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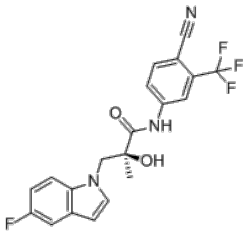
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	UT-155
Cat. No.	:	PC-21406
CAS No.	:	2031161-35-8
Molecular Formula	:	$C_{20}H_{15}F_4N_3O_2$
Molecular Weight	:	405.35
Target	:	Androgen Receptor (AR)
Solubility	:	10 mM in DMSO



Biological Activity

UT-155 is a potent and selective AR degrader (SARD), binds to AR-LBD (Ki=267 nM), degrades and inhibits AR and AR splice variants (AR-SVs), including AR-V7.
UT-155 potently inhibits the R1881-induced wildtype AR transactivation with 6-10-fold higher potency than enzalutamide.
UT-155, but not enzalutamide, inhibits the expression of PSA in LNCaP-EnzR (F876L-AR).
UT-155 reduces AR expression and promotes degradation of the AR potentially through proteasome pathway.
UT-155 promotes degradation of splice-variants of AR in in 22RV1 cells, inhibits AR-target gene expression.
UT-155 binds to AR Activation Function Domain 1 (AF-1) between amino acids 244 and 360 with Kd of 1.32 uM, but not to the LBD.
UT-155 inhibits AR- and AR-SV-dependent PCa cell proliferation. UT-155 inhibits growth of AR-SV-dependent prostate cancer xenografts.

References

Ponnusamy S, et al. Cancer Res. 2017 Nov 15;77(22):6282-6298.

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