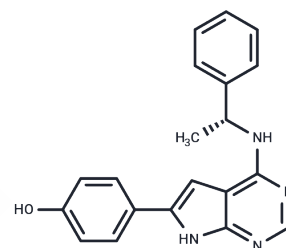


PKI-166

Chemical Properties

CAS No. : 187724-61-4
 Formula: C₂₀H₁₈N₄O
 Molecular Weight: 330.38
 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

Description	PKI-166 is an oral inhibitor of EGF-R tyrosine kinase (IC ₅₀ :0.7 nM) that is both effective and selective. PKI-166 can effectively inhibit the growth and metastasis of various human cancer cells including pancreatic cancer.
Targets(IC ₅₀)	EGFR, VEGFR
In vitro	PKI-166 (0-0.5 μM; 1 hour) pretreatment suppresses EGFR autophosphorylation in human pancreatic cancer cells. PKI-166 (0.03 μM; 6 days) increased the cytotoxicity mediated by gemcitabine.[1]
In vivo	PKI-166 (100 mg/kg; p.o.; daily; day 7-day 35 after xenograft) suppresses pancreatic cancer growth.

Solubility Information

Solubility	DMSO: 27.5 mg/mL (83.24 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0268 mL	15.1341 mL	30.2682 mL
5 mM	0.6054 mL	3.0268 mL	6.0536 mL
10 mM	0.3027 mL	1.5134 mL	3.0268 mL
50 mM	0.0605 mL	0.3027 mL	0.6054 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

Bruns CJ, et al. Blockade of the epidermal growth factor receptor signaling by a novel tyrosine kinase inhibitor leads to apoptosis of endothelial cells and therapy of human pancreatic carcinoma. *Cancer Res.* 2000;60(11): 2926-2935.

Ulu N, et al. Epidermal growth factor receptor inhibitor PKI-166 governs cardiovascular protection without beneficial effects on the kidney in hypertensive 5/6 nephrectomized rats. *J Pharmacol Exp Ther.* 2013;345(3):393-403.

Fujimoto E, et al. Cytotoxic effect of the Her-2/Her-1 inhibitor PKI-166 on renal cancer cells expressing the connexin 32 gene. *J Pharmacol Sci.* 2005;97(2):294-298.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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