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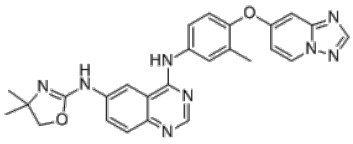
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Tucatinib
Cat. No.	:	PC-38023
CAS No.	:	937263-43-9
Molecular Formula	:	$C_{26}H_{24}N_8O_2$
Molecular Weight	:	480.53
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

Tucatinib (Irbinitinib, ONT-380, ARRY-380) is a potent, selective, reversible and ATP-competitive inhibitor of **ErbB-2 (HER2)** with IC50s of 8 nM and 7 nM for ErbB-2 and p95 HER2, respectively.
Tucatinib displays 500-fold selective for HER2 versus EGFR in cell-based assays.
Tucatinib inhibits the phosphorylation of HER2 in a L755S mutant MCF-10A cell line and inhibits the growth of 3 L755S mutant tumor models derived from colorectal, gastric and non-small cell lung cancer.
Tucatinib also potently inhibits the phosphorylation of HER2 in a V777L mutant MCF-10A cell line, and in a colorectal PDX model containing a V777L mutation, tucatinib alone or in combination with trastuzumab induces tumor regressions.
Tucatinib inhibits HER2 phosphorylation, but not EGFR phosphorylation, and inhibits the phosphorylation of HER2, HER3, Erk1/2, MEK1 and AKT in the G776V_G/C HER2 mutant NCI-H1781 cell line.

References

Moulder SL, et al. ***Clin Cancer Res.*** 2017 Jul 15;23(14):3529-3536.

Murthy RK, et al. ***N Engl J Med.*** 2020 Feb 13;382(7):597-609.

Kulukian A, et al. ***Mol Cancer Ther.*** 2020 Apr;19(4):976-987.

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