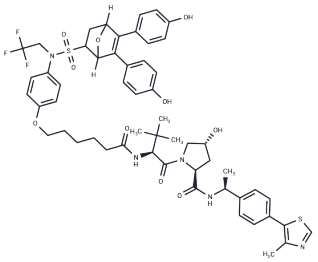
Data Sheet (Cat.No.T87263)

TargetMol

PROTAC ERα Degrader-4

Chemical Properties



CAS No. : 2521299-80-7

Formula: C55H62F3N5O10S2

Molecular Weight: 1074.23

Storage: Keep away from direct sunlight
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	PROTAC ERα Degrader-4, a highly potent and selective agent (K i: 5.08 μM), contains OBHSAs, a linker, and E3 ligase ligands. This compound demonstrates superb inhibitory effects and ERα degradation activity in both Tamoxifen-sensitive and -resistant ER+ breast cancer (BC) cells, as well as in ERα-mutated BC cells. Additionally, PROTAC ERα Degrader-4 can induce apoptosis, making it valuable for cancer research.
Targets(IC50)	Apoptosis,Estrogen Receptor/ERR,PROTACs
In vitro	PROTAC ERα Degrader-4 (compound ZD12) effectively degrades ERα protein in Tamoxifen-sensitive MCF-7 cells at a concentration of 1 μM over 12 hours. It also degrades wild-type ERα in T47D cells and mutant ERα in T47D D538G and T47D Y537S cells under 2 μM concentration and the same incubation period. Additionally, PROTAC ERα Degrader-4 inhibits the growth of Tamoxifen-sensitive MCF-7 cells when applied at concentrations of 1-10 μM for 72 hours, achieving an IC 50 value of 0.05 μM, and induces apoptosis and cell cycle arrest. Cell viability assays demonstrate the compound's inhibitory effectiveness in Tamoxifen-sensitive MCF-7 cells with an IC 50 of 0.05 μM. Apoptosis analysis indicates that apoptosis is induced at 1.0 μM and 5 μM concentrations within 72 hours, and cell cycle analysis reveals that the compound causes cell cycle arrest within the same timeframe at concentrations ranging from 0.01 to 10 μM. Western Blot analysis shows that PROTAC ERα Degrader-4 degrades ERα protein effectively between 0.01 to 10 μM after 12 hours, while at a high concentration of 10 μM, there is a slight restoration in ERα protein levels.
In vivo	PROTAC ERα Degrader-4 (Compound ZD12) exhibited substantial antitumor activity and efficacy in degrading ERα when administered intraperitoneally in a LCC2 xenograft tumor model at a dosage of 5 μM/kg every other day [1]. Additionally, when administered via intravenous injection at a dose of 5 mg/kg, it had a half-life of 4.61 hours and a clearance rate of 64.4 mL/min/kg [1]. Pharmacokinetic studies conducted in female BALB/C mice revealed that the intravenous injection achieved a peak plasma concentration (Cmax) of 3635.73 ng/mL, an area under the curve (AUC) of 1342 h•ng/mL, and a time to maximum concentration (Tmax) of 0.08 hours, maintaining the same half-life as in the previous administration. No detectable drug levels were observed in the group receiving oral gavage at 20 mg/kg [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.9309 mL	4.6545 mL	9.309 mL
5 mM	0.1862 mL	0.9309 mL	1.8618 mL
10 mM	0.0931 mL	0.4654 mL	0.9309 mL
50 mM	0.0186 mL	0.0931 mL	0.1862 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.

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