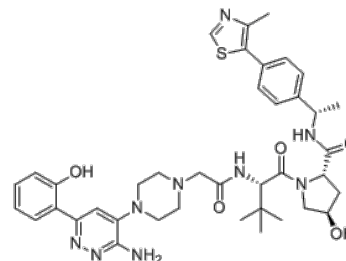


Product Name : AU-15330
Cat. No. : PC-72798
CAS No. : 2380274-50-8
Molecular Formula : C₃₉H₄₉N₉O₅S
Molecular Weight : 755.939
Target : PROTAC
Solubility : 10 mM in DMSO



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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