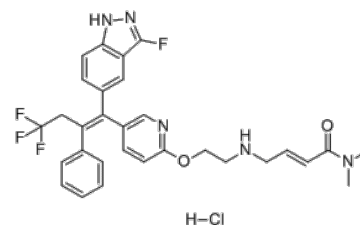


**Product Name** : H3B-6545 hydrochloride  
**Cat. No.** : PC-49172  
**CAS No.** : 2052132-51-9  
**Molecular Formula** : C<sub>30</sub>H<sub>30</sub>ClF<sub>4</sub>N<sub>5</sub>O<sub>2</sub>  
**Molecular Weight** : 604.047  
**Target** : Estrogen Receptor/ERR  
**Solubility** : 10 mM in DMSO



### Biological Activity

H3B-6545 hydrochloride is a potent, covalent antagonist of both wild-type and mutant estrogen receptor alpha (**ERα**), shows antiproliferative activity for ERαWT and ERαY537S overexpressing MCF7 cells with or without H524 L ESR1 mutation with low nM IC<sub>50</sub> values.

H3B-6545 also binds ERα/β with comparable affinities without impacting the function of other closely related nuclear hormone receptors (PRα, PRβ, AR, GR, and MR).

H3B-6545 demonstrates potent antagonist activity across a panel of ERαWT/MUT cell lines and SERM activity in bone and uterine tissues.

H3B-6545 demonstrates significant activity and superiority over standard-of-care fulvestrant across a panel of ERαWT and ERαMUT palbociclib sensitive and resistant models.

H3B-6545 (3-30 mg/kg) demonstrates single-agent antitumor activity in ERαWT and ERαMUT xenograft models.

### References

Craig Furman, et al. *Mol Cancer Ther.* 2022 Jun 1;21(6):890-902.

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

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