PND-1186

Cat. No.: HY-13917 CAS No.: 1061353-68-1 Molecular Formula: $C_{25}H_{26}F_3N_5O_3$

Molecular Weight: 501.5

Target: FAK; Apoptosis

Pathway: Protein Tyrosine Kinase/RTK; Apoptosis

Storage: Powder -20°C

3 years 4°C 2 years

In solvent -80°C 2 years

> -20°C 1 year

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: ≥ 34 mg/mL (67.80 mM)

* "≥" means soluble, but saturation unknown.

	Solvent Mass Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	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.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (4.99 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.99 mM); Clear solution
- 3. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline Solubility: ≥ 2.5 mg/mL (4.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	PND-1186 (VS-4718) is a potent, highly-specific and reversible inhibitor of FAK with an IC ₅₀ of 1.5 nM. PND-1186 selectively promotes tumor cell apoptosis ^[1] .
IC ₅₀ & Target	IC50: 1.5 nM (FAK) ^[1]
In Vitro	PND-1186 has an IC ₅₀ of ~100 nM in breast carcinoma cells as determined by anti-phospho-specific immunoblotting to FAK

Tyr-397^[1].

In murine 4T1 breast carcinoma cells, FAK is important in promoting an invasive and metastatic cell phenotype. Increasing concentrations of PND-1186 (0.1 to 1.0 μ M) added to 4T1 cells inhibits FAK Tyr-397 phosphorylation (pY397) and results in elevated levels of total FAK protein within 1 h^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis^[1]

Cell Line:	4T1 breast carcinoma cells	
Concentration:	0.1, 0.2, 0.4, 0.6 and 1.0 μM	
Incubation Time:	1 hour	
Result:	Inhibited FAK Tyr-397 phosphorylation (pY397) and resulted in elevated levels of total FAK protein.	

In Vivo

PND-1186 (30 mg/kg or 100 mg/kg; subcutaneously; injected subcutaneously in the neck region) inhibits 4T1 subcutaneous tumor growth by induction of apoptosis $^{[1]}$.

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Animal Model:	BALB/c mice $^{[1]}$	
Dosage:	30 mg/kg or 100 mg/kg	
Administration:	Injected (100 $\mu\text{L})$ subcutaneously in the neck region; every 12 h (twice-daily, b.i.d.) for 5 days.	
Result:	100 mg/kg treatment significantly reduced final 4T1 tumor weight 2-fold whereas 30 mg/kg treatment slightly reduced final tumor weight but was not significantly different compared to control.	

CUSTOMER VALIDATION

- Cancer Cell. 2019 Mar 18;35(3):457-472.e5.
- Cancer Commun (Lond). 2023 May 31.
- Clin Cancer Res. 2019 Jul 15;25(14):4552-4566.
- J Exp Clin Cancer Res. 2022 Jun 3;41(1):193.
- Cancers (Basel). 2023 Apr 13, 15(8), 2280.

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REFERENCES

[1]. Tanjoni I, et al. PND-1186 FAK inhibitor selectively promotes tumor cell apoptosis in three-dimensional environments. Cancer Biol Ther. 2010 May 15;9(10):764-77.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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