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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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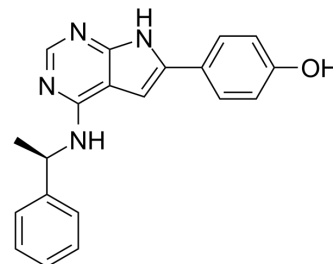
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PKI-166

Cat. No.:	HY-117155
CAS No.:	187724-61-4
Molecular Formula:	C ₂₀ H ₁₈ N ₄ O
Molecular Weight:	330.38
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
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 : ≥ 125 mg/mL (378.35 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

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

BIOLOGICAL ACTIVITY

Description	PKI-166 is a potent, selective and orally bioavailable EGFR tyrosine kinase inhibitor, with an IC ₅₀ of 0.7 nM ^[1] .						
IC ₅₀ & Target	IC ₅₀ : 0.7 nM (EGFR tyrosine kinase) ^[1]						
In Vitro	Pretreatment with PKI-166 (0-0.5 μM; 1 hour) inhibits EGFR autophosphorylation in human pancreatic cancer cells^[1]. PKI-166 (0.03 μM; 6 days) enhanced the cytotoxicity mediated by gemcitabine^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Western Blot Analysis^[1] <table> <tr> <td>Cell Line:</td><td>L3.6pl cells</td></tr> <tr> <td>Concentration:</td><td>0.01 μM, 0.05 μM, 0.5 μM</td></tr> <tr> <td>Incubation Time:</td><td>1 hour</td></tr> </table>	Cell Line:	L3.6pl cells	Concentration:	0.01 μM, 0.05 μM, 0.5 μM	Incubation Time:	1 hour
Cell Line:	L3.6pl cells						
Concentration:	0.01 μM, 0.05 μM, 0.5 μM						
Incubation Time:	1 hour						

	Result:	Inhibited EGFR autophosphorylation in a dose-dependent manner.
	Cell Cytotoxicity Assay ^[1]	
	Cell Line:	L3.6pl cells
	Concentration:	0.03 μ M
	Incubation Time:	6 days
	Result:	Enhanced the cytotoxicity mediated by gemcitabine.
In Vivo	PKI-166 (100 mg/kg; p.o.; daily; day 7-day 35 after xenograft) inhibits of pancreatic cancer growth ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Animal Model:	Male athymic nude mice with L3.6pl cells xenograft (8–12 weeks) ^[1]
	Dosage:	100 mg/kg
	Administration:	Oral administration; daily; from day 7 to day 35 after xenograft
	Result:	Significantly decreased median tumor volume.

REFERENCES

[1]. 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 Jun 1;60(11):2926-35.

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

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