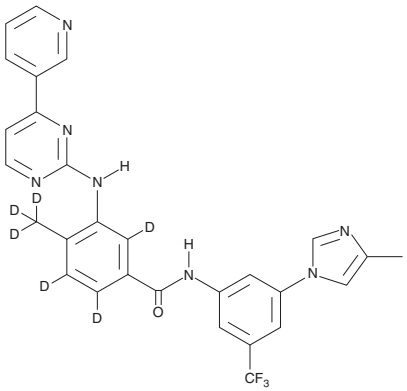
PRODUCT INFORMATION

Nilotinib-d_6

Item No. 19280

CAS Registry No.:	1268356-17-7
Formal Name:	4-(methyl-d_3)-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-benzamide-2,3,6-d_3
MF:	$C_{28}H_{16}D_6F_3N_7O$
FW:	535.6
Chemical Purity:	≥98% (Nilotinib)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_6); ≤1% d_0
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

Nilotinib-d_6 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-d_6 is supplied as a solid. A stock solution may be made by dissolving the nilotinib-d_6 in the solvent of choice, which should be purged with an inert gas. Nilotinib-d_6 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of nilotinib-d_6 in these solvents is approximately 10 and 3 mg/ml, respectively.

Description

Nilotinib-d_6 is intended for use as an internal standard for the quantification of nilotinib (Item Nos. 10010422 | 36628) by GC- or LC-MS. Nilotinib is an inhibitor of wild-type and mutant Bcr-Abl (IC_{50}s = 15 and 9-400 nM, respectively).[1] It is selective for wild-type and mutant Bcr-Abl over Src and LYN (IC_{50}s = >5,000 nM for both). Nilotinib inhibits Bcr-Abl autophosphorylation and cell proliferation in Ba/F3 cells expressing wild-type or mutant Bcr-Abl (IC_{50}s = 7-155 and 13-51 nM, respectively). *In vivo*, nilotinib (1 mg/kg) reduces midbrain Bcr-Abl autophosphorylation, amyloid-β levels, and neuronal loss, as well as improves autophagosome clearance and reverses cognitive deficits in the Tg2576 transgenic mouse model of Alzheimer's disease.[2] It also reduces serum creatine levels, renal profibrotic gene expression, and tubulointerstitial damage, as well as increases survival in a rat model of 5/6 nephrectomy-induced chronic kidney disease.[3] Formulations containing nilotinib have been used in the treatment of leukemia.

References

1. 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).
2. La Barbera, L., Vedele, F., Nobili, A., *et al*. Nilotinib restores memory function by preventing dopaminergic neuron degeneration in a mouse model of Alzheimer's Disease. *Prog. Neurobiol.* **202**, 102031 (2021).
3. Iyoda, M., Shibata, T., Hirai, Y., *et al*. Nilotinib attenuates renal injury and prolongs survival in chronic kidney disease. *J. Am. Soc. Nephrol.* **22(8)**, 1486-1496 (2011).

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