

Data Sheet

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Target

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name : GDC-0941 dimethanesulfonate

Cat. No. : PC-45782
CAS No. : 957054-33-0
Molecular Formula : C₂₅H₃₅N₇O₉S₄
Molecular Weight : 705.8469

Solubility : 10 mM in DMSO

: PI3K

Biological Activity

Pictilisib dimethanesulfonate (GSC-0941) is a potent, selective, orally bioavailable inhibitor of **class I PI3Ks** with IC50 of 3/33/3/75 nM for $p110\alpha/\beta/\gamma/\delta$, respectively.

Pictilisib (GSC-0941) shows similar activity for mutant p110 α E545K and H1047R (IC50=3 nM), weak or little activity for C2 α , Vps34, DNA-PK, and mTOR (IC50>500 nM).

Pictilisib (GSC-0941) inhibits Akt (Ser473) phosphorylation in U87MG, PC3, and MDA-MB-361 cells with IC50s of 46, 37, and 28 nM, respectively, and respective GI50 is 0.95, 0.28, and 0.72 uM.

Pictilisib (GSC-0941) exhibits tumor growth inhibition in U87MG human glioblastoma xenografts.

References

Folkes AJ, et al. *J Med Chem*. 2008 Sep 25;51(18):5522-32.

Junttila TT, et al. *Cancer Cell*. 2009 May 5;15(5):429-40.

Raynaud FI, et al. *Mol Cancer Ther.* 2009 Jul;8(7):1725-38.

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

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