
GDC-0349

产品编号: D50781

CAS: 1207360-89-1

分子式: C₂₄H₃₂N₆O₃

纯度: ≥98%

InChi: InChi=1S/C₂₄H₃₂N₆O₃/c1-3-25-24(31)26-18-6-4-17(5-7-18)22-27-21-12-29(19-14-33-15-19)9-8-20(21)23(28-22)30-10-11-32-13-16(30)2/h4-7,16,19H,3,8-15H₂,1-2H₃, (H₂,25,26,31)/t16-/m0/s1

InChi Key: RGJOJUGRHPQXGF-INIZCTEOSA-N

Smiles: C[C@H]1COCCN1C1=NC(=NC2CN(CCC1=2)C1COC1)C1=CC=C(C=C1)NC(=O)NCC

外观: 固体粉末

作用通路: Autophagy

溶解性: DMSO up to 50 mM

保存条件: Store in dry, dark place for one year.

产品介绍: GDC-0349 is a potent and selective ATP-competitive inhibitor of mTOR with IC₅₀ ~3.8 nM. It has remarkable selectivity over 266 kinases, including all isoforms of PI3K (less than 25% inhibition when tested at 1 μM against Invitrogen kinase panel). GDC-0349 demonstrates pathway modulation and dose-dependent efficacy in mouse xenograft cancer models. When dosed orally once daily in athymic mice in a MCF7-neo/Her2 tumor xenograft model (PI3K mutation), GDC-0349 inhibited tumor growth in a dose-dependent manner. It was also efficacious in other xenograft models, including PC3 (PTEN null) and 786-0 (VHL mutant). GDC-0349 inhibited downstream markers of mTOR, including phospho-4EBP1 and phospho-Akt(S473) in an in vivo PK/PD study in mouse, consistent with an inhibition of both mTORC1 and mTORC2 complexes. Currently GDC-0349 is in Phase I clinical trials to evaluate the safety and tolerability in patients with locally advanced or metastatic solid tumors or Non-Hodgkin's lymphoma.