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Nilotinib (monohydrochloride monohydrate)

Catalog No: tcsc1212

Available Sizes

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Specifications

CAS No:
923288-90-8

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

Pathway:
Protein Tyrosine Kinase/RTK;Autophagy

Target:
Bcr-Abl;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 33 mg/mL (56.51 mM); H2O :

Alternative Names:
AMN107

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Observed Molecular Weight:
583.99

Product Description

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

IC50 & Target: Bcr-Abl[1]

In Vitro: The novel, selective Abl inhibitor, Nilotinib (AMN107), is designed to interact with the ATP-binding site of BCR-ABL with a higher affinity than Imatinib. In addition to being significantly more potent compared with Imatinib (IC_{50}[1]. Nilotinib demonstrates significant antitumor efficacy against GIST xenograft lines and Imatinib-resistant GIST cell lines. The parent cell lines GK1C and GK3C show Imatinib sensitivity with IC_{50} of 4.59±0.97 μM and 11.15±1.48 μM, respectively. The Imatinib-resistant cell lines GK1C-IR and GK3C-IR show Imatinib resistance with IC_{50} values of 11.74±0.17 μM (P[2].

In Vivo: The percentage of tumor growth inhibition (TGI) is 83.8% for Imatinib and 69.6% for Nilotinib in the GK1X xenograft line (n.s.). In the GK2X xenograft line, TGI is 83.0% for Imatinib and 85.3% for Nilotinib (n.s.). Additionally, the GK3X xenograft line TGI is 31.1% for Imatinib and 47.5% for Nilotinib (n.s.). These results suggest that, except for the GK1X xenograft line, Nilotinib shows equivalent or higher antitumor effects than Imatinib[2]. Nilotinib 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 Nilotinib decreased the PDGFR α and β levels and apoptotic scores in the colon, it did not have a significant effect on the weight and TNF-α levels. Further experimental investigations could provide more definitive evidence for humans[3].