



CNX-2006

Catalog No: tcsc2782

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Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1375465-09-0

Formula:

 $C_{26}^{}H_{27}^{}F_{4}^{}N_{7}^{}O_{2}^{}$

Pathway:

JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

Target:

EGFR;EGFR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 52 mg/mL (95.32 mM)

Observed Molecular Weight:

545.53

Product Description

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





IC50 & Target: IC50: 20 nM (EGFR^{T790M})^[1]

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 IC₅₀ 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].

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