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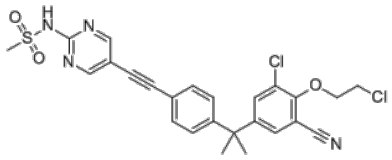
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	ET516
Cat. No.	:	PC-20115
CAS No.	:	2820120-95-2
Molecular Formula	:	$C_{25}H_{22}Cl_2N_4O_3S$
Molecular Weight	:	529.44
Target	:	Androgen Receptor (AR)
Solubility	:	10 mM in DMSO



Biological Activity

ET516 is a potent **androgen receptor** liquid-liquid phase separation (AR LLPS) inhibitor, specifically disrupts AR condensates, effectively suppresses AR transcriptional activity and inhibits the proliferation and tumor growth of prostate cancer cells expressing AR-resistant mutants.
ET516 dose-dependently inhibited the ARE reporter activity and condensate formation of AR(F877L/T878A) with IC50 of 0.7 μM and 0.2 μM, respectively.
ET516 potently inhibited the phase separation capability and transcriptional activation of AR(WT), AR(T878A) and AR(W742C) without inducing nuclear translocation of AR or affecting AR protein levels.
ET516, but not enzalutamide, also suppressed the puncta formation and transcriptional activity of constitutively active AR splice variant AR(V7).
ET516 (10 uM) specifically reduced AR transcriptional activity and inhibits growth of CRPC, reduced the proliferation of AR-positive LNCaP and VCaP cells, but not that of AR-negative PC3 cells.
ET516 efficiently inhibited the growth of both enzalutamide-resistant mutants AR(F877L/T878A), and AR(V7) expressing cells, but not enzalutamide.
ET516 (30mg/kg, p.o., BID) reduced tumor growth of LNCaP-AR(F877L/T878A) xenografts.

References

Jingjing Xie, et al. ***Nat Chem Biol.*** 2022 Dec;18(12):1341-1350.

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