This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Infectious disease				
HCV	HCV NS3/4A protein complex	<p>Fragment-based screening using crystals of the HCV NS3/4A holoenzyme identified inhibitors of an allosteric site that could help treat HCV infection. Structure-guided optimization of a lead fragment against an allosteric site on the HCV NS3/4A holoenzyme yielded a compound that inhibited the enzyme with an IC_{50} under 10 nM. In a cell-based assay, the optimized compound showed antiviral activity with an EC_{50} of 8.3 nM. IND-enabling studies of an optimized molecule that binds the same site are ongoing.</p> <p>Astex Pharmaceuticals Inc.'s lead HCV NS3/4A protease inhibitor, which is the optimized molecule, is in preclinical development to treat HCV infection.</p> <p>Vertex Pharmaceuticals Inc. markets Incivek telaprevir, a small molecule HCV NS3/4A protease inhibitor, to treat HCV infection.</p> <p>Merck & Co. Inc. markets Victrelis boceprevir, a small molecule HCV NS3/4A protease inhibitor, for the same indication.</p> <p>At least six other companies have inhibitors of the HCV NS3/4A protease in Phase III testing or earlier to treat HCV infection.</p> <p>SciBX 5(40); doi:10.1038/scibx.2012.1055 Published online Oct. 11, 2012</p>	Patent applications filed covering composition of matter; available for licensing and partnering	<p>Saalam-Bethell, S.M. <i>et al. Nat. Chem. Biol.</i>; published online Sept. 30, 2012; doi:10.1038/nchembio.1081</p> <p>Contact: Harren Jhoti, Astex Pharmaceuticals Inc., Cambridge, U.K. e-mail: jhoti@stx.com</p>