

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> and cell culture studies identified a covalent inhibitor of mutant KRAS G12C that could help treat cancer. About 10%–20% of all Ras-driven cancers and about 50% of Ras-driven lung adenocarcinomas carry the KRAS G12C mutation. <i>In silico</i> analyses informed the design of a covalent and selective KRAS G12C inhibitor that stabilized the inactive state of the enzyme. In cultured cells, the compound decreased KRAS G12C activity, activation of downstream signaling pathways and KRAS G12C-driven cell proliferation compared with a control compound. Next steps include improving the cellular activity of the compound with prodrug and bioisosteric replacement strategies.</p> <p>SciBX 6(48); doi:10.1038/scibx.2013.1379 Published online Dec. 19, 2013</p>	Patent and licensing status undisclosed	Lim, S.M. <i>et al. Angew. Chem. Int. Ed.</i> ; published online Nov. 20, 2013; doi:10.1002/anie.201307387 Contact: Nathanael S. Gray, Dana-Farber Cancer Institute, Boston, Mass. e-mail: nathanael_gray@dfci.harvard.edu