

## Product Data Sheet

Product Name: H3B-5942

Cat. No.: GC33262

### Chemical Properties

Cas. No. 2052128-15-9

SMILES CC/C(C1=CC=CC=C1)=C(C2=CC=C(OCCNC/C=C/C(N(C)C)=O)C=C2)\C3=CC(C=NN4)=C4C=C3

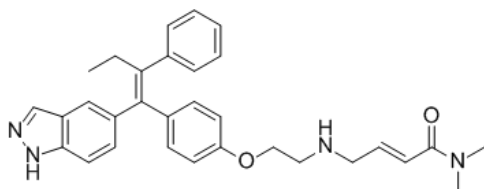
Formula  $C_{31}H_{34}N_4O_2$  M.Wt 494.63

Solubility DMSO: 83.33 mg/mL (168.47 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



### Background

H3B-5942 is a selective, irreversible and orally active estrogen receptor covalent antagonist, inactivates both wild-type and mutant ERα by targeting Cys530, with Kis of 1 nM and 0.41 nM, respectively. H3B-5942 reduces ERα target gene GREB1, shows potent antitumor activity both in multiple cell lines or animals bearing ERαWT or ERα mutations[1].

H3B-5942 is a selective and irreversible estrogen receptor covalent antagonist, inactivates both wild-

**Caution: Product has not been fully validated for medical applications. For research use only.**

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type and mutant ER $\alpha$  by targeting Cys530, with Kis of 1 nM and 0.41 nM, respectively[1]. H3B-5942 elevates ER $\alpha$  protein level distinct from SERMs/SERD, blocks ER $\alpha$ -dependent transcription in breast cancer cells. H3B-5942 (0.01-10  $\mu$ M) reduces ER $\alpha$  target gene GREB1 in MCF7-ER $\alpha$ WT, various MCF7-ER $\alpha$ MUT lines, and the PDX-ER $\alpha$ Y537S/WT line[1]. H3B-5942 also decreases proliferation of MCF7-Parental, MCF7-LTED-ER $\alpha$ WT, and MCF7-LTED-ER $\alpha$ Y537C lines with GI50s of 0.5, 2, and 30 nM, respectively. H3B-5942 (10-25 nM) in combination with CDK4/6 inhibitors ( $\geq$ 25 pM) has synergic inhibitory effect on multiple cell lines bearing ER $\alpha$ WT or clinically frequent ER $\alpha$  mutations[1].

H3B-5942 (1, 3, 10, or 30 mg/kg, p.o, q.d.) dose-dependently inhibits tumor growth in MCF7 xenograft model in athymic female nude mice. H3B-5942 (3, 10, 30, 100, and 200 mg/kg, p.o, q.d.) exhibits similar anti-tumor activity in the ER $\alpha$ Y537S/WT ST941 model in athymic female nude mice[1].

[1]. Puyang X, et al. Discovery of Selective Estrogen Receptor Covalent Antagonists for the Treatment of ER $\alpha$ WT and ER $\alpha$ MUT Breast Cancer. Cancer Discov. 2018 Sep;8(9):1176-1193.

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