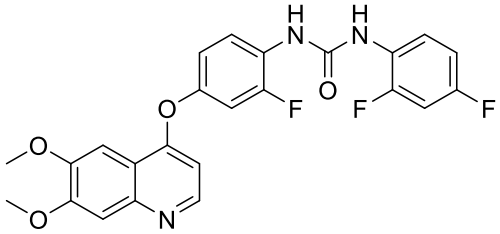
Product data sheet

MedKoo Cat#: 406351 Name: Ki8751 CAS: 228559-41-9 Chemical Formula: $C_{24}H_{18}F_3N_3O_4$ Exact Mass: 469.1249 Molecular Weight: 469.4202	
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:
Ki8751 is a potent, selective inhibitor of VEGFR-2 tyrosine kinase (IC50 = 0.9 nM). Displays some inhibitory activity towards c-Kit, PDGFR α and FGFR-2 (IC50 values range from 40 to 170 nM).

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
DMF	25.0	53.26
DMF:PBS (pH 7.2) (1:3)	0.25	0.53
DMSO	51.49	109.68

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.13 mL	10.65 mL	21.30 mL
5 mM	0.43 mL	2.13 mL	4.26 mL
10 mM	0.21 mL	1.07 mL	2.13 mL
50 mM	0.04 mL	0.21 mL	0.43 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. Ni H, Guo M, Zhang X, Jiang L, Tan S, Yuan J, Cui H, Min Y, Zhang J, Schlisio S, Ma C, Liao W, Nister M, Chen C, Li S, Li N. VEGFR2 inhibition hampers breast cancer cell proliferation via enhanced mitochondrial biogenesis. Cancer Biol Med. 2021 Feb 15;18(1):139-154. doi: 10.20892/j.issn.2095-3941.2020.0151. PMID: 33628590; PMCID: PMC7877175.
2. Hasan MR, Ho SH, Owen DA, Tai IT. Inhibition of VEGF induces cellular senescence in colorectal cancer cells. Int J Cancer. 2011 Nov 1;129(9):2115-23. doi: 10.1002/ijc.26179. Epub 2011 Aug 3. PMID: 21618508.

In vivo study

1. Lee Y, Kim SJ, Choo J, Heo G, Yoo JW, Jung Y, Rhee SH, Im E. miR-23a-3p is a Key Regulator of IL-17C-Induced Tumor Angiogenesis in Colorectal Cancer. Cells. 2020 Jun 1;9(6):1363. doi: 10.3390/cells9061363. PMID: 32492770; PMCID: PMC7348989.
2. Kubo K, Shimizu T, Ohyama S, Murooka H, Iwai A, Nakamura K, Hasegawa K, Kobayashi Y, Takahashi N, Takahashi K, Kato S, Izawa T, Isoe T. Novel potent orally active selective VEGFR-2 tyrosine kinase inhibitors: synthesis, structure-activity relationships, and antitumor activities of N-phenyl-N'-{4-(4-quinolyloxy)phenyl}ureas. J Med Chem. 2005 Mar 10;48(5):1359-66. doi: 10.1021/jm030427r. PMID: 15743179.

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7. Bioactivity

Biological target:

Ki8751 is a potent VEGFR2 inhibitor with an IC_{50} of 0.9 nM.

In vitro activity

VEGF blockade by Ki8751 significantly reduced cancer cell proliferation, and enhanced breast cancer cell apoptosis. Mass spectrometric analyses revealed that Ki8751 treatment significantly upregulated the expression of mitochondrial proteins, suggesting the involvement of mitochondrial biogenesis.

Reference: Cancer Biol Med. 2021 Feb 15;18(1):139-154. https://pubmed.ncbi.nlm.nih.gov/33628590/

In vivo activity

6ab (Ki8751) showed significant antitumor activity against five human tumor xenografts such as GL07 (glioma), St-4 (stomach carcinoma), LC6 (lung carcinoma), DLD-1 (colon carcinoma) and A375 (melanoma) in nude mice and also showed complete tumor growth inhibition with the LC-6 xenograft in nude rats following oral administration once a day for 14 days at 5 mg/kg without any body weight loss.

Reference: J Med Chem. 2005 Mar 10;48(5):1359-66.

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.