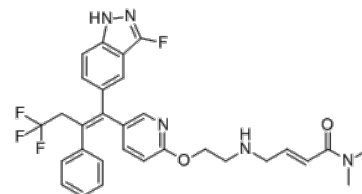


Product Name : H3B-6545
Cat. No. : PC-49171
CAS No. : 2052130-80-8
Molecular Formula : C₃₀H₂₉F₄N₅O₂
Molecular Weight : 567.589
Target : Estrogen Receptor/ERR
Solubility : 10 mM in DMSO



Biological Activity

H3B-6545 is a potent, covalent antagonist of both wild-type and mutant estrogen receptor alpha (ER α), shows antiproliferative activity for ER α WT and ER α Y537S overexpressing MCF7 cells with or without H524 L ESR1 mutation with low nM IC₅₀ values.

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

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

H3B-6545 (3-30 mg/kg) demonstrates single-agent antitumor activity in ER α WT and ER α 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!

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