This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Cancer				
Cancer	Proteasome	<p>Cell culture studies identified peptide-based, noncovalent inhibitors of the 20S proteasome that could be useful for treating cancer. Marketed proteasome inhibitors bind the target covalently, and noncovalent inhibitors could potentially hit the target with more specificity and fewer side effects. A series of dimerized linear mimics of a noncovalent cyclopeptide proteasome inhibitor were generated, and the most potent members of the series inhibited the 20S proteasome's catalytic activity with micromolar and submicromolar IC₅₀ values in a human cell line. Next steps include evaluating the <i>in vivo</i> biological activity, toxicity and stability of the lead peptides.</p> <p>Takeda Pharmaceutical Co. Ltd. and Johnson & Johnson market Velcade bortezomib, a covalent small molecule dipeptide boronic acid proteasome inhibitor, to treat multiple myeloma (MM) and mantle cell lymphoma (MCL).</p> <p>Onyx Pharmaceuticals Inc. and Ono Pharmaceutical Co. Ltd. market Kyprolis carfilzomib, a covalent proteasome inhibitor, to treat MM.</p> <p>At least five other companies have proteasome inhibitors in Phase II testing or earlier to treat various types of cancer.</p> <p>SciBX 6(15); doi:10.1038/scibx.2013.359 Published online April 18, 2013</p>	Unpatented; licensing status unavailable	<p>Desvergne, A. <i>et al.</i> <i>J. Med. Chem.</i>; published online March 29, 2013; doi:10.1021/jm4002007</p> <p>Contact: Michèle Reboud-Ravaux, Pierre and Marie Curie University, Paris, France e-mail: michele.reboud@upmc.fr</p> <p>Contact: Joelle Vidal, University of Rennes 1, Rennes, France e-mail: joelle.vidal@univ-rennes1.fr</p>