

## Product Specification Sheet

**Product Name:** PD98059

**Catalog Number:** C7980

**Technical information:**

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

CAS #: 167869-21-8

Molecular Weight: 267.28

Purity: > 98%

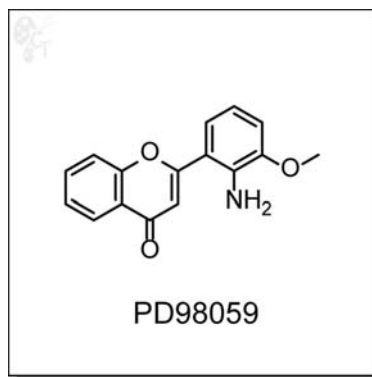
Appearance: Yellow solid

Solubility: Soluble in DMSO up to 75 mM

Chemical Name: 2-(2-amino-3-methoxyphenyl)-4H-chromen-4-one

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.374mL of DMSO for each mg of PD98059.
  - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

**Biological Activity:** PD-98059 is an oxanaphthalenone-based inhibitor of MAPK-activating enzyme, MAPK/ERK kinase (MEK), without significant inhibitory activity of MAPK itself. [1] PD-98059 does not inhibit Raf-activated MAPKK1, but rather prevents activation of MAPKK1 by Raf or MEK kinase in vitro at IC50 values of 2-7 uM. [2]

Exposure of MCF10A human breast epithelial cells resulted in a rapid loss of dually phosphorylated forms of extracellular signal-regulated kinase at an IC50 of 1 uM. PD-98059 is believed to be a ligand for the aryl hydrocarbon receptor (AHR) and functions as an AHR antagonist at concentrations commonly used to inhibit MEK at the signalling processes that entail MEK activation. [3]

PD-98059 has also been shown to dramatically reduce hypoxia-induced transcription of HRE-driven reporter gene more so than that of endogenous VEGF and EPO. [4]

- Reference:**
1. Dudley et al., A synthetic inhibitor of the mitogen-activated protein kinase cascade. Proc. Natl. Acad. Sci. 1995, 92(17), 7686-7689. Pubmed ID: 7644477
  2. Alessi 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. Pubmed ID: 7499206
  3. Reiners et al., PD98059 is an equipotent antagonist of the aryl hydrocarbon receptor and inhibitor of mitogen-activated protein kinase kinase. Mol. Pharmacol. 1998, 53(3), 438-445. Pubmed ID: 9495809
  4. Hur et al., Mitogen-activated protein kinase kinase inhibitor PD98059 blocks the trans-activation but not the stabilization or DNA binding ability of hypoxia-inducible factor-1alpha. Mol. Pharmacol. 2001, 59(5), 1216-1224. Pubmed ID: 11306706

To reorder: <http://www.cellagentech.com/PD98059/>

For Technical Support: [technical@cellagentech.com](mailto:technical@cellagentech.com)

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