This week in therapeutics

Indication	Target/marker/ pathway	Summary	Licensing status	Publication and contact information
Metabolic disease				
Hypercholesterolemia; dyslipidemia	G protein-coupled receptor 109A (GPR109A; HM74A)	<i>In vitro</i> and <i>in vivo</i> studies identified niacin receptor agonists that could help reduce cholesterol levels without flushing side effects. <i>In vitro</i> , the lead biaryl cyclohexene carboxylic acid compound bound to the niacin receptor GPR109A with higher affinity than niacin acid itself. In mice, rats, dogs and rhesus monkeys, the agonist had favorable half-life, clearance and bioavailability. In rat and dog models of vasodilation and lipolysis, the compound decreased free fatty acid levels and did not cause vasodilation, which is the source of cutaneous flushing. Next steps could include further optimization before clinical testing. Merck & Co. Inc.'s Tredaptive niacin/ laropiprant is approved to treat dyslipidemia and hypercholesterolemia. Incyte Corp. has the GPR109A agonist INCB19602 in Phase I testing to treat diabetes.	Patent and licensing status unavailable	Shen, H. <i>et al. J. Med. Chem.</i> ; published online Feb. 25, 2010; doi:10.1021/jm100022r Contact: Hong C. Shen, Merck & Co. Inc., Rahway, N.J. e-mail: hong_shen@merck.com
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