This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Cancer				
Non-Hodgkin's lymphoma (NHL)	Enhancer of zeste homolog 2 (EZH2)	<p>Mouse and <i>in vitro</i> studies suggest inhibiting EZH2 could help treat NHL characterized by <i>EZH2</i>-activating mutations. <i>In vitro</i>, EPZ005687 from Epizyme Inc. and GSK126 from GlaxoSmithKline plc inhibited wild-type and mutant <i>EZH2</i> with low nanomolar K_i values. In most NHL cell lines bearing <i>EZH2</i>-activating mutations, EPZ005687 and/or GSK126 decreased cell proliferation compared with vehicle. In a mouse xenograft model of <i>EZH2</i> mutant NHL, GSK126 led to tumor regression and increased survival compared with vehicle. Next steps include additional preclinical studies to prepare for Phase I clinical trials.</p> <p>GlaxoSmithKline has a preclinical program targeting EZH2 in cancer.</p> <p>Epizyme and partner Eisai Co. Ltd. have a preclinical program targeting EZH2 in NHL and breast cancer.</p> <p>Constellation Pharmaceuticals Inc. has a preclinical program targeting EZH2 in cancer.</p> <p>SciBX 5(41); doi:10.1038/scibx.2012.1080 Published online Oct. 18, 2012</p>	<p>Findings in first study covered by patents and patent applications; partnered with Eisai</p> <p>Patent and licensing status undisclosed for findings in second study</p>	<p>Knutson, S.K. <i>et al. Nat. Chem. Biol.</i>; published online Sept. 30, 2012; doi:10.1038/nchembio.1084 Contact: Kevin W. Kuntz, Epizyme Inc., Cambridge, Mass. e-mail: kkuntz@epizyme.com</p> <p>McCabe, M.T. <i>et al. Nature</i>; published online Oct. 10, 2012; doi:10.1038/nature11606 Contact: Caretha L. Creasy, GlaxoSmithKline plc, Collegeville, Pa. e-mail: caretha.l.creasy@gsk.com</p>