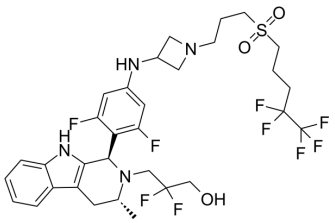
Estrogen receptor modulator 10

Cat. No.:	HY-155406
CAS No.:	2991504-90-4
Molecular Formula:	$C_{32}H_{37}F_9N_4O_3S$
Molecular Weight:	728.71
Target:	Estrogen Receptor/ERR; Bcl-2 Family; Caspase
Pathway:	Vitamin D Related/Nuclear Receptor; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Estrogen receptor modulator 10 (compound G-5b) is an Estrogen receptor (ER) antagonist (IC_{50}=6.7 nM) and degrader (DC_{50} =0.4 nM). Estrogen receptor modulator 10 can induce apoptosis. Estrogen receptor modulator 10 can block cells at the G1/G0 phase. Estrogen receptor modulator 10 can be used in cancer studies[1].
IC_{50} & Target	IC_{50}=6.7 nM, DC_{50}=0.4 nM

In Vitro

Estrogen receptormodulator 10 (20-100 nM, 2-48 h) significantly reduces ER activity in T47D cells in a dose-dependent manner, and degrades ER in MCF-7 cells later than in T47D cells[1].

Estrogen receptormodulator 10 (10 nM, 24 h) can reduce the growth regulation of TFF-1 (trefoil factor 1), PgR (progesterone receptor) and GRBE1 (GRBE1) produced by E2 stimulation in MCF-7 and T47D cells by estrogen in breast cancer 1)[1].

Estrogen receptormodulator 10 (4-100 nM, 24 h) induces apoptosis in MCF-7 cells, and significantly enhances the activity of caspase-3 and caspase-9 in MCF-7 cells[1].

Estrogen receptormodulator 10 (4-100 nM, 24 h) can inhibit the expression of G1/G0 phase protein in MCF-7 cells[1].

Estrogen receptormodulator 10 (0.2-1 nM, 6 d) significantly inhibits the proliferation of MCF-7 and T47D cells mediated by E2 [1].

Estrogen receptormodulator 10 (4-100 nM, 24 h) significantly reduces the levels of cell migration and proliferating nuclear antigen in MCF-7 cells[1].

Estrogen receptormodulator 10 (20-100 nM, 24 h) reduces ER in cytoplasm and nucleus in MCF-7 cells, promoting the transfer of ER from nucleus to cytoplasm. ER is rapidly degraded through proteasome pathway without affecting the expression of corresponding mRNA[1].

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

Cell Viability Assay[1]

Cell Line:	T47D, MCF-7
Concentration:	100 nM
Incubation Time:	24 h
Result:	Significantly decreased the activity of ER in a dose-dependent manner.

RT-PCR[1]

Cell Line:	T47D, MCF-7

Concentration:	10 nM
Incubation Time:	24 h
Result:	Had antagonistic activity against ER signaling.

Cell Cycle Analysis[1]

Cell Line:	MCF-7
Concentration:	4 nM, 20 nM, 100 nM
Incubation Time:	24 h
Result:	Blocked cells in the G1/G0 phase with a dose-dependent manner.

Cell Proliferation Assay[1]

Cell Line:	T47D, MCF-7
Concentration:	0.2 nM for MCF-7 cells 1 nM for T47D cells
Incubation Time:	6 d
Result:	Could selectively inhibit the proliferation and migration of ER-positive breast cancer cells without affecting ER-negative ones.

Cell Proliferation Assay[1]

Cell Line:	$T74D^{WT}$, $T74D^{Y537S}$, $T74D^{D538G}$
Concentration:	2.21 nM for $T74D^{WT}$ 5.94 nM for $T74D^{Y537S}$ 58.57 nM for $T74D^{D538G}$
Incubation Time:	5 d
Result:	Inhibited mutant cell proliferation in a dose-dependent manner.

In Vivo

Estrogen receptormodulator 10 (30 mg/kg Intramuscular injection (i.m.), single dose) shows favorable pharmacokinetic properties in female Sprague-Dawley mice[1].

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

Animal Model:	Female Sprague-Dawley Rats[1]
Dosage:	30 mg/kg
Administration:	Intramuscular injection (i.m.), single dose
Result:	Showed apparent distribution volume (Vz_F_obs≈1600 L) was nearly 1.3 times smaller than that of fulvestrant (Vz_F_obs≈2100 L), and most drugs could be widely distributed from blood to target tissues and organs.

REFERENCES

[1]. Bingsi Wang, et al.A novel scaffold long-acting selective estrogen receptor antagonist and degrader with superior preclinical profile against ER+ breast cancer,European Journal of Medicinal Chemistry,2023.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA