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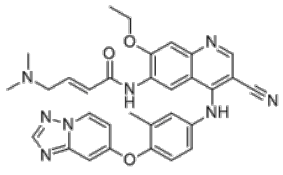
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	JBJ-08-178-01
Cat. No.	:	PC-38578
CAS No.	:	2401867-58-9
Molecular Formula	:	$C_{31}H_{30}N_8O_3$
Molecular Weight	:	562.634
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

JBJ-08-178-01 is a potent, selective and covalent **HER2** inhibitor with IC50 of 2.21 nM and 7.04 nM for HER2 WT and EGFR WT, targets multiple HER2 activating mutations, including exon 20 insertions as well as amplification (IC50 values<50 nM).
JBJ-08-178-01 maintained potency against non-insertion mutations, including S310F, L755S, V777L, V842I, and exon 19 indel (755_757LREdelinsRP) of HER2.
JBJ-08-178-01 exhibited a much greater degree of HER2-selectivity in Ba/F3 cell lines than in biochemical assays, owing to weak growth inhibition against Ba/F3 cells with WT EGFR (IC50=368 nM).
JBJ-08-178-01 showed strong antitumoral activity in HER2- mutant or amplified cancers in vitro and in vivo.
Treatment with JBJ-08-178-01 also led to a reduction in total HER2 by promoting proteasomal degradation of the receptor.
JBJ-08-178-01 is a selective, dual-action inhibitor and destabilizer of HER2 with potential efficacy and tolerance against NSCLC harboring HER2 genetic alterations or amplification.

References

Jieun Son, et al. ***Cancer Res***. 2022 Apr 15;82(8):1633-1645.

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