

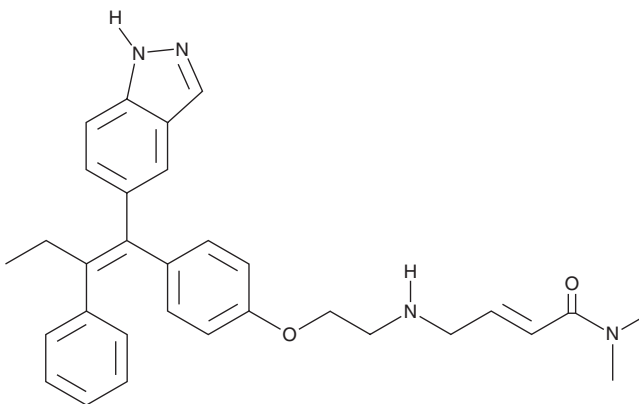
PRODUCT INFORMATION



H3B-5942

Item No. 31454

CAS Registry No.: 2052128-15-9
Formal Name: (2E)-4-[[2-[4-[(1E)-1-(1H-indazol-5-yl)-2-phenyl-1-buten-1-yl]phenoxy]ethyl]amino]-N,N-dimethyl-2-butenamide
MF: C₃₁H₃₄N₄O₂
FW: 494.6
Purity: ≥98%
UV/Vis.: λ_{max}: 236 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

H3B-5942 is supplied as a crystalline solid. A stock solution may be made by dissolving the H3B-5942 in the solvent of choice, which should be purged with an inert gas. H3B-5942 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of H3B-5942 in these solvents is approximately 5, 1, and 30 mg/ml, respectively.

H3B-5942 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, H3B-5942 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. H3B-5942 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

H3B-5942 is a selective estrogen receptor covalent antagonist (SERCA).¹ It covalently modifies cysteine 530 in the ligand binding domain of wild-type estrogen receptor α (ERα) and ERα^{Y537S}. H3B-5942 inhibits expression of the ERα target genes *GREB1* and *TFF1* in MCF-7 cells overexpressing wild-type ERα (IC₅₀s = 2.74 and 1.3 nM, respectively) or the mutant receptors ERα^{Y537S} (IC₅₀s = 29.38 and 19.4 nM, respectively), ERα^{Y537N} (IC₅₀s = 8.14 and 6.1 nM, respectively), ERα^{Y537C} (IC₅₀s = 12.19 and 9.5 nM, respectively), or ERα^{D538G} (IC₅₀s = 24.87 and 4 nM, respectively), which are active independent of estradiol. It inhibits the growth of MCF-7 cells overexpressing wild-type ERα or ERα^{Y537S} (GI₅₀s = 1.3 and 8.3 nM, respectively). H3B-5942 reduces tumor growth in an MCF-7 mouse xenograft model and an ERα^{Y537S/WT} ST941 patient-derived xenograft (PDX) mouse model in a dose-dependent manner.

Reference

1. Puyang, X., Furman, C., Zheng, G.Z., *et al.* Discovery of selective estrogen receptor covalent antagonists for the treatment of ERα^{WT} and ERα^{MUT} breast cancer. *Cancer Discov.* **8**(9), 1176-1193 (2018).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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