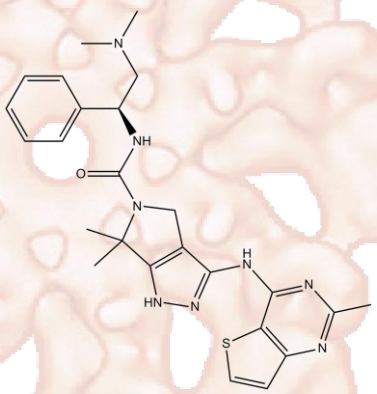
Product Data Sheet

PF-3758309



Cat. No.:	A3716
CAS No.:	898044-15-0
Formula:	C25H30N8OS
M.Wt:	490.62
Synonyms:	PF 3758309; PF3758309
Target:	Cell Cycle/Checkpoint
Pathway:	PAK4
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

≥24.53 mg/mL in DMSO, ≥101.4 mg/mL in EtOH with ultrasonic and warming,insoluble in H2O

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.0382 mL	10.1912 mL	20.3824 mL
5 mM	0.4076 mL	2.0382 mL	4.0765 mL
10 mM	0.2038 mL	1.0191 mL	2.0382 mL

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

Biological Activity

Shortsummary	PAK4 inhibitor
IC_{50} & Target	Ki=18.7 nM, Kd = 2.7 nM (PAK4)

In Vitro

Cell Viability Assay

Cell Line:	HEK293T, HCT116 and SKOV3 cells
Preparation method:	The solubility of this compound in DMSO is > 24.5 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.
Reacting conditions:	1 μM; 72 hrs
Applications:	In tested cell lines, PF-3758309 inhibited phosphorylation of the PAK4

		substrate GEF-H1 and anchorage-independent cell growth. In addition, PF-3758309 also inhibited accumulation of endogenous pGEF-H1 in HCT116 cells.
In Vivo	**Animal experiment**	
	Animal models:	A panel of human xenograft tumor models
	Dosage form:	7.5 ~ 30 mg/kg; p.o.; b.i.d., for 9 ~ 18 days
	Applications:	PF-3758309 significantly inhibited tumor growth in 5 models including HCT116 and A549 models which were PAK4-dependent. On the other hand, PF-3758309 showed no inhibition in DLD1 cells with a loss-of-function mutation in one of the PAK4 alleles.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. White SM, Avantaggiati ML, et al. "YAP/TAZ Inhibition Induces Metabolic and Signaling Rewiring Resulting in Targetable Vulnerabilities in NF2-Deficient Tumor Cells." Dev Cell. 2019 May 6;49(3):425-443.e9.PMID:31063758

2. Ramos-Alvarez I, Jensen RT. "P21-activated kinase 4 in pancreatic acinar cells is activated by numerous gastrointestinal hormones/neurotransmitters and growth factors by novel signaling, and its activation stimulates secretory/growth cascades." Am J Physiol Gastrointest Liver Physiol. 2018 Aug 1;315(2):G302-G317.PMID:29672153

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References

[1]. Murray B W et al. Small-molecule p21-activated kinase inhibitor PF-3758309 is a potent inhibitor of oncogenic signaling and tumor growth. Proc Natl Acad Sci USA. 2010, 107(20): 9446-9451.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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