
GDC-0068

产品编号: D50742

CAS: 1001264-89-6

分子式: C₂₄H₃₂ClN₅O₂

纯度: ≥98%

InChi: InChI=1S/C₂₄H₃₂ClN₅O₂/c1-15(2)26-13-19(17-4-6-18(25)7-5-17)24(32)30-10-8-29(9-11-30)23-21-16(3)12-20(31)22(21)27-14-28-23/h4-7,14-16,19-20,26,31H,8-13H₂,1-3H₃/t16-,19-,20-/m1/s1

InChi Key: GRZXWCHAXNAUHY-NSISKUIASA-N

Smiles: CC(C)NC[C@H](C1=CC=C(Cl)C=C1)C(=O)N1CCN(CC1)C1=NC=NC2[C@H](O)C[C@@H](C)C=21

外观: 固体粉末

作用通路: Akt

溶解性: DMSO up to 100 mM

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

产品介绍: GDC-0068 is a highly potent, selective, and orally available pan-Akt inhibitor, targeting Akt1, Akt2 and Akt3 with IC₅₀ of 5 nM, 18 nM and 8 nM, respectively. It has >100-fold selectivity over a broad panel of 230 kinases, except PRKG1α and PRKG1β with IC₅₀ of 98 nM and 69 nM. GDC-0068 can effectively block Akt signaling and induce cell cycle arrest in human cancer cell lines in vitro, and exhibit significant efficacy in PTEN- and PI3K mutant xenograft models, including PTEN-deficient prostate cancer models LNCaP and PC3, the PIK3CA H1047R mutant breast cancer model KPL-4, and MCF7-neo/HER2 tumor model. A Phase I study of GDC-0068 in patients with refractory solid tumors is ongoing.