

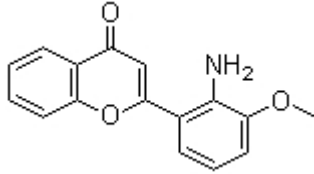


Product Introduction

PD98059

PD98059 is a non-ATP competitive **MEK** inhibitor with **IC50** of 2 μM , specifically inhibits MEK-1-mediated activation of MAPK; does not directly inhibit ERK1 or ERK2.

Technical Data:

Molecular Weight (MW):	267.28	
Formula:	C16H13NO3	
Solubility (25°C)	DMSO 19 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months -80°C in DMSO	
CAS No.:	167869-21-8	

Biological Activity

PD98059 inhibits either basal MEK1 or a partially activated MEK produced by mutation of serine at residues 218 and 222 to glutamate (MEK-2E) with IC50 of 2 μM . PD98059 does not inhibit the MAPK homologues JNK and P38. PD98059 is highly selective against MEK, as it does not inhibit a number of other kinase activities including Raf kinase, cAMP-dependent kinase, protein kinase C, v-Src, epidermal growth factor (EGF) receptor kinase, insulin receptor kinase, PDGF receptor kinase, and phosphatidylinositol 3-kinase. PD98059 inhibits PDGF-stimulated activation of MAPK and thymidine

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incorporation into 3T3 cells with IC50 of $\sim 10 \mu\text{M}$ and $\sim 7 \mu\text{M}$, respectively. [1] PD98059 potently prevents the activation of MEK1 by Raf or MEK kinase with IC50 of $4 \mu\text{M}$, and weakly inhibits the activation of MEK2 by Raf with IC50 of $50 \mu\text{M}$. PD98059 does not inhibit the activation of MEK homologues MKK4 and RK kinase that participate in stress and interleukin-1-stimulated kinase cascades in KB and PC12 cells, and the activation of p70 S6 kinase by insulin or epidermal growth factor in Swiss 3T3 cells. [2] PD98059 completely blocks the nerve growth factor (NGF)-induced differentiation of PC12 cells without altering cell viability. [3] PD98059 inhibits the proliferation of RAW264.7 cells in the culture containing RANKL in a dose-dependent manner, resulting in an apparent decrease of TRAP-positive cells. [4]

Treatment of mice 30 minutes before focal cerebral ischemia with PD98059 protects against damage, resulting in a decrease in infarct volume. [5] Pretreated with PD98059 (10 mg/kg per i.v. injection) 30 minutes before and then together with hourly cerulein injections for 3 hours significantly ameliorates cerulein-induced acute pancreatitis ipancreatitis on the basis of pancreatic wet weight and histology. [6] Administration of PD98059 (10 mg/kg) in mice 1 hour after carrageenan causes a reduction in all the parameters of inflammation measured. [7]

Does not inhibit c-Raf phosphorylated MEK1.

References

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