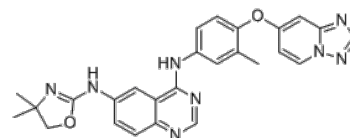


Product Name : Tucatinib
Cat. No. : PC-38023
CAS No. : 937263-43-9
Molecular Formula : C₂₆H₂₄N₈O₂
Molecular Weight : 480.53
Target : EGFR
Solubility : 10 mM in DMSO



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

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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.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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