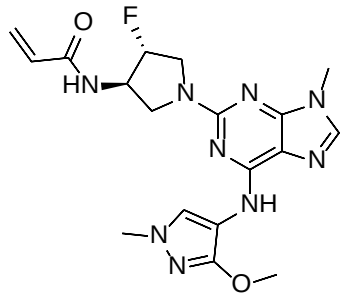
Product data sheet

MedKoo Cat#: 206199 Name: Mavelertinib CAS: 1776112-90-3 Chemical Formula: $C_{18}H_{22}FN_9O_2$ Exact Mass: 415.188 Molecular Weight: 415.4334	
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:
Mavelertinib, also known as PF-06747775, is an orally available inhibitor of the epidermal growth factor receptor (EGFR) mutant form T790M, with potential antineoplastic activity. EGFR T790M inhibitor PF-06747775 specifically binds to and inhibits EGFR T790M, a secondarily acquired resistance mutation, which prevents EGFR-mediated signaling and leads to cell death in EGFR T790M-expressing tumor cells. Compared to some other EGFR inhibitors, PF-06747775 may have therapeutic benefits in tumors with T790M-mediated drug resistance.

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	47.5	114.34

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.41 mL	12.04 mL	24.07 mL
5 mM	0.48 mL	2.41 mL	4.81 mL
10 mM	0.24 mL	1.20 mL	2.41 mL
50 mM	0.05 mL	0.24 mL	0.48 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. Planken S, Behenna DC, Nair SK, Johnson TO, Nagata A, Almaden C, Bailey S, Ballard TE, Bernier L, Cheng H, Cho-Schultz S, Dalvie D, Deal JG, Dinh DM, Edwards MP, Ferre RA, Gajiwala KS, Hemkens M, Kania RS, Kath JC, Matthews J, Murray BW, Niessen S, Orr ST, Pairish M, Sach NW, Shen H, Shi M, Solowiej J, Tran K, Tseng E, Vicini P, Wang Y, Weinrich SL, Zhou R, Zientek M, Liu L, Luo Y, Xin S, Zhang C, Lafontaine J. Discovery of N-((3R,4R)-4-Fluoro-1-(6-((3-methoxy-1-methyl-1H-pyrazol-4-yl)amino)-9-methyl-9H-purin-2-yl)pyrrolidine-3-yl)acrylamide (PF-06747775) through Structure-Based Drug Design: A High Affinity Irreversible Inhibitor Targeting Oncogenic EGFR Mutants with Selectivity over Wild-Type EGFR. J Med Chem. 2017 Apr 13;60(7):3002-3019. doi: 10.1021/acs.jmedchem.6b01894. Epub 2017 Mar 29. PMID: 28287730.

In vivo study

1. Michaels SA, Hulverson MA, Whitman GR, Tran LT, Choi R, Fan E, McNamara CW, Love MS, Ojo KK. Repurposing the Kinase Inhibitor Mavelertinib for Giardiasis Therapy. Antimicrob Agents Chemother. 2022 Jul 19;66(7):e0001722. doi: 10.1128/aac.00017-22. Epub 2022 Jun 15. PMID: 35703552; PMCID: PMC9295539.

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7. Bioactivity

Biological target:

Mavelertinib is a selective, orally available and irreversible EGFR tyrosine kinase inhibitor (EGFR TKI), with IC_{50}s of 5, 4, 12 and 3 nM for Del, L858R, and double mutants T790M/L858R and T790M/Del, respectively.

In vitro activity

Herein, this study describes the continued efforts to achieve potency across EGFR oncogenic mutations and improved kinome selectivity, resulting in the discovery of clinical candidate PF-06747775 (21), which provides potent EGFR activity against the four common mutants (exon 19 deletion (Del), L858R, and double mutants T790M/L858R and T790M/Del), selectivity over wild-type EGFR, and desirable ADME properties.

Reference: J Med Chem. 2017 Apr 13;60(7):3002-3019. https://pubmed.ncbi.nlm.nih.gov/28287730/

In vivo activity

Mavelertinib, dosed as low as 5 mg/kg of body weight or as high as 50 mg/kg, was efficacious in the acute murine Giardia infection model. These results suggest that mavelertinib merits consideration for repurposing and advancement to giardiasis clinical trials while its analogues are further developed.

Reference: Antimicrob Agents Chemother. 2022 Jul 19;66(7):e0001722. https://pubmed.ncbi.nlm.nih.gov/35703552/

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.