N-Desmethyl Imatinib
Item No. 16947

CAS Registry No.: 404844-02-6
Formal Name: N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(1-piperazinylmethyl)-benzamide
Synonyms: N-Desmethyl Gleevec, STI-509-00
MF: C_{28}H_{29}N_{7}O
FW: 479.6
Purity: ≥95%
UV/Vis.: \( \lambda_{\text{max}} \): 237, 270 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

N-desmethyl Imatinib is supplied as a crystalline solid. A stock solution may be made by dissolving the N-desmethyl imatinib in the solvent of choice. N-desmethyl Imatinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of N-desmethyl imatinib in these solvents is approximately 0.2, 14, and 16 mg/ml, respectively.

N-desmethyl Imatinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, N-desmethyl imatinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. N-desmethyl Imatinib has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

N-desmethyl Imatinib is a major active metabolite of imatinib (Item No. 13139), an anticancer agent that selectively targets tyrosine kinases, including Bcr-ABL, platelet-derived growth factor receptor (PDGFR), and KIT.\(^1,2\) N-desmethyl Imatinib is formed when imatinib undergoes demethylation by the cytochrome P450 (CYP) isomer CYP3A4.\(^3\) N-desmethyl Imatinib has the same in vitro potency at Bcr-ABL kinase as imatinib (\( IC_{50} = 38 \) nM for both) but is only present in plasma at 10-15% of the levels of imatinib, indicating the majority of the anticancer activity can be attributed to the parent compound.

References