

# PF-3758309

Catalog No: tcsc0773



## Available Sizes

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**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

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**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 :  $\geq 100$  mg/mL (203.82 mM)

**Observed Molecular Weight:**

490.62

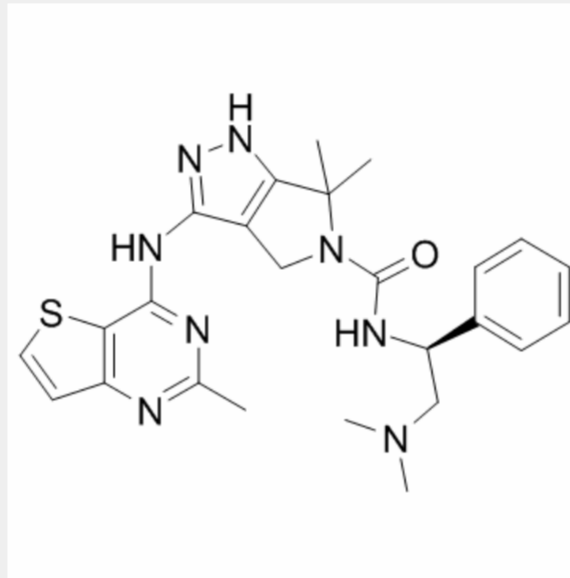
## Product Description

PF-3758309 is an inhibitor of **PAK** with **IC<sub>50</sub>** of 1.3 nM for PAK4.

IC50 & Target: IC50: 1.3 nM (PAK4)<sup>[1]</sup>

**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,  $K_i=18.1\pm 5.1$  nM; PAK6,  $K_i=17.1\pm 5.3$  nM) and group A PAK1 ( $K_i=13.7\pm 1.8$  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 ( $IC_{50}=1.3\pm 0.5$  nM). PF-3758309 also inhibits endogenous pGEF-H1 accumulation in HCT116 cells<sup>[1]</sup>. 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<sup>[2]</sup>. The proliferation of A549 cells is affected at the treatment with lower dosage (1  $\mu$ M) of PF-3758309<sup>[3]</sup>.

**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 [<sup>3</sup>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<sup>[1]</sup>. PF-3758309 (25 mg/kg, p.o.) exhibits antiproliferative effects on cell line xenografts<sup>[4]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!