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: C_{29}H_{31}N_{7}O • CH_{4}SO_{3}
FW: 589.7
Purity: ≥98%
UV/Vis.: \( \lambda_{\text{max}} \): 238, 271 nm
Supplied as: A crystalline 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 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 (IC\(_{50}\) = ~0.3 and ~0.1 μM, respectively).\(^1,2\) Imatinib inhibits the proliferation of Bcr-Abl-dependent R10(−) cells (IC\(_{50}\) = ~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.\(^4\) Formulations containing imatinib have been used in the treatment of various cancers.

References