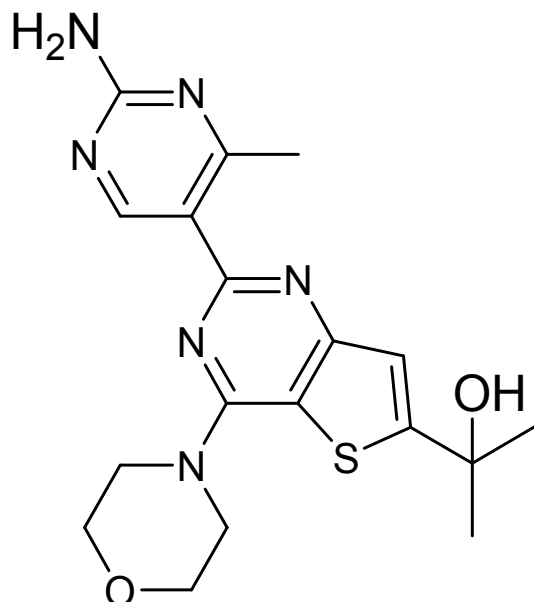


GNE-490

SYN-1114



2-(2-(2-amino-4-methylpyrimidin-5-yl)-4-morpholinothieno[3,2-d]pyrimidin-6-yl)propan-2-ol

CAS Registry No.: 1033739-92-2

Smiles String:

