Nilotinib hydrochloride

Cat. No.: HY-10159B

CAS No.: 923288-95-3

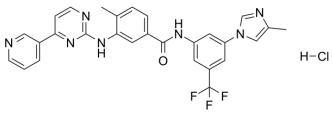
Molecular Formula: $C_{28}H_{23}ClF_3N_7O$

Molecular Weight: 565.98

Target: Bcr-Abl; Autophagy

Pathway: Protein Tyrosine Kinase/RTK; Autophagy

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Nilotinib (AMN107) hydrochloride is an orally active Bcr-Abl tyrosine kinase inhibitor with antineoplastic activity and can be used in studies of chronic myelogenous leukaemia[1][2][3].

In Vitro

Nilotinib hydrochloride, 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 hydrochloride 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 hydrochloride (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 hydrochloride 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].

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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.

- Cell Syst. 2018 Apr 25;6(4):424-443.e7.
- Cell Death Dis. 2021 Sep 25;12(10):875.
- Stem Cell Reports. 2019 May 14;12(5):996-1006.
- Glia. 2022 Feb 13.

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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]. Meirson T, et al. Targeting invadopodia-mediated breast cancer metastasis by using ABL kinase inhibitors. Oncotarget. 2018 Apr 24;9(31):22158-22183.

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