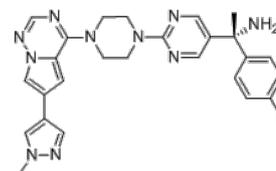


Product Name : Avapritinib
Cat. No. : PC-62100
CAS No. : 1703793-34-3
Molecular Formula : C₂₆H₂₇FN₁₀
Molecular Weight : 498.57
Target : c-Kit
Solubility : 10 mM in DMSO



Biological Activity

Avapritinib (BLU-285) is a potent and highly selective inhibitor of mutant **KIT** and **PDGFR α** with IC₅₀ of 0.6, 0.27 and 0.24 nM for KIT del557-558, KIT D816V and PDGFRA D842V, respectively.

Avapritinib (BLU-285) displays weak inhibition against WT KIT with IC₅₀ of 73 nM, >150-fold more potent on KIT D816V than several important kinase antitargets such as VEGFR2, SRC and FLT3.

Avapritinib (BLU-285) inhibits other well-characterized disease-driving KIT mutants both in vitro and in vivo in preclinical models.

Avapritinib (BLU-285) demonstrates marked activity in patients with diseases associated with KIT and PDGFRA (GIST) activation loop mutations.

References

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Evans EK, et al. *Sci Transl Med.* 2017 Nov 1;9(414). pii: eaao1690.

Cancer Discov. 2018 Jan;8(1):OF20. doi: 10.1158/2159-8290.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com