优睿科
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Data Sheet

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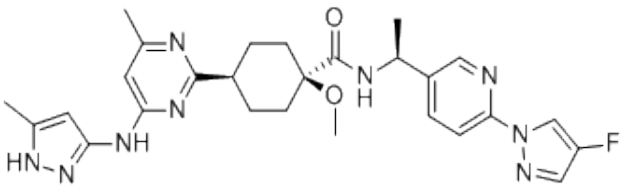
Global Supplier of Chemical Probes, Inhibitors & Agonists

Product Name :BLU-667
Cat.No. :URK-V764
CAS No. :2097132-94-8
Molecular Formula :$C_{27}H_{32}FN_9O_2$
Molecular Weight :533.621
Target :RETTyrosine Kinase(c-RET)
Solubility :



Biological Activity

BLU-667 (Pralsetinib, BLU667) is a highly potent, selective, next generation RET inhibitor with IC50 of 0.3-0.4 nM for WT RET, RET mutants V804L, V804M, M918T and CCDC6-RET fusion.
BLU-667 displays 8- to 28-fold more potent against WT RET than cabozantinib, vandetanib, and RXDX-105; shows 88-fold selectivity over VEGFR-2, >100-fold more selective for RET over 96% of kinases in a panel of 371 kinases.
BLU-667 inhibits RET autophosphorylation with cellular IC50 of 5 nM, at least 10 times more potently than cabozantinib, vandetanib, and RXDX-105.
BLU-667 inhibits phosphorylation of RET, SHC, and ERK1/2 in a panel of RET-driven cell lines at <10 nM, suppresses proliferation of KIF5B-RET Ba/F3 cells harboring V804L, V804M, or V804E variants as potently as WT RET.
BLU-667 demonstrates antitumor activity on diverse RET-driven in vivo models.

References

1. Subbiah V, et al. Cancer Discov. 2018 Apr 15. pii: CD-18-0338.
2. Piotrowska Z, et al. Cancer Discov. 2018 Dec;8(12):1529-1539.

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