

THE DISTILLERY

This week in therapeutics

Indication	Target/marker/ pathway	Summary	Licensing status	Publication and contact information
Cancer				
Cancer	Mammalian target of rapamycin (mTOR; FRAP; RAFT1); phosphoinositide 3-kinase (PI3K)	Studies in cell culture and in mice suggest that a new class of dual PI3K/mTOR inhibitors could help treat cancer. In human cell lines, the morpholino triazolopyrimidine PKI-402 inhibited PI3K and mTOR at low nanomolar concentrations. In mice with breast cancer, PKI-402 reduced tumor growth compared with vehicle control. Ongoing work includes testing PKI-402 in other models of cancer. Exelixis Inc. and sanofi-aventis Group's dual PI3K/ mTOR inhibitor, XL765, is in Phase I/IIb testing to treat glioblastoma and non–small cell lung cancer (NSCLC) and is in Phase I testing to treat solid tumors. Novartis AG's dual PI3K/mTOR inhibitors BEZ235 and BGT226 are in Phase I/II testing to treat advanced breast cancer and advanced solid tumors, respectively.	Patent and licensing status undisclosed	Dehnhardt, C. <i>et al. J. Med. Chem.</i> ; published online Dec. 7, 2009; doi:10.1021/jm9014982 Contact: Christoph M. Dehnhardt Pfizer Pharma Therapeutics, Pearl River, N.Y. e-mail: dehnhac@wyeth.com

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