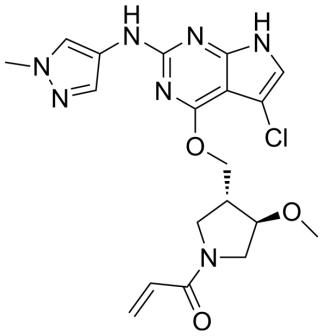
PF-06459988

Cat. No.:	HY-19985
CAS No.:	1428774-45-1
Molecular Formula:	$C_{19}H_{22}ClN_7O_3$
Molecular Weight:	431.88
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
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 : 50 mg/mL (115.77 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3155 mL	11.5773 mL	23.1546 mL
	5 mM	0.4631 mL	2.3155 mL	4.6309 mL
	10 mM	0.2315 mL	1.1577 mL	2.3155 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: ≥ 2.75 mg/mL (6.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (6.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (6.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-06459988 is an orally activity, irreversible and mutant-selective inhibitor of EGFR mutant forms. PF-06459988 demonstrates high potency and specificity to the T790M-containing double mutant EGFRs. PF-06459988 can be used for the research of cancer[1].

IC_{50} & Target

IC50: 45 nM (H1975 EGFR), 3.3 μM (EGFR)

In Vitro

PF-06459988 (0-10 μM; 2 h) shows good cellular potency to NSCLC cell lines and high selectivity between the potent target

and WT EGFR[1].

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

Cell Cytotoxicity Assay[1]

Cell Line:	NSCLC cell lines
Concentration:	0-10 μM
Incubation Time:	2 hours
Result:	Exibited excellent cellular potency to H1975 (L858R/T790M), PC9-DRH (Del/T790M), H3255 (L858R), PC9 (Del), HCC827 (Del) and A549 (WT) cell lines with IC_{50} values of 13, 7, 21, 140, 90 and 5100 nM, respectively. Showed high specificity to T790M-containing double mutan EGFRs.

REFERENCES

[1]. Cheng H, et al. Discovery of 1-{(3R,4R)-3-[({5-Chloro-2-[(1-methyl-1H-pyrazol-4-yl)amino]-7H-pyrrolo[2,3-d]pyrimidin-4-yl}oxy)methyl]-4-methoxypyrrolidin-1-yl}prop-2-en-1-one (PF-06459988), a Potent, WT Sparing, Irreversible Inhibitor of T790M-Containing EGFR Mutants. J Med Chem. 2016 Mar 10;59(5):2005-24. doi: 10.1021/acs.jmedchem.5b01633. Epub 2016 Jan 28.

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