

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

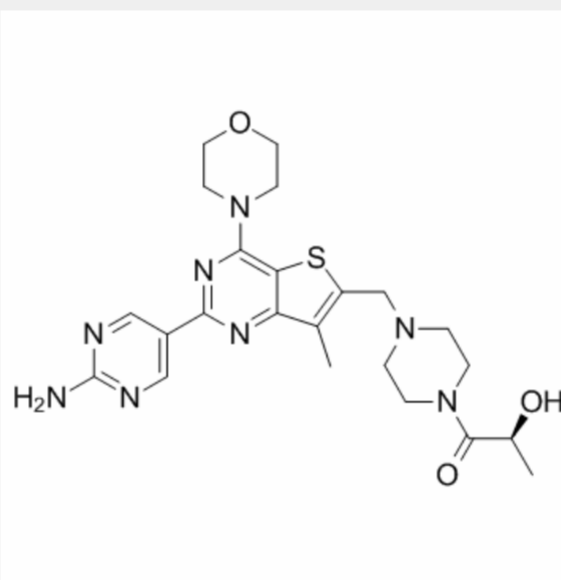
Product Description

Apitolisib (GDC-0980) is a selective, potent, orally bioavailable Class I **PI3** kinase and **mTOR kinase (TORC1/2)** inhibitor with **IC₅₀s** of 5 nM/27 nM/7 nM/14 nM for **PI3K α /PI3K β /PI3K δ /PI3K γ** , and with a **K_i** of 17 nM for **mTOR**.

IC50 & Target: IC50: 5 nM (PI3K α), 27 nM (PI3K β), 7 nM (PI3K δ), 14 nM (PI3K γ)

In Vitro: Apitolisib (GDC-0980) is remarkably selective for several other members of the closely related PIKK family kinases: C2alpha IC₅₀=1300 nM; C2beta IC₅₀=794 nM; VPS34 IC₅₀=2000 nM; PI4Kalpha >10 μ M; PI4Kbeta >10 μ M; 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 (IC₅₀ 50 50 50 50 [2]).

In Vivo: Apitolisib (GDC-0980) (1 mg/kg, p.o.) demonstrates 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].



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