This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Cancer				
Cancer	Stem cell factor receptor tyrosine kinase (c-Kit; KIT; CD117)	<p><i>In vitro</i> studies have identified selective inhibitors of the drug-resistant c-Kit D816V mutant that could help treat cancers that have become refractory to existing kinase inhibitors. <i>In vitro</i>, lead compounds in the series of 7-azaindole-based molecules inhibited c-Kit D816V with IC₅₀ values < 10 nM. In a human leukemia cell line expressing c-Kit D816V, lead compounds inhibited proliferation at submicromolar IC₅₀ values. Next steps could include testing the compound in mouse models of cancer. AB Science S.A. has the small molecule tyrosine kinase/c-Kit inhibitor masitinib in multiple Phase III trials to treat various cancers.</p> <p>Amgen Inc. and Takeda Pharmaceutical Co. Ltd. have motesanib diphosphate, a small molecule tyrosine kinase/c-Kit inhibitor, in Phase III testing to treat non-small cell lung cancer (NSCLC).</p> <p>At least four other companies have compounds that inhibit c-Kit in Phase II or earlier testing for various cancers.</p> <p>SciBX 7(32); doi:10.1038/scibx.2014.947 Published online Aug. 21, 2014</p>	Patent and licensing status unavailable	<p>Lee, S. <i>et al.</i> <i>J. Med. Chem.</i>; published online July 8, 2014; doi:10.1021/jm500413g Contact: Sungwoo Hong, Institute for Basic Science, Daejeon, South Korea e-mail: hongorg@kaist.ac.kr Contact: Soon-Sun Hong, Inha University, Incheon, South Korea e-mail: hongs@inha.ac.kr</p>