

SAR131675

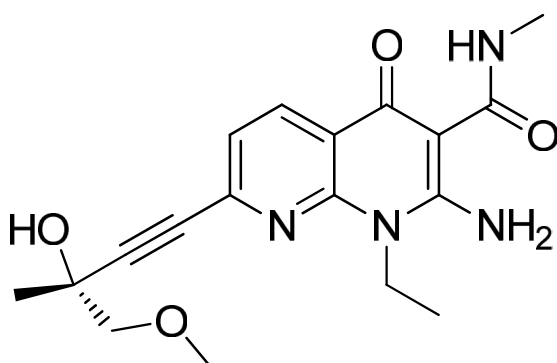
SYN-1165

(R)-2-amino-1-ethyl-7-(3-hydroxy-4-methoxy-3-methylbut-1-yn-1-yl)-N-methyl-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxamide

CAS Registry No.: 1092539-44-0

Smiles String:

CCn1c(c(c(=O)c2c1nc(cc2)C#C[C@](C)(CO)C(=O)NC)N



Molecular Weight: 358.39

Molecular Formula: C₁₈H₂₂N₄O₄

Lot Number: Refer to vial

¹H-NMR: Available on request

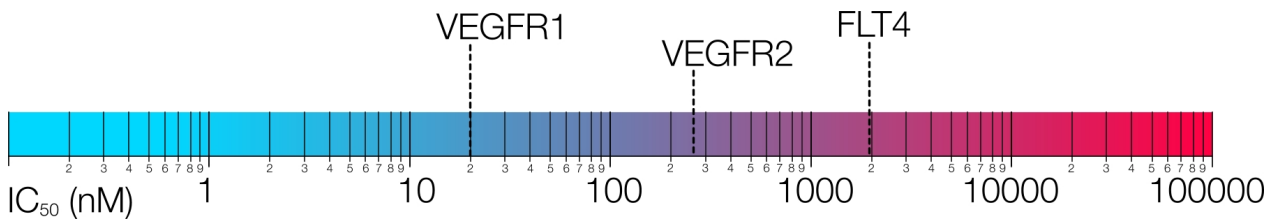
HPLC (Purity): > 95.0% @ 254 nm

ES-MS: Available on request

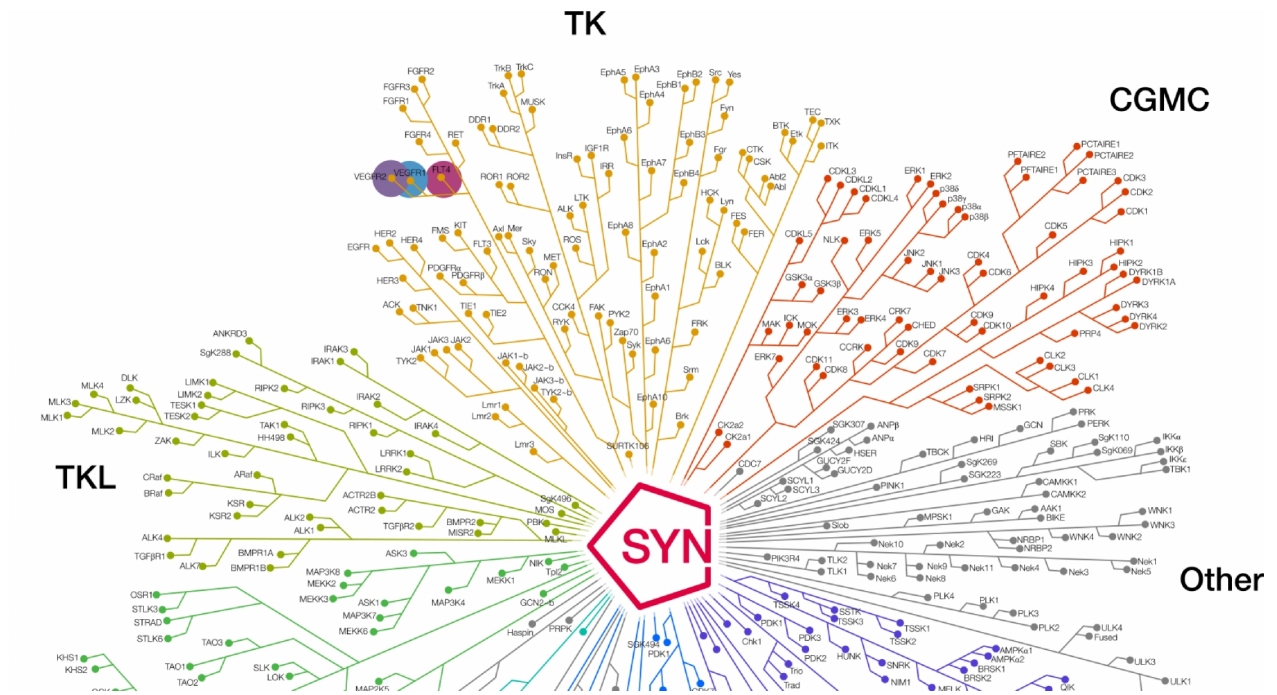
Description:

SAR131675 is a potent and selective VEGFR-3 inhibitor. It inhibited VEGFR-3 tyrosine kinase activity and VEGFR-3 autophosphorylation in HEK cells with IC₅₀ values of 20 and 45 nmol/L, respectively. SAR131675 dose dependently inhibited the proliferation of primary human lymphatic cells, induced by the VEGFR-3 ligands VEGFC and VEGFD, with an IC₅₀ of about 20 nmol/L. SAR131675 was found to be highly selective for VEGFR-3 versus 107 receptors, enzymes, ion channels, and 65 kinases. However, it was moderately active on VEGFR-2 with a VEGFR-3/VEGFR-2 ratio of about 10. SAR131675 had no antiproliferative activity on a panel of 30 tumors and primary cells, further showing its high specificity and indicating that SAR131675 is not a cytotoxic or cytostatic agent.

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 30mg/mL, Ethanol <1mg/mL

Preparing Stock Solutions

Stock Solution (1ml DMSO)	1mM	10mM	20mM	50mM
Mass(mg)	0.3583	3.5839	7.1678	17.9195

References

1. Alam A, Blanc I, Gueguen-Dorbes G, Duclos O, Bonnin J, Barron P, Laplace MC, Morin G, Gaujarengues F, Dol F, Hérault JP, Schaeffer P, Savi P, Bono F. SAR131675, a potent and selective VEGFR-3-TK inhibitor with antilymphangiogenic, antitumoral, and antimetastatic activities. *Mol Cancer Ther.* 2012 Aug;11(8):1637-49. doi: 10.1158/1535-7163.MCT-11-0866-T. Epub 2012 May 14.

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)