

# Nilotinib

**Catalog No: tcsc0102**



## Available Sizes

**Size:** 100mg

**Size:** 200mg

**Size:** 500mg

**Size:** 1g

**Size:** 2g

**Size:** 5g



## Specifications

**CAS No:**

641571-10-0

**Formula:**

$C_{28}H_{22}F_3N_7O$

**Pathway:**

Protein Tyrosine Kinase/RTK;Autophagy

**Target:**

Bcr-Abl;Autophagy

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 6 mg/mL (11.33 mM; Need ultrasonic); H<sub>2</sub>O :

**Alternative Names:**

AMN107

## Observed Molecular Weight:

529.52

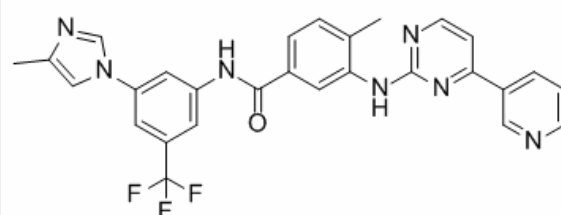
## Product Description

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-Abi<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>.



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