

# PND-1186

**Catalog No: tcsc1584**



## Available Sizes

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**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

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**CAS No:**

1061353-68-1

**Formula:**

$C_{25}H_{26}F_3N_5O_3$

**Pathway:**

Protein Tyrosine Kinase/RTK

**Target:**

FAK

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 34$  mg/mL (67.80 mM)

**Alternative Names:**

SR-2516;VS-4718

**Observed Molecular Weight:**

501.5

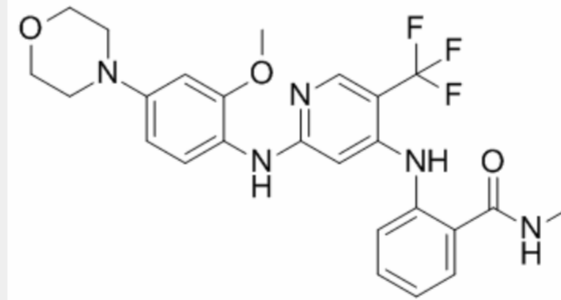
## Product Description

PND-1186 is a substituted pyridine reversible inhibitor of **FAK** activity with **IC<sub>50</sub>** of 1.5 nM in vitro.

IC50 & Target: IC50: 1.5 nM (FAK)<sup>[1]</sup>

**In Vitro:** Using the recombinant FAK kinase domain as a glutathione-S-transferase (GST) fusion protein in an in vitro kinase assay, PND-1186 inhibits FAK activity with IC<sub>50</sub> of 1.5 nM. PND-1186 has an IC<sub>50</sub> of ~100 nM in breast carcinoma cells as determined by anti-phospho-specific immunoblotting to FAK Tyr-397. Whereas 1.0 μM PND-1186 (>5-fold above IC<sub>50</sub>) has limited effects on cell proliferation, under non-adherent conditions or when grown as spheroids or colonies in soft agar, 0.1 μM PND-1186 blocks FAK and p130Cas tyrosine phosphorylation, promotes caspase-3 activation, and triggers cell apoptosis. PND-1186 inhibits 4T1 breast carcinoma subcutaneous tumor growth correlated with elevated tumor cell apoptosis and caspase 3 activation<sup>[1]</sup>.

**In Vivo:** 100 mg/kg PND-1186 treatment significantly reduces final 4T1 tumor weight 2-fold (n=8, p0.05). Both 30 and 100 mg/kg administration of PND-1186 significantly increases tumor TUNEL staining compare to vehicle-treated controls. As elevated cleaved caspase-3 staining is also found in the tumors of PND-1186-treated mice<sup>[1]</sup>. PND-1186 displays a multi-exponential decay with a terminal half life (t<sub>1/2</sub>) of 1.72 hours after i.v. injection. Following i.p. and p.o. dosing, PND-1186 is rapidly absorbed with terminal half lives (t<sub>1/2</sub>) of 2.15 to 2.65 h, and bioavailability (%F) from 14.8 to 42.2%. PND-1186 bioavailability is greater upon intraperitoneal versus oral dosing<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!