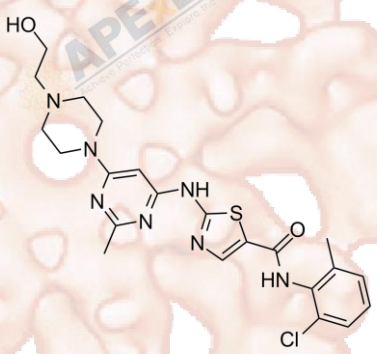
Product Data Sheet

Dasatinib (BMS-354825)



Cat. No.:	A3017
CAS No.:	302962-49-8
Formula:	C22H26ClN7O2S
M.Wt:	488.01
Synonyms:	Sprycel,dasatinibum,Dasatinib,BMS-354825, BMS354825, BMS 354825
Target:	TGF-β / Smad Signaling
Pathway:	Bcr-Abl
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾24.4 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.0491 mL	10.2457 mL	20.4914 mL
5 mM	0.4098 mL	2.0491 mL	4.0983 mL
10 mM	0.2049 mL	1.0246 mL	2.0491 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Src and BCR-Abl inhibitor
IC_{50} & Target	0.6 nM (Abl), 0.8 nM (Src), 79 nM/37 nM (c-Kit (WT)/c-Kit (D816V))

In Vitro

Cell Viability Assay

Cell Line:	DU-145 and LNCaP cells
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

	Reacting conditions:	100 nM, 6 hours for inhibiting FAK phosphorylation 24 hours for decreasing cell-to-cell contact
	Applications:	Dasatinib almost totally abolished the levels of p-FAK at Tyr576/577 in DU-145 cells, whereas p-FAK was not detected in LNCaP cells even though both cell lines expressed similar levels of total FAK protein. Treatment with 100 nmol/L dasatinib for 24 hours had no effect on cell viability and total cell numbers, although partial inhibition of cell proliferation due to G1 arrest was observed at 48 and 72 hours. Besides, there was a substantial loss of cell-to-cell contact in DU-145 cells. This effect may be related to the decrease in levels of p-FAK and p-p130CAS.
In Vivo	**Animal experiment**	
	Animal models:	Pdx1-Cre, Z/EGFP, LSL-Kras G12D/+, LSL-Trp53R172H/+ mice
	Dosage form:	Oral administration, 10 mg/kg, daily
	Applications:	There was no significant difference in survival between the different treatment groups. The median survival of vehicle-treated animals was 131 days compared with 127 days and 130 days for animals treated with dasatinib from 6 weeks and 10 weeks of age, respectively. Analysis of tumor burden in the mice showed that all mice had invasive PDAC; however, the number of mice with metastases was reduced significantly in dasatinib-treated animals. The incidence of metastases was 61.1% in vehicle-treated animals compared with 26.7% in mice treated with dasatinib from 6 weeks and 23.1% in mice treated with dasatinib from 10 weeks.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. White SM, Avantaggiati ML, et al. "YAP/TAZ Inhibition Induces Metabolic and Signaling Rewiring Resulting in Targetable Vulnerabilities in NF2-Deficient Tumor Cells." Dev Cell. 2019 May 6;49(3):425-443.e9.PMID:31063758

2. Alzubi MA, Turner TH, et al. "Separation of breast cancer and organ microenvironment transcriptomes in metastases." Breast Cancer Res. 2019 Mar 6;21(1):36.PMID:30841919

3. Cheriyan VT, Alsaab H, et al. "A CARP-1 functional mimetic compound is synergistic with BRAF-targeting in non-small cell lung cancers." Oncotarget. 2018 Jul 3;9(51):29680-29697.PMID:30038713

4. Singleton KR, Crawford L, et al. "Melanoma Therapeutic Strategies that Select against Resistance by Exploiting MYC-Driven Evolutionary Convergence." Cell Rep. 2017 Dec 5;21(10):2796-2812.PMID:29212027

5. Qian XL, Zhang J, et al."Dasatinib inhibits c-src phosphorylation and prevents the proliferation of Triple-Negative Breast Cancer (TNBC) cells which overexpress Syndecan-Binding Protein (SDCBP)." PLoS One. 2017 Jan 31;12(1):e0171169.PMID:28141839

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References

[1] Nam S, Kim D, Cheng J Q, et al. Action of the Src family kinase inhibitor, dasatinib (BMS-354825), on human prostate cancer cells. Cancer research, 2005, 65(20): 9185-9189.
[2] Morton J P, Karim S A, Graham K, et al. Dasatinib inhibits the development of metastases in a mouse model of pancreatic ductal adenocarcinoma. Gastroenterology, 2010, 139(1): 292-303.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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