

BEZ-235

SYN-1018

2-methyl-2-(4-(3-methyl-2-oxo-8-(quinolin-3-yl)-2,3-dihydroimidazo[4,5-c]quinolin-1-yl)phenyl)propanenitrile

CAS Registry No.: 915019-65-7

Smiles String:

CC(C)(C#N)c1ccc(cc1)n2c3c4cc(ccc4ncc3n(c2=O)C)c5cc6ccccc6nc5

Molecular Weight: 469.54

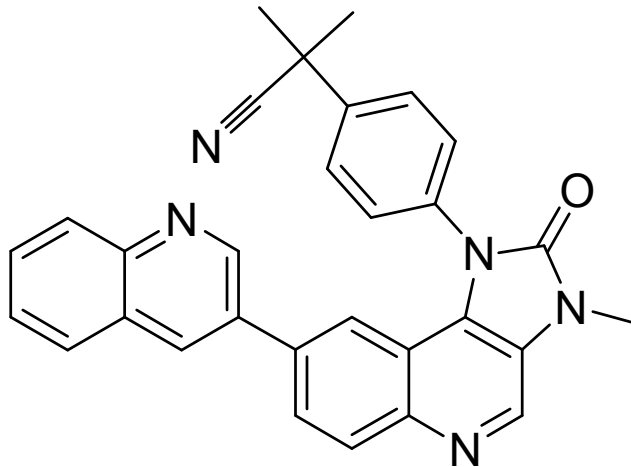
Molecular Formula: C₃₀H₂₃N₅O

Lot Number: Refer to vial

¹H-NMR: Available on request

HPLC (Purity): > 95.0% @ 254 nm

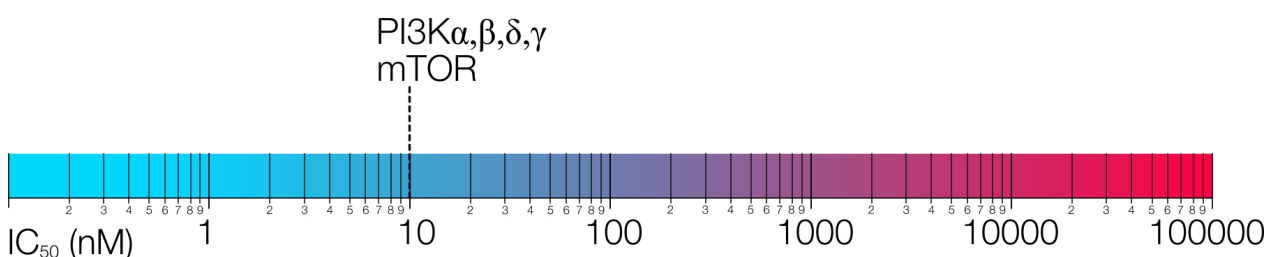
ES-MS: Available on request



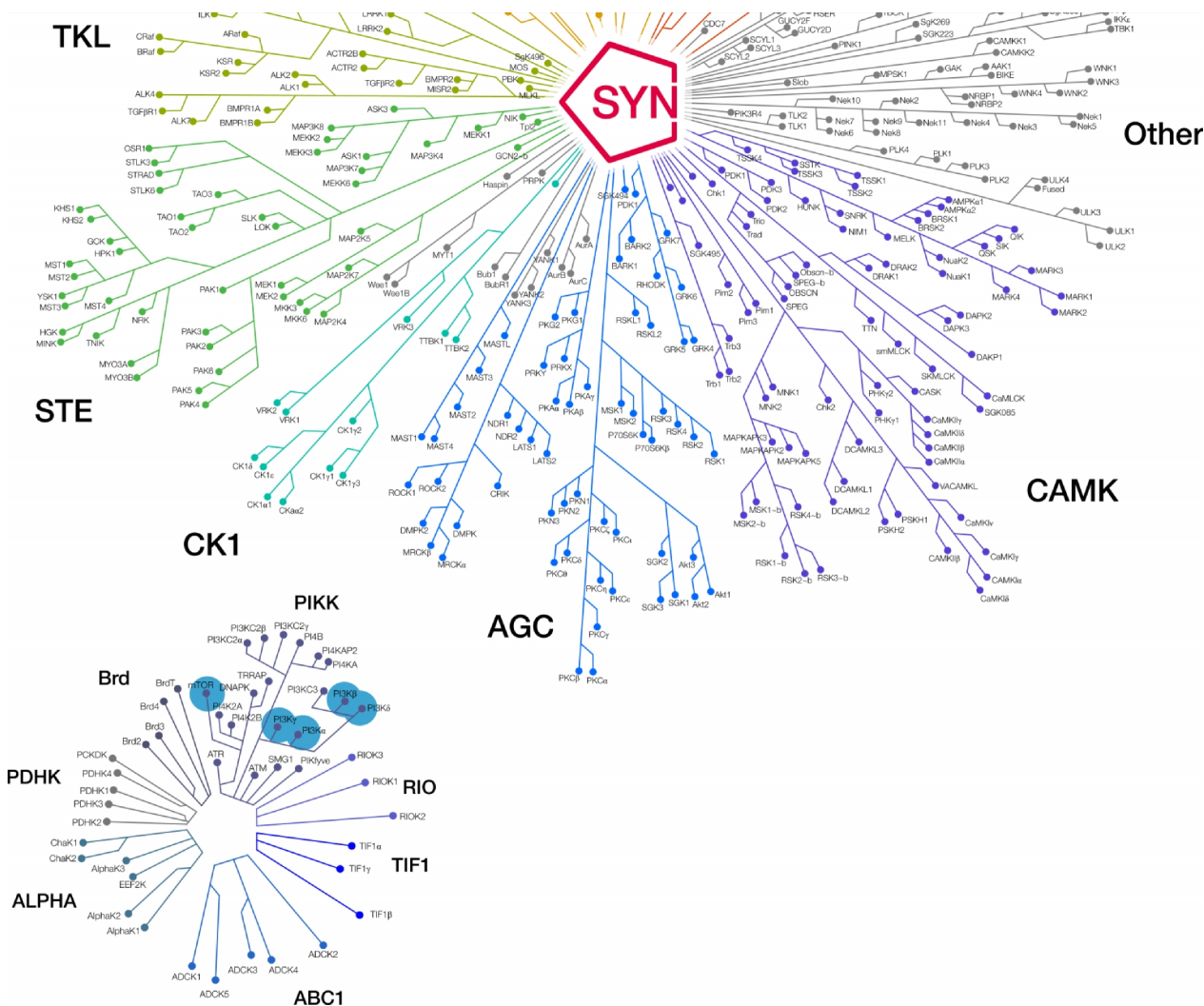
Description:

NVP-BEZ235 is an imidazo[4,5-c]quinoline derivative that inhibits PI3K and mTOR kinase activity by binding to the ATP-binding cleft of these enzymes. In cellular settings using human tumor cell lines, this molecule is able to effectively and specifically block the dysfunctional activation of the PI3K pathway, inducing G1 arrest at IC₅₀ values of 3-10nM in biological cell growth and viability assays. In addition NVP-BEZ235 shows effective IC₅₀ values in in vitro tests against mTOR and PI3K family members of 10nM.

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 1mg/mL Ethanol 1mg/mL

Preparing Stock Solutions

| | | | | |
|------------------------------|--------|--------|--------|---------|
| Stock Solution (1ml DMSO) | 1mM | 10mM | 20mM | 50mM |
| Mass(mg) | 0.4696 | 4.6960 | 9.3920 | 23.4800 |

References

1. Maira SM, Stauffer F, Brueggen J, Furet P, Schnell C, Fritsch C, Brachmann S, Chène P, De Pover A, Schoemaker K, Fabbro D, Gabriel D, Simonen M, Murphy L, Finan P, Sellers W, García-Echeverría C . Identification and characterization of NVP-BEZ235, a new orally available dual phosphatidylinositol 3-kinase/mammalian target of rapamycin inhibitor with potent in vivo antitumor activity. *Mol Cancer Ther.* 2008 Jul;7(7):1851-63. doi: 10.1158/1535-7163.MCT-08-0017. Epub 2008 Jul 7.
2. Rotella DP. Recent results in protein kinase inhibition for tropical diseases. *Bioorg Med Chem Lett.* 2012 Nov 15;22(22):6788-93. doi: 10.1016/j.bmcl.2012.09.044. Epub 2012 Sep 24.

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)