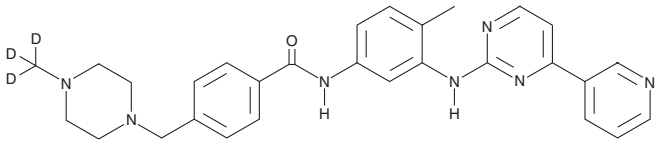
PRODUCT INFORMATION

Imatinib-d_3

Item No. 18257

CAS Registry No.: 1134803-18-1
Formal Name: 4-[[4-(methyl-d_3)-1-piperazinyl]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-benzamide
Synonym: Genfatinib-d_3
MF: $C_{29}H_{28}D_3N_7O$
FW: 496.6
Chemical Purity: ≥98% (Imatinib)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_3); ≤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

Imatinib-d_3 is intended for use as an internal standard for the quantification of imatinib (Item No. 13139) 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).

Imatinib-d_3 is supplied as a solid. A stock solution may be made by dissolving the imatinib-d_3 in the solvent of choice, which should be purged with an inert gas. Imatinib-d_3 is slightly soluble in methanol and DMSO.

Description

Imatinib is an inhibitor of the receptor tyrosine kinases c-Abl, Bcr-Abl, PDGFR, and c-Kit.[1] It inhibits ligand-stimulated autophosphorylation of PDGFR and c-Kit (IC_{50}s = ~0.3 and ~0.1 µM, respectively).[1,2] Imatinib inhibits the proliferation of Bcr-Abl-dependent R10(–) cells (IC_{50}s = ~35-40 nM) and HMC-1 cells expressing constitutively active c-Kit in a concentration-dependent manner.[1,3] It prolongs survival in a mouse model of chronic myeloid leukemia when administered at a dose of 100 mg/kg twice per day.[4] Imatinib (25 and 50 µM) also inhibits the replication of Middle East respiratory syndrome coronavirus (MERS-CoV) and severe acute respiratory syndrome CoV (SARS-CoV) in Vero E6 cells.[5] It reduces viral titers in Vero cells infected with infectious bronchitis virus (IBV), a coronavirus, when used at a concentration of 10 µM *via* inhibition of IBV surface glycoprotein protein-induced syncytia formation and virus-cell fusion.[6] Formulations containing imatinib have been used in the treatment of various cancers.

References

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2. Buchdunger, E., Zimmermann, J., Mett, H., *et al. Cancer Res.* **56(1),** 100-104 (1996).
3. Wisniewski, D., Lambek, C.L., Liu, C., *et al. Cancer Res.* **62(15)**, 4244-4255 (2002).
4. Wolff, N.C., Veach, D.R., Tong, W.P., *et al. Blood* **105(10)**, 3995-4003 (2005).
5. Coleman, C.M., Sisk, J.M., Mingo, R.M., *et al. J. Virol.* **90(19)**, 8924-8933 (2016).
6. Sisk, J.M., Frieman, M.B., and Machamer, C.E. *J. Gen. Virol.* **99(5)**, 619-630 (2018).

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