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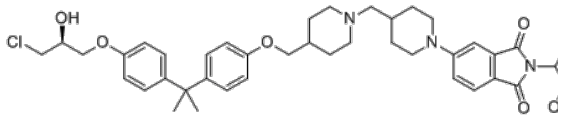
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BWA-522
Cat. No.	:	PC-21123
CAS No.	:	3042820-12-9
Molecular Formula	:	$C_{43}H_{51}ClN_4O_7$
Molecular Weight	:	771.35
Target	:	PROTAC
Solubility	:	10 mM in DMSO



Biological Activity

BWA-522 is a potent, orally bioavailable **PROTAC** degrader of the androgen receptor N-terminal domain (**AR-NTD**), induces AR-FL or AR-V7 protein degradationwith DC50 of 0.73 μM (AR-FL) and 0.67 μM (AR-V7) in VCaP cells.
BWA-522 is a novel and orally active AR-FL and AR-V7 PROTAC degrader.
BWA-522 inhibits the growth of AR-dependent PC cell growth, achieving IC50 values of 1.07 and 5.59 μM in LNCaP and VCaP cells.
BWA-522 effectively inhibits the growth of enzalutamide-resistant cells 22Rv1 with IC50 values of 4.08 μM, but not AR antagonist EPI-002 (IC50>30 μM).
BWA-522 suppresses the colony formation, inhibits the expression of AR-regulated protein, induced apoptosis in LNCaP and VCaP cells.
BWA-522 (20 or 60 mg/kg, PO) exhibits antitumor efficacy in the LNCaP xenograft tumor model in mice.

References

Bowen Zhang, et al. ***J Med Chem.*** 2023 Aug 24;66(16):11158-11186.

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