This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Cancer				
Cancer	Insulin receptor substrate 1 (IRS1); phosphatidylinositol 3-kinase catalytic subunit α-polypeptide (PIK3CA; p110α); phosphoinositide 3-kinase-α (PI3Kα)	Cell culture and mouse studies suggest disrupting the interaction between IRS1 and mutant p110α could help treat cancer. p110α is the catalytic subunit of PI3Kα. In a human colorectal cancer cell line, E545K mutant p110α interacted with IRS1, whereas wild-type p110α did not. In a mouse xenograft model for human colorectal cancer that expressed the E545K mutant p110a, injection of a stapled peptide that disrupts the IRS1–mutant p110a interaction decreased tumor growth compared with injection of a control peptide or vehicle. Next steps include developing peptidomimetics with improved pharmacokinetics and potency and developing an assay to screen for small molecules that could disrupt the IRS1–mutant p110α interaction. Gene Signal International S.A.'s aganirsen, an antisense oligonucleotide that targets IRS1 mRNA, is in preclinical development to treat bladder cancer. At least four companies have PI3Kα-specific inhibitors in Phase I or Phase II testing for cancer. *SciBX* 6(19); doi:10.1038/scibx.2013.464 Published online May 16, 2013	Patent application filed; available for licensing from the Case Western Reserve University Technology Transfer Office	Hao, Y. *et al. Cancer Cell*; published online May 13, 2013; doi:10.1016/j.ccr.2013.03.021 **Contact:** Zhenghe Wang, Case Western Reserve University, Cleveland, Ohio e-mail: zxw22@case.edu **Contact:** Weiping Zheng, Jiangsu University School of Pharmacy, Zhenjiang, China e-mail: wzheng@ujs.edu.cn