PD98059

Cat. No.: HY-12028

CAS No.: 167869-21-8

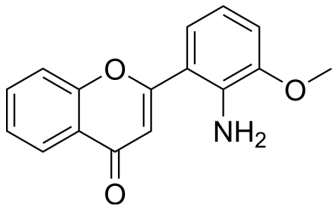
Molecular Formula: $C_{16}H_{13}NO_3$

Molecular Weight: 267.28

Target: MEK; Autophagy; Aryl Hydrocarbon Receptor; ERK

Pathway: MAPK/ERK Pathway; Autophagy; Immunology/Inflammation; Stem Cell/Wnt

Storage: 4°C, protect from light
* In solvent : -80°C, 1 year; -20°C, 6 months (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (124.70 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.7414 mL	18.7070 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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (37.41 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (7.78 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PD98059 is a potent and selective MEK inhibitor with an IC_{50} of 5 μM. PD98059 binds to the inactive form of MEK, thereby preventing the activation of MEK1 (IC_{50} of 2-7 μM) and MEK2 (IC_{50} of 50 μM) by upstream kinases. PD98059 is a ERK1/2 signaling inhibitor. PD98059 is a ligand for the aryl hydrocarbon receptor (AHR), and suppresses TCDD binding (IC_{50} of 4 μM) and AHR transformation (IC_{50} of 1 μM). PD98059 also inhibits Mycobacterium bovis Bacillus CalmetteGuerin (BCG)-induced autophagy[1][2][3].

IC_{50} & Target

MEK1	MEK2	ERK1	ERK2
2-7 μM (IC_{50})	50 μM (IC_{50})		

Autophagy

In Vitro

PD98059 (20 μM; 24 hours) causes G1-phase cell cycle arrest in OCI-AML-3 cells[4].
PD98059 (10 μM; 22 hours) results in concentration-dependent reductions in the dually phosphorylated forms of ERK1 and ERK2[1]. PD98059 both prevents ERK activation and blocks formation of TDP-43 and HuR-positive SGs[7].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[4]

Cell Line:	OCI-AML-3 cells
Concentration:	20 μM
Incubation Time:	24 hours
Result:	Caused G1-phase cell cycle arrest.

Western Blot Analysis[1]

Cell Line:	MCF10A-Neo, MCF10ANeoT cells
Concentration:	10 μM
Incubation Time:	22 hours
Result:	Phosphorylated ERK forms were almost completely eliminated in both cell lines.

In Vivo

PD98059 (10 mg/kg; i.p.; 1 and 6 hours after Zymosan) significantly reduces the level of p-ERK1/2 in zymosan-injected mice[3].
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MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male CD mice[3]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection; 1 and 6 hours after Zymosan
Result:	Significantly reduced the level of p-ERK1/2.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2023 Mar 15;8(1):107.
- Signal Transduct Target Ther. 2022 Aug 31;7(1):290.
- Signal Transduct Target Ther. 2019 Dec 13;4:60.
- Immunity. 2021 Sep 14;54(9):2042-2056.e8.
- Nat Immunol. 2023 Nov;24(11):1813-1824.

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REFERENCES

[1]. Reiners JJ Jr, et al. PD98059 is an equipotent antagonist of the aryl hydrocarbon receptor and inhibitor of mitogen-activated protein kinase kinase. Mol Pharmacol. 1998 Mar;53(3):438-45.

[2]. Alessi DR, et al. PD 098059 is a specific inhibitor of the activation of mitogen-activated protein kinase kinase in vitro and in vivo. J Biol Chem, 1995, 270(46), 27489-27494.

[3]. Di Paola R, et al. PD98059, a specific MAP kinase inhibitor, attenuates multiple organ dysfunction syndrome/failure (MODS) induced by zymosan in mice. Pharmacol Res. 2010 Feb;61(2):175-87.

[4]. Kojima K, et al. Mitogen-activated protein kinase kinase inhibition enhances nuclear proapoptotic function of p53 in acute myelogenous leukemia cells. Cancer Res. 2007 Apr 1;67(7):3210-9.

[5]. Kim KY, et al. Inhibition of Autophagy Promotes Salinomycin-Induced Apoptosis via Reactive Oxygen Species-Mediated PI3K/AKT/mTOR and ERK/p38 MAPK-Dependent Signaling in Human Prostate Cancer Cells. Int J Mol Sci. 2017 May 18;18(5). pii: E1088.

[6]. Jia Luo, et al. DUSP5 (dual-specificity protein phosphatase 5) suppresses BCG-induced autophagy via ERK 1/2 signaling pathway.

[7]. Sarah J Parker, et al. Inhibition of TDP-43 accumulation by bis(thiosemicarbazonato)-copper complexes. PLoS One. 2012;7(8):e42277.

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