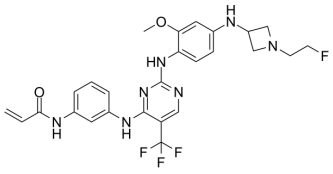
CNX-2006

Cat. No.:	HY-13897
CAS No.:	1375465-09-0
Molecular Formula:	$C_{26}H_{27}F_4N_7O_2$
Molecular Weight:	545.53
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 52 mg/mL (95.32 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8331 mL	9.1654 mL	18.3308 mL
5 mM	0.3666 mL	1.8331 mL	3.6662 mL
10 mM	0.1833 mL	0.9165 mL	1.8331 mL

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

BIOLOGICAL ACTIVITY

Description

CNX-2006 is a mutant-selective and irreversible EGFR inhibitor with an IC_{50} below 20 nM for $EGFR^{T790M}$.

IC_{50} & Target

$EGFR^{T790M}$ 20 nM (IC_{50})	$EGFR^{L858R/T790M}$

In Vitro

CNX-2006 inhibits EGFR-T790M cells growth up to 1000-fold more compared to wild-type EGFR cells. EGFR inhibition is observed in cells harbouring the T790M mutation at IC_{50} values below 20 nM after 1 hour exposure to the drug. CNX-2006 also significantly reduces the volume of tumor spheres derived from H1975 cells[1]. CNX-2006 exhibits specificity and potent activity against T790M. The drug also shows activity against uncommon EGFR mutations including G719S, L861Q, an exon 19 insertion mutant (I744-K745insKIPVAI), and T854A, but not an exon 20 insertion (H773-V774HVdup). In an in vitro resistance model, CNX-2006 significantly inhibits the emergence of resistant cells. Chronic exposure to escalating doses of CNX-2006 fails to select for and/or enhance T790M-mediated resistance using PC-9 or HCC827 cells (both harboring exon 19 deletions), or PC-9/ER and HCC827/ER cells with existing T790M and resistance to erlotinib[2].

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

REFERENCES

[1]. Galvani E, et al. Abstract 3244: Role of epithelial-mesenchymal transition (EMT) in sensitivity to CNX-2006, a novel mutant-selective EGFR inhibitor which overcomes in vitro T790M-mediated resistance in NSCLC. CNX-2006, a novel mutant-selective EGFR inhib

[2]. Ohashi K, et al. Abstract 2101A: CNX-2006, a novel irreversible epidermal growth factor receptor (EGFR) inhibitor, selectively inhibits EGFR T790M and fails to induce T790M-mediated resistance in vitro. [abstract]. In: Proceedings of the 104th Annual Meet

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