Revision 4

Store at -20C

#9900

PD98059

1.5 mg

Cell Signaling TECHNOLOGY®

Orders: 877-616-CELL (2355)
orders@cellsignal.com

Support: 877-678-TECH (8324)

Web: info@cellsignal.com
cellsignal.com

3 Trask Lane | Danvers | Massachusetts | 01923 | USA

For Research Use Only. Not for Use in Diagnostic Procedures.

Background

The MAPK (Erk) kinases MEK1 and MEK2 are dual-specificity protein kinases that play a role in a mitogen activated protein kinase cascade that controls cell growth and differentiation (1-3). Activation of MEK1 and MEK2 occurs through phosphorylation of Ser217 and Ser221 within the activation loop of subdomain VIII by Raf-like molecules. MEK1/2 is activated by a wide variety of growth factors and cytokines, and through membrane depolarization and calcium influx (1-4). Constitutively active MEK1/2 can promote transformation of NIH/3T3 cells and differentiation of PC-12 cells (4). MEK activates p44 and p42 MAP kinase by phosphorylating both threonine and tyrosine residues at sites located within the activation loop of kinase subdomain VIII.

PD98059 is a highly selective *in vitro* inhibitor of MEK1 activation and the MAP kinase cascade (1-4). The PD98059 flavonoid binds to inactive forms of MEK1 and prevents activation by upstream activators, such as c-Raf (3). PD98059 inhibits MEK1 and MEK2 activation with IC_{50} values of 4 µM and 50 µM, respectively (1-3). Research studies demonstrate that PD98059 does not inhibit activation of other highly related dual-specificity protein kinases or the activity of more than 18 Ser/Thr protein kinases (3). Western blot analyses indicate that PD98059 does not inhibit activation of MKK3 or SEK (MKK4) at concentrations up to 100 µM, and does not inhibit MKK6 or related family members.

Molecular Formula

$C_{16}H_{13}NO_3$

Molecular Weight

267.28 g/mol

Purity

>99%

CAS

167869-21-8

Solubility

Soluble in DMSO at 25mg/ml and EtOH at 1mg/ml.

Storage

Store lyophilized or in solution at -20°C, desiccated. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple freeze/thaw cycles.

Directions for Use

PD98059 is supplied as a lyophilized powder. For a 20 mM stock, reconstitute 1.5 mg in 280 µl anhydrous DMSO (5 mg in 933.3 µl anhydrous DMSO). For 50 mM stock, reconstitute 1.5 mg in 112 µl anhydrous DMSO (5 mg in 373.3 µl). Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used as a pretreatment at 5 - 50 µM for one hour prior to treating with a stimulator.

Background References

1. Crews, C.M. et al. (1992) *Science* 258, 478-80.
2. Alessi, D.R. et al. (1994) *EMBO J* 13, 1610-9.
3. Rosen, L.B. et al. (1994) *Neuron* 12, 1207-21.
4. Cowley, S. et al. (1994) *Cell* 77, 841-52.

Trademarks and Patents

Limited Uses

Customer as the end-user and solely for research and development uses. Any use of Product for diagnostic, prophylactic or therapeutic purposes, or any purchase of Product for resale (alone or as a component) or other commercial purpose, requires a separate license from CST. Customer shall (a) not sell, license, loan, donate or otherwise transfer or make available any Product to any third party, whether alone or in combination with other materials, or use the Products to manufacture any commercial products, (b) not copy, modify, reverse engineer, decompile, disassemble or otherwise attempt to discover the underlying structure or technology of the Products, or use the Products for the purpose of developing any products or services that would compete with CST products or services, (c) not alter or remove from the Products any trademarks, trade names, logos, patent or copyright notices or markings, (d) use the Products solely in accordance with CST Product Terms of Sale and any applicable documentation, and (e) comply with any license, terms of service or similar agreement with respect to any third party products or services used by Customer in connection with the Products.