This week in therapeutics

Indication	Target/marker/ pathway	Summary	Licensing status	Publication and contact information
Cancer				
Cancer	Integrin $\alpha_v\beta_3$ (CD51/CD61)	<p><i>In vitro</i> studies have identified selective integrin $\alpha_v\beta_3$ antagonists that could be useful for treating cancer. Synthesis of arginine-glycine-aspartic (RGD) acid peptidomimetics based on a β-homotyrosine scaffold yielded integrin $\alpha_v\beta_3$ antagonists with EC_{50} values in the low nanomolar or subnanomolar range and good selectivity for integrin $\alpha_v\beta_3$ over integrin $\alpha_5\beta_1$. Next steps could include comparing the RGD acid peptidomimetics with Merck KGaA's cilengitide in animal models.</p> <p>Cilengitide is a cyclic peptide angiogenesis inhibitor that potently blocks integrin $\alpha_v\beta_3$ but also has activity against the related integrin $\alpha_5\beta_1$, which prevents selective targeting. The molecule is in Phase II testing to treat head and neck cancer and lung cancer. At least five other companies have integrin $\alpha_v\beta_3$-targeting compounds in Phase II testing or earlier to treat various cancers.</p> <p>SciBX 7(17); doi:10.1038/scibx.2014.484 Published online May 1, 2014</p>	Patent and licensing status unavailable	<p>Neubauer, S. <i>et al. J. Med. Chem.</i>; published online March 23, 2014; doi:10.1021/jm500092w</p> <p>Contact: Horst Kessler, Technical University Munich, Munich, Germany e-mail: kessler@tum.de</p>