Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 : ≥ 34 mg/mL (67.80 mM)

Alternative Names:
SR-2516;VS-4718

Observed Molecular Weight:
501.5

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Product Description

PND-1186 is a substituted pyridine reversible inhibitor of **FAK** activity with **IC_{50}** 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 IC_{50} of 1.5 nM. PND-1186 has an IC_{50} 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_{50}) 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].