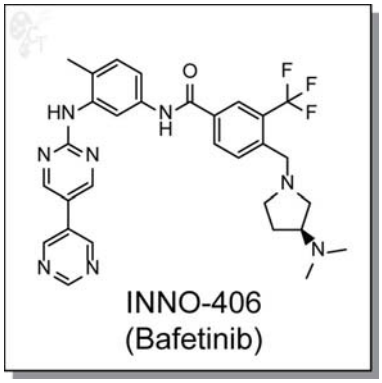
Product Specification Sheet

Product Name: INNO-406 (Bafetinib)

Catalog Number: C4406



Technical information:

Chemical Formula:	$C_{30}H_{31}F_3N_8O$
CAS #:	887650-05-7
Molecular Weight:	576.62
Purity:	> 98%
Appearance:	Yellow solid
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	(S)-N-(3-([5,5'-bipyrimidin]-2-ylamino)-4-methylphenyl)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)-3-(trifluoromethyl)benzamide
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.173mL of DMSO for each mg of INNO-406 (Bafetinib)
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

Bafetinib (NS-187, INNO-406) is an orally-available, ATP-competitive inhibitor of Bcr-Abl and Lyn with IC50 values of 11 and 26 nM, respectively. Structurally similar to imatinib, Bafetinib possesses 10- to 50-fold greater biochemical potency as well as in cell proliferation assays (11 nM and 22 nM in K562 cells and 293T cells, respectively). [1] In a number of point-mutated Abl kinase domains, with the exception of T315I, Bafetinib inhibited phosphorylation much more potently than did imatinib.

Bafetinib inhibits the kinase activity of both phosphorylated and unphosphorylated Tyr393 forms of Abl with IC50 values of 72 and 30 nM, respecitively. [1] Though Bafetinib is a pGP substrate, residual concentrations are sufficient for anti-leukemic activity and is further aided by treatment with cyclosporin A. [2]

Bafetinib has been shown to induce cell death in Bcr-Abl leukemia cell lines by both caspase-mediated and caspase-independent mechanisms. In vivo, this cell death is independent of the activity status of caspase. [3]

Reference:

1. Niwa et al., NS-187 (INNO-406), a Bcr-Abl/Lyn dual tyrosine kinase inhibitor. Anal. Chem. Insights, 2007, 2, 93-106. Pubmed ID: 19662183
2. Yokota et al., INNO-406, a novel BCR-ABL/Lyn dual tyrosine kinase inhibitor, suppresses the growth of Ph+ leukemia cells in the central nervous system, and cyclosporine A augments its in vivo activity. Blood, 2007, 109(1), 306-314. Pubmed ID: 16954504
3. Kamitsuji et al., The Bcr-Abl kinase inhibitor INNO-406 induces autophagy and different modes of cell death execution in Bcr-Abl-positive leukemias. Cell Death Differ. 2008, 15, 1712-1722. Pubmed ID: 18617896

To reorder: http://www.cellagentech.com/INNO-406-Bafetinib/

For Technical Support: technical@cellagentech.com

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