

Small Molecules

Dasatinib

SRC family kinase inhibitor; Inhibits ABL, SRC, LCK

Catalog # 73082
73084

10 mg
100 mg



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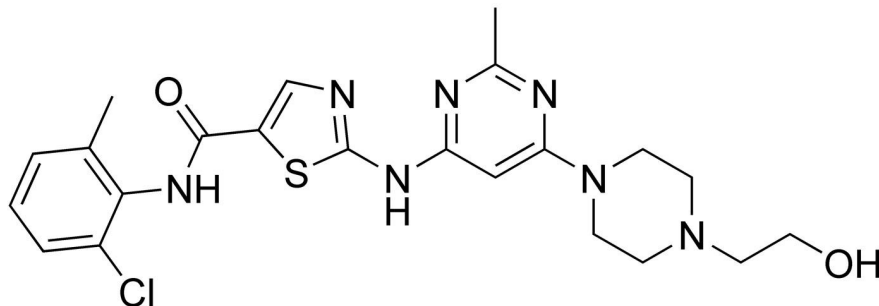
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Product Description

Dasatinib is a potent, ATP-competitive tyrosine kinase inhibitor. It is specific for SRC/ABL kinases, for example, ABL, SRC, LCK, and YES with IC_{50} values of < 1.0, 0.5, 0.4 and 0.5 nM, respectively, and also demonstrates activity against c-KIT with an IC_{50} = 5.0 nM (Lombardo et al.; Davis et al.) Dasatinib is a second-generation inhibitor of the oncogenic tyrosine kinase BCR-ABL with 325-fold more potency than imatinib, and is also able to inhibit imatinib-resistant BCR-ABL mutants (Tokarski et al.). It also inhibits a large number of other kinases (76 of 148 kinases tested) when screened at 10 μ M (Carter et al.).

Molecular Name:	Dasatinib
Alternative Names:	BMS 354825, Sprycel
CAS Number:	302962-49-8
Chemical Formula:	$C_{22}H_{26}ClN_7O_2S$
Molecular Weight:	488.0 g/mol
Purity:	$\geq 98\%$
Chemical Name:	N-(2-chloro-6-methylphenyl)-2-[[6-[4-(2-hydroxyethyl)-1-piperazinyl]-2-methyl-4-pyrimidinyl]amino]-5-thiazolecarboxamide

Structure:



Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· DMSO ≤ 25 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 2.05 mL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER

- Inhibits proliferation in cell lines derived from chronic myeloid leukemia (CML), prostate, breast, and colon tumors (Lombardo et al.).
- Inhibits proliferation of cells with imatinib-resistant BCR-ABL mutations (Shah et al.).
- Inhibits tumor growth and development of lymph node metastases in orthotopic nude mouse models of prostate cancer (Park et al.).
- Induces cell-cycle arrest and apoptosis and decreases growth in thyroid cancer cells (Chan et al.).
- Inhibits production of extracellular matrix proteins in dermal fibroblasts and prevents development of bleomycin-challenge-induced fibrosis in mice (Distler & Distler; Akhmetshina et al.).

References

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