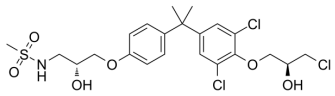
EPI-7170

Cat. No.:	HY-150102
CAS No.:	2139288-26-7
Molecular Formula:	$C_{22}H_{28}Cl_3NO_6S$
Molecular Weight:	540.88
Target:	Androgen Receptor
Pathway:	Vitamin D Related/Nuclear Receptor
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



BIOLOGICAL ACTIVITY

Description

EPI-7170, a ralaniten analogue, is a potent androgen receptor N-terminal structural domain antagonist that blocks the transcriptional activity of full-length AR (FL-AR) and AR splice variants (AR-Vs). EPI-7170 has antitumor effects against enzalutamide resistant castration-resistant prostate cancer (CRPC)[1].

In Vitro

EPI-7170 (0-12 μM, 24 or 48 h) inhibits cell proliferation in VCaP-ENZR and C4-2B-ENZR cells, also enhances the effect of enzalutamide which has a lower IC_{50} when bound to EPI-7170[1].
EPI-7170 (0-20 μM, 24 or 48 h) synergistically inhibits androgen receptor (AR) transcriptional activity in ENZR cells expressing androgen receptor splice variant-7 (AR-V7) with enzalutamide[1].
EPI-7170 (3.5 μM, 48 h) results in an increase in G1 phase and a decrease in S phase, and reduces the expression levels of CDK4, cyclin D1 and cyclin A2 proteins[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	C4-2B-ENZR cells
Concentration:	3.5 μM
Incubation Time:	48 h
Result:	Resulted in an increase in G1 phase and a decrease in S phase, and reduced the expression levels of CDK4, cyclin D1 and cyclin A2 proteins.

In Vivo

EPI-7170 (oral administration, 30 mg/kg, daily, 31 days) has some anti-tumor activity and can be combined with enzalutamide in male NOD/SCID mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-8 week old male NOD/SCID mice infected with VCaP-ENZR cells[1]
Dosage:	30 mg/kg
Administration:	Oral administration; daily; 31 days
Result:	Significantly reduced tumor volume and decreased levels of FL-AR and AR-V7 in harvested

	xenografts.

REFERENCES

[1]. Hirayama Y, et al. Combination therapy with androgen receptor N-terminal domain antagonist EPI-7170 and enzalutamide yields synergistic activity in AR-V7-positive prostate cancer. Mol Oncol. 2020 Oct;14(10):2455-2470.

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