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

Apitolisib

Catalog No: tcsc0590

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1032754-93-0

Formula:
$C_{23}H_{30}N_8O_3S$

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

Target:
PI3K;mTOR

Purity / Grade:
>98%

Solubility:
DMSO : 14.29 mg/mL (28.66 mM; Need ultrasonic)

Alternative Names:
GDC-0980;GNE 390;RG 7422

Observed Molecular Weight:
498.6

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Product Description

Apitolisib (GDC-0980) is a selective, potent, orally bioavailable Class I **PI3** kinase and **mTOR kinase** (**TORC1/2**) inhibitor with **IC_{50}**s of 5 nM/27 nM/7 nM/14 nM for **PI3Kα/PI3Kβ/PI3Kδ/PI3Kγ**, and with a **K_i** of 17 nM for **mTOR**.

IC50 & Target: IC50: 5 nM (PI3Kα), 27 nM (PI3Kβ), 7 nM (PI3Kδ), 14 nM (PI3Kγ)

In Vitro: Apitolisib (GDC-0980) is remarkably selective for several other members of the closely related PIKK family kinases: C2alpha IC_{50}=1300 nM; C2beta IC_{50}=7 94 nM; VPS34 IC_{50}=2000 nM; PI4Kalpha >10 μM; PI4Kbeta >10 μM; DNA-PK Kiapp=623 nM, respectively[1]. A recent study shows that Apitolisib (GDC-0980) reduces cancer cell viability by inhibiting cell-cycle procession and inducing apoptosis with most potency in prostate (IC_{50}50 50 50 50 [2].

In Vivo: Apitolisib (GDC-0980) (1 mg/kg, p.o.) demonstrats significant efficacy in mouse xenografts and is currently in phase I clinical trials for cancer. Clearance and PPB are low, and Apitolisib (GDC-0980) shows dose-proportional exposure from 5 mg/kg dosed in PEG to 50 mg/kg dosed in suspension in MCT, a finding attributed partially to the compound’s good solubility[1]. Apitolisib (GDC-0980) (5 mg/kg, p.o.) results in greater than 50% TGI in 15 of the 20 xenograft models. The difference in tumor response to Apitolisib (GDC-0980) treatment correlates with the duration of knockdown of pAkt/tAkt[2].