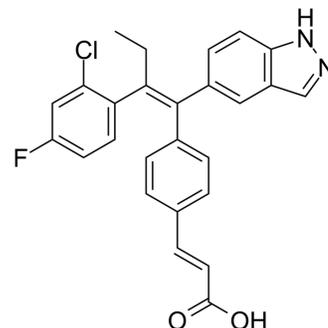


Brilanestrant

Cat. No.:	HY-12864		
CAS No.:	1365888-06-7		
Molecular Formula:	C ₂₆ H ₂₀ ClFN ₂ O ₂		
Molecular Weight:	447		
Target:	Estrogen Receptor/ERR		
Pathway:	Vitamin D Related/Nuclear Receptor		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (223.71 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.2371 mL	11.1857 mL	22.3714 mL
		5 mM	0.4474 mL	2.2371 mL	4.4743 mL
10 mM		0.2237 mL	1.1186 mL	2.2371 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: ≥ 2.5 mg/mL (5.59 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.59 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.08 mg/mL (4.65 mM); Suspended solution; Need ultrasonic 				

BIOLOGICAL ACTIVITY

Description	Brilanestrant (ARN-810; GDC-0810) is an orally bioavailable selective estrogen receptor degrader (SERD) with IC ₅₀ of 0.7 nM.
IC₅₀ & Target	IC ₅₀ : 0.7 nM (estrogen receptor)
In Vitro	Brilanestrant (ARN-810; GDC-0810) is a potent ER-α binder (IC ₅₀ =6.1 nM), a full transcriptional antagonist with no agonism (3× ERE, IC ₅₀ =2 nM), and displays good potency and efficacy in ER-α degradation (EC ₅₀ =0.7 nM) and MCF-7 breast cancer cell viability (IC ₅₀ =2.5 nM) assays ^[1] . Brilanestrant (ARN-810; GDC-0810) induces a distinct ERα conformation versus tamoxifen

and other ER therapeutics, and does not exhibit tamoxifen-like ER agonism in MCF7 cells^[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

The pharmacokinetic profile of Brilanestrant (ARN-810) shows it is a low clearance molecule across species, with good bioavailability (40%-60%). Brilanestrant (ARN-810) (3 mg/kg, p.o.) shows substantial tumor-growth inhibition in a tamoxifen-sensitive MCF-7 xenograft model, while at the highest dose of 100 mg/kg/day, all animals show tumor regression of more than 50% without weight loss^[1].
Brilanestrant (ARN-810) exhibits low clearance (11 mL/min/kg) and 61% oral bioavailability. Brilanestrant (ARN-810) (1-100 mg/kg/day, p.o.) displays dose dependent efficacy in the MCF7 xenograft model^[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[1]

MCF-7 cells are adjusted to a concentration of 40000 cells per mL in RPMI containing 10% FBS and 20 mM HEPES. Then 16 μ L of the cell suspension (640 cells) is added to each well of a 384-well plate, and the cells are incubated overnight to allow the cells to adhere. The following day a 10-point, serial 1:5 dilution of each compound is added to the cells in 16 μ L at a final concentration ranging from 10 to 0.000005 μ M. After 5 days' compound exposure, 16 μ L of CellTiter-Glo is added to the cells, and the relative luminescence units of each well are determined. CellTiter-Glo added to 32 μ L of medium without cells is used to obtain a background value. The percent viability of each sample is determined as follows: (RLU sample-RLU background/RLU untreated cells-RLU background \times 100=%viability)
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration ^[1]

Time release pellets containing 0.72 mg 17- β estradiol are subcutaneously implanted into nu/nu mice. MCF-7 cells are grown in RPMI containing 10% FBS at 5% CO₂ 37°C. Trypsinized cells are pelleted and resuspended in 50% RPMI \square serum free \square and 50% Matrigel at 1 \times 10⁷ cells/mL. MCF-7 cells are subcutaneously injected (100 μ L/animal) on the right flank 2-3 days post pellet implantation. Tumor volume (length \times width²/2) is monitored biweekly. When tumors reach an average volume of appr 200 mm³ animals are randomized and treatment is started. Animals are treated with vehicle or compound daily for 4 weeks. Tumor volume and body weight are monitored biweekly throughout the study.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Cancer Ther. 2020 Jul;19(7):1395-1405.
- Breast Cancer Res Treat. 2020 Jan;179(1):67-77.
- Horm Cancer. 2017 Jun;8(3):135-142.
- Harvard University. 2023 Mar. 30487357.

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REFERENCES

[1]. By Lai, et al. Identification of GDC-0810 (ARN-810), an Orally Bioavailable Selective Estrogen Receptor Degradar (SERD) that Demonstrates Robust Activity in Tamoxifen-Resistant Breast Cancer Xenografts. J Med Chem. 2015 Jun 25;58(12):4888-904.

[2]. Joseph JD, et al. The selective estrogen receptor downregulator GDC-0810 is efficacious in diverse models of ER+ breast cancer. Elife. 2016 Jul 13;5. pii: e15828. doi: 10.7554/eLife.15828

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

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