

PF-03814735

Catalog No: tcsc0684



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

942487-16-3

Formula:

$C_{23}H_{25}F_3N_6O_2$

Pathway:

Protein Tyrosine Kinase/RTK;Cell Cycle/DNA Damage;Epigenetics

Target:

VEGFR;Aurora Kinase;Aurora Kinase

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 47 mg/mL (99.06 mM)

Observed Molecular Weight:

474.48

Product Description

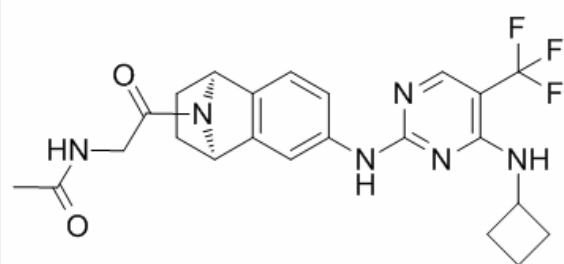
PF-03814735 is a potent, orally available and reversible **aurora A** and **aurora B** inhibitor with **IC₅₀**s of 0.8 and 0.5 nM, respectively.

IC50 & Target: IC50: 0.8 nM (aurora 1), 5 nM (aurora 2)^[1]

In Vitro:

In intact cells, the inhibitory activity of PF-03814735 on the Aurora1 and Aurora2 kinases reduces levels of phospho-Aurora1, phosphohistone H3, and phospho-Aurora2. PF-03814735 produces a block in cytokinesis, resulting in inhibition of cell proliferation and the formation of polyploid multinucleated cells^[1]. Small cell lung cancer (SCLC) and, to a lesser extent, colon cancer lines are very sensitive to PF-03814735. The status of the Myc gene family and retinoblastoma pathway members significantly correlates with the efficacy of PF-03814735^[2].

In Vivo: Once-daily oral administration of PF-03814735 to mice bearing human xenograft tumors produces a reduction in phosphohistone H3 in tumors at doses that are tolerable and that result in significant inhibition of tumor growth. The combination of PF-03814735 and docetaxel in xenograft mouse tumor models shows additive tumor growth inhibition^[1]. PF-03814735 is much more effective in NCI-H82 xenografts when administered on a weekly dosing schedule at 80 mg/kg compared with a daily schedule at 15 mg/kg. PF-03814735 delayed growth by 23.5 days on the weekly schedule, which corresponds to 0.9 logs of net cell kill during the course of treatment^[2].



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