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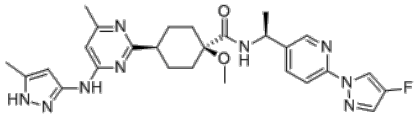
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BLU-667
Cat. No.	:	PC-63475
CAS No.	:	2097132-94-8
Molecular Formula	:	$C_{27}H_{32}FN_9O_2$
Molecular Weight	:	533.612
Target	:	RET Tyrosine Kinase (c-RET)
Solubility	:	100 mM in DMSO (53.3 mg/mL)



2. Piotrowska Z, et al. ***Cancer Discov.*** 2018 Dec;8(12):1529-1539.

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

Subbiah V, et al. ***Cancer Discov.*** 2018 Apr 15. pii: CD-18-0338.