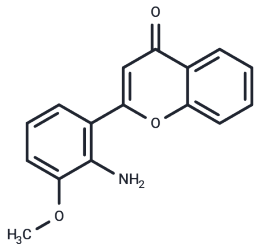
Data Sheet (Cat.No.T2623)

PD98059

Chemical Properties



CAS No. : 167869-21-8

Formula: C16H13NO3

Molecular Weight: 267.28

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	PD98059 is an MEK inhibitor that inhibits MEK1 and MEK2 (IC50=2/50 μM) and is non-ATP-competitive. PD98059 is also antagonistic as a ligand for AHR. PD98059 inhibits autophagy.
Targets(IC50)	ERK,Aryl Hydrocarbon Receptor,MEK,Autophagy
In vitro	**METHODS**: Human breast cancer cells MCF-7 and MDA-MB-231 were treated with PD98059 (1-50 μM) for 12-72 h. Cell viability was detected using MTT. **RESULTS**: PD98059 dose-dependently and time-dependently inhibited the enhancement of breast cancer tumor cells. [1] **METHODS**: Multidrug-resistant tumor cells SMMC7721/ADM and BEL7402/ADM were treated with PD98059 (2.5-20 μM) for 1 h, and the expression levels of target proteins were detected by Western Blot. **RESULTS**: PD98059 down-regulated pERK1/2 expression in cells in a dose-dependent manner. [2]
In vivo	**METHODS**: To test the effect on non-infectious shock, PD98059 (10 mg/kg) was administered intraperitoneally to CD mice with yeast polysaccharide-induced non-infectious shock. **RESULTS**: Treatment with PD98059 significantly reduced systemic toxicity, weight loss and mortality induced by yeast polysaccharide. [3] **METHODS**: To investigate the effects on experimental autoimmune encephalitis (EAE), PD98059 (5 mg/kg) was administered intraperitoneally once daily for two weeks to the SJL/J mouse model of EAE. **RESULTS**: PD98059 corrected immune dysfunction in EAE mice, which occurred concomitantly with the modulation of multiple signaling pathways. [4]
Kinase Assay	c-Raf and MEK kinase were measured by their ability to activate MAPKK1 (or MAPKK2) in a 30-min coupled assay containing MAPKK1 (or MAPKK2) and its substrate p42 MAP kinase. One unit of c-Raf or MEK kinase activity was that amount which increased the activity of p42Graphic by 1 unit/min. MAPKK was assayed directly in the cell lysate by the activation of bacterially expressed p42Graphic. One unit of MAPKK was that amount which increased the activity of p42Graphic by 1 unit/min. The assays of c-Raf and MAPKK are quantitative and extremely sensitive and are detailed elsewhere. p42Graphic was assayed by its ability to phosphorylate myelin basic protein and MAPKAP kinase 1 α/β by the phosphorylation of a peptide related to the C terminus of ribosomal protein S6 [Gly-245, Gly-246]S6-(218-249). One unit of p42Graphic or MAPKAP kinase-1α/β was

	that amount which catalyzed the phosphorylation of 1 nmol of substrate peptide in 1 min. Protein kinase activities in immunoprecipitates were measured by adding the other assay components to the tubes containing the immunoprecipitated enzyme [1].
Cell Research	The MCF10A-Neo and MCF10A-NeoT lines were derived by transfection of the MCF10A cell line with the pHo6 plasmid and the pHo6 plasmid containing an Ha-ras oncogene derived from the human T24 bladder carcinoma cell line, and subsequent selection for resistance to G418. The transfected lines represent pooled survivors, as opposed to clonal lines. With the exception of the EGF content being increased from 10 to 20 ng/ml, the cells were cultured in supplemented Dulbecco's modified Eagle's medium/Ham's F-12 medium in a humidified atmosphere of 95% air/5% CO2 at 37°C. Subconfluent cultures were treated with varying concentrations of chemicals dissolved in DMSO (absolute volume of solvent < 0.1% of medium volume). Subconfluent cultures are treated with PD98059 (0-100 μM). Viability of cells after treatment was assessed by ability to exclude trypan blue. Cultures earmarked for RNA isolation were washed twice with phosphate-buffered saline (2.7 mM KCl, 1.5 mM KH2PO4, 137mM NaCl, 8 mM Na2HPO4, pH 7.2) at harvesting and stored at 280°C [2].
Animal Research	Mice were randomized into 4 groups (n= 40 animals/group): (i) CAR + vehicle group. Mice were subjected to carrageenan-induced pleurisy and received the vehicle for PD98059 (10% dimethylsulfoxide (DMSO) (v/v) i.p. bolus 1 h after carrageen administration(N=10); (ii) PD98059 group. Same as the CAR + vehicle group but were administered PD98059 (10 mg/kg, i.p. bolus) 1 h after carrageenan administration (N=10); (iii) Sham+saline group. Sham-treated group in which identical surgical procedures to the CAR group were performed, except that the saline was administered instead of carrageenan (n=10); (iv) Sham+ PD98059 group. Identical to Sham+saline group except for the administration of PD98059 (10 mg/kg i.p. bolus) 1h after carrageenan administration of saline (N=10). The doses of PD98059 (10 mg/kg) used here were based on previous in vivo studies that demonstrated regulation of the inflammation process [4].

Solubility Information

Solubility	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 0.67 mg/mL (2.51 mM),Suspension. Ethanol: 1.3 mg/mL (4.86 mM),Sonication is recommended. DMSO: 13.75 mg/mL (51.44 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.7414 mL	18.707 mL	37.4139 mL
5 mM	0.7483 mL	3.7414 mL	7.4828 mL
10 mM	0.3741 mL	1.8707 mL	3.7414 mL
50 mM	0.0748 mL	0.3741 mL	0.7483 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.

Reference

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