Nilotinib monohydrochloride monohydrate

Cat. No.: HY-10159A

CAS No.: 923288-90-8

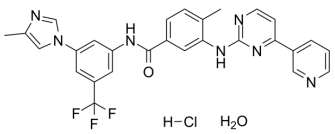
Molecular Formula: $C_{28}H_{25}ClF_3N_7O_2$

Molecular Weight: 583.99

Target: Bcr-Abl; Autophagy

Pathway: Protein Tyrosine Kinase/RTK; Autophagy

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (57.07 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (ultrasonic) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7124 mL	8.5618 mL	17.1236 mL
5 mM	0.3425 mL	1.7124 mL	3.4247 mL
10 mM	0.1712 mL	0.8562 mL	1.7124 mL

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

BIOLOGICAL ACTIVITY

Description

Nilotinib monohydrochloride monohydrate is a second generation tyrosine kinase inhibitor (TKI), is significantly potent against BCR-ABL, and is active against many BCR-ABL mutants.

IC_{50} & Target

Bcr-Abl[1]

In Vitro

Nilotinib (AMN107) monohydrochloride monohydrate, selective Abl inhibitor, is designed to interact with the ATP-binding site of BCR-ABL with a higher affinity than imatinib while being significantly more potent compared with imatinib (IC_{50}<30 nM), also maintains activity against most of the BCR-ABL point mutants that confer Imatinib resistance[1].
Nilotinib monohydrochloride monohydrate demonstrates significant antitumor efficacy against GIST xenograft lines and imatinib-resistant GIST cell lines which parent cell lines GK1C and GK3C shows imatinib sensitivity with IC_{50} of 4.59±0.97 μM and 11.15±1.48 μM, respectively, imatinib-resistant cell lines GK1C-IR and GK3C-IR shows Imatinib resistance with IC_{50} values of 11.74±0.17 μM (P<0.001) and 41.37±1.07 μM (P<0.001), respectively[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Nilotinib monohydrochloride monohydrate (oral gavage, 40 mg/kg, daily, 4 weeks) shows equivalent or higher antitumor effects in BALB/cSLc-nu/nu mice with GIST xenograft[2].

Nilotinib monohydrochloride monohydrate has a significant healing effect on the macroscopic and microscopic pathologic scores and ensures considerable mucosal healing in the indomethacin-induced enterocolitis rat model while decreases the PDGFR α and β levels and apoptotic scores in the colon[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	BALB/cSLc-nu/nu mice with GIST xenograft (GK1X, GK2X and GK3X)[2]
Dosage:	40 mg/kg
Administration:	Oral gavage; daily; 4 weeks
Result:	Inhibited tumor growth by 69.6% in GK1X, 85.3% in GK2X and 47.5% in GK3X xenograft line.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Biomaterials. 16 September 2022.
- Cell Syst. 2018 Apr 25;6(4):424-443.e7.
- Cell Death Dis. 2021 Sep 25;12(10):875.
- Br J Cancer. 2021 Nov 24.

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REFERENCES

[1]. Weisberg E, et al. Beneficial effects of combining nilotinib and imatinib in preclinical models of BCR-ABL+ leukemias. Blood. 2007 Mar 1;109(5):2112-20.

[2]. Sako H, et al. Antitumor effect of the tyrosine kinase inhibitor Nilotinib on gastrointestinal stromal tumor (GIST) and Imatinib-resistant GIST cells. PLoS One. 2014 Sep 15;9(9):e107613.

[3]. Dervis Hakim G, et al. Mucosal healing effect of nilotinib in indomethacin-induced enterocolitis: A rat model. World J Gastroenterol. 2015 Nov 28;21(44):12576-85.

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