Avitinib

Cat. No.: HY-19816

CAS No.: 1557267-42-1

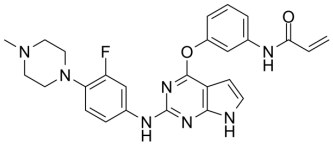
Molecular Formula: $C_{26}H_{26}FN_7O_2$

Molecular Weight: 487.53

Target: EGFR; Btk; Apoptosis

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Apoptosis

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (256.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0512 mL	10.2558 mL	20.5116 mL
	5 mM	0.4102 mL	2.0512 mL	4.1023 mL
	10 mM	0.2051 mL	1.0256 mL	2.0512 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Avitinib (Abivertinib) is a third-generation, irreversible and orally active selective EGFR inhibitor, with IC_{50} values of 0.18 nM, 0.18 nM, 7.68 nM and against EGFR L858R, EGFR T790M and wild-type EGFR. Avitinib is also a BTK inhibitor that induces apoptosis and inhibits phosphorylation of BTK in mantle cell lymphoma. Avitinib shows anticancer effects[1][2].

IC_{50} & Target

EGFR L858R	$EGFR^{T790M}$	EGFR (WT)
0.18 nM (IC_{50})	0.18 nM (IC_{50})	7.68 nM (IC_{50})

In Vitro

Avitinib (AC0010; 0.13 nM-2 μM; 2 h) selectively inhibits mutant EGFR phosphorylation with IC_{50} values of 7.3 and 2.8 nM in NCI-H1975 and NIH/3T3_TC32T8 cells, about 115- and 298-fold more sensitive than that of the inhibition of wild-type EGFR in A431. Avitinib potently inhibits EGFR-Tyr1068 phosphorylation in NCI-H1975 cells, and the selectivity ratio is at 65-fold for NCI-H1975 cells versus A431 cells. In addition to inhibition of EGFR-Tyr1068 phosphorylation, Avitinib inhibits phosphorylation of the downstream targets Akt and ERK1/2 in NCI-H1975 and HCC827 cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	NCI-H1975, HCC827, A431 cells

Concentration:	0.13 nM, 0.64 nM, 3.2 nM, 16 nM, 80 nM, 0.4 μM, 2 μM
Incubation Time:	2 h
Result:	Selectively inhibits mutant EGFR phosphorylation with IC50 values of 7.3 and 2.8 nM in NCI-H1975 and NIH/3T3_TC32T8 cells.

In Vivo

Avitinib (AC0010; 12.5-500 mg/kg; orally administration; once daily; for 14 days) inhibits EGFR-mutant tumor growth but not wild-type EGFR tumor growth in xenograft models over extended duration[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nu/Nu nude mice (Six- to 8-week-old) injected with NCI-H1975 and A431 cells[1]
Dosage:	12.5, 50, and 500 mg/kg
Administration:	Orally administration; once daily; for 14 days
Result:	Inhibited EGFR-mutant tumor growth but not wild-type EGFR tumor growth.

CUSTOMER VALIDATION

- Molecules. 2021 May 5;26(9):2717.
- J Pharm Biomed Anal. 2019 Feb 5;164:659-667.

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REFERENCES

[1]. Xu X, Mao L, Xu W, et al. AC0010, an Irreversible EGFR Inhibitor Selectively Targeting Mutated EGFR and Overcoming T790M-Induced Resistance in Animal Models and Lung Cancer Patients. Mol Cancer Ther. 2016;15(11):2586-2597.

[2]. Yan X, Zhou Y, Huang S, et al. Promising efficacy of novel BTK inhibitor AC0010 in mantle cell lymphoma. J Cancer Res Clin Oncol. 2018;144(4):697-706.

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