

3-(2-(2-morpholinoethylamino)quinazolin-6-yl)-N-(3-(trifluoromethyl)phenyl)-4-methylbenzamide

CAS Registry No.: 882663-88-9

Smiles String:

Cc1ccc(cc1c2ccc3c(c2)cnc(n3)NCCN4CCOCC4)C(=O)Nc5ccc(c5)C(F)(F)F

Molecular Weight: 535.56

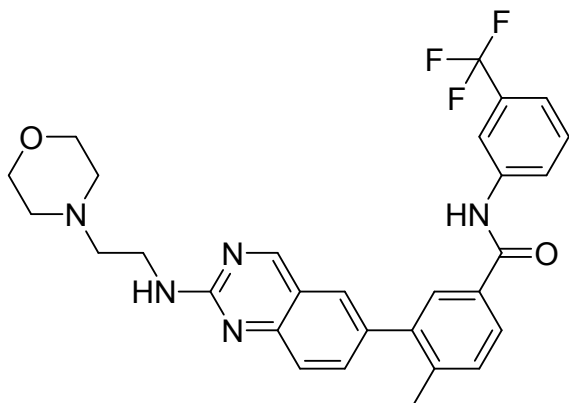
Molecular Formula: C₂₉H₂₈F₃N₅O₂

Lot Number: Refer to vial

¹H-NMR: Available on request

HPLC (Purity): > 95.0% @ 254 nm

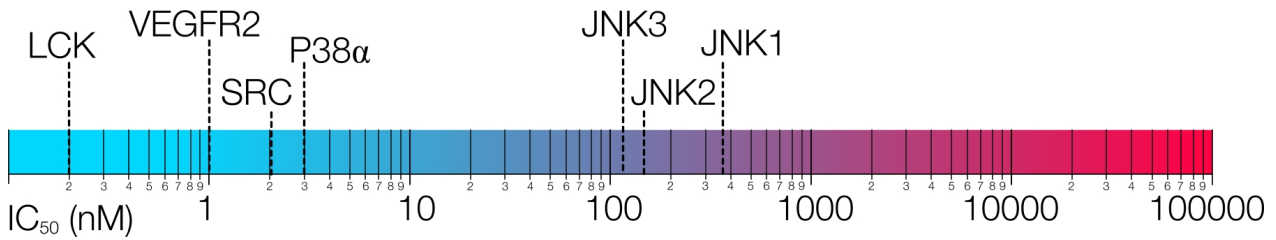
ES-MS: Available on request



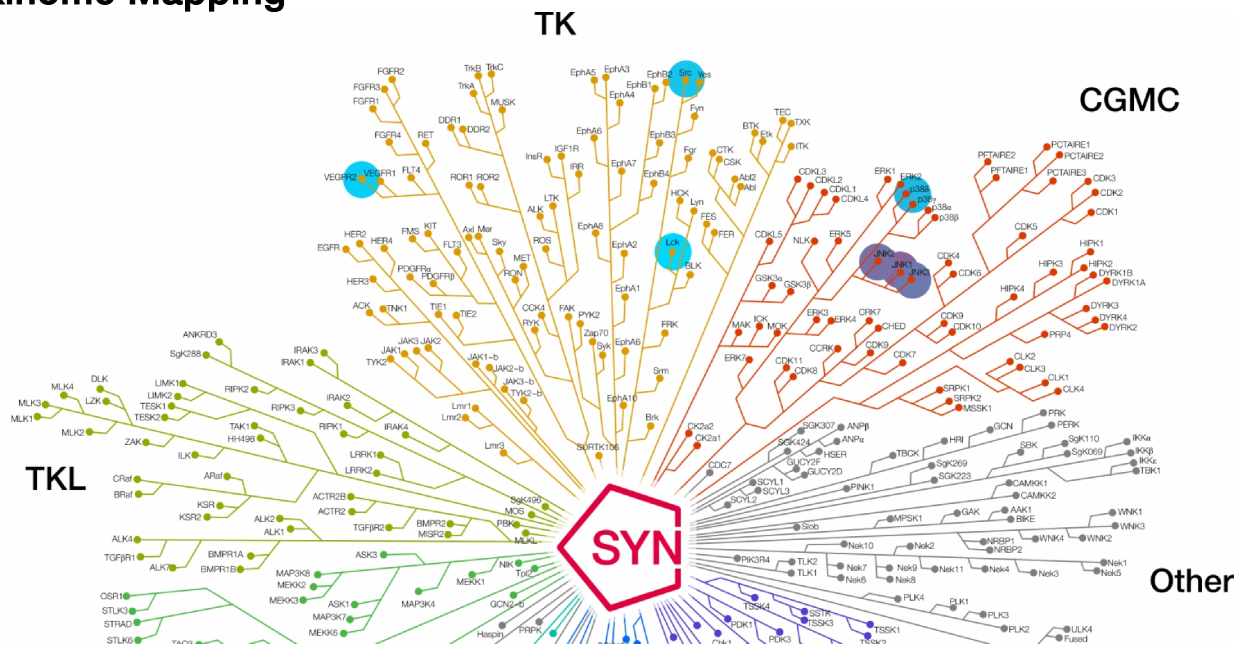
Description:

The lymphocyte-specific kinase (Lck) is a cytoplasmic tyrosine kinase of the Src family expressed in T cells and natural killer (NK) cells. Genetic evidence in both mice and humans demonstrates that Lck kinase activity is critical for signaling mediated by the T cell receptor (TCR), which leads to normal T cell development and activation. Selective inhibition of Lck is expected to offer a new therapy for the treatment of T-cell-mediated autoimmune and inflammatory disease. AMG-47 is one of two optimized chemical compounds that has been shown to be extremely effective in vivo and in vitro in inhibiting Lck as well as a number of other receptor tyrosine kinases. In an anti-CD3/ IL-2 mouse model system AMG-47 has been shown to be effective in inhibiting the Lck mediated anti-inflammatory activity (ED₅₀ 11 mg/kg; 630nM) in vivo. In multiple other in vitro assays, AMG-47 exhibits subnanomolar inhibition against Lck, and low (<10nM) inhibition against other hard to inhibit kinases such as KDR and SRC and MAPK alpha (p38alpha). Moreover at slightly higher doses but well under 10µM, AMG-47 effectively inhibits the JNK family of kinases including TYK2 at ~ 1.2µM.

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

15 mM in DMSO

Preparing Stock Solutions

Stock Solution (1ml DMSO)	1mM	10mM	20mM	50mM
Mass(mg)	0.5356	5.3560	10.7120	26.7800

References

1. DiMauro EF, Newcomb J, Nunes JJ, Bemis JE, Boucher C, Buchanan JL, Buckner WH, Cee VJ, Chai L, Deak HL, Epstein LF, Faust T, Gallant P, Geuns-Meyer SD, Gore A, Gu Y, Henkle B, Hodous BL, Hsieh F, Huang X, Kim JL, Lee JH, Martin MW, Masse CE, McGowan DC, Metz D, Mohn D, Morgenstern KA, Oliveira-dos-Santos A, Patel VF, Powers D, Rose PE, Schneider S, Tomlinson SA, Tudor YY, Turci SM, Welcher AA, White RD, Zhao H, Zhu L, Zhu X . Discovery of aminoquinazolines as potent, orally bioavailable inhibitors of Lck: synthesis, SAR, and in vivo anti-inflammatory activity. J Med Chem. 2006 Sep 21;49(19):5671-86.

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)