This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Cancer				
Cancer	Jumonji domain containing 2C (JMJD2C; KDM4C)	<p><i>In vitro</i> and SAR studies identified inhibitors of JMJD2C that could be used in combination with lysine-specific histone demethylase 1 (KDM1A; LSD1) inhibitors to help treat cancer. An enzymatic assay identified hydroxamate analogs that inhibited JMJD2C with over 500-fold greater inhibitory activity and more than 9,100-fold better selectivity than the parent compound <i>N</i>-oxalylglycine. In a human prostate cancer cell line, prodrugs of the most potent and selective analog in combination with an LSD1 inhibitor decreased cell growth compared with the inhibitor alone. Next steps include identifying more potent and selective inhibitors with <i>in vivo</i> activity.</p> <p>Progen Pharmaceuticals Ltd.'s PG11144, an LSD1 inhibitor, is in preclinical testing to treat cancers.</p> <p>SciBX 3(29); doi:10.1038/scibx.2010.887 Published online July 29, 2010</p>	Patent application filed for the inhibitors; available for licensing	<p>Hamada, S. <i>et al. J. Med. Chem.</i>; published online July 14, 2010; doi:10.1021/jm1003655</p> <p>Contact: Naoki Miyata, Nagoya City University, Aichi, Japan e-mail: miyata-n@phar.nagoya-cu.ac.jp</p> <p>Contact: Tamio Mizukami, same affiliation as above e-mail: mizukami@nagahama-i-bio.ac.jp</p> <p>Contact: Takayoshi Suzuki, same affiliation as above e-mail: suzuki@phar.nagoya-cu.ac.jp</p>