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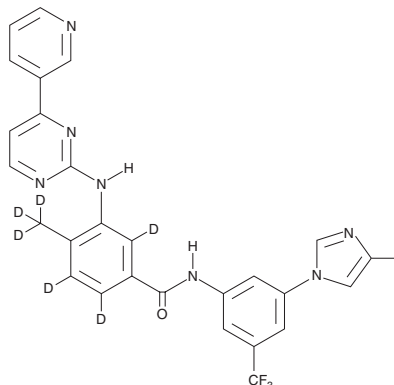
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PRODUCT INFORMATION

Nilotinib-d₆ Item No. 19280

CAS Registry No.: 1268356-17-7
Formal Name: 4-(methyl-d₃)-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide-2,3,6-d₃
MF: C₂₈H₁₆D₆F₃N₇O
FW: 535.6
Chemical Purity: ≥98% (Nilotinib)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₆); ≤1% d₀
Supplied as: A solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Nilotinib-d₆ is intended for use as an internal standard for the quantification of nilotinib (Item No. 10010422) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Nilotinib-d₆ is supplied as a solid. A stock solution may be made by dissolving the nilotinib-d₆ in the solvent of choice. Nilotinib-d₆ is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of nilotinib-d₆ in these solvents is approximately 10 and 3 mg/ml, respectively.

Description

Nilotinib is a second generation tyrosine kinase inhibitor that potently inhibits Bcr/Abl tyrosine kinase and is effective in the treatment of chronic myeloid leukemia (MLL) or Philadelphia chromosome acute lymphoblastic leukemia (Ph⁺ ALL), even in patients who are resistant to the first generation drug, imatinib (Gleevec; Item Nos. 13139 | 18257).¹⁻⁴ Nilotinib is ~20-fold more potent than imatinib in inhibiting both wild-type and mutant Bcr/Abl (e.g., IC₅₀ = 15 versus 280 nM, respectively, for wild-type Bcr/Abl).⁵

References

1. Golemovic, M., Verstovsek, S., Giles, F., et al. AMN107, a novel aminopyrimidine inhibitor of Bcr-Abl, has *in vitro* activity against imatinib-resistant chronic myeloid leukemia. *Clin. Cancer Res.* **11**(13), 4941-4947 (2005).
2. Weisberg, E., Manley, P., Mestan, J., et al. AMN107 (nilotinib): a novel and selective inhibitor of BCR-ABL. *Br. J. Cancer* **94**(12), 1765-1769 (2006).
3. McFarland, K.L. and Wetzstein, G.A. Chronic myeloid leukemia therapy: Focus on second-generation tyrosine kinase inhibitors. *Cancer Control* **16**(2), 132-140 (2009).
4. Kantarjian, H., Giles, F., Wunderle, L., et al. Nilotinib in imatinib-resistant CML and Philadelphia chromosome-positive ALL. *N. Engl. J. Med.* **354**(24), 2542-2551 (2006).
5. O'Hare, T., Walters, D.K., Stoffregen, E.P., et al. *In vitro* activity of Bcr-Abl inhibitors AMN107 and BMS-354825 against clinically relevant imatinib-resistant Abl kinase domain mutants. *Cancer Res.* **65**(11), 4500-4505 (2005).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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