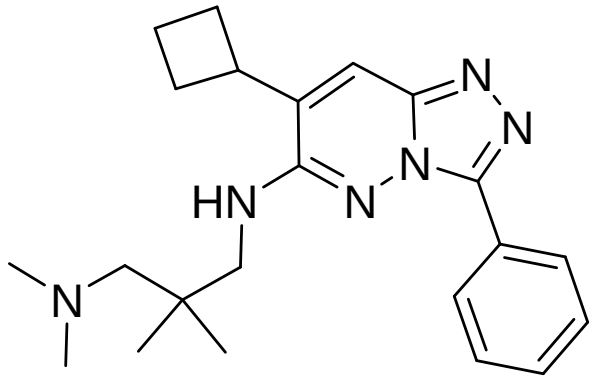
Akt-I-1

SYN-1005



N3-(7-cyclobutyl-3-phenyl-1,2,4-triazolo[4,3-b]pyridazin-6-yl)-N1,N1,2,2-tetramethyl-1,3-Propanediamine

CAS Registry No.: 473382-39-7

Smiles String:
n1c(c(cc2nnc(n12)c3ccccc3)C4CCC4)NCC(C)(C)CN(C)C

Molecular Weight: 378.51

Molecular Formula: C22H30N6

Lot Number: Refer to vial

1H-NMR: Available on request

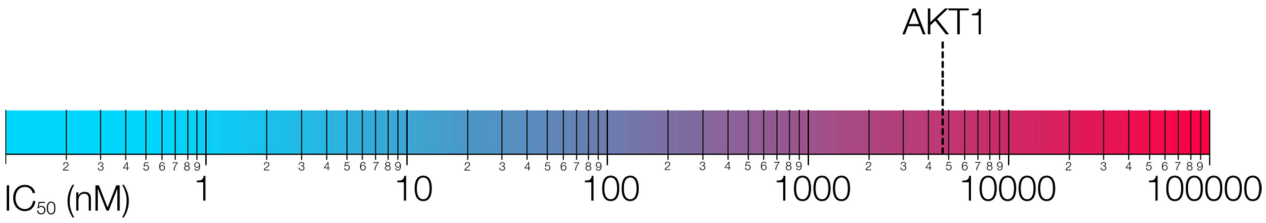
HPLC (Purity): > 95.0% @ 254 nm

ES-MS: Available on request

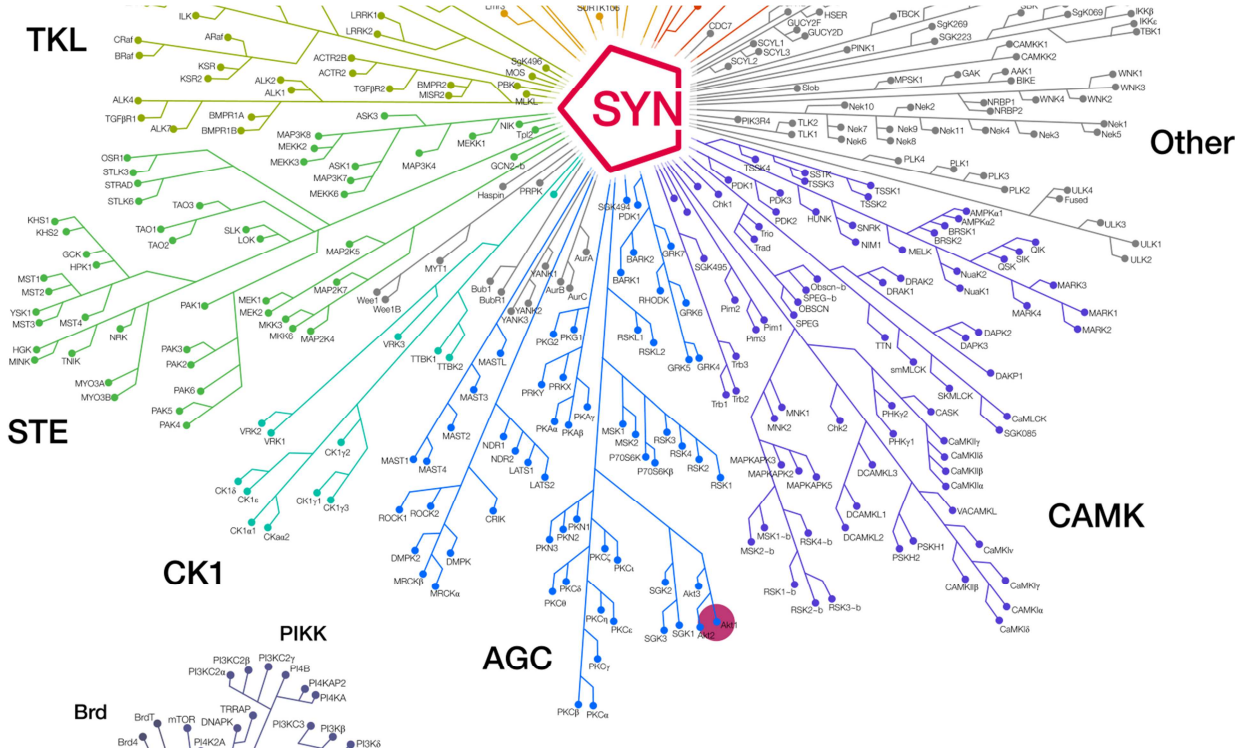
Description:

The compound (Akt-I-1) inhibits only Akt1 (IC50 4.6 μM) and does not inhibit AKT2, or AKT3. The compound is a reversible inhibitor, and exhibits a linear mixed-type inhibition against ATP and peptide substrate. In addition to inhibiting kinase activity of AKT1 isoform, AKT-I-1 blocked the phosphorylation and activation of AKT1 by PDK1 (phosphoinositide-dependent kinase 1). The inhibitor was found to be cell-active and to block phosphorylation of Akt at Thr308 and Ser473, reduce the levels of active Akt in cells, block the phosphorylation of known Akt substrates and promote TRAIL (tumour-necrosis-factor-related apoptosis-inducing ligand)-induced apoptosis in LNCap prostate cancer cells.

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)	0.3786	3.7860	7.5720	18.9300

References

1. Barnett SF, Defeo-Jones D, Fu S, Hancock PJ, Haskell KM, Jones RE, Kahana JA, Kral AM, Leander K, Lee LL, Malinowski J, McAvoy EM, Nahas DD, Robinson RG, Huber HE. Identification and characterization of pleckstrin-homology-domain-dependent and isoenzyme-specific Akt inhibitors. Biochem J. 2005 Jan 15;385(Pt 2):399-408. PubMed PMID: 15456405; PubMed Central PMCID: PMC1134710.

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.