
Product Data Sheet

Product Name: Dasatinib Monohydrate

Cat. No.: GC15884

Chemical Properties

Cas. No. 863127-77-9

Chemical Name (Z)-N-(2-chloro-6-methylphenyl)-2-((6-(4-(2-hydroxyethyl)piperazin-1-yl)-2-methylpyrimidin-4-yl)amino)thiazole-5-carbimidic acid hydrate

SMILES CC1=C(/N=C(O)/C(S2)=CN=C2NC3=CC(N4CCN(CCO)CC4)=NC(C)=N3)C(Cl)=CC=C1.O

Formula $C_{22}H_{28}ClN_7O_3S$ M.Wt 506.02

Solubility $\geq 25.3\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure

Background

Description:

IC50: 0.55 and 3.0 nM for Src and Bcr-Abl tyrosine kinases, respectively

Chronic Myeloid Leukemia (CML) is a disease characterized by the presence of the Philadelphia (Ph+) chromosome and its oncogenic product, BCR-ABL, that is present in >90% of the patients. Dasatinib (BMS-354825) is a novel, potent, and multitargeted kinase inhibitor that targets ABL, SRC, KIT, PDGFR, and other tyrosine kinases.

In vitro: Dasatinib is a potent ATP-competitive inhibitor in biochemical assays with broad-spectrum antiproliferative activities against hematological and solid tumor cell lines. Dasatinib was more potent than imatinib at inhibiting nonmutated BCR-ABL kinase activity. In addition, the kinase activity of 14 out of 15 different clinically relevant, imatinib-resistant BCR-ABL isoforms was successfully inhibited [1].

In vivo: Mice were dosed with Dasatinib or vehicle alone by gavage for 2 weeks, beginning 3 days after injection of Ba/F3 cells. All vehicle-treated mice developed progressive disease. In contrast, Dasatinib-treated mice harboring nonmutant BCR-ABL or the clinically common imatinib-resistant mutation M351T appeared healthy with no evidence of weight loss, lethargy, or ruffled fur and

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showed more than one log lower levels of bioluminescent activity after 2 weeks of therapy [2].

Clinical trial: Dasatinib has been evaluated in clinical trials in adult patients with Ph-positive leukemias after imatinib failure or intolerance when it was proven to be effective in the chronic, accelerated and blast phases of CML. It was approved by FDA in 2006 for the treatment of CML in the three phases and also for Ph-positive ALL [2].

Reference:

[1] Shah NP, Tran C, Lee FY, Chen P, Norris D, Sawyers CL. Overriding imatinib resistance with a novel ABL kinase inhibitor. *Science*. 2004 Jul 16;305(5682):399-401.

[2] Monika Conchon, Carla Maria Boquimpani de Moura Freitas, Maria Aparecida do Carmo Rego, and José Wilson Ramos Braga Junior. Dasatinib - clinical trials and management of adverse events in imatinib resistant/intolerant chronic myeloid leukemia *Rev Bras Hematol Hemoter*. 2011; 33(2): 131-139.

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