

Product Specification Sheet

BMS-354825 (Dasatinib) **Product Name:**

Catalog Number: C2354

Technical information:

 $C_{22}H_{26}CIN_7O_2S$ Chemical Formula:

> CAS #: 302962-49-8, 863127-77-9

Molecular Weight: 488.01

Purity: > 99%

Appearance: White Crystalline solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: N-(2-chloro-6-methylphenyl)-2-(6-(4-(2-hydroxyethyl)piperazin-1-yl)-2-methylpyrimidin-4-

ylamino)thiazole-5-carboxamide

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.205mL of DMSO for each mg of BMS-354825 (Dasatinib)

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum

sample recovery.

Biological Activity:

Dasatinib is an orally-available, aminothiazole-based inhibitor of Abl and Src at IC50 values of 0.6 and 8 nm, respectively. [1] Dasatinib has been shown to be >300-fold more potent than imatinib in cells expressing wild-type Bcr-Abl and in a number of imatinib-resistant mutants at IC50s < 1.7 nM. [2] With the exception of T315I, Dasatinib inhibited cell proliferation of mutants in the range of 0.8 to 11 nM.

BMS-354825

(Dasatinib)

Dasatinib's activity against Lck allows for inhibition of T-cell receptor-mediated signal transduction, cellular proflieration, cytokine production, and in vivo T-cell responses. Combination of dasatinib with other immunomodulators such as cyclosporine A or rapamycin results in a synergistic inhibition of T-cell activation. [3]

Reference: 1. O'Hare et al., In vitro activity of Bcr-Abl inhibitors AMN107 and BMS-354825 against clinically relevant imatinib-resistant Abl kinase domain mutants. Cancer Res. 2005, 65, 4500-4505. Pubmed ID: 15930265

> 2. Steinberg et al., Dasatinib: a tyrosine kinase inhibitor for the treatment of chronic myelogenous leukemia and philadelphia chromosome-positive acute lymphoblastic leukemia. Clin. Ther. 2007, 29(11), 2289-2308. Pubmed ID: 18158072

3. Schade et al., Dasatinib, a small-molecule protein tyrosine kinase inhibitor, inhibits T-cell activation and proliferation. Blood, 2008, 111, 1366-1377. Pubmed ID: 17962511

To reorder: http://www.cellagentech.com/BMS-354825-Dasatinib/

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