

ER α degrader-2

Chemical Properties

CAS No. : 2235396-63-9

Formula: C₂₉H₂₇F₃N₂O₂

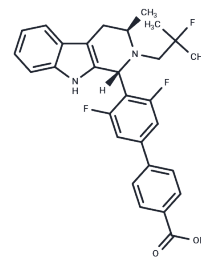
Molecular Weight: 492.53

Storage:

Keep away from direct sunlight, Keep away from moisture

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	ER α degrader-2 is a selective and potent estrogen receptor (SERD) degrader with anticancer activity, exhibiting an EC ₅₀ value of 0.3 nM for ER α degradation. It can be used for the prevention and treatment of HER-positive breast cancer.
Targets(IC ₅₀)	Estrogen Receptor/ERR,ERK
In vitro	In MCF-7 cells, ER α degrader-2 (0.01-40 nM) decreases ER α expression but does not fully degrade ER α even at a higher biochemical concentration.
In vivo	ER α degrader-2 (oral administration; 2-6 mg/kg; once daily; 21 days) demonstrates significant tumor growth inhibition in mice. Additionally, ER α degrader-2 (oral gavage; single dose of 2 mg/kg) exhibits superior pharmacokinetic properties compared to AZD9496, with a plasma exposure (AUC) of 16073.7 h*ng/mL, a half-life of 12.1 hours, and an oral availability of 80.5%[1].

Solubility Information

Solubility	DMSO: 1.66 mg/mL (3.37 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
------------	---

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0303 mL	10.1517 mL	20.3033 mL
5 mM	0.4061 mL	2.0303 mL	4.0607 mL
10 mM	0.203 mL	1.0152 mL	2.0303 mL
50 mM	0.0406 mL	0.203 mL	0.4061 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

Xiaomeng Zhang, et al. Dynamics-Based Discovery of Novel, Potent Benzoic Acid Derivatives as Orally Bioavailable Selective Estrogen Receptor Degradable for ER α + Breast Cancer. J Med Chem

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481