This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Cancer				
Cancer	Enhancer of zeste homolog 2 (EZH2)	<p>Cell culture studies identified a small molecule inhibitor of EZH2 that could help treat EZH2-dependent cancers. Chemical screening and lead optimization identified a competitive small molecule inhibitor that selectively decreased trimethylation of histone H3K27 by wild-type or mutant EZH2. In Pfeiffer lymphoma cells carrying mutant EZH2, the lead compound dose-dependently inhibited growth and H3K27 trimethylation. Next steps include further optimizing lead compounds and testing them in lymphoma models.</p> <p>Epizyme Inc. has the selective EZH2 inhibitor E7438 in Phase I/II testing to treat lymphomas.</p> <p>Constellation Pharmaceuticals Inc. has EZH2 inhibitors in discovery to treat cancer.</p> <p>GlaxoSmithKline plc and Novartis AG also have EZH2 discovery programs.</p> <p>SciBX 6(47); doi:10.1038/scibx.2013.1341 Published online Dec. 12, 2013</p>	Patent and licensing status undisclosed	<p>Garapaty-Rao, S. <i>et al. Chem. Biol.</i>; published online Oct. 31, 2013; doi:10.1016/j.chembiol.2013.09.013</p> <p>Contact: Patrick Trojer, Constellation Pharmaceuticals Inc., Cambridge, Mass. e-mail: patrick.trojer@constellationpharma.com</p>