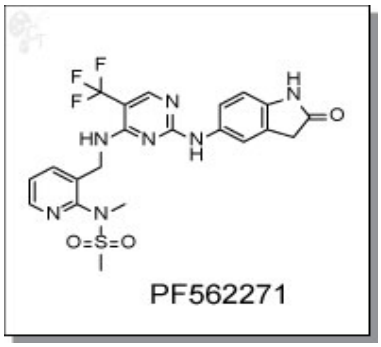
Product Specification Sheet

Product Name: PF-562271

Catalog Number: C7562



Technical information:

Chemical Formula:	$C_{21}H_{20}F_3N_7O_3S$
CAS #:	717907-75-0
Molecular Weight:	507.49
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	N-methyl-N-(3-((2-(2-oxoindolin-5-ylamino)-5-(trifluoromethyl)pyrimidin-4-ylamino)methyl)pyridin-2-yl)methanesulfonamide
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.197mL of DMSO for each mg of PF-562271.
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

PF-562271 is a reversible, ATP-competitive inhibitor of focal adhesion kinase (FAK) and Pyk2 with an IC50 of 1.5 and 14 nM, respectively. [1] In an inducible cell-based assay measuring phospho-FAK, it robustly inhibits at an IC50 of 5 nM. In vivo, PF-562271 inhibits FAK phosphorylation in a dose-dependent fashion at an EC50 of 93 ng/mL.

PF-562271 has been evaluated as a potential therapy for pancreatic ductal adenocarcinoma [2] and for cancer patients with bone metastases and cancer-associated osteoporosis. [3]

PF-562271 has been studied in combination with gemcitabine in pancreatic cancers, and sunitinib in hepatocellular carcinomas. [4] In the sunitinib / PF-562271 combination studies, both angiogenesis and tumor proliferation were addressed, posing a formidable approach to treating solid tumors of the liver.

Reference:

1. Roberts et al., Antitumor activity and pharmacology of a selective focal adhesion kinase inhibitor, PF-562,271. Cancer Res. 2008, 68, 1935-1944 Pubmed ID: 18339875
2. Stokes et al,. Inhibition of focal adhesion kinase by PF-562,271 inhibits the growth and metastasis of pancreatic cancer concomitant with altering the tumor microenvironment. Mol. Cancer Ther. 2011, 10, 2135-2145. Pubmed ID: 21903606
3. Bagi et al., Dual focal adhesion kinase/Pyk2 inhibitor has positive effects on bone tumors: implications for bone metastases. Cancer, 2008, 112(10), 2313-2321. Pubmed ID: 18348298
4. Bagi et al., Sunitinib and PF-562,271 (FAK/Pyk2 inhibitor) effectively block growth and recovery of human hepatocellular carcinoma in a rat xenograft model. Cancer Biol. Ther. 2009, 8(9), 856-865. Pubmed ID: 19458500

To reorder: http://www.cellagentech.com/PF-562271/

For Technical Support: technical@cellagentech.com

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