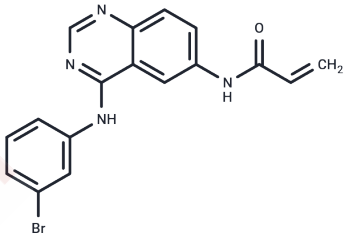
Data Sheet (Cat.No.T6932)

PD168393

Chemical Properties



CAS No. : 194423-15-9

Formula: C17H13BrN4O

Molecular Weight: 369.22

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	PD168393 is an irreversible EGFR inhibitor (IC50: 0.70 nM), irreversibly alkylate Cys-773; inactive against PKC, FGFR, PDGFR, and insulin.
Targets(IC50)	Apoptosis,EGFR,FGFR,Autophagy,IGF-1R,PDGFR,PKC
In vitro	PD 168393 is docked into the ATP binding pocket of EGFR TK. PD168393 completely suppresses EGF-dependent receptor autophosphorylation in A431 cells during continuous exposure, with continous suppression even after 8 hr in compound-free medium. PD168393 inhibits heregulin-induced tyrosine phosphorylation in MDA-MB-453 cells with IC50 of 5.7 nM. PD168393 is inactive against insulin, PDGF and basic FGFR TKs as well as PKC. PD168393 inhibits EGF-mediated tyrosine phosphorylation in HS-27 human fibroblasts with IC50 of 1-6 nM but has little effect on FGF- or PDGF-mediated tyrosine phosphorylation. [1] PD168393 shows rapid and potent inhibition of Her2-induced tyrosine phosphorylation with IC50 of ~100 nM in 3T3-Her2 cells. D168393 also inhibits phosphorylation of PLCγ1/Stat1/Dok1/δ-catenin in 3T3-Her2 cells, except for Fyb. [2]
In vivo	PD 168393 produces tumor growth inhibition of 115% in A431 human epidermoid carcinoma xenograft in nude mice, with 50% reduced phosphotyrosine content of EGFR. PD 168393 also shows a low plasma concentration. [1]
Kinase Assay	The effects of VU0364770 on rat mGlu1 and mGlu5 are assessed by using calcium mobilization and measuring the glutamate concentration-response relationship in the presence and absence of 10 μM VU0364770. Using a double-addition protocol, VU0364770 is added to the cells, followed 2.5 min later by a full concentration-response of glutamate. Shifts of the concentration-response relationship are used to assess potential potentiator (left shift of more than 2-fold) or antagonist (right shift of more than 2-fold or depression of the maximum response by at least 75%) activity of VU0364770. Compounds are further assessed for mGlu5 antagonist activity by performing a full concentration-response curve, starting at 30 μM and serially diluted it by using 1:3 dilutions, in the presence of an EC80 concentration of glutamate[1].

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 40 mg/mL (108.34 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.42 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0542 mL	0.2708 mL	0.5417 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

Fry W, et al. Proc Natl Acad Sci U S A. 1998, 95(20), 12022-12027.
Bose R, et al. Proc Natl Acad Sci U S A, 2006, 103(26), 9773-9778.