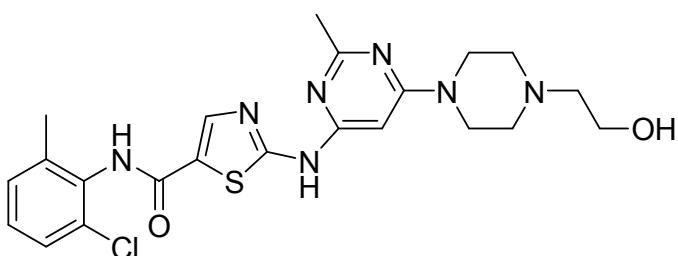


Dasatinib

SYN-1036

N-(2-chloro-6-methylphenyl)-2-[[6-[4-(2-hydroxyethyl)-1-piperazinyl]-2-methyl-4-pyrimidinyl]amino]- 5-thiazolecarboxamide

CAS Registry No.: 302962-49-8



Smiles String:

Cc1cccc(c1NC(=O)c2ncn(s2)Nc3cc(nc(n3)C)N4CCN(CC4)CCO)Cl

Molecular Weight: 488.01

Molecular Formula: C₂₂H₂₆CIN₇O₂S

Lot Number: Refer to vial

¹H-NMR: Available on request

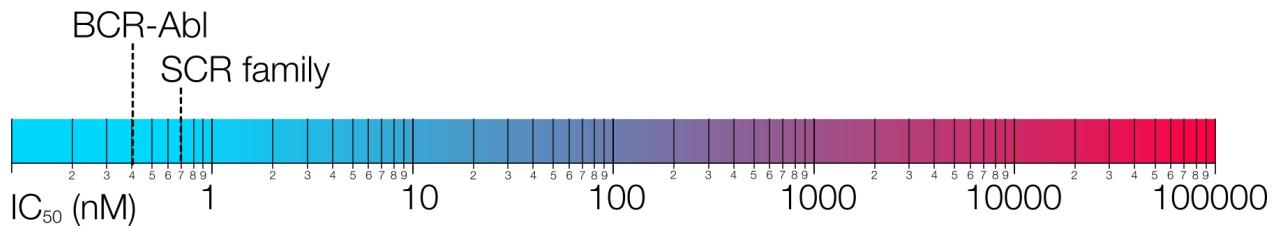
HPLC (Purity): > 95.0% @ 254 nm

ES-MS: Available on request

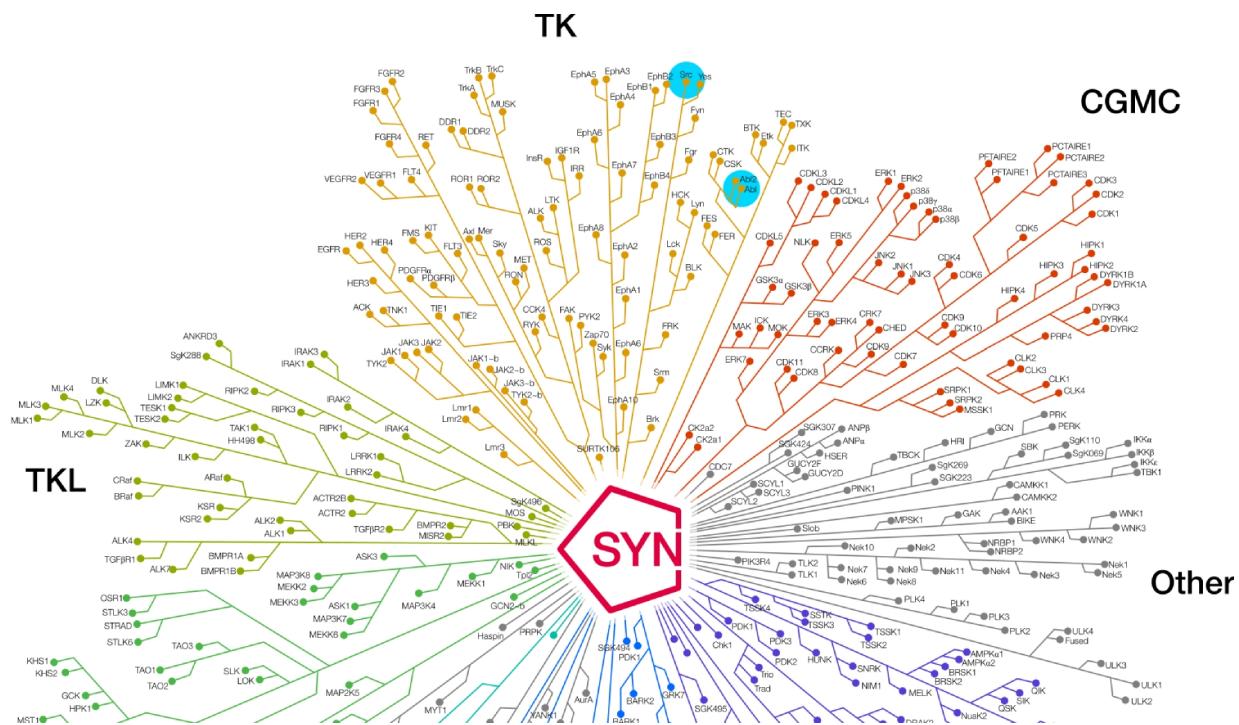
Description:

