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

WYE-687

Catalog No: tcsc0698

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1062161-90-3

Formula:
$C_{28}H_{32}N_8O_3$

Pathway:
PI3K/Akt/mTOR;PI3K/Akt/mTOR

Target:
PI3K;mTOR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
528.61

Product Description

WYE-687 is an ATP-competitive **mTOR** inhibitor with an **IC_{50}** of 7 nM. WYE-687 concurrently inhibits activation of **mTORC1** and **mTORC2**. WYE-687 also inhibits **PI3Kα** and **PI3Kγ** with **IC_{50}**s of 81 nM and 3.11 μM, respectively.

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IC50 & Target: IC50:7 nM (mTOR), 81 nM (PI3Kα), 3.11 μM (PI3Kγ), 17.8 μM (CK1 γ1), 28.9 μM (p38 α)[1]

mTORC1, mTORC2[2]

In Vitro: In the DELFIA measuring His6-S6K1 T389 phosphorylation, WYE-687 inhibits recombinant mTOR enzyme with an IC_{50} of 7 nM[1]. HL-60 AML cells are treated with applied concentrations of WYE-687 (33-1000 nM), MTT cell survival assay results demonstrate that WYE-687 potently inhibits HL-60 cell survival in a dose-dependent manner. A time dependent response by WYE-687 is also noticed. The number of dead (“trypan blue” positive) HL-60 cells is significantly increased following applied WYE-687 (100-1000 nM) treatment. At the meantime, HL-60 cell proliferation, tested by [H^3] Thymidine integration assay, is also inhibited by the WYE-687. Results show that WYE-687 is also antisurvival (“cytotoxic”) to the other AML cell lines: U937, THP-1 and AML-193[2].

In Vivo: U937 cells are inoculated into the flanks of SCID/beige mice. When xenografted tumors reach a volume around 100 mm^3, mice are orally administrated with either vehicle control (5% ethanol, 2% Tween 80, and 5% polyethylene glycol-400) or WYE-687 (5 or 25 mg/kg) daily for a total of 7 days. The WYE-687 regimen utilized in this study is based on preexperimental results and related studies. WYE-687 administration (5 or 25 mg/kg, daily) significantly inhibits U937 xenograft tumor growth in SCID mice, and the in vivo activity by WYE-687 is dose-dependent. At day 15, the 5 mg/kg WYE-687-treated tumors and 25 mg/kg WYE-687-treated tumors are 50% and 75% smaller than the vehicle control tumors, respectively. Tumor weights of WYE-687-treated mice are also significantly lower than that of vehicle group. Oral administration of WYE-687 potently inhibits U937 leukemic xenograft tumor growth in SCID mice, without causing significant toxicities[2].