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Androgen Receptor Degradar ARV-110

National Cancer Institute

Source

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An orally available selective androgen receptor (AR)-targeted protein degrader, using the proteolysis targeting chimera (PROTAC) technology, with potential antineoplastic activity. ARV-110 is composed of an AR ligand attached to an E3 ligase recognition moiety. Upon oral administration, ARV-110 targets and binds to the AR ligand binding domain. E3 ligase is recruited to the AR by the E3 ligase recognition moiety and the AR target protein is tagged by ubiquitin. This causes ubiquitination and degradation of AR by the proteasome. This prevents the expression of AR target genes and halts AR-mediated signaling. This results in an inhibition of proliferation in AR-overexpressing tumor cells. In addition, the degradation of the AR protein releases the ARV-110 is released and can bind to additional AR target proteins. AR plays a key role in the proliferation of castration-resistant prostate cancer cells (CRPC).