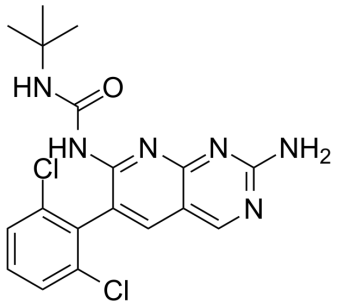
PD-089828

Cat. No.:	HY-112345
CAS No.:	179343-17-0
Molecular Formula:	$C_{18}H_{18}Cl_2N_6O$
Molecular Weight:	405.28
Target:	FGFR; PDGFR; EGFR; Src
Pathway:	Protein Tyrosine Kinase/RTK; JAK/STAT Signaling
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 : 10 mg/mL (24.67 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4674 mL	12.3371 mL	24.6743 mL
	5 mM	0.4935 mL	2.4674 mL	4.9349 mL
	10 mM	0.2467 mL	1.2337 mL	2.4674 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.56 mg/mL (1.38 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.56 mg/mL (1.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PD-089828 is an ATP competitive inhibitor of FGFR-1, PDGFR-β and EGFR (IC_{50}s=0.15, 1.76, and 5.47 μM, respectively) and a noncompetitive inhibitor of c-Src tyrosine kinase (IC_{50}=0.18 μM). PD-089828 also inhibits MAPK with an IC_{50} of 7.1 μM. PD-089828 inhibits PDGF-, EGF- and bFGF-mediated tyrosine kinase receptor autophosphorylation in vitro. PD-089828 has a long-lasting cellular activity[1].

IC_{50} & Target				
	FGFR1 0.15 μM (IC_{50})	PDGFR-β 1.76 μM (IC_{50})	EGFR 5.47 μM (IC_{50})	c-Src 0.18 μM (IC_{50})
	FGFR1 0.14 μM (Ki)	PDGFR-β 2.38 μM (Ki)	EGFR 3.16 μM (Ki)	c-Src 0.1 μM (Ki)

In Vitro

PD-089828 (0.5-20 μM; 2 hours) inhibits PDGFR autophosphorylation with an IC_{50} of 0.82 μM[1].
PD-089828 (1-50 μM; 2 hours) inhibits EGFR autophosphorylation with an IC_{50} value of 10.9 μM[1].
In A121(p) cells, PD 089828 potently inhibits the phosphorylation of FGFR-1 with an IC_{50} value of 0.63 μM[1].PD-089828 (10 μM; 8 days) produces a concentration-related inhibition of serum-stimulated cell growth with an IC_{50} value of 1.8 μM[1].
PD-089828 inhibits increases in DNA synthesis stimulated by all three growth factors, with IC_{50} values of 0.8 for PDGF-, 1.7 for EGF- and 0.48 μM for bFGF-induced mitogenesis[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Vascular smooth muscle cells (serum-stimulated growth)
Concentration:	10 μM
Incubation Time:	8 consecutive days
Result:	Produced a concentration-related inhibition of serum-stimulated cell growth with an IC50 value of 1.8 μM.

Western Blot Analysis[1]

Cell Line:	Vascular smooth muscle cells (stimulated with PDGF-BB 30 ng/ml)
Concentration:	0.5-20 μM
Incubation Time:	2 hours
Result:	Inhibited PDGFR autophosphorylation with an IC_{50} of 0.82 μM.

REFERENCES

[1]. Dahring TK, et al. Inhibition of growth factor-mediated tyrosine phosphorylation in vascular smooth muscle by PD 089828, a new synthetic protein tyrosine kinase inhibitor. J Pharmacol Exp Ther. 1997 Jun;281(3):1446-56.

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