Product Datasheet

GDC0980

Catalog No. **BP-02462** · CAS **1032754-93-0** · Form **free base** · Physical state **solid** · Color **White to yellow** · Version **1.0** · Revision **2026-09-10**

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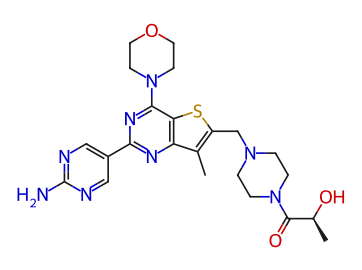
Target	Research area
PI3K; mTOR; Apoptosis	**Cancer**

Molecular formula	$C_{23}H_{30}N_8O_3S$
Molecular weight	**498.6010**

STRUCTURE



DESCRIPTION

Apitolisib (GDC-0980; GNE 390; RG 7422) 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 PI3Kα/PI3Kβ/PI3Kδ/PI3Kγ, and with a?Ki?of 17 nM for mTOR.

BIOLOGICAL ACTIVITY

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=7 94 nM; VPS34 IC50=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 (IC50 < 200 nM 50%), <500 nM 100%), breast (IC50 <200 nM 37%, <500 nM 78%) and NSCLC lines (IC50 <200 nM 29%, <500 nM 88%) and less potency in pancreatic (IC50 <200 nM 13%, <500 nM 67%) and melanoma cell lines (IC50 <200 nM 0%, <500 nM 33%).

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.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1].Sutherlin DP, et al. Discovery of a potent, selective, and orally available class I phosphatidylinositol 3-kinase (PI3K)/mammalian target of rapamycin (mTOR) kinase inhibitor (GDC-0980) for the treatment of cancer. J Med Chem, 2011, 54(21), 7579-7587.

[2].Wallin JJ, et al. GDC-0980 is a novel class I PI3K/mTOR kinase inhibitor with robust activity in cancer models driven by the PI3K pathway. Mol Cancer Ther, 2011, 10(12), 2426-2436.

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