

PND-1186

Catalog No: tcsc1584



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

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

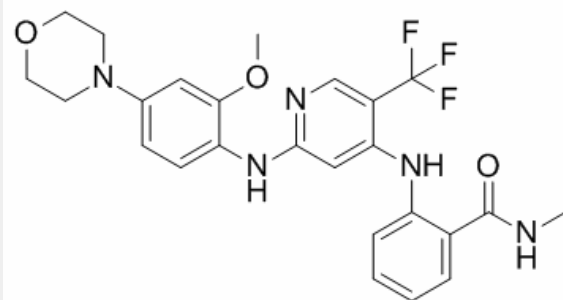
Product Description

PND-1186 is a substituted pyridine reversible inhibitor of **FAK** activity with **IC₅₀** of 1.5 nM in vitro.

IC50 & Target: IC50: 1.5 nM (FAK)^[1]

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 IC₅₀ of 1.5 nM. PND-1186 has an IC₅₀ 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 IC₅₀) 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^[1].

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^[1]. PND-1186 displays a multi-exponential decay with a terminal half life (t_{1/2}) 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_{1/2}) 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^[2].



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