Cc1c(cnc(n1)N)c2nc3cc(sc3c(n2)N4CCOC(C)C(C)(C)O

Molecular Weight: 386.47

Molecular Formula: C₁₈H₂₂N₆O₂S

Lot Number: Refer to vial

¹H-NMR: Available on request

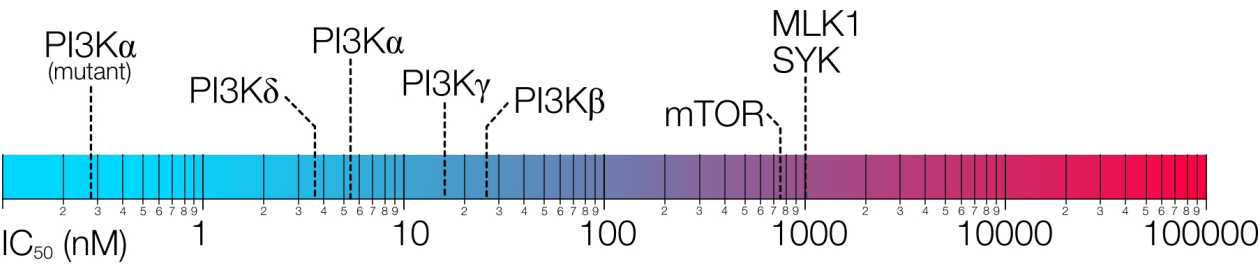
HPLC (Purity): > 95.0% @ 254 nm

ES-MS: Available on request

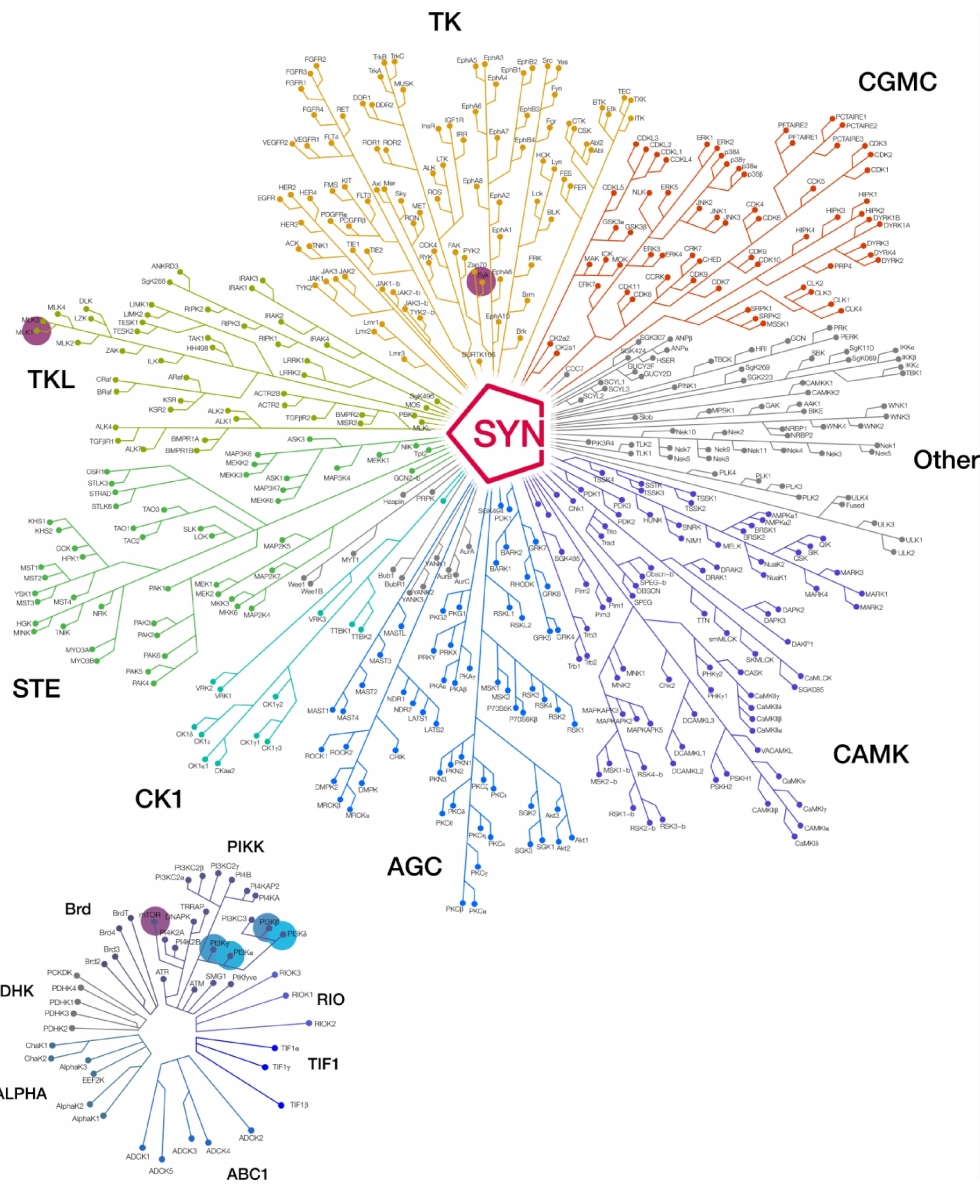
Description:

GNE-490 is a highly selective pan-PI3K inhibitor that demonstrates selectivity over mTOR. It has good pharmacokinetic (PK) parameters and demonstrates knock down of pathway markers in vivo. Originally obtained via modification of compounds like GDC-0941, GNE-490 which have a significantly reduced potency mTOR while maintaining effective potency for PI3K substrates. IC₅₀ values for PI3K family members are in the subnanomolar to low nanomolar range (0.28 to <20nM) while IC₅₀ values for mTOR and other related tyrosine kinases are greater than 750 nM with most being greater than 1 μM or more, thus GNE-490 makes a good choice for examining PI3K related events separate from those mediated by mTOR.

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)	0.3865	3.8650	7.7300	19.3250

References

1. Sutherlin DP, Sampath D, Berry M, Castanedo G, Chang Z, Chuckowree I, Dotson J, Folkes A, Friedman L, Goldsmith R, Heffron T, Lee L, Lesnick J, Lewis C, Mathieu S, Nonomiya J, Olivero A, Pang J, Prior WW, Salphati L, Sideris S, Tian Q, Tsui V, Wan NC, Wang S, Wiesmann C, Wong S, Zhu BY. Discovery of (thienopyrimidin-2-yl)aminopyrimidines as potent, selective, and orally available pan-PI3-kinase and dual pan-PI3-kinase/mTOR inhibitors for the treatment of cancer. J Med Chem. 2010 Feb 11;53(3):1086-97. doi: 10.1021/jm901284w.
2. Zhu W, Liu Y, Zhai X, Wang X, Zhu Y, Wu D, Zhou H, Gong P, Zhao Y. Design, synthesis and 3D-QSAR analysis of novel 2-hydrazinyl-4-morpholinothieno[3,2-d]pyrimidine derivatives as potential antitumor agents. Eur J Med Chem. 2012 Nov;57:162-75. doi: 10.1016/j.ejmech.2012.09.002. Epub 2012 Sep 10.

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)