
Product Data Sheet

Product Name: PD 198306

Cat. No.: GC17964

Chemical Properties

Cas. No. 212631-61-3

Chemical Name N-(cyclopropylmethoxy)-3,4,5-trifluoro-2-((4-iodo-2-methylphenyl)amino)benzamide

SMILES IC1=CC=C(C(C)=C1)NC(C(C(NOCC2CC2)=O)=CC(F)=C3F)=C3F

Formula $C_{18}H_{16}F_3IN_2O_2$ M.Wt 476.23

Solubility DMSO: 100 mM, Ethanol: 100 mM 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.

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

Structure

Background

IC50: 8 nM for MEK [1]

PD 198306 is a potent, selective and non-ATP competitive MAPK/ERK-kinase (MEK) inhibitor. MEK is a kinase enzyme which phosphorylates mitogen-activated protein kinase (MAPK).

In vitro: PD 198306 inhibits the isolated MEK at a concentration of 8 nM and inhibits MEK activity in synovial fibroblasts at 30–100 nM, depending on the species. PD 198306 is highly selective for MEK and has a IC50 of >4 μM for c-Src, >1 μM for ERK, >4 μM for cyclin-dependent kinases and >10 μM for phosphatidylinositol 3-kinase [1].

In vivo: Rabbits treated with PD 198306 show a significant dose-dependent reduction in the level of phospho-ERK-1/2 and a lower level of MMP-1. PD 198306 can partially decrease the development of some of the structural changes in experimental rabbit model of osteoarthritis [1]. PD 198306 dose-dependently blocked static allodynia in both

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

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the streptozocin and the chronic constriction injury models of neuropathic pain. These antihyperalgesic effects correlated with a reduction in the elevated levels of active ERK1 and 2 in lumbar spinal cord [2].

Clinical trial: So far, no clinical study has been conducted.

References:

- [1] Pelletier JP, Fernandes JC, Brunet J, Moldovan F, Schrier D, Flory C, Martel-Pelletier J. In vivo selective inhibition of mitogen-activated protein kinase kinase 1/2 in rabbit experimental osteoarthritis is associated with a reduction in the development of structural changes. *Arthritis Rheum.* 2003 Jun;48(6):1582-93.
- [2] Ciruela A, Dixon AK, Bramwell S, Gonzalez MI, Pinnock RD, Lee K. Identification of MEK1 as a novel target for the treatment of neuropathic pain. *Br J Pharmacol.* 2003 Mar;138(5):751-6.

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