PD168393

Cat. No.: HY-13896

CAS No.: 194423-15-9

Molecular Formula: $C_{17}H_{13}BrN_4O$

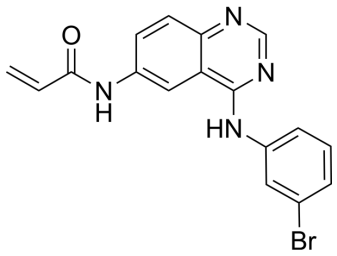
Molecular Weight: 369.22

Target: EGFR; Autophagy; Apoptosis

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Autophagy; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (81.25 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7084 mL	13.5421 mL	27.0841 mL
	5 mM	0.5417 mL	2.7084 mL	5.4168 mL
	10 mM	0.2708 mL	1.3542 mL	2.7084 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 3.33 mg/mL (9.02 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PD168393?is a potent, selective and cell-permeable inhibitor of EGFR tyrosine kinase and ErbB2. PD168393 irreversiblely inactivates EGF receptor (IC_{50}=0.7 nM) and is inactive against insulin receptor, PDGFR, FGFR and PKC[1].

IC_{50} & Target

EGFR
0.7 nM (IC_{50})

In Vitro

PD168393 inhibits ligand-dependent receptor phosphorylation and?inhibits EGF-induced tyrosine phosphorylation in A431 cells and Heregulin-induced tyrosine phosphorylation in MDA-MB-453 cells with IC_{50} values of 4.3? nM and 5.7? nM, respectively[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PD168393 (intraperitoneal injection; 58 mg/kg; once daily; days 10-14, 17-21, and 24-28) is effective?in vivo, and produces tumor growth inhibition of 115% after 15 days' treatment in human epidermoid carcinoma xenografts in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	A431 human epidermoid carcinoma grown as a xenograft in nude mice[1]
Dosage:	58 mg/kg
Administration:	Intraperitoneal injection; 58 mg/kg; once daily; days 10-14, 17-21, and 24-28
Result:	Suppressed the growth of human epidermoid carcinoma xenografts.

CUSTOMER VALIDATION

- J Cell Biochem. 2018 Mar;119(3):2911-2922.
- Biochem Cell Biol. 2022 Jan.

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REFERENCES

[1]. D W Fry, et al. Specific, irreversible inactivation of the epidermal growth factor receptor and erbB2, by a new class of tyrosine kinase inhibitor. Proc Natl Acad Sci U S A. 1998 Sep 29;95(20):12022-7.

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