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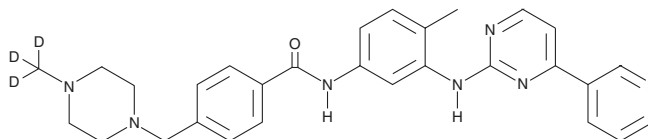
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PRODUCT INFORMATION



Imatinib-d₃ Item No. 18257

CAS Registry No.: 1134803-18-1
Formal Name: 4-[[4-(methyl-d₃)-1-piperazinyl]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-benzamide
Synonym: Genfatinib-d₃
MF: C₂₉H₂₈D₃N₇O
FW: 496.6
Chemical Purity: ≥98% (Imatinib)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₃); ≤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

Imatinib-d₃ 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-d₃ is supplied as a solid. A stock solution may be made by dissolving the imatinib-d₃ in the solvent of choice, which should be purged with an inert gas. Imatinib-d₃ 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 (IC₅₀s = ~0.3 and ~0.1 μM, respectively).^{1,2} Imatinib inhibits the proliferation of Bcr-Abl-dependent R10(-) cells (IC₅₀s = ~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

1. Heinrich, M.C., Griffith, D.J., Druker, B.J., *et al. Blood* **96**(3), 925-932 (2000).
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).

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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