

# 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 :  $\geq 33$  mg/mL (56.51 mM); H<sub>2</sub>O :

**Alternative Names:**

AMN107

**Observed Molecular Weight:**

583.99

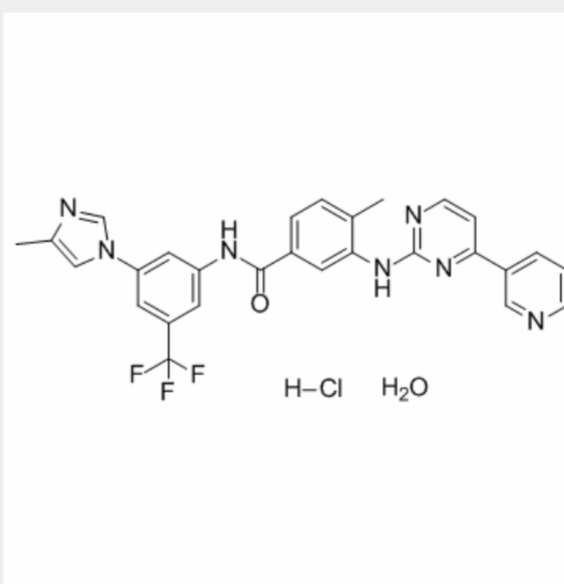
**Product Description**

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.

IC<sub>50</sub> & Target: Bcr-Abl<sup>[1]</sup>

**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<sub>50</sub><sup>[1]</sup>). 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<sub>50</sub> 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<sub>50</sub> 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<sup>[2]</sup>. 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<sup>[3]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!