产品名称：
N-[3-[[2-[[4-[[1-(2-Fluoroethyl)-3-azetidinyl]amino]-2-methoxyphenyl]amino]-5-(trifluoromethyl)-4-py
产品别名：**CNX-2006**

生物活性：

Description	CNX-2006 is a mutant-selective and irreversible **EGFR** inhibitor with an **IC_{50}** below 20 nM for $EGFR^{T790M}$.	
IC_{50} & Target	$EGFR^{T790M}$	$EGFR^{L858R/T790M}$
	20 nM (IC_{50})	
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].	

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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 inhibitor which overcomes in vitro T790M-mediated resistance in NSCLC. [abstract]. In: Proceedings of the 104th Annual Meeting of the American Association for Cancer Research; 2013 Apr 6-10; Ishington, DC. Philadelphia (PA): AACR; Cancer Res 2013;73(8 Suppl):Abstract nr 3244. doi:10.1158/1538-7445.AM2013-3244

[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 Meeting of the American Association for Cancer Research; 2013 Apr 6-10; Ishington, DC. Philadelphia (PA): AACR; Cancer Res 2013;73(8 Suppl):Abstract nr 2101A. doi:10.1158/1538-7445.AM2013-2101A

源叶生物