daphnetin (7,8-dihydroxycoumarin) (10-100 mg/kg; i.g. and i.p.; every four days, for 30 days; male Kunming outbred strain mice) displays certain schizontocidal activity in vivo[3].

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Animal Model:	BALB/c nude mice[1]
Dosage:	30 mg/kg
Administration:	Intraperitoneal injection; Daily; for 12 days
Result:	Decreased tumor volume and weight in a xenograft animal model.

Animal Model:	Male Kunming outbred strain mice[3]
Dosage:	10, 50 or 100 mg/kg
Administration:	Oral gavage and intraperitoneal injection; every four days, for 30 days
Result:	Reduced the number of parasites in mice.

CUSTOMER VALIDATION

- Am J Pathol. 2022 Sep 20;S0002-9440(22)00281-4.
- Pharmacology. 2021 Apr 26;1-15.

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REFERENCES

[1]. Fan X, et, al. Daphnetin triggers ROS-induced cell death and induces cytoprotective autophagy by modulating the AMPK/Akt/mTOR pathway in ovarian cancer. Phytomedicine. 2021 Feb;82:153465.

[2]. Zhang L, et, al. Daphnetin protects against cisplatin-induced nephrotoxicity by inhibiting inflammatory and oxidative response. Int Immunopharmacol. 2018 Dec;65:402-407.

[3]. Wang QM, et, al. The schizontocidal activity of daphnetin against malaria parasites in vitro and in vivo. Zhongguo Ji Sheng Chong Xue Yu Ji Sheng Chong Bing Za Zhi. 2000;18(4):204-6.

[4]. Yang EB, Zhao YN, Zhang K, Mack P. Daphnetin, one of coumarin derivatives, is a protein kinase inhibitor. Biochem Biophys Res Commun. 1999 Jul 14;260(3):682-5.

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

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