

PRODUCT INFORMATION



Imatinib (mesylate)

Item No. 13139

CAS Registry No.: 220127-57-1
Formal Name: 4-[(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-benzamide, monomethanesulfonate

Synonyms: CGP57148B, STI-571

MF: C₂₉H₃₁N₇O • CH₄SO₃

FW: 589.7

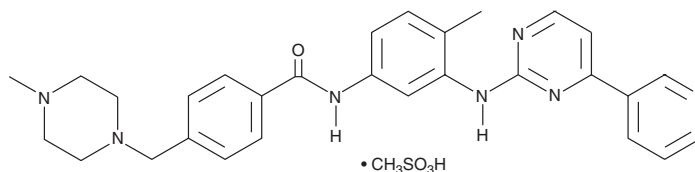
Purity: ≥98%

UV/Vis.: λ_{max}: 238, 271 nm

Supplied as: A crystalline 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 mesylate is supplied as a crystalline solid. A stock solution may be made by dissolving the imatinib mesylate in an organic solvent purged with an inert gas. Imatinib mesylate is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of imatinib mesylate in these solvents is approximately 0.2, 14, and 10 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of imatinib mesylate can be prepared by directly dissolving the crystalline compound in aqueous buffers. The solubility of imatinib mesylate in PBS, pH 7.2, is approximately 2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

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.⁴ 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.⁵ 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).
4. Coleman, C.M., Sisk, J.M., Mingo, R.M., *et al.* *J. Virol.* **90**(19), 8924-8933 (2016).
5. 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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