Product Data Sheet

Product Name: PD 184161
Cat. No.: GC15646

Chemical Properties

Cas. No.	212631-67-9		
Chemical Name	5-bromo-2-[(2-chloro-4-iodophenyl)amino]-N-(cyclopropylmethoxy)-3,4-difluoro-benzamide		
SMILES	FC1=C(NC2=C(Cl)C=C(I)C=C2)C(C(NOCC3CC3)=O)=CC(Br)=C1F		
Formula	$C_{17}H_{13}BrClF_2IN_2O_2$	M.Wt	557.6
Solubility	≤10mg/ml in ethanol;30mg/ml in DMSO;30mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	PD 184161		

Background

IC50: 10-100 nM

PD 184161 is a MEK1/2 inhibitor.

The intracellular signaling pathway of mitogen-activated protein kinases is involved in the regulation of various cellular functions. One of these pathways, named Raf/MEK/ERK pathway, plays a key role in the regulation of cellular differentiation, growth, and proliferation. The modulation of this Raf/MEK/ERK pathway has been reported as a useful approach to treate proliferative disorders such as cancer.

In vitro: Previous study found that PD184161 could inhibit MEK activity in a time- and concentration-dependent manner, which was more effectively than PD098059 or U0126. Moreover, PD184161 could inhibit cell proliferation and induce apoptosis at concentrations of > or = 1.0 μM time- and concentration-dependently [1].

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In vivo: Animal study showd that tumor xenograft P-ERK levels were significantly reduced 3 to 12 hours after an oral dose of PD184161. Contrarily, tumor xenograft P-ERK levels following long-term treatment of PD184161 were refractory to this signaling effect. PD184161 also significantly suppressed tumor engraftment and initial growth, however, established tumors were not significantly affected. In summary, PD184161 has antitumor effects in HCC in vivo that appear to correlate with suppression of MEK activity [1].

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

Reference:
[1] 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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