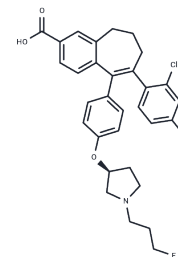


Amcenestrant

Chemical Properties

CAS No. :	2114339-57-8
Formula:	C ₃₁ H ₃₀ Cl ₂ FNO ₃
Molecular Weight:	554.48
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Amcenestrant (SAR439859) is an orally active, nonsteroidal and selective degrader of estrogen receptor (SERD). SAR439859 is a potent antagonist of ER (with an EC ₅₀ of 0.2 nM for ER α degradation).
Targets(IC ₅₀)	Estrogen Receptor/ERR,Estrogen/progestogen Receptor
In vitro	in vivo SAR439859 induces strong antitumor activity against a variety of BC cell lines and patient-derived xenografts, including models that harbor ER α mutations[1].
In vivo	SAR439859(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]. 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].

Solubility Information

Solubility	DMSO: 125 mg/mL (225.44 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 8 mg/mL (14.43 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8035 mL	9.0175 mL	18.0349 mL
5 mM	0.3607 mL	1.8035 mL	3.607 mL
10 mM	0.1803 mL	0.9017 mL	1.8035 mL
50 mM	0.0361 mL	0.1803 mL	0.3607 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

Yan X, Luo C, Yang J, et al. Antiviral Activity of Selective Estrogen Receptor Modulators against Severe Fever with Thrombocytopenia Syndrome Virus In Vitro and In Vivo. *Viruses.* 2024, 16(8): 1332.

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

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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