

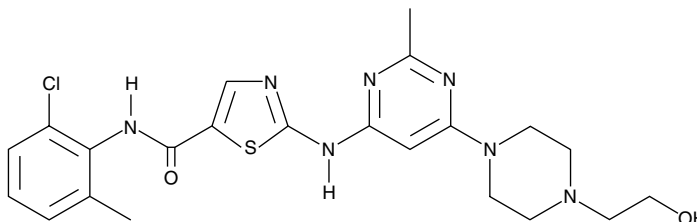
Product Information



Dasatinib

Item No. 11498

CAS Registry No.: 302962-49-8
Formal Name: N-(2-chloro-6-methylphenyl)-2-[[6-[4-(2-hydroxyethyl)-1-piperazinyl]-2-methyl-4-pyrimidinyl]amino]-5-thiazolecarboxamide
Synonyms: BMS 354825, Sprycel
MF: C₂₂H₂₆ClN₇O₂S
FW: 488.0
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 323 nm



Laboratory Procedures

For long term storage, we suggest that dasatinib be stored as supplied at -20°C. It should be stable for at least two years.

Dasatinib is supplied as a crystalline solid. A stock solution may be made by dissolving the dasatinib in the solvent of choice. Dasatinib is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of dasatinib in these solvents is approximately 14.3 and 25 mg/ml, respectively.

Dasatinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, dasatinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Dasatinib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Dasatinib is a potent inhibitor of the non-receptor tyrosine kinases Abl and Src as well as other members of the Src family.^{1,2} It is effective at sub-nanomolar concentrations, inhibiting Src, Abl, and Lck with IC₅₀ values of 0.05, 0.5, and 0.4 nM, respectively.^{1,3,4} At nanomolar concentrations, dasatinib also blocks the activity of several other receptor and non-receptor tyrosine kinases, plus drug resistant mutants.^{3,4} Because of these activities, dasatinib has potential therapeutic value in diseases that are characterized by elevated levels of these kinases, including some forms of cancer and fibrotic disease.^{1,5-7}

References

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3. Davis, M.L., Hunt, J.P., Herrgard, S., *et al.* Comprehensive analysis of kinase inhibitor selectivity. *Nat. Biotechnol.* **29**(11), 1046-1051 (2011).
4. Carter, T.A., Wodicka, L.M., Shah, N.P., *et al.* Inhibition of drug-resistant mutants of ABL, KIT, and EGF receptor kinases. *Proc. Natl. Acad. Sci. USA* **102**(31), 11011-11016 (2005).
5. El-Amm, J., Freeman, A., Patel, N., *et al.* Bone-targeted therapies in metastatic castration-resistant prostate cancer: Evolving paradigms. *Prostate Cancer* **2013**, 1-10 (2013).
6. Distler, J.H.W. and Distler, O. Intracellular tyrosine kinases as novel targets for anti-fibrotic therapy in systemic sclerosis. *Rheumatology* **47**, 10-11 (2008).
7. McFarland, K.L. and Wetzstein, G.A. Chronic myeloid leukemia therapy: Focus on second-generation tyrosine kinase inhibitors. *Cancer Control* **16**(2), 132-140 (2009).

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