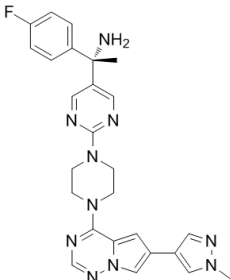


Product Name	: Avapritinib	
Synonyms	: BLU-285;BLU285	
Cat No.	: M12603	
CAS Number	: 1703793-34-3	
Molecular Formula	: C ₂₆ H ₂₇ N ₁₀	
Formula Weight	: 498.57	
Chemical Name	(1S)-1-(4-fluorophenyl)-1-[2-[4-[6-(1-methylpyrazol-4-yl)pyrrolo[2,1-f][1,2,4]triazin-4-yl]piperazin-1-yl]pyrimidin-5-yl]ethanamine	
Description	Avapritinib (BLU-285) is a potent and highly selective inhibitor of mutant KIT and PDGFRα with IC ₅₀ of 0.6, 0.27 and 0.24 nM for KIT del557-558, KIT D816V and PDGFRA D842V, respectively; displays weak inhibition against WT KIT with IC ₅₀ of 73 nM, >150-fold more potent on KIT D816V than several important kinase antitargets such as VEGFR2, SRC and FLT3; inhibits other well-characterized disease-driving KIT mutants both in vitro and in vivo in preclinical models, demonstrates marked activity in patients with diseases associated with KIT and PDGFRA (GIST) activation loop mutations. Gastric Cancer Phase 1 Clinical	
Pathway	: Angiogenesis	
Target	: c-Kit	
Receptor	: KITD816V;PDGFRαD842V	
Solubility	: DMSO: 65 mg/mL; Water: Insoluble; Ethanol: 3 mg/mL (< 1 mg/ml refers to the product slightly soluble or insoluble)	
SMILES	: <chem>CN1N=CC(C2=CN3C(C(N4CCN(C5=NC=C(C(C@)(C)(N)C6=CC=C(F)C=C6)C=N5)CC4)=NC=N3)=C2)=C1</chem>	
Storage	: (-20°C)	
Stability	: ≥ 2 years	
Reference	:	

1. Cancer Discov. 2017 Dec 12. doi: 10.1158/2159-8290. 2. Evans EK, et al. Sci Transl Med. 2017 Nov 1;9(414). pii: eaao1690. 3. Cancer Discov. 2018 Jan;8(1):OF20. doi: 10.1158/2159-8290.