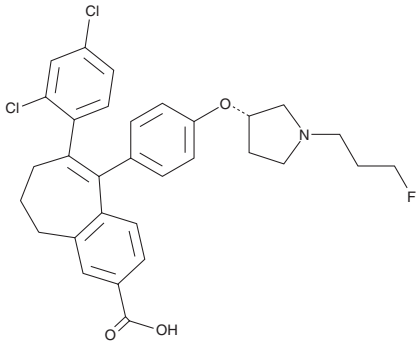
PRODUCT INFORMATION

SAR439859

Item No. 40748

CAS Registry No.:	2114339-57-8
Formal Name:	8-(2,4-dichlorophenyl)-9-[4-[[(3S)-1-(3-fluoropropyl)-3-pyrrolidinyl]oxy]phenyl]-6,7-dihydro-5H-benzocycloheptene-3-carboxylic acid
Synonym:	Amcenestrant
MF:	$C_{31}H_{30}Cl_2FNO_3$
FW:	554.5
Purity:	≥98%
Supplied as:	A 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

SAR439859 is supplied as a solid. A stock solution may be made by dissolving the SAR439859 in the solvent of choice, which should be purged with an inert gas. SAR439859 is sparingly soluble (1-10 mg/ml) in DMSO.

Description

SAR439859 is a selective estrogen receptor degrader (SERD).[1] It selectively induces degradation of estrogen receptor α (ERα) in MCF-7 breast cancer cells (EC_{50} = 0.2 nM) over ERβ, glucocorticoid, androgen, progesterone, and mineralocorticoid receptors at 5 µM but does activate ERβ signaling in reporter cells.[2] SAR439859 decreases 17β-estradiol-induced proliferation of MCF-7 cells expressing wild-type ERα, MCF-7 cells expressing ERα containing a tyrosine-to-serine substitution at position 537 ($ERα^{Y537S}$), and MCF-7 cells expressing $ERα^{D538G}$ (EC_{50}s = 20, 331, and 595 nM, respectively). *In vivo*, SAR439859 (100 mg/kg per day) reduces tumor volume to a greater extent than the ER degraders fulvestrant (Item No. 10011269) or GDC-0810 (ARN810; Item No. 29595) in a patient-derived xenograft (PDX) mouse model of breast cancer resistant to the ER antagonist tamoxifen (Item Nos. 13258 | 11629).

References

1. El-Ahmad, Y., Tabart, M., Halley, F., *et al.* Discovery of 6-(2,4-dichlorophenyl)-5-[4-[(3 S)-1-(3-fluoropropyl) pyrrolidin-3-yl]oxyphenyl]-8,9-dihydro-7 H-benzo[7]annulene-2-carboxylic acid (SAR439859), a potent and selective estrogen receptor degrader (SERD) for the treatment of estrogen-receptor-positive breast cancer. *J. Med. Chem.* **63(2)**, 512-528 (2020).
2. Shomali, M., Cheng, J., Sun, F., *et al.* SAR439859, a novel selective estrogen receptor degrader (SERD), demonstrates effective and broad antitumor activity in wild-type and mutant ER-positive breast cancer models. *Mol. Cancer Ther.* **20(2)**, 250-262 (2021).

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