

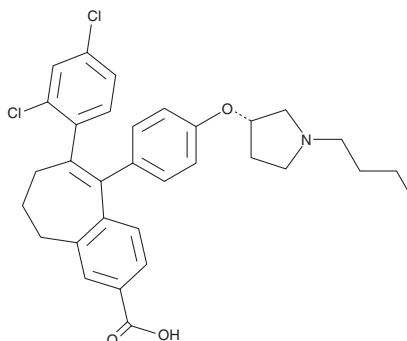
# PRODUCT INFORMATION



**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<sub>31</sub>H<sub>30</sub>Cl<sub>2</sub>FNO<sub>3</sub>  
**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).<sup>1</sup> It selectively induces degradation of estrogen receptor  $\alpha$  (ER $\alpha$ ) in MCF-7 breast cancer cells (EC<sub>50</sub> = 0.2 nM) over ER $\beta$ , glucocorticoid, androgen, progesterone, and mineralocorticoid receptors at 5  $\mu$ M but does activate ER $\beta$  signaling in reporter cells.<sup>2</sup> SAR439859 decreases 17 $\beta$ -estradiol-induced proliferation of MCF-7 cells expressing wild-type ER $\alpha$ , MCF-7 cells expressing ER $\alpha$  containing a tyrosine-to-serine substitution at position 537 (ER $\alpha$ <sup>Y537S</sup>), and MCF-7 cells expressing ER $\alpha$ <sup>D538G</sup> (EC<sub>50</sub>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]oxy]phenyl]-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).

### 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.

### WARRANTY AND LIMITATION OF REMEDY

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