ERα degrader 7

Cat. No.: HY-155492

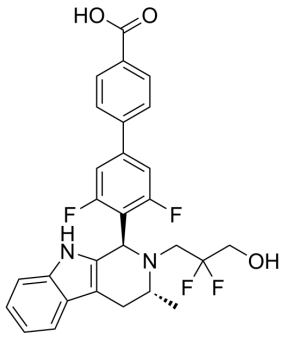
Molecular Formula: $C_{28}H_{24}F_4N_2O_3$

Molecular Weight: 512.5

Target: Estrogen Receptor/ERR

Pathway: Vitamin D Related/Nuclear Receptor

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



BIOLOGICAL ACTIVITY

Description

ERα degrader 7 (compound B1) is a potent ERα degrader with an IC_{50} of 14.6 nM and a DC_{50} of 9.7 nM, respectively. ERα degrader 7 shows excellent antitumor activity, indicating its potential to evolve as a promising selective estrogen-receptor degrader (SERD) for breast cancer research[1].

IC_{50} & Target

IC_{50}: 14.6 nM (ERα)[1]

In Vitro

ERα degrader 7 (10^{-5}-10 μM, 5 d) demonstrates antitumor activity against the breast cancer cell (IC_{50} = 4.21 nM) and noncytotoxicity against normal breast epithelial cell[1].

ERα degrader 7 (50-1000 nM, 5 d) shows a significant concentration-dependent degradation effect of ERα in MCF-7[1].

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

Cell Proliferation Assay[1]

Cell Line:	MCF-10 (normal breast epithelial cell)
Concentration:	10^{-5}-10 μM
Incubation Time:	5 d
Result:	Demonstrated low inhibition against MCF-10A, cell proliferation rate of each concentration are all above 80%.

Cell Proliferation Assay[1]

Cell Line:	MCF-7 (breast cancer cell)
Concentration:	10^{-5}-10 μM
Incubation Time:	5 d
Result:	ERα degrader 7 (10^{-3}-10 μM) demonstrated excellent antitumor activity against the MCF-7 cell, caused an inhibition rate ranged from 60% to 75%, results were evaluated using GDC-9545 as a positive control.

Western Blot Analysis[1]

Cell Line:	MCF-7 (breast cancer cell)
Concentration:	1, 10, 50, 100, 200, 500, 1000 nM
Incubation Time:	5 d
Result:	Showed a concentration-dependent degradation effect of ERα. Demonstrated a significant ERα-degradation effect (ERα expression rate < 50%) at a concentration of 50 nM, results were evaluated using GDC-9545 as a positive control.

REFERENCES

[1]. Haohao Zhu, et al. Hot-Spot Residue-Based Virtual Screening of Novel Selective Estrogen-Receptor Degraders for Breast Cancer Treatment. Journal of Chemical Information and Modeling. 2023, Article ASAP.

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