
PP242

产品编号: D50768

CAS: 1092351-67-1

分子式: C₁₆H₁₆N₆O

纯度: ≥98%

InChi: InChi=1S/C₁₆H₁₆N₆O/c1-8(2)22-16-13(15(17)18-7-19-16)14(21-22)12-6-9-5-10(23)3-4-11(9)20-12/h3-8,20,23H,1-2H3,(H2,17,18,19)

InChi Key: MFAQYJIYDMLAIM-UHFFFAOYSA-N

Smiles: CC(C)N1N=C(C2=C(N)N=CN=C12)C1=CC2=CC(O)=CC=C2N1

外观: 固体粉末

作用通路: Apoptosis

溶解性: DMSO up to 100 mM

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

产品介绍: PP242 is a highly potent, selective and ATP-competitive mTORC1/mTORC2 inhibitor (IC₅₀ = 8 nM). It has > 10 folds selectivity over the other PI-3K family kinases (IC₅₀ 0.102 μM, 0.408 μM, 1.27 μM, 1.96 μM and 2.2 μM for p110γ, DNA-PK, p110δ, p110α and p110β, respectively). Except some weak inhibitory activity against PKCα, JAK2, PKCβI, PKCβII and RET (0.05-0.22 μM), PP242 exhibits excellent selectivity over 215 other protein kinases. PP242 differentially inhibits insulin-stimulated phosphorylations of cellular proteins both in vitro and in vivo in a manner distinctly different from that seen in mTORC2-functional knockout SIN1^{-/-} cells or in cultures treated with Rapamycin, which targets only mTORC1, but not mTORC2. Blockage of 4EBP1 T36/T45/S65 phosphorylation by PP242 upon insulin stimulation in primary MEFs correlates well with an enhanced 4EBP1 association with the cap-binding protein eIF4E, resulting in a selective inhibition of cap-dependent, but not cap-independent, protein translation.