Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

PD98059

Catalog No: tcsc0169

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
167869-21-8

Formula:
$C_{16}H_{13}NO_3$

Pathway:
Autophagy;MAPK/ERK Pathway

Target:
Autophagy;MEK

Purity / Grade:
99.86%

Solubility:
DMSO : 25.0 mg/mL (93.5 mM; Need ultrasonic and warming)
Water : H2O (insoluble)

Storage Instruction:

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Powder: -20°C for 3 years In solvent : -80°C for 12 months

Observed Molecular Weight:
267.28

Notes

PD 098059 does not complete for ATP binding or MAPK binding to MEK and most likely inhibits through an allosteric mechanism.

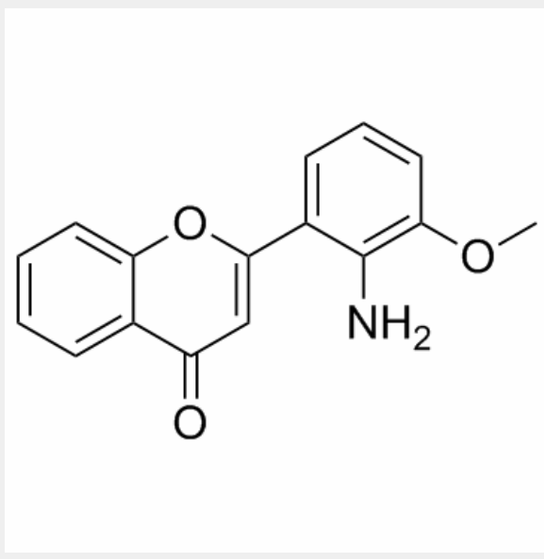
Product Description

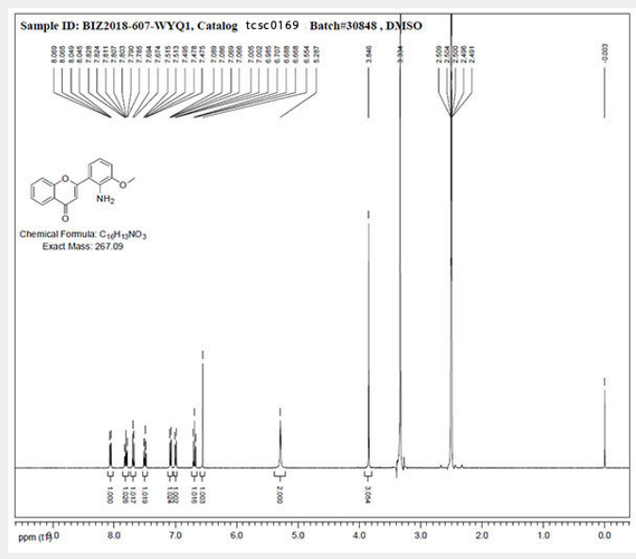
PD98059 is a potent, selective and cell-permeable **MEK1** and **MEK2** inhibitor with **IC_{50}**s of 4 μM and 50 μM respectively.

IC50 & Target: IC50: 5 μM (MEK)[1]

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[1]. 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[2].

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[3]. 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)[4].





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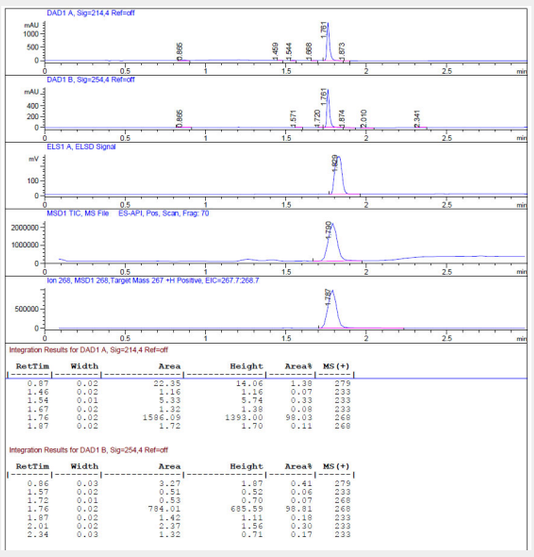

Integration Results for DAD1 A, Sig=214,4 Ref=off

RetTim	Width	Area	Height	Area%	MS(+)
0.87	0.02	22.35	14.06	1.38	279
1.46	0.02	1.16	0.77	0.07	233
1.54	0.01	5.33	5.74	0.33	233
1.67	0.02	1.32	1.38	0.08	233
1.76	0.02	1586.09	1393.00	98.03	268
1.87	0.02	1.72	1.70	0.11	268

Integration Results for DAD1 B, Sig=254,4 Ref=off

RetTim	Width	Area	Height	Area%	MS(+)
0.86	0.03	3.27	1.87	0.41	279
1.57	0.02	0.51	0.52	0.06	233
1.72	0.01	0.53	0.70	0.07	268
1.76	0.02	784.01	685.59	98.81	268
1.87	0.02	1.42	1.11	0.18	233
2.01	0.02	2.37	1.56	0.30	233
2.34	0.03	1.32	0.71	0.17	233

Integration Results for ELS1 A, ELSD Signal

RetTim	Width	Area	Height	Area%	MS(+)
1.83	0.05	774.21	251.98	100.00	268

Integration Results for MSD1 TIC, MS File

RetTim	Width	Area	Height	Area%	MS(+)
1.79	0.06	8103116.50	2097016.50	100.00	268