This week in therapeutics

Indication	Target/marker/ pathway	Summary	Licensing status	Publication and contact information
Gastrointestinal disease				
Emesis	Tachykinin precursor 1 (TAC1; NK1; NK2); tachykinin receptor 1 (TACR1; NK1R)	<p>A study in animal models identified a hydroisoindoline-based NK1R antagonist that could be more efficient than the marketed drug Emend aprepitant in preventing chemotherapy-induced nausea and vomiting. In an assay of NK1R activity in the brain, one of the analogs blocked NK1 agonist activity with an IC_{50} value of about 6 nM. In rats, dogs and monkeys, the compound's pharmacokinetics supported once-daily dosing in humans. Next steps could include evaluating the safety and efficacy of the compound in additional animal models.</p> <p>Emend, a selective NK1R antagonist from Merck & Co. Inc. and Ono Pharmaceutical Co. Ltd., is marketed to prevent CINV. Rezonc casopitant, an NK1R antagonist from GlaxoSmithKline plc, is under FDA and EMEA review for the same indication and for postoperative nausea and vomiting. At least three other companies have NK1R antagonists in Phase II or earlier for the prevention of emesis.</p> <p>SciBX 2(16); doi:10.1038/scibx.2009.664 Published online April 23, 2009</p>	Patent and licensing status unavailable	<p>Jiang, J. <i>et al. J. Med. Chem.</i>; published online April 8, 2009; doi:10.1021/jm8016514</p> <p>Contact: Jinlong Jiang, Merck Research Laboratories, West Point, Pa. e-mail: jinlong_jiang@merck.com</p>