PI3K/mTOR Dual Inhibitor - PF-04979064

**Chemical Name:** (S)-1-((2-hydroxypropanoyl)piperidin-4-yl)-3-methyl-8-(6-methylpyridin-3-yl)-1H-imidazo[4,5-c][1,5]naphthyridin-2(3H)-one

**Molecular Weight:** 446.50

**Formula:** C_{24}H_{26}N_{6}O_{3}

**Purity:** ≥98%

**CAS#:** 1220699-06-8

**Solubility:** DMSO up to 100 mM

**Storage**
- Powder: 4 °C 1 year
- DMSO: 4 °C 3 month
- -20 °C 1 year

**Biological Activity:**

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 IC_{50} 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 IC_{50} 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.

**How to Use:**

**In vitro:** PF-04979064 was used at 1-10 µM in vitro and in cellular assays.

**In vivo:** PF-04979064 was orally dosed to mice at 15-40 mg/kg once per day for two weeks.

**Reference:**


Products are for research use only. Not for human use.