

## THE DISTILLERY

## This week in therapeutics

Indication	Target/marker/ pathway	Summary	Licensing status	Publication and contact information
Various				
Anxiety; gastroesophageal reflux disease (GERD)	Metabotropic glutamate receptor subtype 5 (mGluR5; GRM5)	In vitro and in vivo studies identified mGluR5 modulators that could help treat disorders ranging from anxiety to GERD. In vitro assays identified a compound from a series of diaryl bicyclic azole-amides that selectively bound and inhibited human mGluR5 with high potency. In rats, intraperitoneal injection of the compound led to brain penetration compared with injection of parent molecules. In rats and rhesus monkeys, the compound had a half-life of less than an hour. Next steps could include improving the half-life of the modulators. At least seven companies have mGluR5 antagonists in development stages ranging from preclinical to marketed to treat neurological or gastrointestinal conditions.	Patent and licensing status unavailable	Burdi, D.F. <i>et al. J. Med. Chem.</i> ; published online Sept. 1, 2010; doi:10.1021/jm100736h <b>Contact:</b> Douglas F. Burdi, Sepracor Inc., Marlborough, Mas e-mail: douglas.burdi@sepracor.com

*SciBX* 3(37); doi:10.1038/scibx.2010.1131 Published online Sept. 23, 2010