H3B-6545

Cat. No.: HY-112596
CAS No.: 2052130-80-8
Molecular Formula: C₃₀H₂₉F₄N₅O₂
Molecular Weight: 567.58
Target: Estrogen Receptor/ERR
Pathway: Others
Storage: Please store the product under the recommended conditions in the COA.

BIOLOGICAL ACTIVITY

<table>
<thead>
<tr>
<th>Description</th>
<th>H3B-6545 is an oral, selective estrogen receptor covalent antagonist (SERCA).</th>
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<tr>
<td>IC₅₀ &amp; Target</td>
<td>Estrogen receptor[¹]</td>
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**In Vitro**

H3B-6545 is a highly selective small molecule that potently antagonizes wild-type and mutant ERα in biochemical and cell based assays. In vitro comparisons with standard of care and other experimental agents confirm increased cell potency of H3B-6545 under continuous as well as washout treatment conditions[¹]. H3B-6545, a member of a novel class of ERα antagonists refer to as selective ER covalent antagonist (SERCA), which inactivates both wild-type and mutant ERα by targeting CS30 and enforcing a unique antagonist conformation. H3B-6545 is a first-in-class selective ER covalent antagonist (SERCA). H3B-6545 inhibits ERαWT activity and growth of ERαWT-positive breast cancer lines. H3B-6545 potently inhibits ERαWT activity and suppresses proliferation of ERαWT-positive breast cancer lines. With GI₅₀s of 0.3-0.4, 1.0, 0.5, 5.2, and 0.2 nM for MCF7, HCC1428, BT483, T47D and CAMA-1 cell lines[¹].

**In Vivo**

In vivo, once daily oral dosing of H3B-6545 shows potent activity and superior efficacy to fulvestrant in the MCF-7 xenograft model with maximal antitumor activity at doses >10x below the maximum tolerated dose in mice. In addition, H3B-6545 shows superior antitumor activity to Tamoxifen and Fulvestrant in patient derived xenograft models of estrogen receptor positive breast cancer including models carrying ERα mutations in rat and monkeys, H3B-6545 is well tolerated across a broad dose range and at exposures that significantly exceed those required for efficacy in mouse xenograft models[¹].

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REFERENCES