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

NMS-1286937

Catalog No: tcsc3146

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1034616-18-6

Formula:
$C_{24}H_{27}F_3N_8O_3$

Pathway:
Cell Cycle/DNA Damage

Target:
Polo-like Kinase (PLK)

Purity / Grade:
>98%

Solubility:
DMSO : 21 mg/mL (39.44 mM; Need ultrasonic and warming)

Alternative Names:
NMS-P937

Observed Molecular Weight:
532.52

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Product Description

NMS-1286937 is a potent, selective and orally available **PLK1** inhibitor, with **IC_{50}** of 2 nM.

IC50 & Target: IC50: 2 nM (PLK1)[1]

In Vitro: NMS-1286937 is a potent, selective and orally available PLK1 inhibitor, with IC_{50} of 2 nM. NMS-1286937 also shows inhibitory activities against FLT3, MELK, and CK2, with IC_{50}s of 510, 744, and 826 nM, respectively[1]. NMS-P937 possesses a pure ATP competitive mechanism with a reversible dissociation and no time dependency. NMS-P937 (10 μM) is selective with a marginal activity of 48% and 40% inhibition on PLK2 and PLK3, respectively. NMS-P937 shows antiproliferative activity against a panel of 137 cell lines, with IC_{50} values of below 100 nM for 60 of 137 cell lines and higher than 1 μM for only 9 of 137 cell lines[2]. NMS-P937 shows cytotoxic activity against AmL-NS8 cells with IC_{50} of 36 nM[3].

In Vivo: NMS-1286937 (45 mg/kg, i.v.) shows a good tumor growth inhibition with acceptable and reversible body weight loss in CD1 nu/nu mice xenografted with human HCT116 colon adenocarcinoma cells. NMS-1286937 (60 mg/kg, p.o.) also inhibits the growth of tumor on HCT116 xenograft model[1]. NMS-P937 (45 mg/kg, i.v.or 60 mg/kg, p.o) inhibits tumor growth to a comparable degree (TGI, 83% and 79% intravenously and orally, respectively) in HCT116-bearing mice. The combination of NMS-P937 (120 mg/kg given for 4 cycles of 2 consecutive days with 10-day rest) and cytarabine (75 mg/kg for 4 cycles of 5 consecutive days with 7-day rest) in the disseminated leukemia model AmL-PS is well tolerated and clearly showed increased mice survival[2]. NMS-P937 (60 mg/kg bid os per day over 2 days with a 5 day rest) shows good efficacy compared to standard therapies, with a significant increase in median survival time (MST) in the established disease setting[3].