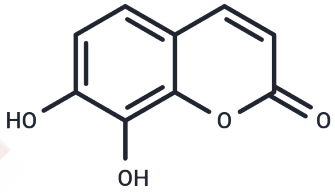
Data Sheet (Cat.No.T2851)

TargetMol

Daphnetin

Chemical Properties



CAS No. : 486-35-1

Formula: C9H6O4

Molecular Weight: 178.14

Storage: Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Daphnetin (7,8-Dihydroxycoumarin), a natural coumarin derivative, is a protein kinase inhibitor with inhibitory for EGFR (IC50: 7.67 μM), PKA (IC50: 9.33 μM), and PKC (IC50: 25.01 μM), also exhibit anti-oxidant and anti-inflammatory activities.
Targets(IC50)	Apoptosis,EGFR,Bcl-2 Family,Reactive Oxygen Species,Akt,Caspase,AMPK,Parasite, Autophagy,mTOR,PARP,PKA,PKC,ROS
In vitro	In water maze and forced swimming tests, Daphnetin (2-8 mg/kg) significantly improved the performance of stressed mice. At a dosage of 140 mg/kg, Daphnetin was able to reduce uterine weight by 39.5%. Additionally, Daphnetin markedly prolonged the survival time of mice infected with P. yoelii.
In vivo	Daphnetin, at concentrations between 25-40 μM, achieves a 50% inhibition rate against the incorporation of hypoxanthine induced by malignant malaria parasites. At a higher concentration of 50 μM, Daphnetin significantly reduces the levels of cyclin D1. It counteracts the reduction in cell viability caused by dexamethasone, which affects cortical neurons, in a dose-dependent manner. Daphnetin also inhibits the activity of endogenous or recombinant TaPRK in a specific, dose-dependent way. Moreover, it effectively inhibits tyrosine phosphorylation of exogenous substrates catalyzed by the epidermal growth factor receptor, in addition to inhibiting the activity of PKA and PKC. Treatment with Daphnetin for 24 hours suppresses the growth of the human cancer cell line MCF-7, which responds to estrogen (IC50: 73 μM), and inhibits the ERK1/ERK2 mitogenic signaling pathway.
Kinase Assay	Assay of protein kinase C (PKC) and cAMP-dependent protein kinase (PKA) activities.: PKC and PKA activities are detected. Briefly, 5 mL of PKC or PKA is mixed with 5 mL of lipid preparation containing 100 mM phorbol 12-myristate 13-acetate, 2.8 mg/mL phosphatidyl serine, and Triton X-100 mixed micelles for PKC assay or 5 mL of 40 mM cAMP in 50 mM Tris-HCl, pH 7.5, for PKA assay, and 5 mL of daphnetin. The reaction is started by adding 10 mL of PKC substrate solution containing 250 mM acetylated myelin basic protein, 100 mM ATP, 5 mM CaCl2, 10 mM MgCl2, 20 mM Tris-HCl, pH 7.5, or 10 mL of PKA substrate solution containing 200 mM Kemptide, 400 mM ATP, 40 mM MgCl2, 1 mg/mL BSA, 50 mM Tris-HCl, pH 7.5, and 20-25 mCi/mL[g-32P] ATP. After incubation at 25 °C for 5 minutes, 20 mL of each mixture is spotted on a piece of phosphocellulose disc which is immediately put into 1% H3PO4. After free [g-32P] ATP on the discs is removed, the peptide-incorporated 32P on the discs is counted in a scintillation counte

Cell Research	The cytostatic effect of Daphnetin tested on the MCF-7 tumor cells is estimated using the microculture MTT assay. The assay is based on the reduction of soluble tetrazolium salt by mitochondria of viable cells. The reduced product, an insoluble purple-colored formazan, is dissolved in dimethyl sulfoxide and measured spectrophotometrically (570 nm). The amount of formazan formed is proportional to the number of viable cells. Cells (3 × 103) are seeded in each of the 96 microplate wells in a 200 μL medium containing the corresponding concentration of daphnetin. Daphnetin is tested at five concentrations (12.5 μM, 25 μM, 50 μM, 100 μM, 200 μM). After 24-hour, 48-hour, and 72-hour exposure, the percentage of proliferative inhibition of treated cells is estimated against the solvent-treated control cells (PI% = [(T/C) ? 1] × 100). PI = proliferation inhibition; T = treated, C = control. IC50 is calculated from the least square concentration-response regressions.(Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 50 mg/mL (280.68 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (11.23 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.1123 mL	0.5614 mL	1.1227 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Yang EB, et al. Biochem Biophys Res Commun, 1999, 260(3), 682-685.
Jiménez-Orozco FA, et al. Eur J Pharmacol. 2011 Oct 1;668(1-2):35-41.
Liao MJ, et al. Fundam Clin Pharmacol, 2012.
Kane K, et al. Biochem Cell Biol, 2012, 90(5), 657-666.
Yang YZ, et al. Am J Trop Med Hyg, 1992, 46(1), 15-20.
Lv H, et al. Daphnetin-mediated Nrf2 antioxidant signaling pathways ameliorate tert-butyl hydroperoxide (t-BHP)-induced mitochondrial dysfunction and cell death. Free Radic Biol Med. 2017 May;106:38-52.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481