This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Cancer				
Cancer	Breakpoint cluster region (BCR; BCR-ABL1); v-src sarcoma (Schmidt-Ruppin A-2) viral oncogene homolog (avian) (SRC); mammalian target of rapamycin (mTOR; FRAP; RAFT1); kinase insert domain receptor (a type III receptor tyrosine kinase) (KDR); phosphoinositide 3-kinase (PI3K)	<p>An SAR study identified dual inhibitors of tyrosine kinases and PI3Ks that could help treat cancer. X-ray crystal structure analysis revealed that the tyrosine kinases and PI3Ks shared a conserved hydrophobic pocket targeted by the pyrazolopyrimidine inhibitors. In cancer cells, the most active inhibitor targeted multiple kinases, including mTOR, SRC, BCR-ABL1 and KDR, and blocked proliferation. Further studies include determining the pharmacokinetics of the most active compounds and identifying the types of cancer in which the inhibitors are most effective. At least 21 companies have cancer compounds targeting the tyrosine kinases and PI3Ks in development stages ranging from preclinical to marketed.</p> <p>SciBX 1(39); doi:10.1038/scibx.2008.944 Published online Oct. 30, 2008</p>	Patent applications filed covering the compounds in the U.S., Europe and other countries; exclusively licensed to Intellikine Inc. ; unavailable for licensing	<p>Apsel, B. <i>et al. Nat. Chem. Biol.</i>; published online Oct. 12, 2008; doi:10.1038/nchembio.117</p> <p>Contact: Kevan M. Shokat, University of California, San Francisco, Calif. e-mail: shokat@cmp.ucsf.edu</p>