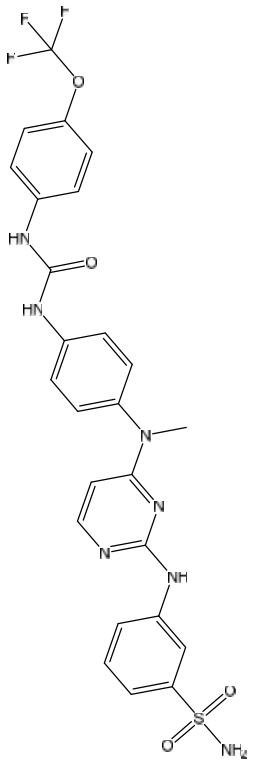
GW806742X

SYN-1215



1-[4-[methyl-[2-(3-sulfamoylanilino)pyrimidin-4-yl]amino]phenyl]-3-[4-(trifluoromethoxy)phenyl]urea

CAS Registry No.: Not Available

Smiles String:
CN(C1=CC=C(C=C1)NC(=O)NC2=CC=C(C=C2)OC(F)(F)F)C3=NC(=NC=C3)NC4=CC(=CC=C4)S(=O)(=O)N

Molecular Weight: 573.55

Molecular Formula: C25H22F3N7O4S

Lot Number: Refer to vial

1H-NMR: Available on request

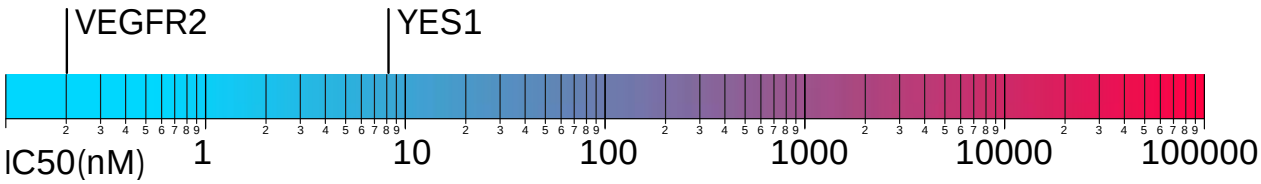
HPLC (Purity): > 95.0% @ 254 nm

ES-MS: Available on request

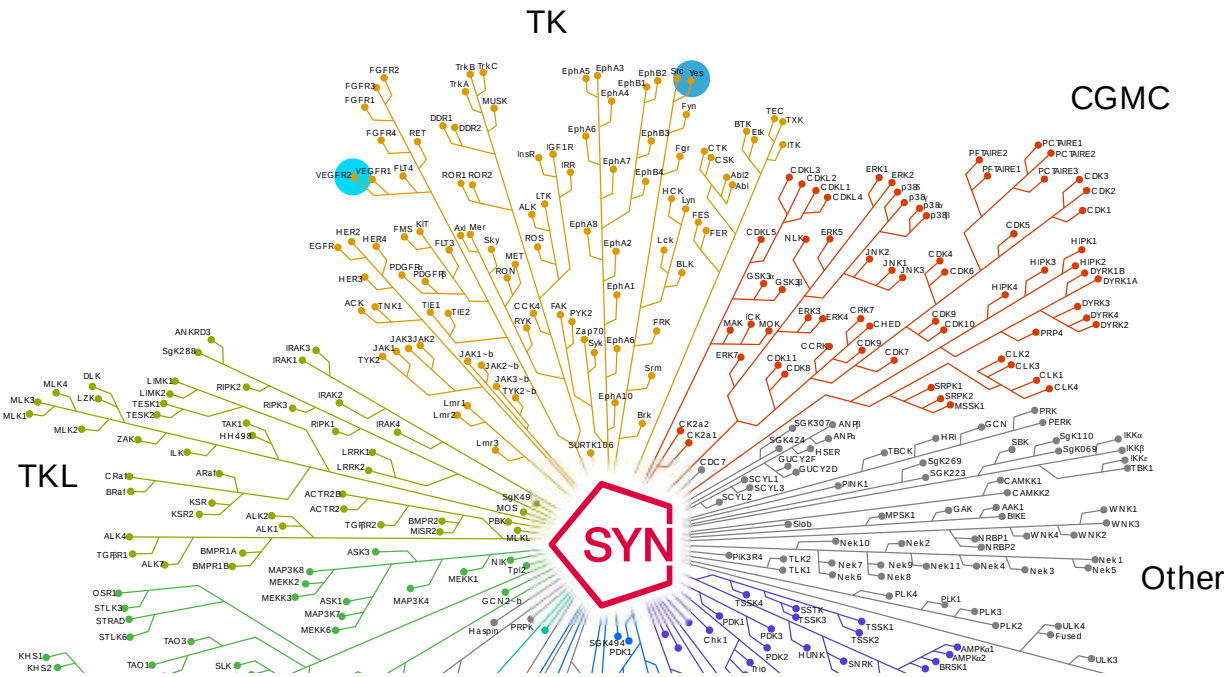
Description:

GW806742X is a nanomolar inhibitor of the protein kinase, VEGFR2 (2nm) and also binds to the pseudokinase domain of MLKL and blocks cell death by necroptosis. With regards to its role in necroptosis, GW806742X is an ATP-mimetic that was shown to bind recombinant mouse MLKL pseudokinase domain, which showed inhibited TSQ-induced death of mouse dermal fibroblasts by delaying MLKL translocation to the membrane. GW806742X therefore appears to be a valuable reagent to inhibit necroptosis. It also provides an important proof-of-principle that targeting catalytically-dead pseudoenzymes represents a feasible, emerging therapeutic avenue.

Biological Activity



Kinome Mapping



Shipping and Storage Temperature

Shipping:
Ambient

Storage:
3 Months at -4C, >2 Years at -20C

Solubility

DMSO 11.47 mg/mL

Preparing Stock Solutions

Stock Solution (1ml DMSO)	1mM	10mM	20mM	50mM
Mass(mg)	0. 574	5.736	11.471	

References

1. Sammond, D. M., K. E. Nailor, J. M. Veal, R. T. Nolte, L. Wang, V. B. Knick, S. K. Rudolph, A. T. Truesdale, E. N. Nartey, J. A. Stafford, R. Kumar and M. Cheung (2005). "Discovery of a novel and potent series of dianilinopyrimidineurea and urea isostere inhibitors of VEGFR2 tyrosine kinase." Bioorg Med Chem Lett 15(15): 3519-3523.
2. Hildebrand, J. M., M. C. Tanzer, I. S. Lucet, S. N. Young, S. K. Spall, P. Sharma, C. Pierotti, J. M. Garnier, R. C. Dobson, A. I. Webb, A. Tripaydonis, J. J. Babon, M. D. Mulcair, M. J. Scanlon, W. S. Alexander, A. F. Wilks, P. E. Czabotar, G. Lessene, J. M. Murphy and J. Silke (2014). "Activation of the pseudokinase MLKL unleashes the four-helix bundle domain to induce membrane localization and necroptotic cell death." Proc Natl Acad Sci U S A.
3. http://pubchem.ncbi.nlm.nih.gov//compound/5329829

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