

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:

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.

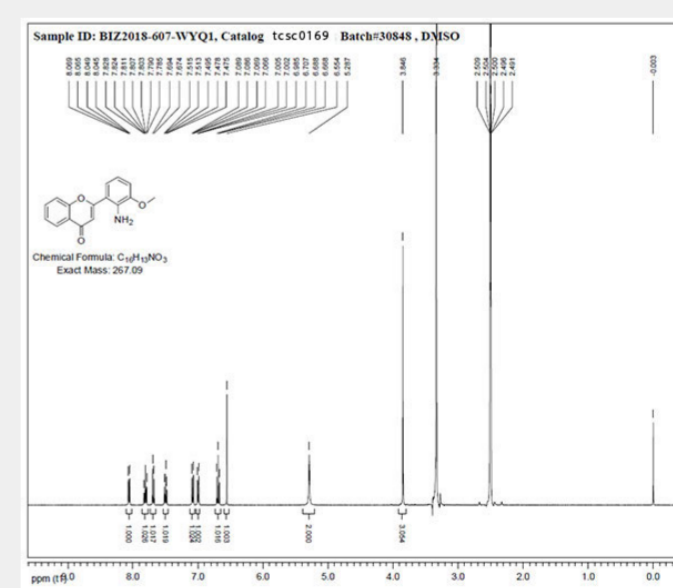
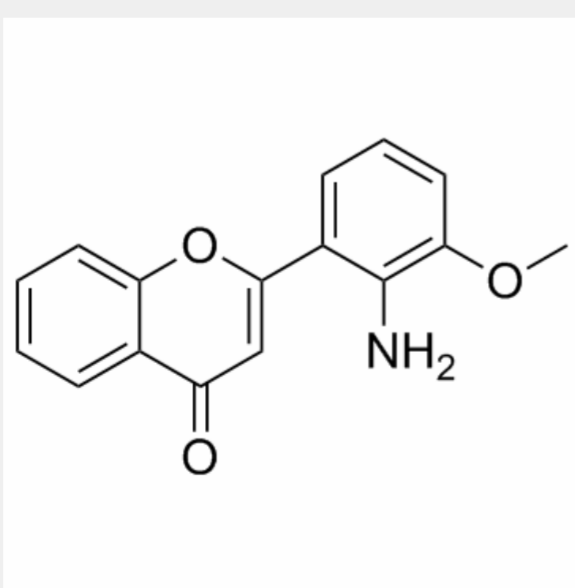
Product Description

