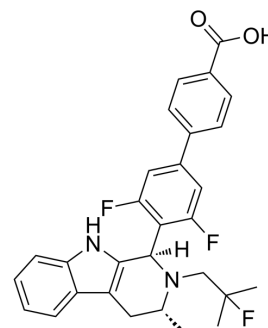


ER α degrader-2

Cat. No.:	HY-132194
CAS No.:	2235396-63-9
Molecular Formula:	C ₂₉ H ₂₇ F ₃ N ₂ O ₂
Molecular Weight:	492.53
Target:	Estrogen Receptor/ERR
Pathway:	Vitamin D Related/Nuclear Receptor
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



BIOLOGICAL ACTIVITY

Description	ER α degrader-2 is a selective estrogen receptor degrader (SERD) with potent binding affinity with ER α (IC ₅₀ =17.1 nM), good degradation efficacy (EC ₅₀ =0.3 nM). ER α degrader-2 exhibits favorable pharmacokinetic properties and excellent agentability, can be used for HER ⁺ breast cancer research ^[1] .	
IC₅₀ & Target	ER α 4.6 nM (IC ₅₀)	
In Vitro	ER α degrader-2 (0.01-40 nM) decreases ER α expression and not fully degrades ER α in MCF-7 cells even at a higher biochemical concentration in MCF7 cells ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	ER α degrader-2 (oral administration; 2-6 mg/kg; QD; 21 days) leads to the significant tumor growth inhibition and decreases tumor volume in mice ^[1] . ER α degrader-2 (oral gavage; 2 mg/kg; single dose) possesses better pharmacokinetic properties than AZD9496, the plasma exposure (AUC) is 16073.7 h*ng/mL, and the half-life period is 12.1 h, the oral availability is 80.5% ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Animal Model:	MCF-7 human breast cancer xenograft model in nude mice ^[1]
	Dosage:	2 mg/kg; 6 mg/kg
	Administration:	Oral administration; 2-6 mg/kg; QD; 21 days
	Result:	Exhibited in vivo efficacy in breast cancer xenograft model.

REFERENCES

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

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA