



## **Nilotinib**

**Catalog No: tcsc0102** 

Available Sizes
Size: 100mg
Size: 200mg
Size: 500mg
Size: 1g
Size: 2g
Size: 5g
Specifications
CAS No: 641571-10-0
<b>Formula:</b> C <sub>28</sub> H <sub>22</sub> F <sub>3</sub> N <sub>7</sub> O
Pathway: Protein Tyrosine Kinase/RTK;Autophagy
Target: Bcr-Abl;Autophagy
Purity / Grade: >98%
Solubility: DMSO : 6 mg/mL (11.33 mM; Need ultrasonic); H2O :
Alternative Names: AMN107





## **Observed Molecular Weight:**

529.52

## **Product Description**

Nilotinib 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<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 $_{50}$ [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  $\mu$ M and 11.15±1.48  $\mu$ M, respectively. The Imatinib-resistant cell lines GK1C-IR and GK3C-IR show Imatinib resistance with IC $_{50}$  values of 11.74±0.17  $\mu$ M (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  $\alpha$  and  $\beta$  levels and apoptotic scores in the colon, it did not have a significant effect on the weight and TNF- $\alpha$  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!