

PRODUCT INFORMATION

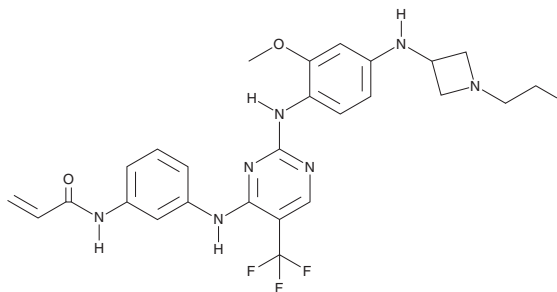


CNX-2006

Item No. 30610

CAS Registry No.: 1375465-09-0
Formal Name: N-[3-[[2-[[4-[[1-(2-fluoroethyl)-3-azetidiny]amino]-2-methoxyphenyl]amino]-5-(trifluoromethyl)-4-pyrimidinyl]amino]phenyl]-2-propenamamide

MF: C₂₆H₂₇F₄N₇O₂
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 (IC₅₀s = 55-104 nM), which express the EGFR^{Del E746_A750} mutation, and NCI H1975 and PC-9/GR4 cells (IC₅₀s = 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 (GI₅₀s = 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

- 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM