Background: Nilotinib (AMN-107) is a novel tyrosine kinase inhibitor that potently inhibits Bcr-Abl. Nilotinib is more effective than imatinib at decreasing the proliferation and viability in cells expressing wild-type Bcr-Abl and is active against many imatinib-resistant Bcr-Abl mutants, with the exception of T315I (1-4). Nilotinib has been shown to inhibit Abl activity in cells expressing wild-type Abl and imatinib-resistant mutant Abl, with ~20-fold greater potency than imatinib. Nilotinib is similarly effective at inhibiting Abl autophosphorylation (3). Research studies have demonstrated that nilotinib treatment of Bcr-Abl-expressing K-562 cells attenuates Stat5 and CrkL phosphorylation, decreases Bcl-xL and c-Myc expression, induces p27 and Bim expression, and induces PARP cleavage. Many of these effects are enhanced by cotreatment with the histone deacetylase inhibitor LBH589 (5).

Molecular Formula: C_{28}H_{22}F_{3}N_{7}O

Molecular Weight: 529.52 g/mol

Solubility: Soluble in DMSO at 50 mg/ml; very poorly soluble in ethanol and water with maximum solubility in water at ~10-20 µM.

Purity: >99%

Directions for Use: Nilotinib is supplied as a lyophilized powder. For a 5 mM stock, reconstitute the 5 mg in 1.89 ml DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used at 10-1000 nM for 2-72 hr.

Background References:

Storage: Store lyophilized or in solution at -20°C, desiccated. Protect from light. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple freeze/thaw cycles.