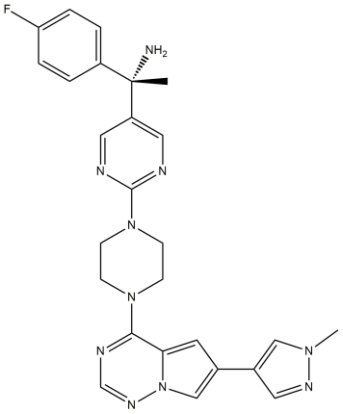
Avapritinib

03/20

ALTERNATE NAMES: BLU-285; (1S)-1-(4-fluorophenyl)-1-[2-[4-[6-(1-methylpyrazol-4-yl)pyrrolo[2,1-f][1,2,4]triazin-4-yl]piperazin-1-yl]pyrimidin-5-yl]ethanamine

CATALOG #:
B2999-1 1 mg
B2999-5 5 mg

STRUCTURE:



MOLECULAR FORMULA: $C_{26}H_{27}FN_{10}$

MOLECULAR WEIGHT: 498.56

CAS NUMBER: 1703793-34-3

APPEARANCE: White to off-White Solid Powder

PURITY: >98%

SOLUBILITY:
~ 3 mg/ml in Ethanol
~ 66 mg/ml in DMSO

DESCRIPTION: Avapritinib is an inhibitor of oncogenic KIT and PDGFRA mutations. The KIT receptor belongs to the class III receptor tyrosine kinase (RTK) family. Avapritinib potently inhibits the activation loop mutants KIT D816V and PDGFRA D842V and also inhibits other well-characterized disease-driving KIT mutants. It inhibits KIT D816V and PDGFRA D842V with IC_{50} values of 0.27 nM and 0.24 nM respectively. Avapritinib (0.3-30 mg/kg) reduces tumor volume in a P815 KIT D814Y mastocytoma allograft mouse model and a GIST patient-derived mouse xenograft model in a dose-dependent manner. It has showed marked activity in patients with diseases associated with KIT (aggressive systemic mastocytosis and gastrointestinal stromal tumor) and PDGFRA (gastrointestinal stromal tumor) activation loop mutations in a phase 1 clinical study.

STORAGE TEMPERATURE: -20ºC. Store in the dark. Product is light sensitive. Protect from air. Store under desiccating conditions.

HANDLING: Do not take internally. Wear gloves and mask when handling the product! Avoid contact by all modes of exposure.

REFERENCE: Evans, E.K., Gardino, A.K., Kim, J.L., et al. A precision therapy against cancers driven by KIT/PDGFRA mutations. Sci. Transl. Med. 9(414), eaao1690 (2017).

RELATED PRODUCTS:

Zanubrutinib (Cat. No. B2512)
Avitinib (Cat. No. B2995)
Selpercatinib (Cat. No. B2996)
Tirabrutinib hydrochloride (Cat. No. B2556)
Branebrutinib (Cat. No. B2998)

DISCLAIMER: ***FOR RESEARCH USE ONLY! Not to be used on humans.***