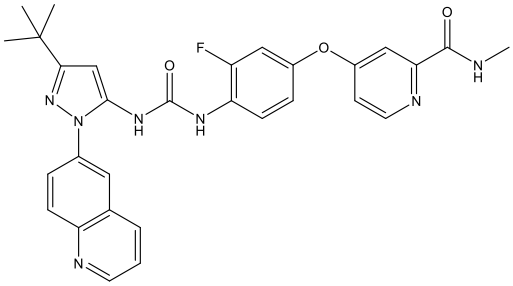
Catalog #10-4689
Rebastinib

CAS# 1020172-07-9

4-(4-(3-(3-(t-Butyl)-1-(quinoline-6-yl)-1H-pyrazol-5-yl)ureido)-3-fluorophenoxy)-N-methylpicolinamide; 4-[4-[(5-tert-Butyl-2-quinolin-6-ylpyrazol-3-yl)carbamoylamino]-3-fluorophenoxy]-N-methylpyridine-2-carboxamide; DCC-2036

Lot # FBS4029



Rebastinib is a potent ABL1 kinase inhibitor (IC_{50} = 0.8 nM) that binds to amino acid residues that are used to switch between active and inactive conformations.[1] It inhibits both phosphorylated and unphosphorylated ABL1 as well as gatekeeper mutant T315I (IC_{50} = 4 nM). Rebastinib was active against chronic myeloid leukemia Ba/F3 cells expressing BCR-ABL (IC_{50} = 19 nM) and BCR-ABLT315I (IC_{50} = 63 nM) and most kinase mutants.[2] Rebastinib has been reported to inhibit the growth and metastasis of xenografted MDA-MB-231 triple-negative breast cancer cells by targeting AXL/MET.[3] It has also been shown to be a potent inhibitor of Tie2 kinase (IC_{50} = 0.63 nM).[4] Rebastinib blocked necroptosis *via* inhibition of RIPK1 and RIPK3.[5]

1) Chan *et al.* (2011), *Conformational control inhibition of the BCR-ABL1 tyrosine kinase, including the gatekeeper T315I mutant, by the switch-control inhibitor DCC-2036*; Cancer Cell **19** 556
2) Eide *et al.* (2011), *The ABL switch control inhibitor DCC-2036 is active against the chronic myeloid leukemia mutant BCR-ABLT315I and exhibits a narrow resistance profile*; Cancer Res. **71** 3189
3) Shen *et al.* (2019), *Therapeutic activity of DCC-2036, a novel tyrosine kinase inhibitor, against triple-negative breast cancer patient-derived xenografts by targeting AXL/MET*; Int. J. Cancer **144** 651
4) Harney *et al.* (2017), *The Selective Tie2 Inhibitor Rebastinib Blocks Recruitment and Function of Tie2Hi Macrophages in Breast Cancer and Pancreatic Neuroendocrine Tumors*; Mol. Cancer Ther. **16** 2486
5) Piao *et al.* (2023), *The Bcr-Abl inhibitor DCC-2036 inhibits necroptosis and ameliorates osteoarthritis by targeting RIPK1 and RIPK3 kinases*; Biomed. Pharmacother. **161** 114528

PHYSICAL DATA

Molecular Weight:	553.60
Molecular Formula:	$C_{30}H_{28}FN_7O_3$
Purity:	>98% (HPLC) NMR: (Conforms)
Solubility:	DMSO (>25 mg/mL)
Physical Description:	White to off-white solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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