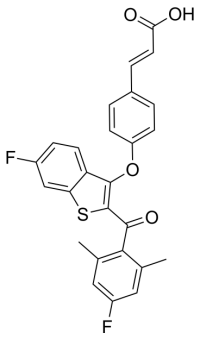
ER degrader 5

Cat. No.:	HY-149970
CAS No.:	2913192-47-7
Molecular Formula:	$C_{26}H_{18}F_2O_4S$
Molecular Weight:	464.48
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

ER degrader 5 is a potent estrogen receptor (ER) degrader. ER degrader 5 shows anti-proliferation activity. ER degrader 5 can be used for the research of breast cancer[1].

In Vitro

ER degrader 5 (compound 27d) (5 days) inhibits the proliferation of MCF-7 cells, with an IC_{50} of 55 nM[1].
ER degrader 5 blocks the cell cycle at the G0/G1 phase in MCF-7 cells[1].
ER degrader 5 (1 nM-10 μM; 24 h) degrades estrogen receptor (ER) in MCF-7 cells[1].
ER degrader 5 (1-10 μM; 24 h) drastically decreases the expression levels of GREB1, PGR, and TFF1 in MCF-7 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	MCF-7 cells
Concentration:	1, 10, 100, 1000, 10000 nM
Incubation Time:	24 hours
Result:	Degraded ER in a dose-dependent manner.

Real Time qPCR[1]

Cell Line:	MCF-7 cells
Concentration:	1, 5, 10 μM
Incubation Time:	24 hours
Result:	Decreased the expression levels of GREB1, PGR, and TFF1 in a dose-dependent manner.

In Vivo

ER degrader 5 (compound 27d) (30 mg/kg; i.p. daily for 30 days) significantly inhibits tumor growth in a MCF-7 xenograft model[1].
ER degrader 5 (3 mg/kg; i.v.) exhibits half-life of 1.23 h, C_{max} of 4497 ng/mL[1].
ER degrader 5 (30 mg/kg; p.o.) produces an oral bioavailability of 62.9%[1].
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Animal Model:	Female nude mice injected with MCF-7 cells[1]
Dosage:	30 mg/kg
Administration:	I.p. daily for 30 days
Result:	Inhibited tumor growth and observed no significant body weight loss.

REFERENCES

[1]. Lu Y, et, al. Design, synthesis and biological evaluation of fluorinated selective estrogen receptor degraders (FSERDs) --- A promising strategy for advanced ER positive breast cancer. Eur J Med Chem. 2023 May 5;253:115324.

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