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

GSK461364

Catalog No: tcsc0069

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
929095-18-1

Formula:
$C_{27}H_{28}F_3N_5O_2S$

Pathway:
Cell Cycle/DNA Damage

Target:
Polo-like Kinase (PLK)

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
GSK461364A

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Observed Molecular Weight:
543.6

Product Description

GSK461364 is a potent, selective, reversible, ATP-competitive **Polo-like kinase 1 (PLK1)** inhibitor with a **K_i** value of 2.2 nM.

IC50 & Target: Ki: 2.2 nM (PLK1)[1]

In Vitro: GSK461364 is a potent, selective, and reversible ATP-competitive Plk1 inhibitor (K_i, 2.2 nM) with at least 390-fold greater selectivity for Plk1 than for Plk2 and Plk3 and 1,000-fold greater selectivity than for a panel of 48 other kinases[1]. GSK461364 (GSK461364A, 250 nM) inhibiting plk1 causes prolonged mitotic delay, aberrant mitotic exit, and p53 activation in A549 and PL45 cells. Knockdown of p53 significantly enhances the sensitivity of the cells to GSK461364A (30 or 300 nM) in preventing outgrowth in A549 and NCI-H460 cells, compared with cells with nonsilencing control siRNA[2]. GSK461364 can inhibits cell growth of most proliferating cancer cell lines, and suppresses 89% of cancer cell line (66 of 74 lines) proliferation, with a GI_{50} (concentration required to inhibit 50% cell growth) of ≤100 nM. GSK461364 (GSK461364A, >20 nM) blocks cells in G2-M phase with reduction of cells in G1 phase of A549 lung carcinoma line. GSK461364 (10-250 nM) blocks cells in G2 and M phases of the cell cycle and causes M-phase caspase-3/caspase-7 activation in cancer cells[3]. GSK461364 (0.5-2 μM) decreases level of PLK1 and pCDC25C, and cuases a dose- and time-dependent increase in pHisH3, an indicator of mitotic arrest in OS cell lines[4].

In Vivo: GSK461364 (50 mg/kg) exhibits various degrees of tumor growth delay (TGD) in multiple xenograft tumor models by i.p. one dose every 2 days repeated twelve times (q2d×12)[1].