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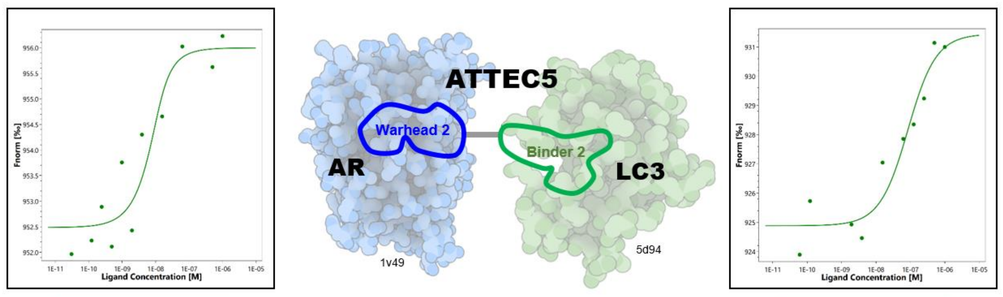
Discovery of AR-targeting ATTEC compounds for treating prostate cancer

Korea Research Institute of Chemical Technology /
Therapeutics & Biotechnology Division

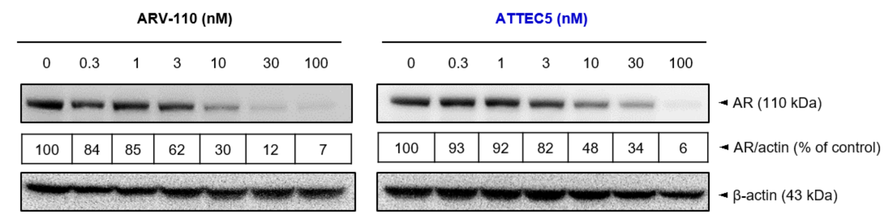
Disease Area	***Cancer***
Product Type	Small molecule (ATTEC, TPD compound)
Indication	Prostate cancer
Target	Androgen receptor
Mechanism of Action	AR-ATTEC tethers AR protein to autophagosome through its interaction with LC3, it is directed for autophagic degradation of target protein.
Competitiveness	Compounds that can treat resistant prostate cancer to existing therapies using autophagy system.
Development Stage	***Hit compound (ATTEC5)***
Route of Administration	Oral
Key Data	**1. Binding affinity of AR-ATTEC (ATTEC5)**



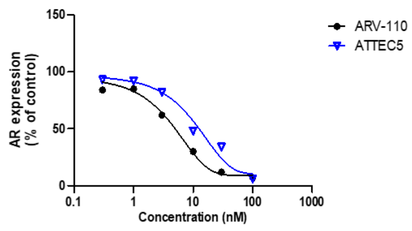
AR-ATTEC5
Kd=2.99 nM

LC3-ATTEC5
Kd=75.1 nM

2. Strong anticancer activity and AR degradation of ATTEC5 compared to PROTAC

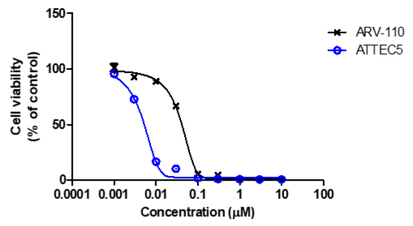


AR degradation



	DC_{50}
ARV-110	5.57 nM
ATTEC5	9.57 nM

Anticancer activity



	GI_{50}
ARV-110	49.31 nM
ATTEC5	5.85 nM

IP	Be scheduled