

Data Sheet

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 Product Name
 :
 GDC-0980

 Cat. No.
 :
 PC-43426

 CAS No.
 :
 1032754-93-0

 Molecular Formula
 :
 C₂₃H₃₀N₈O₃S

 Molecular Weight
 :
 498.6011

 Target
 :
 PI3K

Solubility : 10 mM in DMSO

Biological Activity

GDC-0980 (Apitolisib, GNE 390, RG 7422) is a potent, selective, orally available class I **PI3K/mTOR** inhibitor with IC50 of 5 nM/27 nM/7 nM/14 nM for PI3K $\alpha/\beta/\delta/\gamma$, inhibits mTOR inhibitor with Ki of 17 nM.

GDC-0980 displays remarkably selectivity for several other members of the closely related PIKK family kinases, including C2alpha, C2beta, VPS34 I, PI4Kalpha, PI4Kbeta and DNA-PK.

GDC-0980 potently inhibits signal transduction downstream of both PI3K and mTOR, reduces viability in multiple cancer cell lines by cell-cycle inhibition and induction of apoptosis (prostate IC50<200 nM, NSCLC lines <200 nM).

GDC-0980 potently inhibits tumor growth in xenograft models with activated PI3K, loss of LKB1 or PTEN.

References

Wallin JJ, et al. *Mol Cancer Ther.* 2011 Dec;10(12):2426-36.

Sutherlin DP, et al. *J Med Chem.* 2011 Nov 10;54(21):7579-87.

Spoerke JM, et al. *Clin Cancer Res.* 2012 Dec 15;18(24):6771-83.et al.

Makhov PB, et al. *Mol Cancer Ther.* 2012 Jul;11(7):1510-7.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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