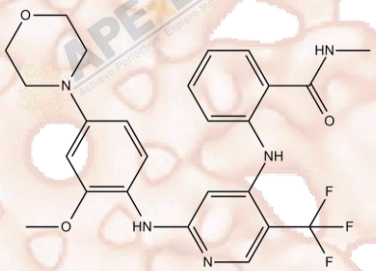
Product Data Sheet

PND-1186



Cat. No.:	A3730
CAS No.:	1061353-68-1
Formula:	C25H26F3N5O3
M.Wt:	501.5
Synonyms:	SR-2516;PND 1186;PND1186;SR 2516;SR2516; VS-4718; VS 4718; VS4718
Target:	Tyrosine Kinase
Pathway:	FAK
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾50.2 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	1.9940 mL	9.9701 mL	19.9402 mL
5 mM	0.3988 mL	1.9940 mL	3.9880 mL
10 mM	0.1994 mL	0.9970 mL	1.9940 mL

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

Biological Activity

Shortsummary	Potent FAK inhibitor
IC_{50} & Target	1.5 nM (FAK)

In Vitro

Cell Viability Assay

Cell Line:	Murine breast carcinoma 4T1, ID8 ovarian carcinoma, human MD-MBA-231 and HEY ovarian cancer cell lines
Preparation method:	The solubility of this compound in DMSO is >25.1 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:	0.1 to 1 μM
	Applications:	In murine breast carcinoma 4T1 cells, ID8 ovarian carcinoma cells and human MD-MBA-231 cells, PND-1186 could inhibit FAK Tyr-397 phosphorylation and increase the protein level of FAK. Meanwhile, PND-1186 could also significantly induce the cell apoptosis and increase the cleavage caspase 3 in suspended but not adherent 4T1 cells. Additionally, PND-1186 was able to promote HEY and OVCAR8 G0/G1 cell cycle arrest followed by cell death whereas growth of SKOV3-IP and OVCAR10 cells were resistant to 1.0 μM PND-1186.
In Vivo	**Animal experiment**	
	Animal models:	Mouse xenograft model with 4T1 tumor
	Dosage form:	150 mg/kg, i.p.
	Applications:	Oral administration of 150 mg/kg PND-1186 gave a more sustained PK profile verses i.p., and when given twice daily, PND-1186 significantly inhibited sygeneic murine 4T1 orthotopic breast carcinoma tumor growth and spontaneous metastasis to lungs. Moreover, low-level 0.5 mg/ml PND-1186 ad libitum administration in drinking water prevented oncogenic KRAS- and BRAF-stimulated MDA-MB-231 breast carcinoma tumor growth and metastasis with inhibition of tumoral FAK and p130Cas phosphorylation.
	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. Yu W, Gowda M, et al. "Oxidation of KCNB1 potassiumchannels triggers apoptotic integrin signaling in the brain." Cell Death Dis. 2017Apr 6;8(4):e2737.PMID:28383553

See more customer validations on www.apexbt.com.

References

1.Tanjoni I, Walsh C, Uryu S, et al. PND-1186 FAK inhibitor selectively promotes tumor cell apoptosis in three-dimensional environments. Cancer biology & therapy, 2010, 9(10): 764-777.

2.Tancioni I, Uryu S, Sulzmaier F J, et al. FAK inhibition disrupts a beta5 integrin signaling axis controlling anchorage-independent ovarian carcinoma growth. Molecular Cancer Therapeutics, 2014: molcanther. 1063.2014.

3.Walsh C, Tanjoni I, Uryu S, et al. Oral delivery of PND-1186 FAK inhibitor decreases tumor growth and spontaneous breast to lung metastasis in pre-clinical models. Cancer biology & therapy, 2010, 9(10): 778.

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.

APExBIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com