This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Cancer				
Cancer	K-Ras (KRAS) G12C	<p><i>In vitro</i> studies suggest an allosteric, irreversible inhibitor of KRAS G12C could help treat cancer. A fragment-based screen yielded compounds that selectively bound oncogenic KRAS G12C and did not bind wild-type KRAS. Structure-based optimization yielded an acrylamide-based compound that irreversibly bound KRAS G12C via an allosteric site, inhibiting GTP-GDP exchange and KRAS G12C-effector protein interactions. In lung cancer cell lines expressing KRAS G12C, compared with cells expressing wild-type KRAS, the compound increased apoptosis. Next steps could include developing compounds that are active <i>in vivo</i> and testing them in mouse models of cancer.</p> <p>SciBX 7(1); doi:10.1038/scibx.2014.9 Published online Jan. 9, 2014</p>	Patented; licensed to Araxes Pharma LLC	<p>Ostrem, J.M. <i>et al. Nature</i>; published online Nov. 20, 2013; doi:10.1038/nature12796 Contact: Kevan M. Shokat, University of California, San Francisco, Calif. e-mail: kevan.shokat@ucsf.edu</p>