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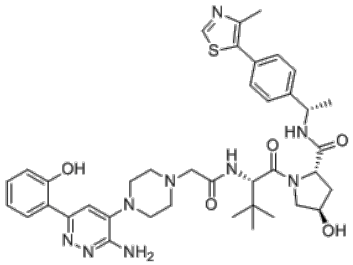
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AU-15330
Cat. No.	:	PC-72798
CAS No.	:	2380274-50-8
Molecular Formula	:	$C_{39}H_{49}N_9O_5S$
Molecular Weight	:	755.939
Target	:	PROTAC
Solubility	:	10 mM in DMSO



Biological Activity

AU-15330 (AU15330) is a highly specific and VHL-dependent **PROTAC** degrader of **SWI/SNF** ATPase components (SMARCA2, SMARCA4 and PBRM1), shows preferential cytotoxicity in enhancer-binding transcription factor-addicted cancers at low nanomolar concentrations.
The PROTAC degrader, AU-15330, comprising a bait moiety that binds the bromodomain in SMARCA2 and SMARCA4 and a ligand moiety for the von Hippel–Lindau (VHL) ubiquitin ligase.
Treatment of several cell lines with AU-15330 led to time and dose-dependent degradation of SMARCA2, SMARCA4 and PBRM1, exhibited preferential cytotoxicity in enhancer-binding transcription factor-driven cancers.
AR and FOXA1-driven prostate cancer cells to be preferentially sensitive to AU-15330 (IC50<100 nM).
AU-15330 inhibits tumour growth in preclinical models of CRPC and synergizes with enzalutamide.
Inactivation of SWI/SNF ATPase induces a rapid, near-complete and targeted loss of chromatin accessibility at the core-enhancer circuitry of AR, FOXA1, MYC and ERG, thereby attenuating their cancer-promoting transcriptional programs and tempering the enhancer-wired supra-physiologic expression of driver oncogenes.

References

Lanbo Xiao, et al. ***Nature.*** 2022 Jan;601(7893):434-439.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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