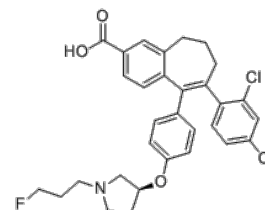


<b>Product Name</b>	: SAR439859
<b>Cat. No.</b>	: PC-73108
<b>CAS No.</b>	: 2114339-57-8
<b>Molecular Formula</b>	: $C_{31}H_{30}Cl_2FNO_3$
<b>Molecular Weight</b>	: 554.483
<b>Target</b>	: Estrogen Receptor/ERR
<b>Solubility</b>	: 10 mM in DMSO



## Biological Activity

SAR439859 (Amcenestrant) is a potent, orally available, selective estrogen receptor degrader (**SERD**) with IC50 of 0.2 nM (ER $\alpha$  degradation).

SAR439859 showed an outstanding overall profile with high degradation efficacy (98%) comparable to the *in vitro* activity of fulvestrant combined with a remarkable metabolic stability.

SAR439859 displayed no off-target activity in a large Cerep panel for in vitro selectivity.

SAR439859 exhibited substantial tumor-growth inhibition, at a dose of 25 mg/kg/BID, SAR439859 displayed tumor regression in MCF-7 tamoxifen-sensitive xenograft, with no weight loss.

## References

El-Ahmad Y, et al. *J Med Chem.* 2020 Jan 23;63(2):512-528.

Shomali M, et al. **Mol Cancer Ther.** 2021 Feb;20(2):250-262.

**Caution: Product has not been fully validated for medical applications. Lab Use Only!**

E-mail: [tech@probechem.com](mailto:tech@probechem.com)