SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

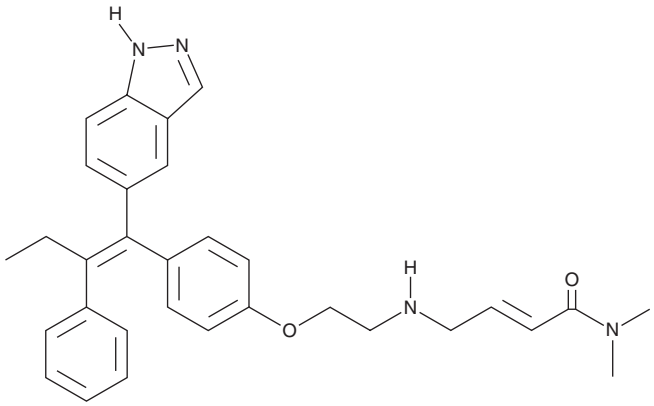
www.szabo-scandic.com

linkedin.com/company/szaboscandic

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:	$C_{31}H_{34}N_4O_2$
FW:	494.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 236 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 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}. HB-5942 inhibits expression of the ERα target genes *GREB1* and *TFF1* in MCF-7 cells overexpressing wild-type ERα (IC_{50}s = 2.74 and 1.3 nM, respectively) or the mutant receptors ERα^{Y537S} (IC_{50}s = 29.38 and 19.4 nM, respectively), ERα^{Y537N} (IC_{50}s = 8.14 and 6.1 nM, respectively), ERα^{Y537C} (IC_{50}s = 12.19 and 9.5 nM, respectively), or ERα^{D538G} (IC_{50}s = 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} (GI_{50}s = 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM