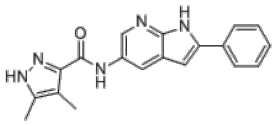
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Bezuclastinib
Cat. No.	:	PC-73407
CAS No.	:	1616385-51-3
Molecular Formula	:	$C_{19}H_{17}N_5O$
Molecular Weight	:	331.379
Target	:	c-Kit
Solubility	:	10 mM in DMSO



Biological Activity

Bezuclastinib (CGT9486, PLX9486) is a potent, selective tyrosine kinase inhibitor (TKI) targeting **mutated KIT** and demonstrating potent activity in vitro against primary exon 9 and 11 mutations, as well as secondary exon 17 and 18 mutations.
Bezuclastinib (CGT9486, PLX9486) is a potent inhibitor of growth and KIT phosphorylation in the imatinib-sensitive and imatinib-resistant BaF3 cell lines, with growth IC50=61 nM for KIT-WT and more potently against BaF3 KIT p.D816V (IC50=6.6 nM) and BaF3 KIT p.V560G/D816V (IC50=7.1 nM).
Bezuclastinib (CGT9486, PLX9486) demonstrated signifcant tumor regression and strong inhibition of MAPK activation in imatinib-resistant GIST patient-derived xenograft (PDX) models.

References

Gebreyohannes YK, et al. ***Clin Exp Med***. 2019 May;19(2):201-210.

Wagner AJ, et al. ***JAMA Oncol***. 2021 Sep 1;7(9):1343-1350.

E-mail: tech@probechem.com