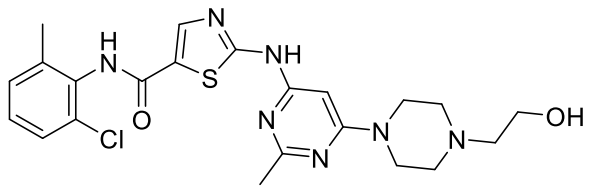
Product data sheet

MedKoo Cat#: 574900 Name: Dasatinib CAS#: 302962-49-8 Chemical Formula: $C_{22}H_{26}ClN_7O_2S$ Exact Mass: 487.1557 Molecular Weight: 488.01	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Dasatinib is a potent inhibitor of the non-receptor tyrosine kinases Abl and Src as well as other members of the Src family. Dasatinib may have therapeutic value in fibrotic diseases characterized by elevated levels of Abl and Src kinases.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	49.0	100.41

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.05 mL	10.25 mL	20.49 mL
5 mM	0.41 mL	2.05 mL	4.10 mL
10 mM	0.20 mL	1.02 mL	2.05 mL
50 mM	0.04 mL	0.20 mL	0.41 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Sheng LX, Wang JP, Lai YL, Wu H, Sun YC, Zhou M, Ouyang GF, Huang H. [Effects of Dasatinib on the Expansion, Subsets, Receptor Expression and Cytotoxic Function of NK Cells in Vitro]. Zhongguo Shi Yan Xue Ye Xue Za Zhi. 2020 Oct;28(5):1762-1768. Chinese. doi: 10.19746/j.cnki.issn.1009-2137.2020.05.055. PMID: 33067987.
2. Chan WY, Lau PM, Yeung KW, Kong SK. The second generation tyrosine kinase inhibitor dasatinib induced eryptosis in human erythrocytes-An in vitro study. Toxicol Lett. 2018 Oct 1;295:10-21. doi: 10.1016/j.toxlet.2018.05.030. Epub 2018 May 24. PMID: 29803841.

In vivo study

1. Abdelgalil AA, Alam MA, Raish M, Mohammed IE, Hassan Mohammed AE, Ansari MA, Al Jenoobi FI. Dasatinib significantly reduced in vivo exposure to cyclosporine in a rat model: The possible involvement of CYP3A induction. Pharmacol Rep. 2019 Apr;71(2):201-205. doi: 10.1016/j.pharep.2018.10.018. Epub 2018 Oct 31. PMID: 30785057.
2. Heilmann T, Rumpf AL, Roscher M, Tietgen M, Will O, Gerle M, Damm T, Borzikowsky C, Maass N, Glüer CC, Tiwari S, Trauzold A, Schem C. Dasatinib prevents skeletal metastasis of osteotropic MDA-MB-231 cells in a xenograft mouse model. Arch Gynecol Obstet. 2020 Jun;301(6):1493-1502. doi: 10.1007/s00404-020-05496-4. Epub 2020 Mar 14. PMID: 32170411.

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7. Bioactivity

Biological target:

Dasatinib (BMS-354825) is an ATP competitive, dual Src/Bcr-Abl inhibitor (IC50s of <1.0 nM and 0.5 nM) with potent antitumor activity and Ki's of 16 pM and 30 pM for Src and Bcr-Abl, respectively.

In vitro activity

Dasatinib inhibited tyrosine kinase and induced eryptosis in human erythrocytes with early denature of esterase, cell shrinkage, loss of membrane integrity with inside-out phosphatidylserine, increase in the cytosolic Ca2+ ion concentration ([Ca2+]i), caspase-3 activation and change in cellular redox state. Mechanistically, the rise of [Ca2+]i seems to be a key mediator in the dasatinib-mediated eryptosis because depletion of external Ca2+ could suppress the eryptotic effects. Also, dasatinib was able to reduce membrane fluidity in human RBCs. For the direct action on membrane, dasatinib permeabilized RBC ghosts in a way similar to digitonin. Dasatinib inhibited tyrosine kinase and induced eryptosis in human erythrocytes through Ca2+ loading and membrane permeabilization.

Reference: Toxicol Lett. 2018 Oct 1;295:10-21.
https://www.sciencedirect.com/science/article/abs/pii/S0378427418302273?via%3Dihub

In vivo activity

In vivo effects of Dasatinib treatment on the occurrence of skeletal metastases were tested in a xenograft mouse model after intracardiac injection of osteotropic MDA-MB-231-cells. Mice which received an intra-peritoneal treatment with Dasatinib showed significantly less skeletal metastases in bioluminescence scans. Moreover, a pronounced increase in bone volume was observed in the treatment group, as detected by µ-Computed Tomography. Dasatinib treatment also led to a greater increase in bone density in tibiae without metastatic affection, which was accompanied by reduced recruitment of osteoclasts.

Reference: Arch Gynecol Obstet. 2020 Jun;301(6):1493-1502. https://link.springer.com/article/10.1007%2Fs00404-020-05496-4

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.