Data Sheet (Cat.No.T1950)



PND-1186

Chemical Properties

CAS No.: 1061353-68-1

Formula: C25H26F3N5O3

Molecular Weight: 501.5

Appearance: no data available

store at low temperature

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	PND-1186 (VS-4718) is a specific and reversible FAK inhibitor with an IC50 of 1.5 nM.
Targets(IC50)	Apoptosis,FAK
In vitro	In mice implanted with 4T1 tumors, PND-1186 (100 mg/kg, s.c.) induces apoptosis and inhibits the growth of subcutaneous 4T1 tumors. Additionally, in mice carrying ID8 tumors, PND-1186 (0.5 mg/mL, p.o.) suppresses the growth of ovarian cancer tumors.
In vivo	In vitro, PND-1186 demonstrates an inhibitory effect on the motility of 4T1 breast cancer cells, promotes apoptosis in suspended 4T1 cells, and reduces both the number and size of 4T1 soft agar colonies. Additionally, in HEY and OVCAR8 cells, PND-1186 induces G0-G1 cell cycle arrest, leading to cell death.
Kinase Assay	In vitro kinase activity: GST-FAK in vitro kinase activity is measured and compared to Histagged FAK 411-686 using the K-LISA screening kit and poly(Glu:Tyr) (4:1) copolymer as a substrate immobilized on microtiter plates. IC50 values are determined with various concentrations of test compounds in a buffer containing 50 µM ATP and 10 mM MnCl2, 50 mM HEPES (pH 7.5), 25 mM NaCl, 0.01% BSA, and 0.1 mM Na orthovanadate for 5 min at room temperature. Serial diluted compounds are tested in triplicate. Substrate phosphorylation is measured using horseradish peroxidase-conjugated anti-pTyr antibodies with spetrophotometric color quantitation. IC50 values are determined using the Hill-Slope Model. Kinase selectivity profiling is performed by using the KinaseProfiler service.
Cell Research	For soft agar assays, 48-well plates are coated with a 1:4 mix of 2% agar (EM Science) in 0.2 mL growth media (bottom layer). 5×104 cells are plated per well (in triplicate) in a mixture of 0.3% agar in 0.2 mL growth media (top layer). After agar solidification, 0.2 mL growth media is added containing DMSO or PND-1186 (final concentration for 0.6 mL). In separate experiments, PND-1186 is added after 4 days. After 10 days, colonies are imaged in phase contrast, enumerated by counting 9 fields (3 fields per well), and total area determined using Image J. For all analyses, experimental points are performed in triplicate and repeated at least two times. (Only for Reference)

Solubility Information

A DRUG SCREENING EXPERT

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble),	
	Ethanol: < 1 mg/mL (insoluble or slightly soluble),	
	DMSO: 23 mg/mL (45.86 mM),Sonication is recommended.	
	(< 1 mg/ml refers to the product slightly soluble or insoluble)	

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.994 mL	9.9701 mL	19.9402 mL
5 mM	0.3988 mL	1.994 mL	3.988 mL
10 mM	0.1994 mL	0.997 mL	1.994 mL
50 mM	0.0399 mL	0.1994 mL	0.3988 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Tanjoni I, et al. Cancer Biol Ther. 2010, 9(10), 764-777.

Tancioni I, et al. Mol Cancer Ther. 2014, 13(8), 2050-2061.

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