

This week in therapeutics

Indication	Target/marker/ pathway	Summary	Licensing status	Publication and contact information
Metabolic disease				
Hyperlipidemia	G protein-coupled receptor 109A (NIACR1; GPR109A; HM74A); cytochrome P450 family 2 subfamily C polypeptide 8 (CYP2C8); CYP2C9	<i>In vitro</i> and rodent studies identified tricyclic NIACR1 agonists that could help treat hyperlipidemia with fewer side effects than existing treatments. <i>In vitro</i> , several tricyclic anthranilide-based and cycloalkene carboxylic acid-based agonists were more potent than niacin, the receptor's natural ligand. In rats, a tricyclic cycloalkene carboxylic agonist suppressed free fatty acids and caused only minor vasodilation, which is a common side effect of existing NIACR1 agonists. In mice, the compounds had good pharmacokinetics. Next steps include determining the effect of these compounds on lipid levels and overall inflammation. Incyte Corp's INCB19602, an NIACR1 agonist, is in Phase I testing to treat diabetes. SciBX 2(13); doi:10.1038/scibx.2009.544 Published online April 2, 2009	Compounds patented by Merck & Co. Inc.; unavailable for licensing	Shen, H. <i>et al. J. Med. Chem.</i> ; published online March 23, 2009; doi:10.1021/jm900151e Contact: Hong C. Shen, Merck & Co. Inc., Rahway, N.J. e-mail: hong_shen@merck.com