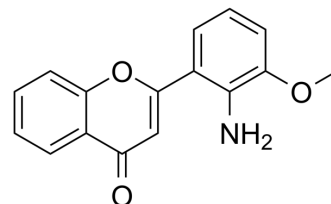


## PD98059

<b>Cat. No.:</b>	HY-12028
<b>CAS No.:</b>	167869-21-8
<b>Molecular Formula:</b>	C <sub>16</sub> H <sub>13</sub> NO <sub>3</sub>
<b>Molecular Weight:</b>	267.28
<b>Target:</b>	MEK; ERK; Aryl Hydrocarbon Receptor; Autophagy
<b>Pathway:</b>	MAPK/ERK Pathway; Stem Cell/Wnt; Immunology/Inflammation; Autophagy
<b>Storage:</b>	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 33.33 mg/mL (124.70 mM; Need ultrasonic)					
	H <sub>2</sub> O : < 0.1 mg/mL (insoluble)					
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
		<b>Concentration</b>				
		<b>1 mM</b>		3.7414 mL	18.7070 mL	37.4139 mL
<b>5 mM</b>			0.7483 mL	3.7414 mL	7.4828 mL	
<b>10 mM</b>		0.3741 mL	1.8707 mL	3.7414 mL		
Please refer to the solubility information to select the appropriate solvent.						
<b>In Vivo</b>	1. 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

<b>Description</b>	PD98059 is a potent and selective MEK inhibitor with an IC <sub>50</sub> of 5 μM. PD98059 binds to the inactive form of MEK, thereby preventing the activation of MEK1 (IC <sub>50</sub> of 2-7 μM) and MEK2 (IC <sub>50</sub> 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 <sub>50</sub> of 4 μM) and AHR transformation (IC <sub>50</sub> of 1 μM). PD98059 also inhibits autophagy <sup>[1][2][3]</sup> .			
<b>IC<sub>50</sub> &amp; Target</b>	MEK1 2-7 μM (IC <sub>50</sub> )	MEK2 50 μM (IC <sub>50</sub> )	ERK1	ERK2
	Autophagy			
<b>In Vitro</b>	PD98059 (20 μM; 24 hours) causes G1-phase cell cycle arrest in OCI-AML-3 cells <sup>[4]</sup> . PD98059 (10 μM; 22 hours) results in concentration-dependent reductions in the dually phosphorylated forms of ERK1 and ERK2 <sup>[1]</sup> . PD98059 both prevents ERK activation and blocks formation of TDP-43 and HuR-positive SGs <sup>[7]</sup> .			

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Cell Cycle Analysis<sup>[4]</sup>

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

#### Western Blot Analysis<sup>[1]</sup>

Cell Line:	MCF10A-Neo, MCF10ANeoT cells
Concentration:	10 $\mu$ M
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<sup>[3]</sup>

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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

## CUSTOMER VALIDATION

- Immunity. 2021 Sep 14;54(9):2042-2056.e8.
- Nat Immunol. 2018 Mar;19(3):233-245.
- Sci Transl Med. 2019 Feb 6;11(478). pii: eaau5266.
- Mol Cell. 2018 Feb 1;69(3):480-492.e7.
- J Pineal Res. 2019 Apr;66(3):e12552.

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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.

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- [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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**Caution: Product has not been fully validated for medical applications. For research use only.**

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