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

NVP-HSP990

Catalog No: tcsc3941

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
934343-74-5

Formula:
$C_{20}H_{18}FN_5O_2$

Pathway:
Metabolic Enzyme/Protease;Cell Cycle/DNA Damage

Target:
HSP;HSP

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 33 mg/mL (86.98 mM)

Alternative Names:
HSP-990

Observed Molecular Weight:
379.39

Product Description

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NVP-HSP990 is a potent and selective **Hsp90** inhibitor, with **IC_{50}** values of 0.6, 0.8, and 8.5 nM for Hsp90α, Hsp90β, and Grp94, respectively.

IC50 & Target: IC50: 0.6 nM (Hsp90α), 0.8 nM (Hsp90β), 8.5 nM (Grp94)[1]

In Vitro: NVP-HSP990 is a potent and selective Hsp90 inhibitor, with IC_{50} values of 0.6, 0.8, and 8.5 nM for Hsp90α, Hsp90β, and Grp94, respectively. NVP-HSP990 (10 μM) shows no affect the ATPase activity of topoisomerase II, a GHKL (Gyrase, Hsp90, Histidine Kinase, MutL) family ATPase, closely related to Hsp90. NVP-HSP990 also exerts efficient effects on c-Met, Hsp70, p-ERK and p-AKT in CTL-16 cells, with EC_{50}s of 37 ± 4, 20 ± 2, 11 ± 1, and 6 ± 1 nM, respectively. NVP-HSP990 suppresses the proliferation of BT474, A549, H1975 and MV4;11 cells, with GI_{50}s of 7 ± 2, 28 ± 5, 35 ± 4, and 4 ± 1 nM, respectively[1]. NVP-HSP990 inhibits cellular proliferation of GTL-16, with an EC_{50} of 14 nM[2]. NVP-HSP990 (5-500 nM) inhibits the multiple myeloma cell lines, with IC_{50}s of 27-49 nM after treatment for 72 h. NVP-HSP990 induces apoptosis in multiple myeloma cell lines (0-100 nM), leads to cell cycle arrest in the G2/M phase (25-200 nM), and induces apoptosis via caspase-8 followed by caspase-3 activation (100 nM). NVP-HSP990 increases HSP70 expression and interacts with Akt and ERK signaling. Moreover, NVP-HSP990 (100 nM) in combination with melphalan, causes synergistic effects on growth inhibition in multiple myeloma cells and increases cleavage of caspase-3, caspase-8, and caspase-9 and activates caspase-2[3].

In Vivo: NVP-HSP990 (2.5 to 5 mg/kg twice weekly, or 5 to 15 mg/kg weekly, p.o.) causes dose proportional antitumor efficacy, without obvious loss or overt signs of toxicity in a GTL-16 tumor bearing mice. NVP-HSP990 (5 or 10 mg/kg weekly, p.o.) also results in significant inhibition of tumor growth in BT-474 breast cancer model. NVP-HSP990 (5 mg/kg twice weekly or 15 mg/kg weekly, p.o.) inhibits the growth of tumor in the MV4;11 xenograft model. Furthermore, NVP-HSP990 (0.5 mg/kg every day, 14, 5 mg/kg twice weekly, or 15 mg/kg weekly, p.o.) displays antitumor efficacy in H1975 and A549 tumor models[1]. NVP-HSP990 (5, 15 mg/kg, p.o.) shows prolonged suppression of c-Met levels with 30% and 50% reduction and exhibits antitumor activities in GTL-16 tumor xenograft [2].