

Product Data Sheet

Product Name: PROTAC AR Degradar-12

Cat. No.: GC81303

Chemical Properties

Cas. No.

Formula $C_{57}H_{76}N_8O_{10}S$ M.Wt 1065.33

Solubility DMSO: 100 mg/mL (93.87 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

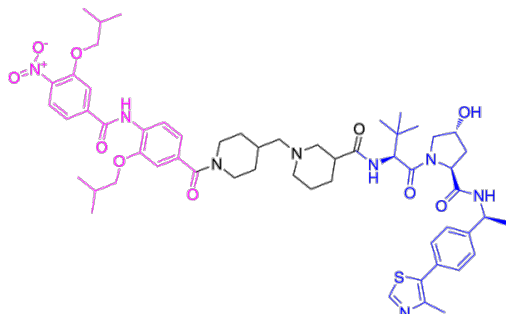
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Background

PROTAC AR Degradar-12 is a highly efficient PROTAC targeting AR coactivator binding site (AR-CBS). PROTAC AR Degradar-12 induces AR degradation in a ubiquitin proteasome system (UPS) pathway-dependent manner. PROTAC AR Degradar-12 inhibits tumor cell growth by affecting DNA replication and cell division. PROTAC AR Degradar-12 could not only effectively degrade AR, but also potentially inhibit the proliferation of MCF-7 and multiple mutant or resistant BC cells. PROTAC AR Degradar-12 effectively blocked estrogen receptor α (ER α) signaling through a dual mechanism involving ER α protein downregulation and suppression of its transcriptional activity. PROTAC AR Degradar-12 significantly inhibits the mRNA expression of FOXA1, GREB1, SRC, and PELP1. PROTAC AR Degradar-12 can be used for the study of breast cancer [1]. (Pink: AR ligand; Blue: VHL ligand; Black: linker).

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In Vivo, PROTAC AR Degradar-12 (Compound 18o) (5-10 $\mu\text{M/kg}$, i.p., once every other day) significantly inhibits tumor growth in MCF-7 cells and LCC2 cells xenograft mice, promotes AR protein degradation and ER α signaling inhibition, without weight loss or other toxicities^[1].

In Vitro, PROTAC AR Degradar-12 (Compound 18o) shows good inhibitory activity against MCF-7 ($\text{IC}_{50} = 0.13 \mu\text{M}$) and LCC2 cells ($\text{IC}_{50} = 0.54 \mu\text{M}$) and exhibits broad-spectrum efficacy against clinically relevant mutant variants, demonstrating potent submicromolar inhibition of MCF-7D538G ($\text{IC}_{50} = 0.66 \mu\text{M}$), MCF-7Y537S ($\text{IC}_{50} = 0.44 \mu\text{M}$), and MCF-7EGFR ($\text{IC}_{50} = 0.52 \mu\text{M}$)^[1]. PROTAC AR Degradar-12 (0.01-2 μM , 24 h) effectively and in a dose-dependent manner degrades AR protein in MCF-7 cells ($\text{DC}_{50} = 0.72 \mu\text{M}$)^[1]. PROTAC AR Degradar-12 (1 μM , 0-24 h) shows a time-dependent effect on AR degradation in MCF-7 cells^[1]. PROTAC AR Degradar-12 (0.01-2 μM , 24 h) causes a concentration-dependent downregulation of ER α protein in MCF-7 cells^[1]. PROTAC AR Degradar-12 (0.01-2 μM , 12-24 h) significantly downregulates ER α protein in MCF-7D538G, MCF-7Y537S, MCF-7EGFR, LCC2, and T47D cells, and fails to downregulate ER β protein in PC9 cells^[1]. PROTAC AR Degradar-12 (1 μM , 24 h) induces a decrease in ER α through an indirect mechanism, rather than by directly targeting the degradation of CBS in MCF-7 cells^[1]. PROTAC AR Degradar-12 (0-5 μM , 0-24 h) reduces AR protein in MCF-7 cells due to posttranscriptional degradation, while the reduction in ER α was a result of transcriptional repression^[1]. PROTAC AR Degradar-12 exhibits potent antiproliferative activity in AR-overexpressing but ER α -deficient prostate cancer cells LNCaP ($\text{IC}_{50} = 0.46 \mu\text{M}$), while its antiproliferative activity is significantly reduced in AR-negative MDA-MB-231 cells ($\text{IC}_{50} > 30 \mu\text{M}$)^[1]. PROTAC AR Degradar-12 was very effective in stabilizing the AR protein at high temperature, while it only displays a weak protective effect on ER α protein, suggesting that compound PROTAC AR Degradar-12 engages AR but does not bind to ER α in LCC2 cells^[1]. PROTAC AR Degradar-12 (1 μM , 24 h) significantly inhibits the mRNA expression of FOXA1, GREB1, SRC, and PELP1 in MCF-7 cells^[1]. PROTAC AR Degradar-12 (1-10 μM , 48 h) induces S-phase cell cycle arrest in MCF-7 and LCC2 cells^[1].

References:

[1]. Wu Y, et al. Kill Two Birds with One Stone: An Efficient and Potent AR CBS-Targeted Degradar Reverses Breast Cancer Resistance via Concurrent AR Degradation and ER α Transcriptional

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