

This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Various				
Cancer; inflammation	Bromodomain containing 4 (BRD4)	<p>An <i>in vitro</i> study suggests the epigenetic target BRD4 also has kinase activity, which could be inhibited to treat cancer or inflammation. In <i>in vitro</i> and cellular assays, BRD4 catalyzed phosphorylation of serine 2 (SER2) on RNA polymerase II, a site that needs to be phosphorylated for active transcription to occur. In cells, a BRD4 inhibitor lowered levels of SER2 phosphorylation on RNA polymerase II compared with no treatment. Next steps include screening for molecules that inhibit BRD4 kinase activity with high selectivity.</p> <p>Tensha Therapeutics Inc. has inhibitors of BET bromodomain, a class that includes BRD4, in preclinical development for cancer. Constellation Pharmaceuticals Inc. has BET bromodomain inhibitors in preclinical development for cancer and immunological indications.</p> <p>SciBX 5(19); doi:10.1038/scibx.2012.500 Published online May 10, 2012</p>	Unpatented; licensing status not applicable	<p>Devaiah, B.N. <i>et al. Proc. Natl. Acad. Sci. USA</i>; published online April 16, 2012; doi:10.1073/pnas.1120422109 Contact: Dinah Singer, National Institutes of Health, Bethesda, Md. e-mail: dinah.singer@nih.gov</p>