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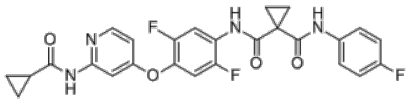
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Altiratinib
Cat. No.	:	PC-38624
CAS No.	:	1345847-93-9
Molecular Formula	:	$C_{26}H_{21}F_3N_4O_4$
Molecular Weight	:	510.47
Target	:	c-Met (HGFR)
Solubility	:	10 mM in DMSO



Biological Activity

Altiratinib (DCC-2701) is a potent c-MET/TIE-2/VEGFR inhibitor with IC50 of 2.7 nM (MET WT), 8.0 nM (TIE2 kinase) and 9.2 nM (VEGFR2), also potently inhibits oncogenic MET mutations in the switch region (residues 1228, 1230, and 1250) with IC50 range of 0.37-6 nM.
Altiratinib (DCC-2701) also potently inhibited TRKA, TRKB, and TRKC (NTRK3) kinases with IC50 values of 0.85 nM, 4.6 nM, and 0.83 nM, respectively.
Altiratinib (DCC-2701) is >10-fold selective for MET versus FMS and KIT, and >50-fold selective for MET versus ABL1, FYN, HER1 (EGFR), p38a (MAPK14), PDGFRa, PDGFRb, RET, and SRC.
Altiratinib (DCC-2701) inhibited HGF-stimulated MET phosphorylation in HUVECs, exhibiting an IC50 of 2.3 nM, inhibited VEGFR2 phosphorylation with an IC50 of 4.7 nM in VEGF-stimulated HUVECs.
Altiratinib (DCC-2701) exhibits robust pharmacology in tumor models driven by genomic MET mutation as well as in models of microenvironment activation of MET.

References

Smith BD, et al. Mol Cancer Ther. 2015 Sep;14(9):2023-34.

Kwon Y, et al. Oncogene. 2015 Jan 8;34(2):144-53.

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