(3S,4S)-PF-06459988

Cat. No.: HY-19985A

CAS No.: 1858291-14-1

Molecular Formula: $C_{19}H_{22}ClN_7O_3$

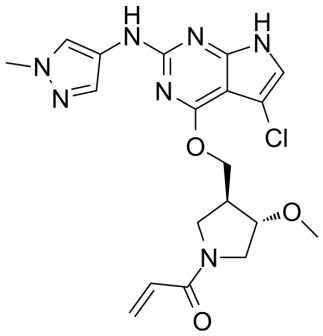
Molecular Weight: 431.88

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: ≥ 2.5 mg/mL (5.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(3S, 4S)-PF-06459988 is the S enantiomer of PF-06459988 with less active. PF-06459988 is a potent irreversible inhibitor of T790M mutant epidermal growth factor receptor (EGFR). PF-06459988 has excellent selectivity against EGFR wild-type while possessing a minimally reactive electrophile that reduces the propensity of off-target labeling[1].

IC_{50} & Target

EGFR[1]

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. Journal of Medicinal Chemistry, 59(5), 2005–2024.

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