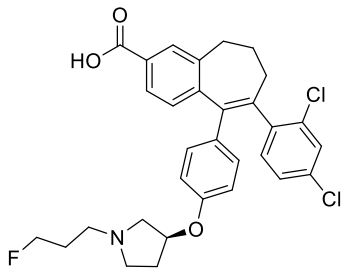
Product data sheet

MedKoo Cat#: 206998 Name: SAR439859 CAS#: 2114339-57-8 Chemical Formula: $C_{31}H_{30}Cl_2FNO_3$ Exact Mass: 553.1587 Molecular Weight: 554.4834	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
SAR439859 is an orally available, nonsteroidal selective estrogen receptor degrader/downregulator (SERD), with potential antineoplastic activity. Upon oral administration, SERD SAR439859 specifically targets and binds to the estrogen receptor (ER) and induces a conformational change that promotes ER degradation. This prevents ER-mediated signaling and inhibits both the growth and survival of ER-expressing cancer cells

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100	180.35

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.80 mL	9.02 mL	18.03 mL
5 mM	0.36 mL	1.80 mL	3.61 mL
10 mM	0.18 mL	0.90 mL	1.80 mL
50 mM	0.04 mL	0.18 mL	0.36 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

TBD

In vivo study

Shomali M, Cheng J, Sun F, Koundinya M, Guo Z, Hebert AT, McManus J, Levit MN, Hoffmann D, Courjaud A, Arrebola R, Cao H, Pollard J, Lee JS, Besret L, Caron A, Bangari DS, Abecassis PY, Schio L, El-Ahmad Y, Halley F, Tabart M, Certal V, Thompson F, McCort G, Filoche-Rommé B, Cheng H, Garcia-Echeverria C, Debussche L, Bouaboula M. 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. 2021 Feb;20(2):250-262. doi: 10.1158/1535-7163.MCT-20-0390. Epub 2020 Dec 11. PMID: 33310762.

7. Bioactivity
Biological target:

Potent ER antagonist.

Product data sheet

In vitro activity

TBD

In vivo activity

In vivo treatment with SAR439859 demonstrated significant tumor regression in ER+ breast cancer models, including MCF7-ESR1 wild-type and mutant-Y537S mouse tumors, and HCI013, a patient-derived tamoxifen-resistant xenograft tumor. These findings indicate that SAR439859 may provide therapeutic benefit to patients with ER+ breast cancer, including those who have resistance to endocrine therapy with both wild-type and mutant ER.

Reference: Shomali M, Cheng J, Sun F, Koundinya M, Guo Z, Hebert AT, McManus J, Levit MN, Hoffmann D, Courjaud A, Arrebola R, Cao H, Pollard J, Lee JS, Besret L, Caron A, Bangari DS, Abecassis PY, Schio L, El-Ahmad Y, Halley F, Tabart M, Certal V, Thompson F, McCort G, Filoche-Rommé B, Cheng H, Garcia-Echeverria C, Debussche L, Bouaboula M. 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. 2021 Feb;20(2):250-262. doi: 10.1158/1535-7163.MCT-20-0390. Epub 2020 Dec 11. PMID: 33310762.