Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

PF-3758309

Catalog No: tcsc0773

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
898044-15-0

Formula:
$C_{25}H_{30}N_8OS$

Pathway:
Cytoskeleton;Cell Cycle/DNA Damage

Target:
PAK;PAK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (203.82 mM)

Observed Molecular Weight:
490.62

Product Description

PF-3758309 is an inhbitor of **PAK** with **IC_{50}** of 1.3 nM for PAK4.

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IC50 & Target: IC50: 1.3 nM (PAK4)[1]

In Vitro: F-3758309 binds directly to PAK4 with an in vitro potency of 2.7-4.5 nM. PF-3758309 has similar enzymatic potency against the kinase domains of the other group B PAKs (PAK5, K_i=18.1±5.1 nM; PAK6, K_i=17.1±5.3 nM) and group A PAK1 (K_i=13.7±1.8 nM), but is less active against the other two group A PAKs (PAK2, IC_{50}=190 nM; PAK3, IC_{50}=99 nM). PAK4 phosphorylates GEF-H1 on a previously characterized serine residue 810 and is inhibited by PF-3758309 (IC_{50}=1.3±0.5 nM). PF-3758309 also inhibits endogenous pGEF-H1 accumulation in HCT116 cells[1]. PF-3758309 is profiled for its growth-inhibitory activity in a panel of 92 tumor cell lines, half of which exhibits IC_{50} values of less than 10 nM[2]. The proliferation of A549 cells is affected at the treatment with lower dosage (1 μM) of PF-3758309[3].

In Vivo: PF-3758309 (7.5-30 mg/kg BID, p.o.) results in statistically significant tumor growth inhibition (TGI) in five models including HCT116 and A549 models. PF-3758309 (15 mg/kg BID, p.o.) is found to inhibit [^{3}H]FLT uptake 32.5% in the HCT116 tumor xenografts by day 6. PF-3758309 treatment shows a significant increase in the apoptotic marker activated caspase 3 in HCT116 tumors[1]. PF-3758309 (25 mg/kg, p.o.) exhibits antiproliferative effects on cell line xenografts[4].