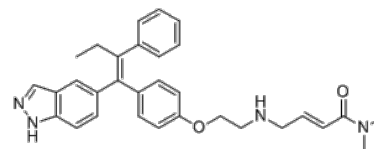


Product Name : H3B-5942
Cat. No. : PC-35365
CAS No. : 2052128-15-9
Molecular Formula : C₃₁H₃₄N₄O₂
Molecular Weight : 494.639
Target : Estrogen Receptor/ERR
Solubility : 10 mM in DMSO



Biological Activity

H3B-5942 is an orally available, selective **estrogen receptor** covalent antagonist (SERCA) with K_i 1 nM and 0.41 nM for wild-type and mutant ER α Y537S respectively, covalently targeting Cys530.

H3B-5942 demonstrates potent ER α antagonist activity in vitro and in vivo.

H3B-5942 covalently inactivates both wild-type and mutant ER α by targeting Cys530 and enforcing a unique antagonist conformation.

H3B-5942 demonstrates significant single-agent antitumor activity in xenograft models representing ER α WT and ER α Y537S breast cancer, which is superior to fulvestrant (Cat# PC-21622).

References

Puyang X, et al. *Cancer Discov.* 2018 Jul 10. pii: CD-17-1229.

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

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