The BCR-ABL oncogene triggers intracellular signaling, activating multiple transduction cascades, promoting the growth, proliferation and survival of hematopoietic cells.² BCR-ABL plays a role in defective DNA repair, alteration of cellular adhesion and inhibition of apoptosis. Degregulated BCR-ABL tyrosine kinase activity is the molecular marker for CML. Inhibiting BCR-ABL tyrosine kinase activity leads to the induction of apoptosis and inhibits cellular proliferation in vitro and there are various BCR-ABL isoforms with differing activity levels as well. Dasatinib (BMS-354825, Sprycel®) is a potent multi-target kinase inhibitor of BCR-ABL. Dasatinib performs better against ABL kinase than earlier drugs such as imatinib, and Dasatinib also is effective against SRC family of kinases, and other receptor kinases such as EPHA2, PDGFR, and c-Kit. Dasatinib's inhibiting potential against Src family kinase members is greater (IC₅₀ 0.5 nmol/L) than its inhibitory activity against ABL (1 nmol/L). PMID:15615512; 19536317.

Biological Activity



Kinome Mapping



Shipping and Storage Temperature

Shipping:

Ambient

Storage:

2 years -20C, Powder 1 month, -4C in DMSO, More than one month -80C in DMSO

Solubility

DMSO 98mg/mL, Ethanol 1mg/mL

Preparing Stock Solutions

| Stock Solution (1ml DMSO) | 1mM | 10mM | 20mM | 50mM |
|------------------------------|--------|--------|--------|---------|
| Mass(mg) | 0.4881 | 4.8810 | 9.7620 | 24.4050 |

References

1. Ren X, Pan X, Zhang Z, Wang D, Lu X, Li Y, Wen D, Long H, Luo J, Feng Y, Zhuang X, Zhang F, Liu J, Leng F, Lang X, Bai Y, She M, Tu Z, Pan J, Ding K. Identification of GZD824 as an orally bioavailable inhibitor that targets phosphorylated and nonphosphorylated breakpoint cluster region-Abelson (Bcr-Abl) kinase and overcomes clinically acquired mutation-induced resistance against imatinib. *J Med Chem.* 2013 Feb 14;56(3):879-94. doi: 10.1021/jm301581y. Epub 2013 Jan 28. PubMed PMID: 23301703.

Ordering Information

To order more of this or any other SYNkinase compound, go to synkinase.com, Call us Toll Free (US Only) at 1- 877-854-6273 or email orders@synkinase.com.

Product Datasheet (Rev. 1.1)