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

A-674563

Catalog No: tcsc0486

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
552325-73-2

Formula:
$C_{22}H_{22}N_4O$

Pathway:
PI3K/Akt/mTOR

Target:
Akt

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
358.44

Product Description

A-674563 is a potent selective **Akt1** inhibitor with **K_i** of 11 nM.

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IC50 & Target: Ki: 11 nM (Akt1)[1]

In Vitro: A-674563 slows proliferation of tumor cells with an EC_{50} of 0.4 μM[1]. A563 (0-10 μM) significantly decreases GSK3 and MDM2 phosphorylation in STS cells. A563 shows inhibitory effect on all STS cell lines, with IC_{50} values at 48 hours ranging from 0.22±0.034 μM (SW684) to 0.35 ±0.06 μM (SKLMS1). A563 induces G2 cell cycle arrest and apoptosis in STS cells. A563 (1 μM/12 hr) upregulates the expression of GADD45A independent of p53[2]. A-674563 (10-1000 nM) is anti-proliferative and cytotoxic in cultured human melanoma cells, induces melanoma cell apoptotic death, inhibited by caspase inhibitors, and inhibits melanoma cells via Akt-dependent and -independent mechanisms[3]. A-674563 is cytotoxic and anti-proliferative when added to U937 and AmL progenitor cells, activates caspase-3/9 and apoptosis in U937 and AmL progenitor cells, and manipulates other signalings in AmL cells whiling blocking Akt[4].

In Vivo: A-674563 (40 mg/kg/d, p.o.) shows no significant monotherapy activity, but the efficacy of the combination therapy (A-674563+paclitaxel) is significantly improved in the PC-3 prostate cancer xenograft model. A-674563 (20, 100 mg/kg) increases plasma insulin in an oral glucose tolerance test[1]. A563 (20 mg/kg/bid; p.o.) exhibits slow tumor growth and a significant difference in tumor volume without significant weight loss of mice. A563-treated tumors express increased levels of GADD45α and decreased levels of PCNA (a nuclear marker for proliferation). Additionally, TUNEL assay staining levels (marker for apoptosis) increase in the A563-treated specimens[2]. A-674563 (25, 100 mg/kg, lavage daily) potently inhibits A375 xenograft growth in mice[3]. A-674563 (15, 40 mg/kg) injection inhibits U937 xenograft in vivo growth, and improves mice survival[4].