Dasatinib monohydrate Inhibitor

PRODUCT OVERVIEW

Product Name	Dasatinib monohydrate, Inhibitor
Full Product Name	Dasatinib monohydrate
Form/Format	POWDER
Purity/Purification	100.0%
Preparation and Storage	2 years -80°C in solvent; 3 years -20°C powder
Molecular Weight	506.03
CAS	863127-77-9
Formula	C22H28ClN7O3S
Biological Activity	Dasatinib is an orally bioavailable synthetic small molecule-inhibitor of SRC-family protein-tyrosine kinases.Dasatinib binds to and inhibits the growth-promoting activities of these kinases. Dasatinib binds to and inhibits the growth-promoting activities of these kinases. Apparently, because of its less stringent bindingaffinity for the BCR-ABL kinase, dasatinib has been shown to overcome the resistance to imatinib of chronic myeloid leukemia(CML) cells harboring BCR-ABL kinase domain point mutations.
Solubilty	DMSO: 20 mg/mL (39.5 nM) Ethanol: <1 mg/mL Water: <1 mg/mL
Receptor (IC50)	Abl: 0.6nM c-Kit (D816V): 37nM c-Kit (wt): 79nM EphA2: 200nM (EC) Src: 0.8nM
Smiles	n1cc(sc1Nc1nc(nc(N2CCN(CC2)CCO)c1)C)C(=O)Nc1c(cccc1C)Cl.O
Kinase Assay	Kinase autophosphorylation assays: Kinase assays using wild-type and mutant glutathione S-transferase (GST)-Abl fusionproteins (c-Abl amino acids 220-498) are done. GST-Abl fusion proteins are released from glutathione-Sepharose beadsbefore use; the concentration of ATP is 5 ?M. Immediately before use in kinase autophosphorylation and in vitro peptidesubstrate phosphorylation assays, GST-Abl kinase domain fusion proteins are treated with LAR tyrosine phosphatase. After 1-hour incubation at 30 °C, LAR phosphatase is inactivated by addition of sodium vanadate (1 mM). Immunoblot analysiscomparing untreated GST-Abl kinase to dephosphorylated GST-Abl kinase is routinely done using phosphotyrosine-specificantibody 4G10 to confirm complete (>95%) dephosphorylation of tyrosine residues and c-Abl antibody CST 2862 to confirmequal loading of GST-Abl kinase. The Dasatinib concentration range is extended to 1,000 nM for mutant T315I. These sameinhibitor concentrations are used for the in vitro peptide substrate phosphorylation assays. The three inhibitors are tested overthese same concentration ranges against GST-Src kinase and GST-Lyn kinase.
Cell Assay	Ba/F3 cell lines are seeded in triplicate and incubated with escalating concentrations of Dasatinib for 72 hours. Proliferation ismeasured using a methanethiosulfonate-based viability assay. IC50 and IC90 values are reported as the mean of threeindependent experiments done in quadruplicate. The inhibitor concentration ranges are 0 nM to 32 nM (Dasatinib). TheDasatinib concentration range is extended to 200 nM for mutant T315I.(Only for Reference).
Animal Experiment	Animal Model: EuLMP2A (TgE and Tg6 strains), MYC (?-MYC), and LMP2A/?-MYC double transgenic mice (Tg6/?-MYC)
Related Product Information for Dasatinib monohydrate, inhibitor	MBS575677 is an inhibitor targeting Dasatinib monohydrate.

Other Notes	Small volumes of Dasatinib monohydrate, inhibitor vial(s) may occasionally become entrapped in the seal of the product vial during shipment and storage. If necessary, briefly centrifuge the vial on a tabletop centrifuge to dislodge any liquid in the container`s cap. Certain products may require to ship with dry ice and additional dry ice fee may apply.

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Online datasheet: https://www.mybiosource.com/inhibitor/dasatinib-monohydrate/575677