

## This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
<b>Cancer</b>				
Prostate cancer	Androgen receptor (AR)	<p>Cell culture studies have identified AR-inhibiting 4-(4-phenylthiazol-2-yl)morpholine analogs that could be useful for treating drug-resistant prostate cancer. <i>In vitro</i>, members of the series were shown to target the AR DNA-binding domain. In an AR<sup>+</sup> human prostate cancer cell line, the lead analog from the series inhibited AR-mediated transcriptional activity with potency comparable to that of the small molecule AR antagonist Xtandi enzalutamide. In a human prostate cancer cell line that expresses truncated AR splice variants that confer resistance to AR antagonists including Xtandi, the lead analog inhibited AR-mediated transcriptional activity with higher potency than Xtandi. Next steps could include carrying out IND-enabling pharmacokinetic, pharmacodynamic and safety studies on the lead analogs.</p> <p>Medivation Inc. and Astellas Pharma Inc. market Xtandi to treat prostate cancer.</p> <p><b>SciBX 7(33); doi:10.1038/scibx.2014.984</b> Published online Aug. 28, 2014</p>	Patent application filed; available for licensing	<p>Li, H. <i>et al. J. Med. Chem.</i>; published online July 25, 2014; doi:10.1021/jm500802j</p> <p><b>Contact:</b> Artem Cherkasov, The University of British Columbia, Vancouver, British Columbia, Canada e-mail: <a href="mailto:artc@interchange.ubc.ca">artc@interchange.ubc.ca</a></p>