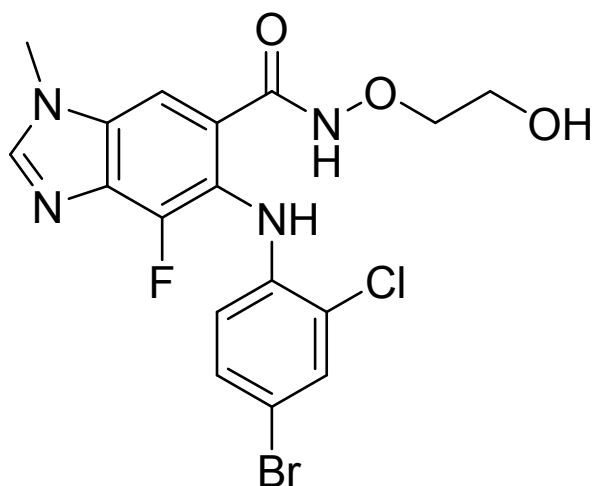


Selumetinib

SYN-1016

6-(4-bromo-2-chlorophenylamino)-7-fluoro-N-(2-hydroxyethoxy)-3-methyl-3H-benzo[d]imidazole-5-carboxamide

CAS Registry No.: 606143-52-6

Smiles String:

Cn1cnc2c1cc(c(c2F)Nc3ccc(cc3Cl)Br)C(=O)NOCCO

Molecular Weight: 457.7

Molecular Formula: C₁₇H₁₅BrClFN₄O₃

Lot Number: Refer to vial

¹H-NMR: Available on request

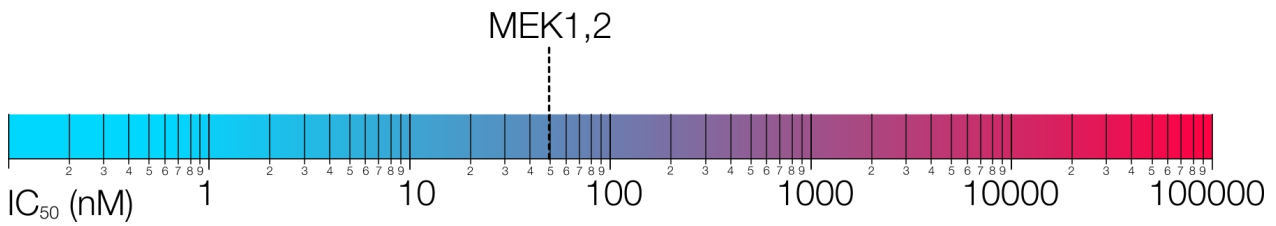
HPLC (Purity): > 95.0% @ 254 nm

ES-MS: Available on request

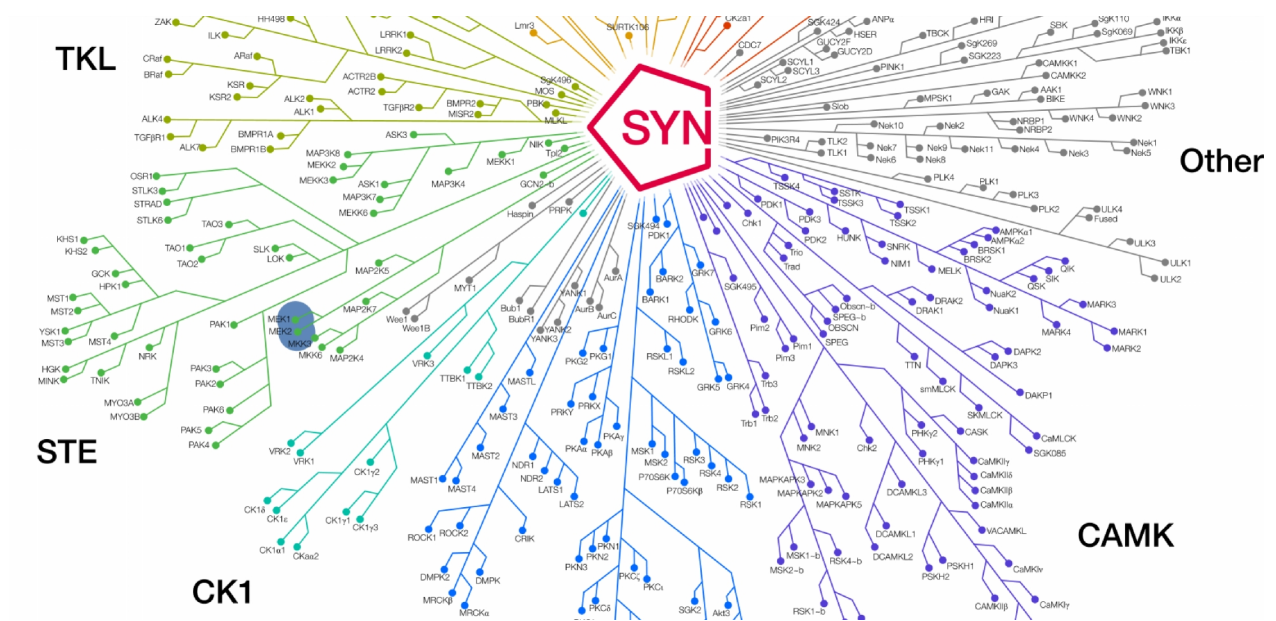
Description:

Selumetinib (AZD6244) is a second-generation, orally available, potent and selective ATP non-competitive inhibitor of MEK 1/2. In direct assays, AZD6244 selectively inhibits purified active MEK1 and MEK2 with an IC₅₀ of 14 nM, and AZD6244 has reported IC₅₀ values of <100nm for MEK1 in ELISA assays and in bindings assays against recombinant domains of MEK2, K_d values were 53nM for AZD6244. AZD6244 demonstrated very effective anti-proliferation effects against several different cell lines with IC₅₀ values ranging from a low of 3nM to < 1 μM depending upon the cell system used, and the compound inhibits basal and growth factor-stimulated phosphorylation of ERK1/ 2 with IC₅₀ concentrations < 40 nM. AZD6244 has demonstrated potent dose-dependent antitumor activity against a panel of mouse xenograft models of colorectal, pancreatic, liver, skin, and lung cancer, and inhibition of tumor growth was found to correlate with the reduction of phospho-ERK1/2 levels in tumors.

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 92mg/mL, Ethanol <1mg/mL

Preparing Stock Solutions

Stock Solution (1ml DMSO)	1mM	10mM	20mM	50mM
Mass(mg)	0.4577	4.5770	9.1540	22.8850

References

1. Hartung IV, Hitchcock M, Pühler F, Neuhaus R, Scholz A, Hammer S, Petersen K, Siemeister G, Brittain D, Hillig RC. Optimization of allosteric MEK inhibitors. Part 1: Venturing into underexplored SAR territories. *Bioorg Med Chem Lett*. 2013 Apr 15;23(8):2384-90. doi: 10.1016/j.bmcl.2013.02.028. Epub 2013 Feb 14. PubMed PMID: 23474388.

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)