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## Product Data Sheet

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Product Name: Dasatinib D8

Cat. No.: GC60121

**Chemical Properties**

Cas. No. 1132093-70-9

SMILES O=C(C1=CN=C(NC2=NC(C)=NC(N3C([2H])([2H])C([2H])([2H])N(CCO)C([2H])([2H])C3([2H])[2H])=C2)S1)NC4=C(C)C=CC=C4Cl

Formula C<sub>22</sub>H<sub>18</sub>D<sub>8</sub>ClN<sub>7</sub>O<sub>2</sub>S

M.Wt

496.05

Solubility DMSO: Soluble, Methanol: Soluble

Storage

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**

Dasatinib-dg is intended for use as an internal standard for the quantification of dasatinib by GC- or LC-MS. Dasatinib is a potent inhibitor of the non-receptor tyrosine kinases Abl and Src as well as other members of the Src family.<sup>1,2</sup> It is effective at sub-nanomolar concentrations, inhibiting Src, Abl, and Lck with IC<sub>50</sub> values of 0.05, 0.5, and 0.4 nM, respectively.<sup>1,3,4</sup> At nanomolar concentrations, dasatinib also blocks the activity of several other receptor and non-receptor tyrosine kinases, plus drug-resistant mutants.<sup>3,4</sup> Because of these activities, dasatinib has potential therapeutic value in diseases characterized by elevated levels of these kinases, including some forms of cancer and fibrotic disease.<sup>1,5,6,7</sup>

1. Lombardo, L.J., Lee, F.Y., Chen, P., et al. Discovery of N-(2-chloro-6-methyl-phenyl)-2-(6-(4-(2-hydroxyethyl)-piperazin-1-yl)-2-methylpyrimidin-4-ylamino)thiazole-5-carboxamide (BMS-354825), a dual Src/Abl kinase inhibitor with potent antitumor activity in preclinical assays. *J. Med. Chem.* 47(27):6658-6661 (2004) 2. Das, J., Chen, P., Norris, D., et al. 2-

**Caution: Product has not been fully validated for medical applications. For research use only.**

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aminothiazole as a novel kinase inhibitor template. Structure-activity relationship studies toward the discovery of N-(2-chloro-6-methylphenyl)-2-[[6-[4-(2-hydroxyethyl)-1-piperazinyl]]-2-methyl-4-pyrimidinyl]amino]-1,3-thiazole-5-carboxamide (Dasatinib, BMS-354825) as a potent pan-Src kinase inhibitor. *J. Med. Chem.* 49(23)6819-6823(2006)

3. Davis, M.I., 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(Suppl 5)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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