ERα degrader 4

Cat. No.: HY-144306

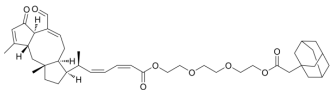
Molecular Formula: $C_{42}H_{58}O_8$

Molecular Weight: 690.91

Target: Estrogen Receptor/ERR; Apoptosis

Pathway: Vitamin D Related/Nuclear Receptor; Apoptosis

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

ERα degrader 4 is an excellent and selective estrogen receptor α (ERα) degrader (IC_{50} of 0.31, 0.41 and 0.48 μM in MDA-MB-231, MCF-7 and MCF-7/ADR cells, respectively). ERα degrader 4 has potent inhibitory activity against MCF-7 cell lines. ERα degrader 4 is a potential SERDs candidate for the research of breast cancer[1].

IC_{50} & Target

IC_{50}: 0.31 μM (ERα) in MDA-MB-231, 0.41 μM (ERα) in MCF-7, 0.48 μM (ERα) in MCF-7/ADR[1]

In Vitro

ERα degrader 4 (compound 16a) (0-10 μM; 48 hours) has the high activity (IC_{50}: 0.31-0.48 μM) against all tested cancer cell lines[1].

ERα degrader 4 (1 μM; 24 hours) increases ERα degradation and relative binding affinity in MCF-7 cancer cells[1].

ERα degrader 4 (0.5, 1 and 2 μM; 24 hours) decreases the number and size of MCF-7 cells colonies at 0.5 μM, and completely suppresses colony formation with 2 μM[1].

ERα degrader 4 (0.5, 1, 2 μM; 24 hours) increases the early-stage apoptosis of MCF-7 cells with a dose-dependent manner[1].

ERα degrader 4 (0.5, 1, 2 μM; 24 hours) can induce the accumulation of reactive oxygen species (ROS) which contributes to the apoptosis of MCF-7 cells[1].

ERα degrader 4 (0.5, 1, 2 μM; 24 hours) induces apoptosis in MCF-7 cancer cells by decreasing the mitochondrial membrane potential[1].

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

Cell Cytotoxicity Assay

Cell Line:	MDA-MB-231, MCF-7, MCF-7/ADR and MCF-10A cells[1]
Concentration:	0-10 μM
Incubation Time:	48 hours
Result:	Showed the high activity (IC_{50}: 0.31-0.48 μM) against all tested cancer cell lines.

Cell Cycle Analysis

Cell Line:	MCF-7 cells[1]
Concentration:	0.5, 1, 2 and 3 μM
Incubation Time:	24 hours

Result:	Induced cell cycle arrest at G1 phase.

Apoptosis Analysis

Cell Line:	MCF-7 cells[1]
Concentration:	0.5, 1 and 2 μM
Incubation Time:	24 hours
Result:	Increased the early-stage apoptosis of MCF-7 cells with a dose-dependent manner.

REFERENCES

[1]. Liang JJ, et al. Design and synthesis of marine sesterterpene analogues as novel estrogen receptor α degraders for breast cancer treatment. Eur J Med Chem. 2022;229:114081.

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