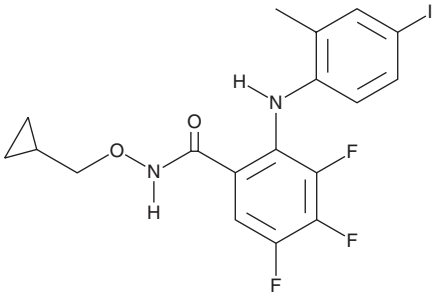
PRODUCT INFORMATION

PD 198306

Item No. 23966



CAS Registry No.:	212631-61-3
Formal Name:	N-(cyclopropylmethoxy)-3,4,5-trifluoro-2-[(4-iodo-2-methylphenyl)amino]-benzamide
MF:	$C_{18}H_{16}F_3IN_2O_2$
FW:	476.2
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PD 198306 is supplied as a solid. A stock solution may be made by dissolving the PD 198306 in the solvent of choice, which should be purged with an inert gas. PD 198306 is soluble in organic solvents such as ethanol and DMSO. The solubility of PD 198306 in these solvents is approximately 100 mM.

Description

PD 198306 is an orally bioavailable and potent inhibitor of MAPK kinase 1/2 (MEK1/2; IC_{50} = 8 nM).[1] It is selective for MEK1/2 over ERK, c-Src, PI3Kγ, and cyclin-dependent kinases (IC_{50}s = >1 µM). PD 198306 (3-100 µM) reduces ERK1/2 phosphorylation and inhibits growth of HL-60 cells *in vitro*.[2] *In vivo*, PD 198306 (10-30 mg/kg) reduces ERK1/2 phosphorylation and matrix metalloproteinase-1 (MMP-1) expression in a dose-dependent manner in a rabbit model of osteoarthritis.[1] It also reduces ERK1/2 activity in the lumbar spinal cord and blocks static allodynia in rat models of neuropathic pain induced by streptozotocin (Item No. 13104) or chronic constriction injury.[3]

References

1. Pelletier, J.P., Fernandes, J.C., Brunet, J., *et al.* 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.* **48(6)**, 1582-1593 (2003).
2. Ripple, M.O., Kim, N., and Springett, R. Acute mitochondrial inhibition by mitogen-activated protein kinase/extracellular signal-regulated kinase kinase (MEK) 1/2 inhibitors regulates proliferation. *J. Biol. Chem.* **288(5)**, 2933-2940 (2013).
3. Ciruela, A., Dixon, A.K., Bramwell, S., *et al.* Identification of MEK1 as a novel target for the treatment of neuropathic pain. *Br. J. Pharmacol.* **138(5)**, 751-756 (2003).

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