

THE DISTILLERY

This week in therapeutics

Indication	Target/marker/ pathway	Summary	Licensing status	Publication and contact information
Cancer				
Breast cancer	Protein tyrosine kinase 6 (PTK6; BRK); epidermal growth factor receptor (EGFR; ERBB1; HER1); v-erb-b2 erythroblastic leukemia viral oncogene homolog 2 (ERBB2; HER2; Neu)	In vitro and in vivo studies suggest that inhibiting BRK could be useful for treating ERBB2-positive breast cancer. In cultured breast cancer cells, expression of BRK prolonged activation of the RAS/mitogen-activated protein kinase pathway and promoted cell proliferation. In a mouse model of breast cancer, Brk overexpression shortened the latency of Erbb2-induced tumors. Moreover, treatment with lapatinib, an ERBB1 and ERBB2 inhibitor, was unable to inhibit Erbb2-induced proliferation caused by overexpression of Brk. Next steps include identifying a small molecule inhibitor of BRK. GlaxoSmithKline plc markets Tykerb lapatinib to treat breast cancer in the U.S. There are no fewer than 14 other inhibitors of ERBB2 in developmental stages ranging from preclinical to marketed for cancer.	Not patented; unlicensed	Xiang, B. <i>et al. Proc. Natl. Acad. Sci.</i> <i>USA</i> ; published online Aug. 11, 2008; doi:10.1073/pnas.0805009105 Contact: Senthil K. Muthuswamy, Cold Spring Harbor Laboratory, Cole Spring Harbor, N.Y. e-mail: muthuswa@cshl.edu