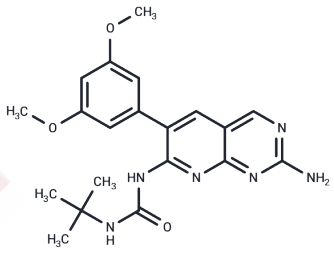
Data Sheet (Cat.No.T3492)

PD-166866

Chemical Properties



CAS No. : 192705-79-6

Formula: C20H24N6O3

Molecular Weight: 396.44

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	PD-166866 is a selective FGFR tyrosine kinase inhibitor.
Targets(IC50)	FGFR,Autophagy
In vitro	The treatment with PD166866 apparently causes a mitochondrial deficit and an oxidative stress[1]. PD 166866 inhibits human full-length FGFR-1 tyrosine kinase with an IC50 value of 52.4 ± 0.1 nM but has no effect on c-Src, platelet-derived growth factor receptor-β, epidermal growth factor receptor or insulin receptor tyrosine kinases or on mitogen-activated protein kinase, protein kinase C and CDK4 at concentrations as high as 50 μM. PD 166866 is a potent inhibitor of basic fibroblast growth factor (bFGF)-mediated receptor autophosphorylation in NIH 3T3 cells expressing endogenous FGFR-1 and in L6 cells overexpressing the human FGFR-1 tyrosine kinase, confirming a tyrosine kinase-mediated mechanism. PD 166866 does not inhibit platelet-derived growth factor, epidermal growth factor or insulin-stimulated receptor autophosphorylation in vascular smooth muscle, A431 or NIHIR cells, respectively, further supporting its specificity for the FGFR-1. Besides, PD 166866 is found to be a potent inhibitor of microvessel outgrowth (angiogenesis) from cultured artery fragments of human placenta. Phosphorylated 44- and 42-kDa MAPK isoforms are inhibited in L6 cells by PD 166866 with IC50 values of 4.3 and 7.9 nM, respectively[2]. PD166866 induces autophagy through repressing Akt/mTOR signaling pathway[3].
Cell Research	HeLa cells are treated with PD166866 for 24 hours, the growth medium is removed, the cells are washed with PBS and fixed for 1 hour at 25°C adding a freshly made paraformaldheyde solution (4% in PBS). Samples are washed again with PBS and the endogenous oxidases were blocked for 2 minutes in the dark. Further washes with PBS followed and blocking the unspecific sites is done for 1 hour at 25°C. PARP is evidenced by immunolocalization utilizing a polyclonal antibody, directed against the N-terminal proteolytic fragment. Immuno-reaction is revealed by a secondary anti-rabbit antibody after incubation for 16 hours at 4°C. After exhaustive washing with PBS the samples are incubated for 30 minutes in solution ABC. Eventually, DAB (3,3'-Diaminobenzidine) is added and the samples are incubated for 10 minutes in the dark. The samples are washed again the plates are sealed and ready for microscopic observation.(Only for Reference)

Solubility Information

Solubility	DMSO: 12 mg/mL (30.27 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 3 mg/mL (7.57 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5224 mL	12.6122 mL	25.2245 mL
5 mM	0.5045 mL	2.5224 mL	5.0449 mL
10 mM	0.2522 mL	1.2612 mL	2.5224 mL
50 mM	0.0504 mL	0.2522 mL	0.5045 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Risuleo G, et al. J Exp Clin Cancer Res. 2009, 28:151.
Panek RL, et al. J Pharmacol Exp Ther. 1998, 286(1):569-77.
Chen Y, et al. Biochem Biophys Res Commun. 2016, 474(1):1-7.