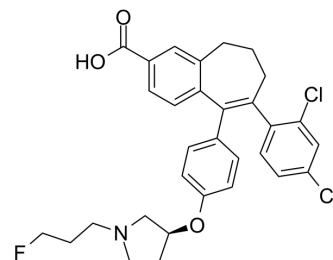


Amcnestrant

Cat. No.:	HY-133017		
CAS No.:	2114339-57-8		
Molecular Formula:	C ₃₁ H ₃₀ Cl ₂ FNO ₃		
Molecular Weight:	554.48		
Target:	Estrogen Receptor/ERR		
Pathway:	Others		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 83.33 mg/mL (150.28 mM; ultrasonic and warming and heat to 60°C)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	1.8035 mL	9.0175 mL	18.0349 mL
		5 mM	0.3607 mL	1.8035 mL	3.6070 mL
10 mM		0.1803 mL	0.9017 mL	1.8035 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 8.33 mg/mL (15.02 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 8.33 mg/mL (15.02 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 8.33 mg/mL (15.02 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	SAR439859 (compound 43d) is an orally active, nonsteroidal and selective estrogen receptor degrader (SERD). SAR439859 is a potent ER antagonist and has ER degrading activity with an EC ₅₀ of 0.2 nM for ERα degradation ^[1] . SAR439859 demonstrates robust antitumor efficacy and limited cross-resistance in ER ⁺ breast cancer ^[2] .
IC₅₀ & Target	ERα 0.2 nM (EC50)

In Vitro	<p>SAR439859 (compound 43d) induces strong in vivo antitumor activity against a variety of BC cell lines and patient-derived xenografts, including models that harbor ERα mutations^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																
In Vivo	<p>SAR439859 (compound 43d; orally; 2.5-25 mg/kg; twice daily for 30 days) exhibits substantial tumor-growth inhibition and displays tumor regression at the dose of 25 mg/kg/BID^[1].</p> <p>SAR439859 (3 mg/kg for iv and 10 mg/kg for po) shows a low to moderate clearance in the three animal species tested (0.03-1.92 L/h•kg), low to moderate volume of distribution (V_{ss}=0.5-6.1 L/kg), and good bioavailability (54-76%) across species. It is noticed that $T_{1/2}$ was variable across species (1.98 h in mouse, 4.13 h in rat and 9.80 h in dog)^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="347 485 1515 758"> <tr> <td>Animal Model:</td> <td>Nu/nu mouse with MCF7 tumor xenograft model^[1]</td> </tr> <tr> <td>Dosage:</td> <td>2.5, 5, 12.5, 25 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Orally; twice daily for 30 days</td> </tr> <tr> <td>Result:</td> <td>Exhibited substantial tumor-growth inhibition and displayed tumor regression at the dose of 25 mg/kg/BID.</td> </tr> </table> <table border="1" data-bbox="347 793 1515 1104"> <tr> <td>Animal Model:</td> <td>Mouse, rat and dog^[1]</td> </tr> <tr> <td>Dosage:</td> <td>3 mg/kg (iv) and 10 mg/kg (po) (Pharmacokinetic Analysis)</td> </tr> <tr> <td>Administration:</td> <td>Iv or po</td> </tr> <tr> <td>Result:</td> <td>Showed a low to moderate clearance in the three animal species tested (0.03-1.92 L/h•kg), low to moderate volume of distribution (V_{ss}=0.5-6.1 L/kg), and good bioavailability (54-76%) across species.</td> </tr> </table>	Animal Model:	Nu/nu mouse with MCF7 tumor xenograft model ^[1]	Dosage:	2.5, 5, 12.5, 25 mg/kg	Administration:	Orally; twice daily for 30 days	Result:	Exhibited substantial tumor-growth inhibition and displayed tumor regression at the dose of 25 mg/kg/BID.	Animal Model:	Mouse, rat and dog ^[1]	Dosage:	3 mg/kg (iv) and 10 mg/kg (po) (Pharmacokinetic Analysis)	Administration:	Iv or po	Result:	Showed a low to moderate clearance in the three animal species tested (0.03-1.92 L/h•kg), low to moderate volume of distribution (V_{ss} =0.5-6.1 L/kg), and good bioavailability (54-76%) across species.
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REFERENCES

[1]. El-Ahmad Y, et al. Discovery of 6-(2,4-Dichlorophenyl)-5-[4-[(3S)-1-(3-fluoropropyl)pyrrolidin-3-yl]oxyphenyl]-8,9-dihydro-7H-benzo[7]annulene-2-carboxylic acid (SAR439859), a Potent and Selective Estrogen Receptor Degradator (SERD) for the Treatment of Estrogen-Receptor-Positive Breast Cancer. J Med Chem. 2019 Nov 27.

[2]. Monsif Bouaboula, et al. Abstract 943: SAR439859, an orally bioavailable selective estrogen receptor degrader (SERD) that demonstrates robust antitumor efficacy and limited cross-resistance in ER⁺ breast cancer.

Caution: Product has not been fully validated for medical applications. For research use only.

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