This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Cancer				
Cancer	Lysine-specific demethylase 4 (KDM4; JMJD2); lysine-specific demethylase 1A (KDM1A; LSD1)	<p>SAR studies suggest compounds that inhibit both LSD1 and JMJD2 could be useful for treating cancer. <i>In vitro</i>, coupling known LSD1 and JMJD2 inhibitors led to the creation of a compound that had a submicromolar IC₅₀ value for LSD1 and an IC₅₀ of ~1.2 μM for JMJD2. In three cancer cell lines, the compound increased histone methylation and apoptosis compared with parent compounds given alone but did not induce apoptosis in noncancerous mesenchymal progenitor cells. Next steps could include preclinical testing and optimization of dual inhibitors of the targets.</p> <p>Oryzon Genomics S.A. has the LSD1 inhibitor ORY-1001 in Phase I/IIa trials for acute myelogenous leukemia (AML).</p> <p>Salaris Pharmaceuticals LLC's SP-2528, a selective LSD1 inhibitor, is in preclinical development for cancer.</p> <p>GlaxoSmithKline plc has LSD1 inhibitors in preclinical development to treat AML.</p> <p>SciBX 7(5); doi:10.1038/scibx.2014.139 Published online Feb. 6, 2014</p>	Patent and licensing status undisclosed	<p>Rotili, D. <i>et al. J. Med. Chem.</i>; published online Dec. 10, 2013; doi:10.1021/jm4012802</p> <p>Contact: Antonello Mai, Sapienza University of Rome, Rome, Italy e-mail: antonello.mai@uniroma1.it</p>