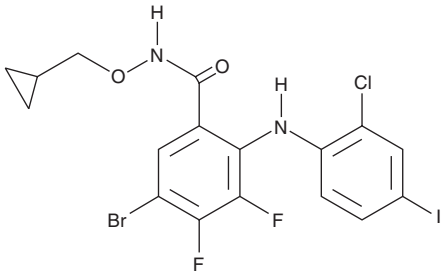
PRODUCT INFORMATION

PD 184161

Item No. 10012431

CAS Registry No.:	212631-67-9
Formal Name:	5-bromo-2-[(2-chloro-4-iodophenyl) amino]-N-(cyclopropylmethoxy)-3,4-difluoro-benzamide
MF:	$C_{17}H_{13}BrClF_2IN_2O_2$
FW:	557.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 290 nm
Supplied as:	A crystalline 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 184161 is supplied as a crystalline solid. A stock solution may be made by dissolving the PD 184161 in the solvent of choice. PD 184161 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of PD 184161 in ethanol is approximately 10 mg/ml and approximately 30 mg/ml in DMSO and DMF.

PD 184161 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PD 184161 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PD 184161 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The mitogen-activated protein (MAP) kinase intracellular signaling pathways are involved in the regulation of various cellular functions.[1] One of these pathways, the Raf/MEK/ERK pathway, plays a major role in the regulation of cellular growth, differentiation, and proliferation. The modulation of this cascade has been studied as a useful approach to treating proliferative disorders such as cancer.[2,3] PD 184161 is a potent and selective inhibitor of MEK1/2 with an IC_{50} value that ranges from 10-100 nM.[4] More effective at inhibiting phosphorylation of ERK1/2 than the selective MEK inhibitors, PD 098059 and U0126, PD 184161 is useful both *in vitro* and *in vivo* for studying the pharmacological role of the Raf/MEK/ERK pathway.[4] PD 184161 is structurally related to clinically-studied MEK inhibitors PD184352 (CI-1040) and PD 325901 and has been shown to inhibit cell proliferation, induce apoptosis, and possess antitumor activity in MEK-dependent cancers.[4]

References

1. Cowan, K.J. and Storey, K.B. Mitogen-activated protein kinases: New signaling pathways functioning in cellular responses to environmental stress. *J. Exp. Biol.* **206(Pt 7)**, 1107-1115 (2003).
2. Dhillon, A.S., Hagan, S., Rath, S., *et al.* MAP kinase signalling pathways in cancer. *Oncogene* **26(22)**, 3279-3290 (2007).
3. VanScyoc, W.S., Holdgate, G.A., Sullivan, J.E., *et al.* Enzyme kinetics and binding studies on inhibitors of MEK protein kinase. *Biochemistry* **47(17)**, 5017-5027 (2008).
4. Klein, P.J., Schmidt, C.M., Wiesenauer, C.A., *et al.* The effects of a novel MEK inhibitor PD184161 on MEK-ERK signaling and growth in human liver cancer. *Neoplasia* **8(1)**, 1-8 (2006).

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