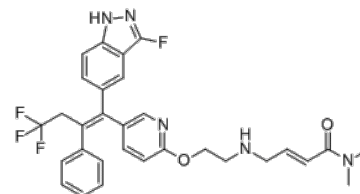


**Product Name** : H3B-6545  
**Cat. No.** : PC-49171  
**CAS No.** : 2052130-80-8  
**Molecular Formula** : C<sub>30</sub>H<sub>29</sub>F<sub>4</sub>N<sub>5</sub>O<sub>2</sub>  
**Molecular Weight** : 567.589  
**Target** : Estrogen Receptor/ERR  
**Solubility** : 10 mM in DMSO



### Biological Activity

H3B-6545 is a potent, covalent antagonist of both wild-type and mutant **estrogen receptor alpha (ER $\alpha$ )**, shows antiproliferative activity for ER $\alpha$ WT and ER $\alpha$ Y537S overexpressing MCF7 cells with or without H524 L ESR1 mutation with low nM IC<sub>50</sub> values.

H3B-6545 also binds ER $\alpha$ / $\beta$  with comparable affinities without impacting the function of other closely related nuclear hormone receptors (PR $\alpha$ , PR $\beta$ , AR, GR, and MR).

H3B-6545 demonstrates potent antagonist activity across a panel of ER $\alpha$ WT/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 ER $\alpha$ WT and ER $\alpha$ MUT palbociclib sensitive and resistant models.

H3B-6545 (3-30 mg/kg) demonstrates single-agent antitumor activity in ER $\alpha$ WT and ER $\alpha$ MUT 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!**

E-mail: tech@probechem.com