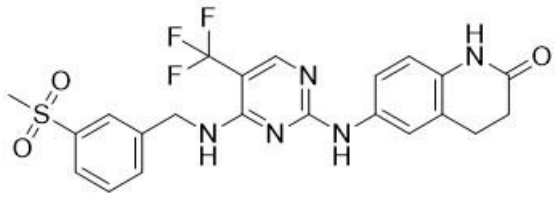
Product data sheet

MedKoo Cat#: 406126 Name: PF-573228 CAS#: 869288-64-2 Chemical Formula: $C_{22}H_{20}F_3N_5O_3S$ Exact Mass: 491.12389 Molecular Weight: 491.49	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

PF-573228 is a potent and selective inhibitor of focal adhesion kinase (FAK) (IC50= 4 nM). Displays 50 - 250-fold selectivity for FAK over other protein kinases. PF573228 was recognized to affect cell adhesion and migration in many types of cells.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	20.0	40.7

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	**2.03**	**10.17**	**20.35**
5 mM	0.41	2.03	4.07
10 mM	0.20	1.02	2.03
50 mM	0.04	0.20	0.41

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Chi Q, Wang L, Xie D, Wang X. Characterization of in vitro metabolism of focal adhesion kinase inhibitors by LC/MS/MS. J Pharm Biomed Anal. 2019 May 10;168:163-173. doi: 10.1016/j.jpba.2019.02.028. Epub 2019 Feb 19. PMID: 30807921.
2. Chuang HH, Wang PH, Niu SW, Zhen YY, Huang MS, Hsiao M, Yang CJ. Inhibition of FAK Signaling Elicits Lamin A/C-Associated Nuclear Deformity and Cellular Senescence. Front Oncol. 2019 Jan 30;9:22. doi: 10.3389/fonc.2019.00022. PMID: 30761269; PMCID: PMC6363943.

In vivo study

1. Aulakh GK, Petri B, Wojcik KM, Colarusso P, Lee JJ, Patel KD. Inhibiting focal adhesion kinase (FAK) blocks IL-4 induced VCAM-1 expression and eosinophil recruitment in vitro and in vivo. J Leukoc Biol. 2018 Jul;104(1):147-158. doi: 10.1002/JLB.3MA1117-429R. Epub 2018 Apr 6. PMID: 29633338.

7. Bioactivity

Biological target:

PF-573228 is a potent and selective FAK inhibitor with IC50 of 4 nM (FAK).

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In vitro activity

In the present study, the pharmacological effect of PF-573228 on inhibiting FAK activity and limiting lung cancer cell growth was tested. Given the role of FAK signaling in tumor growth and metastasis, it was hypothesized that inhibiting the catalytic activity of FAK may disrupt FAK signaling and blunt tumor cell proliferation. Therefore, three distinct non-small cell lung cancer cell lines (A549 lung adenocarcinoma cells and H460 and H1299 large cell carcinoma cells) were treated with PF-573228, an enzymatic inhibitor of FAK. PF-573228 was administered to the lung cancer cells for 4 days at three doses: 0.1, 1, or 10 μM. The growth curves showed that 10 μM PF-573228 effectively induced cessation of cell growth (Figures 1A–C). When lung cancer cells were treated with PF-573228, an abnormal nuclear shape was observed. Furthermore, it was found that PF-573228 treatment does not dramatically affect nuclear translocation of FAK in A549 cells. This implied that FAK-mediated signaling to maintain lamin A/C expression may not be through transcriptional regulation.

Reference: Front Oncol. 2019; 9: 22. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6363943/

In vivo activity

To better understand the role of FAK in leukocyte recruitment, a FAK-specific inhibitor (PF-573228) was used and determined the effect on IL-4 induced eosinophil recruitment in vitro and in vivo. PF-572338 also prevented IL-4-induced VCAM-1 expression in vivo. Using brightfield intravital microscopy, it was found that PF-573228 decreased leukocyte rolling flux, adhesion, and emigration. Eosinophil recruitment was examined in vivo by using an eosinophil-GFP reporter mouse and found PF-573228 attenuated eosinophil emigration. This study reveals that a FAK inhibitor influences inflammation through its action on eosinophil recruitment.

Reference: J Leukoc Biol. 2018 Jul;104(1):147-158. https://pubmed.ncbi.nlm.nih.gov/29633338/