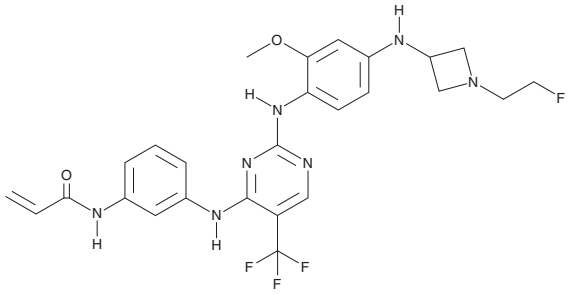
PRODUCT INFORMATION

CNX-2006

Item No. 30610

CAS Registry No.:	1375465-09-0
Formal Name:	N-[3-[[2-[[4-[[1-(2-fluoroethyl)-3-azetidinyl]amino]-2-methoxyphenyl]amino]-5-(trifluoromethyl)-4-pyrimidinyl]amino]phenyl]-2-propenamide
MF:	$C_{26}H_{27}F_4N_7O_2$
FW:	545.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 276 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CNX-2006 is supplied as a crystalline solid. A stock solution may be made by dissolving the CNX-2006 in the solvent of choice, which should be purged with an inert gas. CNX-2006 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CNX-2006 in ethanol is approximately 10 mg/ml and approximately 30 mg/ml in DMSO and DMF.

CNX-2006 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CNX-2006 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CNX-2006 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CNX-2006 is an irreversible inhibitor of mutant EGFRs.[1] It inhibits EGFR phosphorylation in PC-9 and HCC827 cells (IC_{50}s = 55-104 nM), which express the $EGFR^{Del\ E746\_A750}$ mutation, and NCI H1975 and PC-9/GR4 cells (IC_{50}s = 46 and 61 nM, respectively), which express the $EGFR^{L858R/T790M}$ and $EGFR^{Del\ E746\_A750/T790M}$ mutations, respectively. It is greater than 10-fold selective for cells expressing these mutants over A549 cells expressing wild-type EGFR. CNX-2006 inhibits growth in a panel of non-small cell lung cancer (NSCLC) cells expressing wild-type or mutant EGFRs (GI_{50}s = 0.34-8 and 0.003-3.6 µM, respectively). It reduces tumor growth in an NCI H1975 mouse xenograft model when administered at doses of 25 and 50 mg/kg.

Reference

1. Galvani, E., Sun, J., Leon, L.G., *et al.* NF-κB drives acquired resistance to a novel mutant-selective EGFR inhibitor. *Oncotarget* **6(40)**, 42717-42732 (2015).

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