This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Cancer				
Cancer	Enhancer of zeste homolog 2 (EZH2); embryonic ectoderm development (EED)	*In vitro* studies suggest inhibiting EZH2-EED interactions could help treat cancer. Chemical synthesis and *in vitro* testing of stapled peptide analogs of the EED-binding domain of EZH2 identified a lead peptide with nanomolar binding affinity for EED. In a murine leukemia cell line and human breast and prostate cancer cell lines, the peptide inhibited EZH2-EED interactions and decreased proliferation compared with a control peptide. In human lymphoma cell lines harboring *EZH2* mutations, the peptide and a small molecule inhibitor of the EZH2 catalytic site decreased proliferation compared with either agent alone. Ongoing work includes optimizing the lead peptide and testing it in a range of cancers. Epizyme Inc. and Eisai Co. Ltd. have EPZ6438 (E7438), a selective inhibitor of EZH2, in Phase I/II testing to treat lymphoma and non-Hodgkin's lymphoma (NHL). Constellation Pharmaceuticals Inc., Novartis AG and GlaxoSmithKline plc have EZH2 inhibitors in preclinical development to treat cancer. *SciBX* 6(37); doi:10.1038/scibx.2013.1023 Published online Sept. 26, 2013	Patented by the Dana-Farber Cancer Institute; available for licensing or partnering	Kim, W. *et al. Nat. Chem. Biol.*; published online Aug. 25, 2013; doi:10.1038/nchembio.1331 **Contact:** Stuart H. Orkin, Boston Children's Hospital, Boston, Mass. e-mail: stuart_orkin@dfci.harvard.edu **Contact:** Loren Walensky, same affiliation as above e-mail: loren_walensky@dfci.harvard.edu