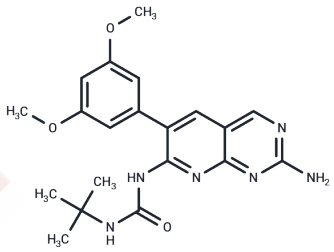
# Data Sheet (Cat.No.T3492)

TargetMol

PD-166866

## Chemical Properties



CAS No. : 192705-79-6

Formula: C20H24N6O3

Molecular Weight: 396.44

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

## Biological Description

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|---|---|
| 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

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|---|---|
| Solubility | DMSO: 12 mg/mL (30.27 mM),Sonication is recommended.<br>H2O: < 1 mg/mL (insoluble or slightly soluble),<br>Ethanol: 3 mg/mL (7.57 mM),Sonication is recommended.<br>(< 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

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.