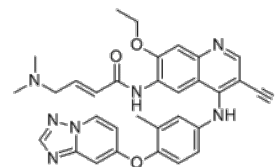


**Product Name** : JBJ-08-178-01  
**Cat. No.** : PC-38578  
**CAS No.** : 2401867-58-9  
**Molecular Formula** : C<sub>31</sub>H<sub>30</sub>N<sub>8</sub>O<sub>3</sub>  
**Molecular Weight** : 562.634  
**Target** : EGFR  
**Solubility** : 10 mM in DMSO



## Biological Activity

JBj-08-178-01 is a potent, selective and covalent **HER2** inhibitor with IC<sub>50</sub> 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 (IC<sub>50</sub> 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 (IC<sub>50</sub>=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.

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

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