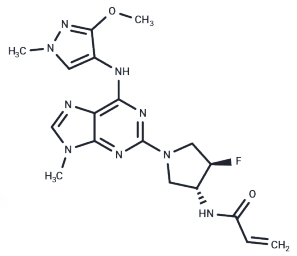
Data Sheet (Cat.No.T21322)

TargetMol

Mavelertinib

Chemical Properties



CAS No. : 1776112-90-3

Formula: C18H22FN9O2

Molecular Weight: 415.42

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Mavelertinib (PF-06747775) is an orally available, selective and potent EGFR tyrosine kinase (EGFR TKI) inhibitor with inhibitory effects on T790M/L858R and T790M/Del, and can be used in the study of oncology and respiratory diseases.
Targets(IC50)	EGFR
In vitro	Inhibiting the hERG26 current with an IC50 greater than 100 μM, Mavelertinib exhibits selectivity over wild-type EGFR (IC50=307 nM)[1]. With less than 50% effect or inhibition against all nonkinase targets at 10 μM, Mavelertinib demonstrates its selectivity[1].
In vivo	Following oral administration (1 mg/kg to mouse, rat, and dog), Mavelertinib exhibits low to moderate oral bioavailability (mouse 60%, rat 11%, dog 66%)[1]. Due to moderate to high plasma clearance (mouse 53, rat 49, dog 12 mL/min/kg) and low steady-state volume of distribution (mouse 1.48, rat 0.66, dog 0.94 L/kg), Mavelertinib exhibits short plasma half-lives (mouse 0.56, rat 0.28, dog 1.3 h) following intravenous administration[1].

Solubility Information

Solubility	DMSO: 30 mg/mL (72.22 mM),Sonication is recommended. H2O: <0.1 mg/mL, (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4072 mL	12.036 mL	24.072 mL
5 mM	0.4814 mL	2.4072 mL	4.8144 mL
10 mM	0.2407 mL	1.2036 mL	2.4072 mL
50 mM	0.0481 mL	0.2407 mL	0.4814 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Planken S, et, al. 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 Onc

Murtuza A, et, al. Novel Third-Generation EGFR Tyrosine Kinase Inhibitors and Strategies to Overcome Therapeutic Resistance in Lung Cancer. Cancer Res. 2019 Feb 15; 79(4): 689-698.

Husain H, et, al. First-in-human phase I study of PF-06747775, a third-generation mutant selective EGFR tyrosine kinase inhibitor (TKI) in metastatic EGFR mutant NSCLC after progression on a first-line EGFR TKI. Annals of Oncology. 2017 Sep.

Patel H, et, al. Recent updates on third generation EGFR inhibitors and emergence of fourth generation EGFR inhibitors to combat C797S resistance. Eur J Med Chem. 2017 Dec 15; 142:32-47.