PD-166866

Catalog No.C13447 Batch:C1344703

Product Datasheet

Technical Data

Formula	$C_{20}H_{24}N_6O_3$					
Molecular Weight	396.44	**CAS No.**	192705-79-6			
Solubility (25°C)*	In vitro	DMSO	21 mg/mL (52.97 mM)			
		Ethanol	4 mg/mL (10.08 mM)			
		Water	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.
		Injection	Clear solution	5%DMSO [1]→ 40%PEG300 [2]→ 5%Tween80 [3]→ 50%ddH2O Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	0.500mg/ml (1.26mM)	Taking the 1 mL working solution as an example, add 50 μL of 10 mg/ml clarified DMSO stock solution to 400 μL of PEG300, mix evenly to clarify it; add 50 μL of Tween80 to the above system, mix evenly to clarify it; then continue to add 500 μL of ddH2O to adjust the volume to 1 mL. The mixed solution should be used immediately for optimal results.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Volume / Mass	1 mg	5 mg	10 mg
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

Biological Activity

Description	PD-166866 is a synthetic molecule inhibiting the tyrosin kinase action of **FGFR1**, shows a very high selectivity towards FGFR1 and inhibits the auto-phosphorylation activity of FGRF1.
Targets	FGFR1 [2] (Cell-free assay) 52.4 nM
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].

Protocol (from reference)

Cell Assay:[1]

- **Cell lines**
  HeLa cells
- **Concentrations**
  50 μM
- **Incubation Time**
  24 h
- **Method**
  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℃. 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.

Animal Study:[3]

- **Animal Models**
  Female nude mice
- **Dosages**
  20 mg/kg
- **Administration**
  i.p.

References

https://pubmed.ncbi.nlm.nih.gov/20003343/ https://pubmed.ncbi.nlm.nih.gov/9655904/ https://pubmed.ncbi.nlm.nih.gov/26993162/

RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

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