Product Name: PD98059

Revision Date: 6/30/2018

Product Data Sheet

Chemical Properties

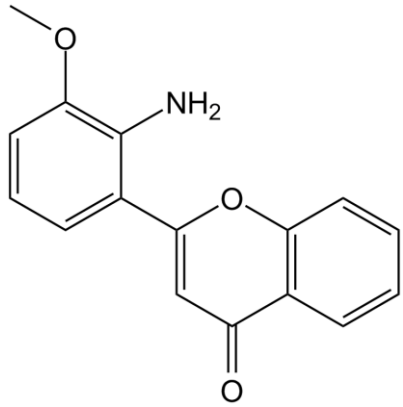
Product Name: PD98059

Cas No.: 167869-21-8

M.Wt: 267.28

Formula: C16H13NO3

Synonyms: N/A



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

Canonical SMILES: COC1=CC=CC(=C1N)C2=CC(=O)C3=CC=CC=C3O2

Solubility: ≥13.35mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice
All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets : MAPK Signaling

Pathways: MEK1/2

Description:

PD98059 is a selective and reversible inhibitor of MAPK-activating enzyme, MAPK/ERK kinase (MEK) that inhibits either basal MEK (GST-MEK1) or a partially activated MEK produced by mutation of serine to glutamate at 218 and 222 residues (GST-MEK-2E) with IC50 values of 10uM [1].

PD98059 treatment resulted in distinct changes in cell morphology and density compared to

control cells treated with DMSO. PD98059 inhibited proliferation or induced cell death in human leukemic U937 cells. Additionally, PD98059 dose-dependently inhibited the ERK1/2 phosphorylation as well as down-regulated cyclin E/Cdk2 and cyclin D1/Cdk4 levels, resulting in G1 phase arrest and apoptosis induction in U937 cells [2].

***Reference**:*

[1] Dudley DT1, Pang L, Decker SJ, Bridges AJ, Saltiel AR. A synthetic inhibitor of the mitogen-activated protein kinase cascade. Proc Natl Acad Sci U S A. 1995 Aug 15;92(17):7686-9.

[2] Moon DO1, Park C, Heo MS, Park YM, Choi YH, Kim GY. PD98059 triggers G1 arrest and apoptosis in human leukemic U937 cells through downregulation of Akt signal pathway. Int Immunopharmacol. 2007 Jan;7(1):36-45. Epub 2006 Sep 8.

Protocol

Cell experiment:

Cell lines	C-81 LNCaP cells (LNCaP cells with 80–120 passage numbers)
Preparation method	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions	10 μM, 3 days
Applications	Treatment of C-81 LNCaP cells with 10 μM PD98059 as a single agent resulted in a 5-fold elevation of Bax protein, while 1.2 nM docetaxel alone caused only a 2-fold elevation. A combination of 10 μM PD98056 with 1.2 nM docetaxel led to a 15-fold elevated expression of Bax in addition to the phosphorylation inactivation of Bcl-2 and diminished elevation of Bcl-XL. These combined effects were associated with a great increase of apoptotic cells, which may contribute to the approximately 20% additional suppression of cell growth.

Animal experiment [3]:

Animal models	Male SV-129 mice
Dosage form	Intracerebroventricular injection, 200 μM
Applications	Mice were treated with PD98059 and 30 min later, ischemia was induced. Pretreatment with PD98059 reduced phospho-ERK1/2 immunostaining in the cortex within the MCA territory after 2 hr of ischemia and 3 min of reperfusion. PD98059 also attenuated infarct

	size by 55%.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:
[1] Zelivianski S, Spellman M, Kellerman M, et al. ERK inhibitor PD98059 enhances docetaxel-induced apoptosis of androgen-independent human prostate cancer cells. International journal of cancer, 2003, 107(3): 478-485.
[2] Alessandrini A, Namura S, Moskowitz M A, et al. MEK1 protein kinase inhibition protects against damage resulting from focal cerebral ischemia. Proceedings of the National Academy of Sciences, 1999, 96(22): 12866-12869.

Product Citations

1. Wu Y, Liu L, et al. "MicroRNA let-7b inhibits keratinocyte differentiation by targeting IL-6 mediated ERK signaling in psoriasis." Cell Commun Signal. 2018 Sep 15;16(1):58. PMID:30219085
2. MXinwei Feng1, Junfeng Lu2, et al. "Mycobacterium smegmatis Induces Neurite Outgrowth and Differentiation in an Autophagy-Independent Manner in PC12 and C17.2 Cells." Front. Cell. Infect. Microbiol., 19 June 2018.
3. He GR, Lin XK, et al. "Dexmedetomidine impairs Pglycoprotein mediated efflux function in L02 cells via the denosine 5' monophosphate activated protein kinase/nuclear factor κB pathway." Mol Med Rep.2018 Apr;17(4):5049-5056. PMID:29393492
4. Zuo Q, Liu J, et al. "AXL/AKT axis mediated-resistance to BRAF inhibitor depends on PTEN status in melanoma." Oncogene. 2018 Mar 19. PMID:29551771
5. Wang H, Tian L, et al. "Bone-in-culture array as a platform to model early-stage bone metastases and discover anti-metastasis therapies." Nat Commun. 2017 Apr 21;8:15045. PMID:28429794
6. Xia G, Wang X, et al. "Carnosic acid (CA) attenuates collagen-induced arthritis in db/db mice via inflammation suppression by regulating ROS-dependent p38 pathway." Free Radic Biol Med. 2017 Mar 23;108:418-432. PMID:28343998

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm

storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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