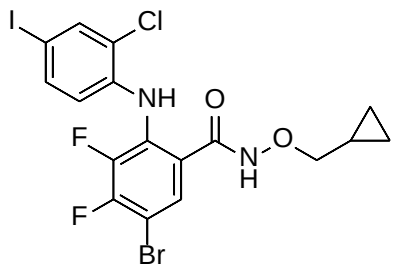
Product data sheet

MedKoo Cat#: 406196 Name: PD184161 CAS: 212631-67-9 Chemical Formula: $C_{17}H_{13}BrClF_2IN_2O_2$ Exact Mass: 555.8862 Molecular Weight: 557.5583	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

PD184161 is an orally-active MEK inhibitor. PD184161 inhibited MEK activity (IC50 = 10-100 nM) in a time- and concentration-dependent manner more effectively than PD098059 or U0126. PD184161 inhibited cell proliferation and induced apoptosis at concentrations of > or = 1.0 microM in a time- and concentration-dependent manner. PD184161 has antitumor effects in HCC in vitro and in vivo that appear to correlate with suppression of MEK activity. PD184161 is unable to suppress MEK activity in HCC xenografts in the long term.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	30.0	53.81
DMSO	75.33	135.11
DMSO:PBS (pH 7.2) (1:2)	0.3	0.54
Ethanol	12.0	21.52

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.79 mL	8.97 mL	17.94 mL
5 mM	0.36 mL	1.79 mL	3.59 mL
10 mM	0.18 mL	0.90 mL	1.79 mL
50 mM	0.04 mL	0.18 mL	0.36 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Pellicano F, Simara P, Sinclair A, Helgason GV, Copland M, Grant S, Holyoake TL. The MEK inhibitor PD184352 enhances BMS-214662-induced apoptosis in CD34+ CML stem/progenitor cells. Leukemia. 2011 Jul;25(7):1159-67. doi: 10.1038/leu.2011.67. Epub 2011 Apr 12. PMID: 21483442; PMCID: PMC3643208.
2. Klein PJ, Schmidt CM, Wiesenauer CA, Choi JN, Gage EA, Yip-Schneider MT, Wiebke EA, Wang Y, Omer C, Sebolt-Leopold JS. The effects of a novel MEK inhibitor PD184161 on MEK-ERK signaling and growth in human liver cancer. Neoplasia. 2006 Jan;8(1):1-8. doi: 10.1593/neo.05373. PMID: 16533420; PMCID: PMC1601146.

In vivo study

1. Gladbach A, van Eersel J, Bi M, Ke YD, Ittner LM. ERK inhibition with PD184161 mitigates brain damage in a mouse model of stroke. J Neural Transm (Vienna). 2014 May;121(5):543-7. doi: 10.1007/s00702-013-1138-2. Epub 2013 Dec 14. PMID: 24337667.

Product data sheet

2. Duman CH, Schlesinger L, Kodama M, Russell DS, Duman RS. A role for MAP kinase signaling in behavioral models of depression and antidepressant treatment. Biol Psychiatry. 2007 Mar 1;61(5):661-70. doi: 10.1016/j.biopsych.2006.05.047. Epub 2006 Aug 30. PMID: 16945347.

7. Bioactivity

Biological target:

PD184161 is an orally active MEK inhibitor.

In vitro activity

PD184161 inhibited MEK activity (IC50 = 10-100 nM) in a time- and concentration-dependent manner more effectively than PD098059 or U0126. PD184161 inhibited cell proliferation and induced apoptosis at concentrations of > or = 1.0 microM in a time- and concentration-dependent manner.

Reference: Neoplasia. 2006 Jan;8(1):1-8. https://pubmed.ncbi.nlm.nih.gov/16533420/

In vivo activity

This study examined the effects of inhibition of MAPK kinase (MEK) in mouse behavioral models for depression including interactions with effects of antidepressant drugs. Acute administration of the MEK inhibitor PD184161 produced depressive-like behavior. PD184161 blocked the antidepressant-like effects of desipramine and sertraline in the forced swim test and blocked the effects of desipramine in the tail suspension test.

Reference: Biol Psychiatry. 2007 Mar 1;61(5):661-70. https://pubmed.ncbi.nlm.nih.gov/16945347/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.