PROTAC ERRα Degrader-3

Cat. No.: HY-139185

CAS No.: 2306388-65-6

Molecular Formula: $C_{47}H_{50}F_6N_6O_7S$

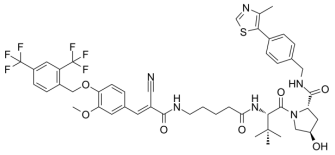
Molecular Weight: 956.99

Target: PROTACs; Estrogen Receptor/ERR

Pathway: PROTAC; Others

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 80 mg/mL (83.60 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0449 mL	5.2247 mL	10.4494 mL
	5 mM	0.2090 mL	1.0449 mL	2.0899 mL
	10 mM	0.1045 mL	0.5225 mL	1.0449 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2 mg/mL (2.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PROTAC ERRα Degrader-3 is a potent and selective ERRα degrader based on von Hippel-Lindau ligand. PROTAC ERRα Degrader-3 is capable of specifically degrading ERRα protein by >80% at a concentration of 30 nM. PROTAC ERRα Degrader-3 is inactive against ERRβ and ERRγ proteins[1].

IC_{50} & Target		
	ERRα	VHL

In Vitro

PROTAC ERRα Degrader-3 (compound 6c; 0.3 nM-10 μM; 4 hours) dose-dependently induces ERRα degradation with an efficacious dose as low as 3.0 nM at 4.0 h. PROTAC ERRα Degrader-3 potently decreases protein levels of ERRα downstream target genes, e.g., ATP5B, medium-chain acyl CoA dehydrogenase (MCAD), and pyruvate dehydrogenase kinase 4 (PDK4) in the MDA-MB-231 cells after a 24 h treatment[1].

PROTAC ERRα Degrader-3 exhibits an IC_{50} value of 12.67 nM to block the protein-protein interaction of ERRα with PGC-1α peptide and induces approximately 96% protein degradation at 100 nM (D100 nM) after 4.0 h treatment[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	MDA-MB-231 cells
Concentration:	0.3 nM, 1 nM, 3 nM, 10 nM, 30 nM, 100 nM, 300 nM, 1 μM, 3 μM, 10 μM
Incubation Time:	4 hours
Result:	Dose-dependently induced ERRα degradation.

REFERENCES

[1]. Lijie Peng, et al. Identification of New Small-Molecule Inducers of Estrogen-related Receptor α (ERRα) Degradation. ACS Med Chem Lett. 2019 Apr 12;10(5):767-772.

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