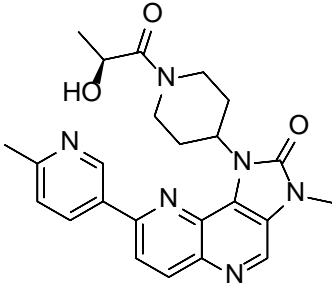


Product data sheet



MedKoo Cat#: 525950 Name: PF-04979064 CAS: 1220699-06-8 Chemical Formula: C ₂₄ H ₂₆ N ₆ O ₃ Exact Mass: 446.2066 Molecular Weight: 446.511		
Product supplied as:		Powder
Purity (by HPLC):		≥ 98%
Shipping conditions		Ambient temperature
Storage conditions:		Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

PF-04979064 is a potent and selective PI3K/mTOR dual kinase inhibitor that potently inhibits tumor growth.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	10.0	22.40

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.24 mL	11.20 mL	22.40 mL
5 mM	0.45 mL	2.24 mL	4.48 mL
10 mM	0.22 mL	1.12 mL	2.24 mL
50 mM	0.05 mL	0.22 mL	0.45 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Cheng H, Li C, Bailey S, Baxi SM, Goulet L, Guo L, Hoffman J, Jiang Y, Johnson TO, Johnson TW, Knighton DR, Li J, Liu KK, Liu Z, Marx MA, Walls M, Wells PA, Yin MJ, Zhu J, Zientek M. Discovery of the Highly Potent PI3K/mTOR Dual Inhibitor PF-04979064 through Structure-Based Drug Design. ACS Med Chem Lett. 2012 Nov 7;4(1):91-7. doi: 10.1021/ml300309h. PMID: 24900568; PMCID: PMC4027523.

In vivo study

1. Zhang F, Ma H, Wang ZL, Li WH, Liu H, Zhao YX. The PI3K/AKT/mTOR pathway regulates autophagy to induce apoptosis of alveolar epithelial cells in chronic obstructive pulmonary disease caused by PM2.5 particulate matter. J Int Med Res. 2020 Jul;48(7):300060520927919. doi: 10.1177/0300060520927919. PMID: 32715876; PMCID: PMC7385846.

7. Bioactivity

Biological target:

PF-04979064 is a potent and selective PI3K/mTOR dual kinase inhibitor with Kis of 0.13 nM and 1.42 nM for PI3K α and mTOR

In vitro activity

Integration of structure-based drug design and physical properties-based optimization yielded a potent and selective PI3K/mTOR dual kinase inhibitor PF-04979064. This manuscript discusses the lead optimization for the tricyclic series, which both improved the in

Product data sheet



vitro potency and addressed a number of ADMET issues including high metabolic clearance mediated by both P450 and aldehyde oxidase (AO), poor permeability, and poor solubility.

Reference: ACS Med Chem Lett. 2012 Nov 7;4(1):91-7. <https://pubmed.ncbi.nlm.nih.gov/24900568/>

In vivo activity

Male C57BL/6 mice were randomly divided into sham, model, and PI3K inhibitor groups. Mice were exposed to PM2.5 for 4 weeks to establish an in vivo COPD model. In mice with COPD induced by PM2.5, the PI3K inhibitor PF-04979064 suppressed protein expression of PI3K, p-AKT, and p-mTOR to increase apoptosis of alveolar epithelial cells and reduce autophagy.

Reference: J Int Med Res. 2020 Jul;48(7):300060520927919. <https://pubmed.ncbi.nlm.nih.gov/32715876/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.