This week in therapeutics

Indication	Target/marker/ pathway	Summary	Licensing status	Publication and contact information
Metabolic disease				
Dyslipidemia	Arrestin β 1 (ARRB1); G protein-coupled receptor 109A (GPR109A; HM74A)	<p><i>In vitro</i> and mouse studies suggest that GPR109A agonists that do not stimulate ARRB1 could help treat dyslipidemia with fewer flushing side effects. The GPR109A agonist nicotinic acid lowers triglycerides and high-density lipoprotein but also causes flushing. In cell culture, nicotinic acid caused ARRB1-mediated release of a prostaglandin D₂ precursor that causes vasodilation and flushing. In ARRB1-deficient mice treated with nicotinic acid, cutaneous flushing was decreased, but serum free fatty acid levels were similar to those of wild-type mice. The next steps include identifying a G protein-based ligand that activates GPR109A in an ARRB1-independent way.</p> <p>Incyte Corp.'s INCB1902, a GPR109A agonist, is in Phase I testing to treat diabetes. Taisho Pharmaceutical Co. Ltd. and Arena Pharmaceuticals Inc. have a GPCR modulator targeting GPR109A in Phase I testing in neurology.</p> <p>SciBX 2(15); doi:10.1038/scibx.2009.631 Published online April 16, 2009</p>	Provisional patent application filed; screening concept for biased niacin receptor ligands or parathyroid receptor hormones available for licensing	<p>Walters, R. <i>et al. J. Clin. Invest.</i>; published online April 6, 2009; doi:10.1172/JCI36806</p> <p>Contact: Robert J. Lefkowitz, Duke University Medical Center, Durham, N.C. e-mail: lefko001@receptor-biol.duke.edu</p>