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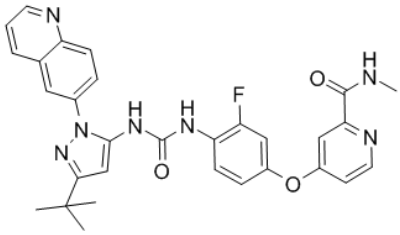
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	DCC-2036
Cat. No.	:	PC-43334
CAS No.	:	1020172-07-9
Molecular Formula	:	$C_{30}H_{28}FN_7O_3$
Molecular Weight	:	553.5869
Target	:	Bcr-Abl
Solubility	:	10 mM in DMSO



Biological Activity

DCC-2036 (Rebastinib) is a highly potent, non-ATP-competitive **BCR-ABL1** inhibitor with IC50 of 0.8 and 4 nM for native ABL1 and gatekeeper mutant ABL1 T315I, respectively.
DCC-2036 also inhibits the SRC family kinases SRC, LYN, FGR, and HCK, and the receptor TKs KDR, FLT3, and TIE2 (IC50s=2-40 nM), but spares c-KIT (IC50=480 nM).
DCC-2036 potently inhibits unphosphorylated and phosphorylated of ABL1 native with IC50 of 0.75 and 2 nM, potently inhibits unphosphorylated and phosphorylated of ABL1 T315I with IC50 of 5 and 4 nM, also potently inhibits ABL1 H396P (IC50=1.4 nM).
DCC-2036 inhibits cellular proliferation of Ba/F3 cells expressing native or T315I mutant with IC50 of 5.4 and 13 nM, also inhibits proliferation of several common TKI-resistant mutants of BCR-ABL1, including G250E, Q252H, Y235F, E255K, V299L, F317L, and M351T with IC50 of 6-150 nM.
DCC-2036 prolongs survival in mouse Ba/F3 cell allograft models.

References

Chan WW, et al. ***Cancer Cell***. 2011 Apr 12;19(4):556-68.

Eide CA, et al. ***Cancer Res***. 2011 May 1;71(9):3189-95.

O'Hare T, et al. ***Blood***. 2011 Nov 10;118(19):5250-4.

O'Hare T, et al. ***Clin Cancer Res***. 2011 Jan 15;17(2):212-21.