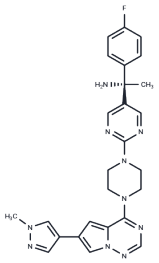
Data Sheet (Cat.No.T5109)

TargetMol

Avapritinib

Chemical Properties



CAS No. : 1703793-34-3

Formula: C26H27FN10

Molecular Weight: 498.56

Storage: Store at low temperature,Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Avapritinib (BLU-285) is a selective, highly potent, and orally active inhibitor of KIT and PDGFRA activation loop mutant kinases, targeting KIT D816V (IC50:0.27 nM) and PDGFRA D842V (IC50:0.24 nM), and attenuates the transport functions of ABCB1 and ABCG2. It binds to the active conformation of the kinases and exhibits antitumor activity.
Targets(IC50)	c-Kit,PDGFR
In vitro	Avapritinib (BLU-285) has demonstrated biochemical in vitro activity against the KIT exon 17 mutant enzyme, KIT D816V, with an IC50 value of 0.27 nM; the cellular activity of BLU-285 on the KIT D816 mutant was measured by autophosphorylation in the human mast cell leukemia cell line HMC1.2 and the P815 mouse mastocytoma cell line, with IC50 values of 4 and 22 nM, respectively. [1]
In vivo	**METHODS**: After a 21-day latency period post-injection, mice were orally administered Avapritinib (BLU-285) once daily at a dose of 10 mg/kg or 30 mg/kg until day 45. The efficacy of Avapritinib (BLU-285) in KIT exon 17 mutant CBF-AML was evaluated using the Kasumi-1 luc+ AML NOG SCID mouse femoral injection model. **RESULTS** Both doses (10 or 30 mg/kg, oral, once daily) of Avapritinib (BLU-285) significantly reduced disease burden throughout the study. Avapritinib (BLU-285) at 10 or 30 mg/kg resulted in tumor regression in all animals. [1]
Animal Research	A Kasumi-1 luc+ AML NOG SCID mouse femoral injection model is used to assess the efficacy of Avapritinib (BLU-285) in KIT exon 17-mutated CBF-AML. Following a 21 day post-injection latency period, mice are dosed with Avapritinib orally, once daily at 10 mg/kg or 30 mg/kg through day 45. Control groups are treated with vehicle or Cytarabine administered 100 mg/kg i.p once weekly.

Solubility Information

Solubility	H2O: Insoluble Ethanol: 3 mg/mL (6.02 mM),Sonication is recommended. DMSO: 120 mg/mL (240.69 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (8.02 mM),Sonication is recommended.

In vivo Formulation	*Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0058 mL	10.0289 mL	20.0578 mL
5 mM	0.4012 mL	2.0058 mL	4.0116 mL
10 mM	0.2006 mL	1.0029 mL	2.0058 mL
50 mM	0.0401 mL	0.2006 mL	0.4012 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Erica Evans, et al. Blu-285, a Potent and Selective Inhibitor for Hematologic Malignancies with KIT Exon 17 Mutations.Blood 2015 126:568.

Wu CP, et al. Avapritinib: A Selective Inhibitor of KIT and PDGFRα that Reverses ABCB1 and ABCG2-Mediated Multidrug Resistance in Cancer Cell Lines. Mol Pharm. 2019 Jul 1;16(7):3040-3052.