优睿科
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Data Sheet

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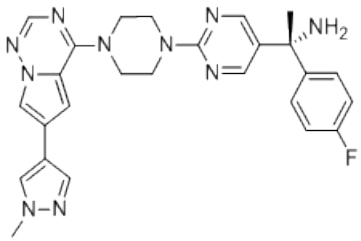
Global Supplier of Chemical Probes, Inhibitors & Agonists

Product Name :Avapritinib
Cat.No. :URK-V697
CAS No. :1703793-34-3
Molecular Formula :$C_{26}H_{27}FN_{10}$
Molecular Weight :498.57
Target :c-Kit
Solubility :



Biological Activity

Avapritinib (BLU-285) is a potent and highly selective inhibitor of mutant KIT and PDGFRα with IC50 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 IC50 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

References

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.

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