PD98059 MEK inhibitor

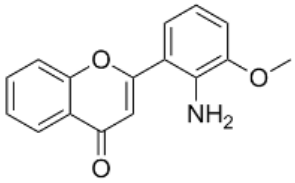
Technical literature is available at: www.mesgenbio.com. E-mail MesGen Technical Services if you have questions on use of this system: tech@mesgenbio.com

Catalog Number : MPF0082 **Packaging Size :** 1mL (10 mM) in DMSO

CAS : 167869-21-8 **Purity ≥** 98% (HPLC)

Molecular Weight : 267.28 **Molecular Formula :** $C_{16}H_{13}NO_3$

Synonym : 2-(2-amino-3-methoxyphenyl)-4H-1-benzopyran-4-one



Description

PD98059 is a potent, selective and cell-permeable **MEK1** and **MEK2** inhibitor with **IC_{50}**s of 4 μM and 50 μM respectively.

In Vitro

Concentrations of PD98059 of ≤20 μM are not cytotoxic to cultured MCF10A, MCF10A-Neo, and MCF10A-NeoT cells. However, PD98059 is weakly cytostatic to all three lines at concentrations of ≥10 μM. Treatment of MCF10A-Neo and MCF10A-NeoT cultures with concentrations of PD98059 up to 20 μM for 2-22 hr does not alter the total ERK content. However, treatment with PD98059 does result in concentration-dependent reductions in the dually phosphorylated forms of ERK1 and ERK2. Within 2 hr of a 10-μM treatment, phosphorylated ERK contents are reduced ~74% and ~86% in MCF10A-Neo and MCF10A-NeoT cultures, respectively (IC_{50}=1 μM). Within 22 hr of treatment, phosphorylated ERK forms are almost completely eliminated in both cell lines. PD98059 (PD 098059) prevents the activation of MAPKK1 by Raf or MEK kinase in vitro at concentrations (IC_{50}=2-7 μM). PD98059 inhibits both the activation and phosphorylation of MAPKK1 in vitro by either c-Raf or MEK kinase with IC_{50} values of 4±2 μM. Incubation of Swiss 3T3 cells with PD98059 (50 μM) suppressed by 80-90% the activation of MAPKK induced by each agonist, but the activation of c-Raf is enhanced 2-3-fold.

In Vivo

The treatment of mice with PD98059 significantly reduces the level of p-ERK1/2. Moreover, a significant increase in the phospho-p38 expression is observed in Zymosan-treated mice at 18 h after Zymosan administration compared to the sham-operated mice. The treatment with PD98059 significantly reduces the p38 expression. Repeated treatment with PD98059 attenuates mechanical allodynia measured by the von Frey test three (18.0 g±0.8, n=10) and seven (20.21 g±0.67, n=26) days after CCI in comparison to the vehicle-treated CCI-exposed rats (15.1 g±1.3, n=7 and 14.21 g±0.44, n=28, respectively). Repeated injection of PD98059 diminishes thermal hyperalgesia, as is evaluated by the cold plate test, three (17.5 s±2.1, n=10) and seven (25.54 s±1.03, n=26) days following CCI compared to vehicle-treated CCI-exposed rats (11.5 s±1.8, n=7 and 11.4 s±0.88, n=28, respectively).

Solubility

DMSO : 14 mg/mL (52.37 mM ; Need ultrasonic and warming) H_2O : < 0.1 mg/mL (insoluble)

Storage condition

Store at -20**°C** & Store in the dark

For Research Use Only. Not For Use In Diagnostic Procedures.

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