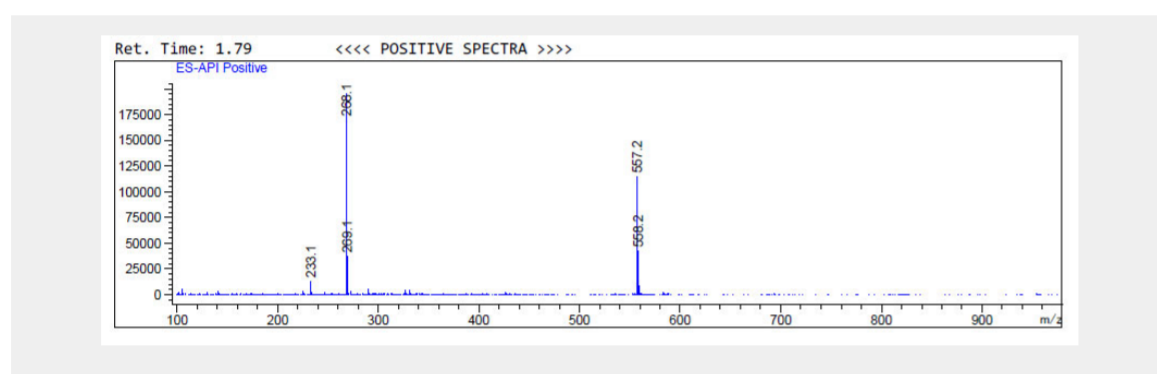
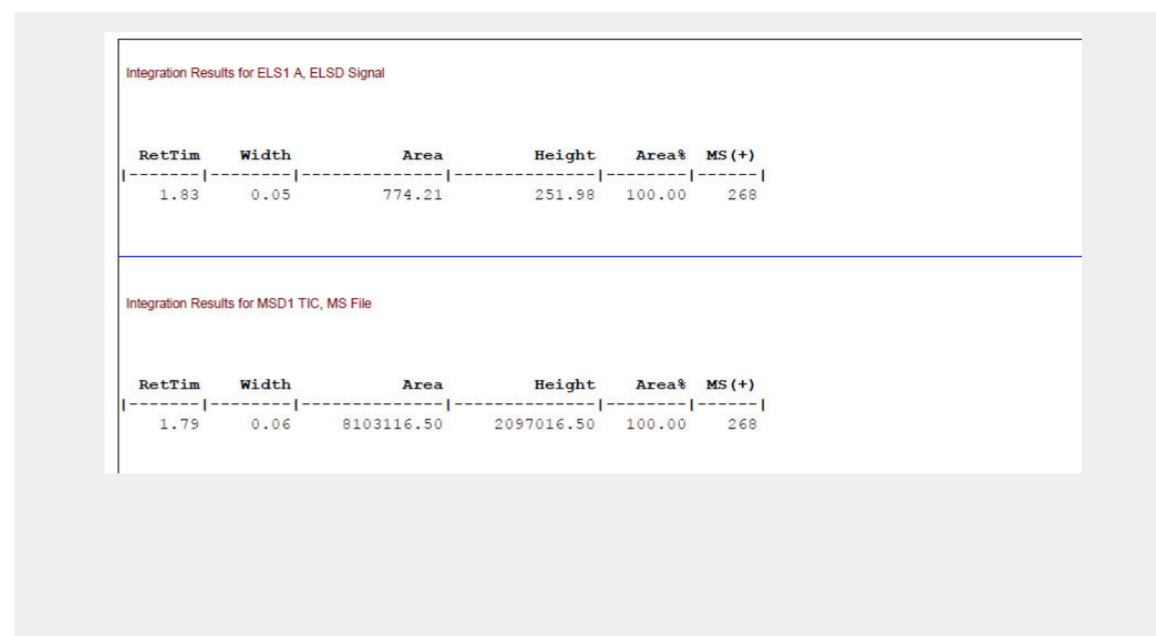
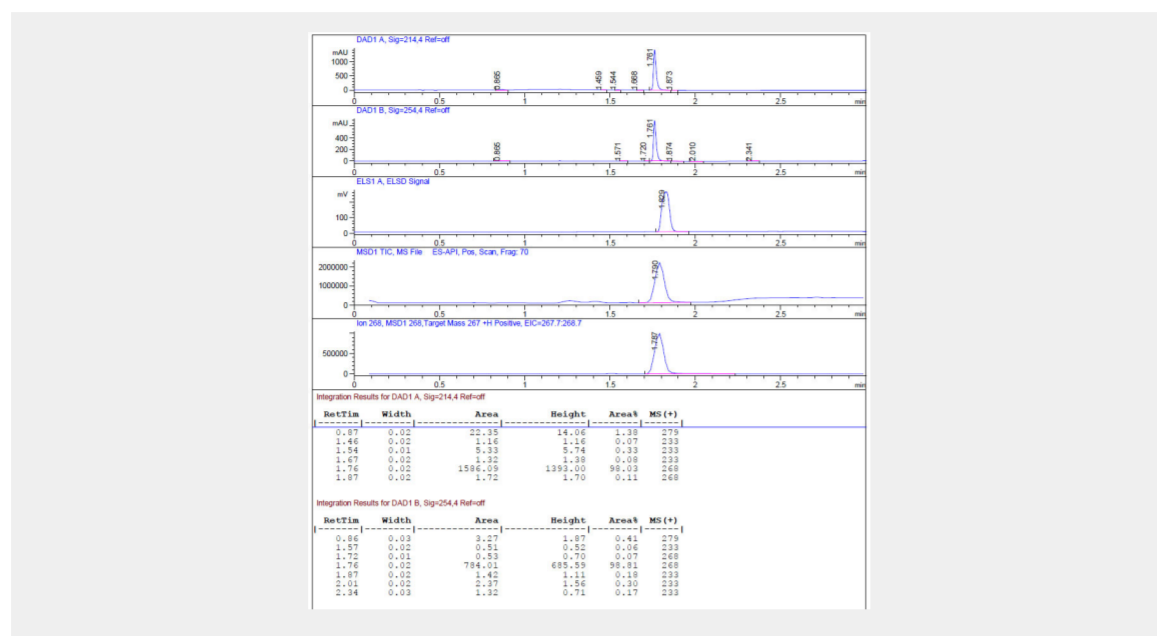
PD98059 is a potent, selective and cell-permeable **MEK1** and **MEK2** inhibitor with **IC₅₀**s of 4 μM and 50 μM respectively.

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 (IC₅₀=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 (IC₅₀=2-7 μM). PD98059 inhibits both the activation and phosphorylation of MAPKK1 in vitro by either c-Raf or MEK kinase with IC₅₀ 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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