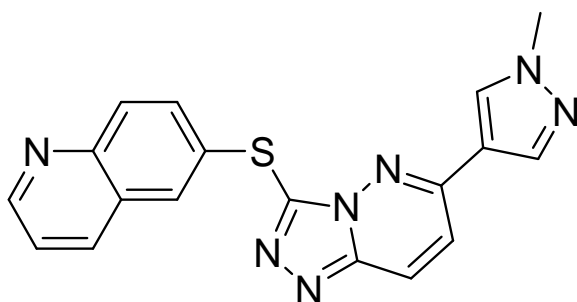


SGX523**SYN-1155**

6-(6-(1-methyl-1H-pyrazol-4-yl)-
[1,2,4]triazolo[4,3-b]pyridazin-3-
ylthio)quinoline

CAS Registry No.: 1072116-01-8

Smiles String:

CN1C=C(C=N1)C2=NN3C(=NN=C3SC4=
CC5=C(C=C4)N=CC=C5)C=C2

Molecular Weight: 359.41

Molecular Formula: C₁₈H₁₃N₇S

Lot Number: Refer to vial

¹H-NMR: Available on request

HPLC (Purity): > 95.0% @ 254 nm

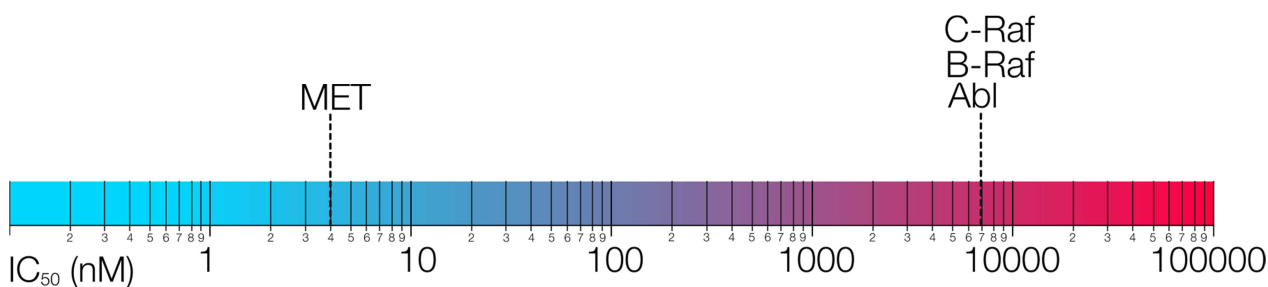
ES-MS: Available on request

Description:

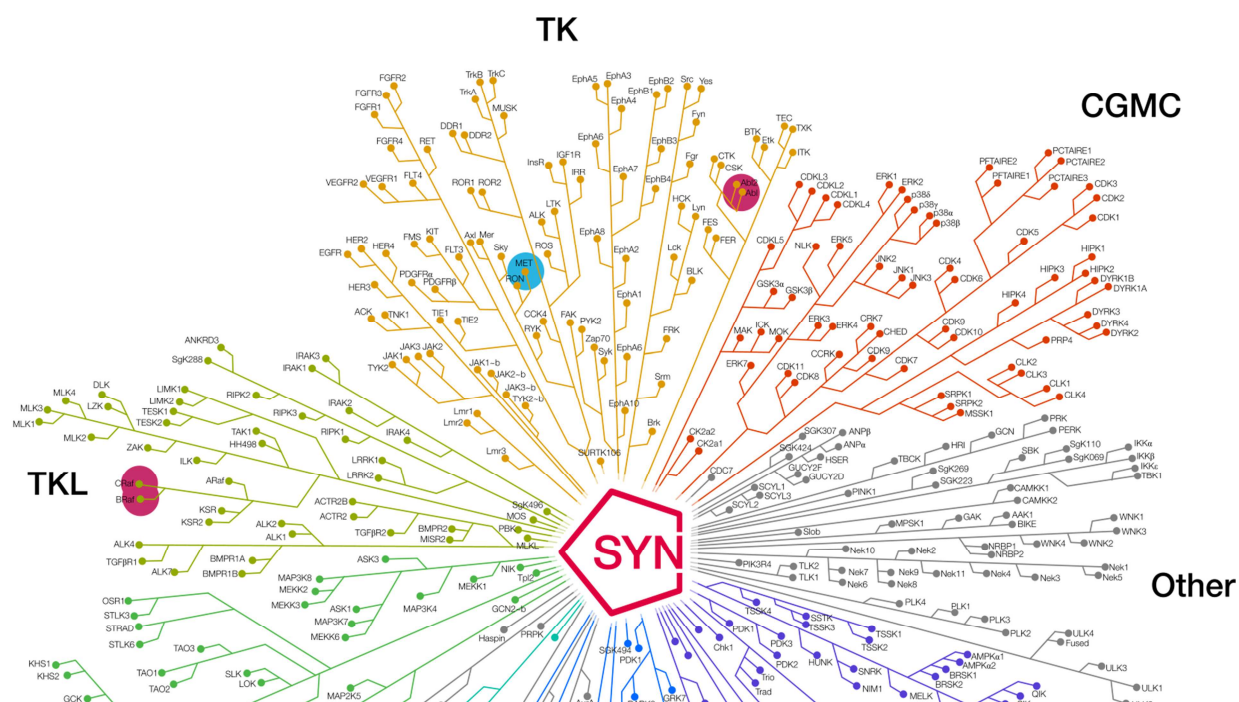
SGX523, a novel, ATP-competitive kinase inhibitor remarkable for its exquisite selectivity for MET. SGX523 potently inhibited MET with an IC₅₀ of 4 nmol/L and is >1,000-fold selective versus the >200-fold selectivity of other protein kinases tested in biochemical assays.

SGX523 is an ATP-competitive, triazolopyridazine-based inhibitor of MET at an IC₅₀ of 4 nM. SGX523 has higher affinity for the unphosphorylated form of MET (K_i = 2.7 nM) than the more active phospho-enzyme (K_i = 23 nM). In a broad panel of 213 kinases, SGX523 was extremely selective, with no inhibition >36%, suggesting IC₅₀ values > 1 μM, including the closely-associated RON kinase.

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 2mg/mL, Ethanol <1mg/mL

Preparing Stock Solutions

Stock Solution (1ml DMSO)	1mM	10mM	20mM	50mM
Mass(mg)	0.3594	3.5941	7.1882	17.9705

References

1. Buchanan SG, Hendle J, Lee PS, Smith CR, Bounaud PY, Jessen KA, Tang CM, Huser NH, Felce JD, Froning KJ, Peterman MC, Aubol BE, Gessert SF, Sauder JM, Schwinn KD, Russell M, Rooney IA, Adams J, Leon BC, Do TH, Blaney JM, Sprengeler PA, Thompson DA, Smyth L, Pelletier LA, Atwell S, Holme K, Wasserman SR, Emtage S, Burley SK, Reich SH. SGX523 is an exquisitely selective, ATP-competitive inhibitor of the MET receptor tyrosine kinase with antitumor activity in vivo. *Mol Cancer Ther.* 2009 Dec;8(12):3181-90. doi: 10.1158/1535-7163.MCT-09-0477. Epub. PubMed PMID: 19934279.

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)