

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

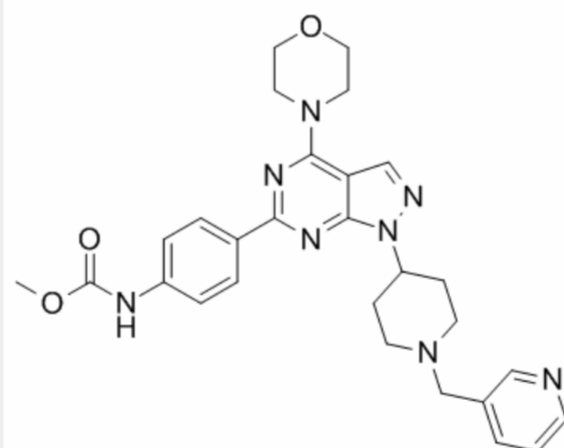
WYE-687 is an ATP-competitive **mTOR** inhibitor with an **IC₅₀** of 7 nM. WYE-687 concurrently inhibits activation of **mTORC1** and **mTORC2**. WYE-687 also inhibits **PI3K α** and **PI3K γ** with **IC₅₀s** of 81 nM and 3.11 μ M, respectively.

IC50 & Target: IC50:7 nM (mTOR), 81 nM (PI3K α), 3.11 μ M (PI3K γ), 17.8 μ M (CK1 γ 1), 28.9 μ M (p38 α)^[1]

mTORC1, mTORC2^[2]

In Vitro: In the DELFIA measuring His6-S6K1 T389 phosphorylation, WYE-687 inhibits recombinant mTOR enzyme with an IC₅₀ 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 [³H] 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 mm³, 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].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!