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PF-04979064

产品编号: D50784
CAS: 1220699-06-8
分子式: C24H26N6O3
纯度: ≥98%
InChi: InChI=1S/C24H26N6O3/c1-14-4-5-16(12-25-14)18-6-7-19-21(27-18)22-20(13-26-19)28(3)24(33)30(22)17-8-10-29(11-9-17)23(32)15(2)31/h4-7,12-13,15,17,31H,8-11H2,1-3H3/t15-/m0/s1
InChi Key: GACQNUHFDBEIQH-HNNXBMFYSA-N
Smiles: CN1C2=CN=C3C=CC(=NC3=C2N(C2CCN(CC2)C(=O)[C@H](C)O)C1=O)C1C=NC(C)=CC=1
外观: 固体粉末
作用通路: mTOR
溶解性: DMSO up to 100 mM
保存条件: Store in dry, dark place for one year.
产品介绍: PF-04979064 is a highly potent and orally bioavailable PI3K/mTOR dual inhibitor developed through structure-based drug design. It inhibited mTOR, PI3Kα, β, δ and γ isoforms and AKT phosphorylation with IC50 as 2.64 nM, 0.395 nM, 0.111 nM, 0.122 nM and 28.3 nM, respectively. PF-04979064 exhibited cellular potency with an IC50 of 9.1 nM in a BT20 cell assay. PF-04979064 exhibited excellent in vitro potency, very good solubility, high LipE, excellent kinome selectivity, robust PK/PD correlation and tumor growth inhibition (TGI) in a U87MG mouse xenograft model, and acceptable predicted human clearance after incorporating both CYP- and AO-mediated metabolism. PF-04979064 is the back-up candidate to PF-04691502 which is in Phase I/II clinical trials for treating solid tumors.