PF-6274484

Cat. No.: HY-101450

CAS No.: 1035638-91-5

Molecular Formula: $C_{18}H_{14}ClFN_4O_2$

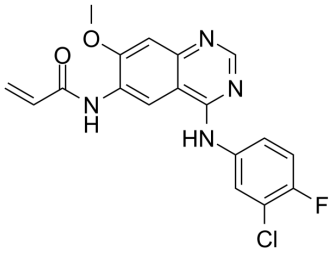
Molecular Weight: 372.78

Target: EGFR

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

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 : 25 mg/mL (67.06 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6825 mL	13.4127 mL	26.8255 mL
5 mM	0.5365 mL	2.6825 mL	5.3651 mL
10 mM	0.2683 mL	1.3413 mL	2.6825 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (5.58 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PF-6274484 is a potent EGFR inhibitor with K_is of 0.14 nM and 0.18 nM for EGFR-L858R/T790M and WT EGFR, respectively. PF-6274484 inhibits EGFR-L858R/T790M autophosphorylation in H1975 tumor cells and EGFR WT in A549 tumor cells with IC_{50}s of 6.6 and 5.8 nM, respectively[1].

IC_{50} & Target

EGFR (WT)	$EGFR^{L858R/T790M}$
0.18 nM (Ki)	0.14 nM (Ki)

REFERENCES

[1]. Schwartz PA, et al. Covalent EGFR inhibitor analysis reveals importance of reversible interactions to potency and mechanisms of drug resistance. Proc Natl Acad Sci U S

A. 2014;111(1):173-178.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA