CNX-774

Cat. No.: HY-13943

CAS No.: 1202759-32-7

Molecular Formula: $C_{26}H_{22}FN_7O_3$

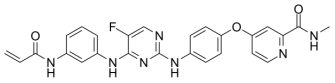
Molecular Weight: 499.5

Target: Btk

Pathway: 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 : ≥ 45 mg/mL (90.09 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0020 mL	10.0100 mL	20.0200 mL
5 mM	0.4004 mL	2.0020 mL	4.0040 mL
10 mM	0.2002 mL	1.0010 mL	2.0020 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.01 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.01 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CNX-774 is an orally active, irreversible and selective BTK inhibitor, with an IC_{50} of < 1 nM. CNX-774 specifically targets Cysteine 481 of Btk for covalent modification[1][2].

IC_{50} & Target

IC50: 1 nM (BTK)[1].

In Vitro

CNX-774 strongly inhibits Btk activity in Ramos cells with an IC_{50} of 1-10 nM. CNX-774 demonstrates strong time- and dose-

	dependent occupancy of Btk in Ramos cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CNX-774 is stable and non-reactive in fresh human and rat whole blood and does not covalently bond to any of the mid-level abundance human plasma proteins[1]. CNX-774 demonstrates potent inhibitory activity towards the intended target, Btk, while achieving remarkable specificity in a variety of assays designed to assess off-target reactivity towards abundant cellular thiols and blood proteins[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Stem Cell Reports. 2019 May 14;12(5):996-1006.

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REFERENCES

[1]. Matthew Labenski, et al. In Vitro Reactivity Assessment of Covalent Drugs Targeting Bruton's Tyrosine Kinase.

[2]. Akintunde Akinleye, et al. Ibrutinib and novel BTK inhibitors in clinical development. J Hematol Oncol. 2013 Aug 19;6:59.

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