Chemical Properties

Product Name: Bafetinib (INNO-406)
Cas No.: 887650-05-7
M.Wt: 576.62
Formula: C30H31F3N8O
Chemical Name: 4-[[[(3S)-3-(dimethylamino)pyrrolidin-1-yl]methyl]-N-[4-methyl-3-[[5-pyrimidin-5-ylpyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide
Canonical SMILES: CC1=C(C=C(C1)NC(=O)C2=CC(=C(C=C2)CN3CCC(C3)N(C)C(F)(F)F)NC4=NC=C(C=N4)C5=CN=CN=C5
Solubility: >57.7mg/mL in DMSO
Storage: Store at -20°C
General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: TGF-β / Smad Signaling
Pathways: Bcr-Abl

Description:
Bafetinib is a potent and selective dual inhibitor of Bcr-Abl/Lyn tyrosine kinase with IC50 values of 5.8nM and 19nM, respectively [1]. Bafetinib is a specific dual Abl-Lyn inhibitor. For 79 other tyrosine kinases, 0.1μM bafetinib can inhibit 4 of these enzymes including Abl, Abl-related gene, Fyn and Lyn. Bafetinib can block the autophosphorylation of Bcr-Abl. In K562 and 293T cells transfected with wt Bcr-Abl, bafetinib
shows inhibition with IC50 values of 11nM and 22nM, respectively. In the in vitro kinase assays, bafetinib shows inhibition of a variety of Abl kinase mutants such as M244V, G250E, Y253F and F317L. It has no effect on T315I in vitro. Bafetinib also suppresses the growth of Bcr-Abl–positive leukemic cell lines including K562, KU812 and BaF3/wt. The BaF3/E255K cells are also sensitive towards bafetinib. Moreover, bafetinib is highly potent to inhibit tumor growth in murine tumor models [1].

Reference:

Protocol

Cell experiment:

Cell lines K562, BaF3/wt and KU812 cell lines
Preparation method The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions
Applications Bafetinib could suppress the growth of the Bcr-Abl–positive cell lines K562, KU812, and BaF3/wt.

Animal experiment [3]:

Animal models Bcr-Abl–positive KU812 mouse model
Dosage form 0.2 mg/kg/d and 20 mg/kg/d orally twice a day for 26 consecutive days
Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:
Product Citations


Product Validation

Nilotinib and bafetinib act through Abl inhibition to mitigate Dscam-induced presynaptic arbor enlargement in vivo. (E,F) Bafetinib mitigates presynaptic arbor enlargement in Dscam overexpressing neurons. (G) Quantification of the presynaptic terminal length of the indicated genotype and drug treatment. Sample number is shown below the x-axis. Administration of bafetinib to Dscam overexpressing larvae led to a significant decrease in presynaptic terminal length without changing the expression of the Dscam transgene. Bafetinib alone did not change presynaptic terminal length in wild-type larvae when compared to wild-type larvae fed vehicle.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.