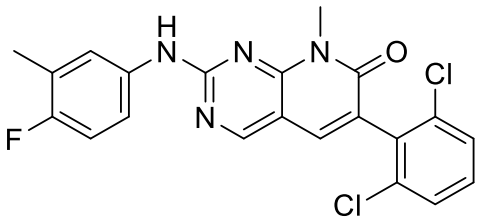
Product data sheet

MedKoo Cat#: 406175 Name: PD180970 CAS: 287204-45-9 Chemical Formula: $C_{21}H_{15}Cl_2FN_4O$ Exact Mass: 428.0607 Molecular Weight: 429.2764	
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:
PD180970 is a novel Bcr-Abl inhbiitor. PD180970 inhibited in vivo tyrosine phosphorylation of p210Bcr-Abl (IC50 = 170 nM) and the p210BcrAbl substrates Gab2 and CrkL (IC50 = 80 nM) in human K562 chronic myelogenous leukemic cells. In vitro, PD180970 potently inhibited autophosphorylation of p210Bcr-Abl (IC50 = 5 nM) and the kinase activity of purified recombinant Abl tyrosine kinase (IC50 = 2.2 nM). Incubation of K562 cells with PD180970 resulted in cell death. PD180970 is among the most potent inhibitors of the p210Bcr-Abl tyrosine kinase, which is present in almost all cases of human chronic myelogenous leukemia. PD180970 is a promising candidate as a novel therapeutic agent for Bcr-Abl-positive leukemia.

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
DMSO	60.0	139.77

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.32 mL	11.65 mL	23.30 mL
5 mM	0.47 mL	2.33 mL	4.66 mL
10 mM	0.23 mL	1.16 mL	2.33 mL
50 mM	0.05 mL	0.23 mL	0.47 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. Huang M, Dorsey JF, Epling-Burnette PK, Nimmanapalli R, Landowski TH, Mora LB, Niu G, Sinibaldi D, Bai F, Kraker A, Yu H, Moscinski L, Wei S, Djeu J, Dalton WS, Bhalla K, Loughran TP, Wu J, Jove R. Inhibition of Bcr-Abl kinase activity by PD180970 blocks constitutive activation of Stat5 and growth of CML cells. Oncogene. 2002 Dec 12;21(57):8804-16. doi: 10.1038/sj.onc.1206028. PMID: 12483533.
2. Dorsey JF, Jove R, Kraker AJ, Wu J. The pyrido[2,3-d]pyrimidine derivative PD180970 inhibits p210Bcr-Abl tyrosine kinase and induces apoptosis of K562 leukemic cells. Cancer Res. 2000 Jun 15;60(12):3127-31. PMID: 10866298.

In vivo study
TBD

7. Bioactivity
Biological target:

Product data sheet

PD180970 is a highly potent and ATP-competitive p210Bcr-Abl kinase inhibitor, with an IC_{50} of 5 nM for inhibiting the autophosphorylation of p210Bcr-Abl.

In vitro activity

This study found that PD180970 inhibited in vivo tyrosine phosphorylation of p210Bcr-Abl (IC50 = 170 nM) and the p210BcrAbl substrates Gab2 and CrkL (IC50 = 80 nM) in human K562 chronic myelogenous leukemic cells. In vitro, PD180970 potently inhibited autophosphorylation of p210Bcr-Abl (IC50 = 5 nM) and the kinase activity of purified recombinant Abl tyrosine kinase (IC50 = 2.2 nM). Incubation of K562 cells with PD180970 resulted in cell death. Results of nuclear staining, apoptotic-specific poly(ADP-ribose) polymerase cleavage, and annexin V binding assays indicated that PD180970 induced apoptosis of K562 cells.

Reference: Cancer Res. 2000 Jun 15;60(12):3127-31. https://pubmed.ncbi.nlm.nih.gov/10866298/

In vivo activity

TBD

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.