PD-161570

Cat. No.: HY-100434

CAS No.: 192705-80-9

Molecular Formula: $C_{26}H_{35}Cl_2N_7O$

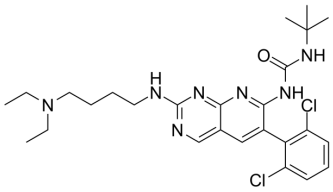
Molecular Weight: 532.51

Target: FGFR; PDGFR; EGFR; Src; TGF-β Receptor

Pathway: Protein Tyrosine Kinase/RTK; JAK/STAT Signaling; TGF-beta/Smad

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (62.59 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	1.8779 mL	9.3895 mL	18.7790 mL
	5 mM	0.3756 mL	1.8779 mL	3.7558 mL
	10 mM	0.1878 mL	0.9389 mL	1.8779 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

PD-161570 is a potent and ATP-competitive human FGF-1 receptor inhibitor with an IC_{50} of 39.9 nM and a K_i of 42 nM. PD-161570 also inhibits the PDGFR, EGFR and c-Src tyrosine kinases with IC_{50} values of 310 nM, 240 nM, and 44 nM, respectively. PD-161570 inhibits PDGF-stimulated autophosphorylation and FGF-1 receptor phosphorylation with IC_{50}s of 450 nM and 622 nM, respectively[1][2]. PD-161570 is also a bone morphogenetic proteins (BMPs) and TGF-β signaling inhibitor[3].

IC_{50} & Target

FGFR1 39.9 nM (IC_{50})	FGFR1 42 nM (Ki)	FGFR1 autophosphorylation 622 nM (IC_{50})	PDGFRβ 262 nM (IC_{50})
PDGFR 310 nM (IC_{50})	EGFR 240 nM (IC_{50})	c-Src 44 nM (IC_{50})	TGF-β Receptor

In Vitro

PD-161570 (Compound 6c; 0.1-1 μM; 1-8 days; VSMCs) treatment inhibits PDGF-stimulated vascular smooth muscle cell proliferation in a dose dependent fashion with an IC_{50} of 0.3 μM on day 8[1].

PD-161570 suppresses constitutive phosphorylation of the FGF-1 receptor in both human ovarian carcinoma cells ($A_{121(p)}$)

and Sf9 insect cells overexpressing the human FGF-1 receptor and blocked the growth of $A_{121(p)}$ cells in culture[2]. PD-161570 can potently inhibit basic fibroblast growth factor (bFGF)-mediated angiogenesis[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Vascular smooth muscles cells (VSMCs)
Concentration:	0.1 μM, 0.3 μM, 1 μM
Incubation Time:	1 day, 3 days, 6 days, 8 days
Result:	Inhibited VSMC proliferation in a dose dependent fashion with an IC_{50} of 0.3 μM at day 8.

REFERENCES

[1]. Hamby JM, et al. Structure-activity relationships for a novel series of pyrido[2,3-d]pyrimidine tyrosine kinase inhibitors. J Med Chem. 1997 Jul 18;40(15):2296-303.

[2]. Batley BL, et al. Inhibition of FGF-1 receptor tyrosine kinase activity by PD 161570, a new protein-tyrosine kinase inhibitor. Life Sci. 1998;62(2):143-50.

[3]. Wolfe A, et al. Pharmacologic characterization of a kinetic in vitro human co-culture angiogenesis model using clinically relevant compounds. J Biomol Screen. 2013 Dec;18(10):1234-45.

[4]. Kyosuke Hino, et al. An mTOR Signaling Modulator Suppressed Heterotopic Ossification of Fibrodysplasia Ossificans Progressiva. Stem Cell Reports. 2018 Nov 13;11(5):1106-1119.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA