This week in therapeutics

Indication	Target/marker/ pathway	Summary	Licensing status	Publication and contact information
Cardiovascular disease				
Cardiovascular disease	Adenosine A ₁ receptor (ADORA ₁)	<i>In vitro</i> studies identified a biased ADORA ₁ agonist that could help treat cardiovascular diseases without common side effects. ADORA ₁ agonists have cardioprotective effects but can cause bradycardia as an on-target adverse effect. A biased ADORA ₁ ligand designed by linking adenosine—an orthosteric agonist—with a positive allosteric modulator of ADORA ₁ signaling had a potent agonist effect without also inducing bradycardia-associated signaling. In rat cardiomyoblasts expressing Adora ₁ , the agonist conferred better protection from ischemia than either compound alone and did not decrease isolated rat atrial heart rate. Next steps include demonstrating efficacy across a broader range of cardiovascular models. Bayer AG has the ADORA ₁ partial agonist BAY 1067197 in Phase I/II testing to treat heart failure.	Findings unpatented; unavailable for licensing	Valant, C. <i>et al. Proc. Natl. Acad. Sci. USA</i> ; published online March 11, 2014; doi:10.1073/pnas.1320962111 Contact: Arthur Christopoulos, Monash University, Parkville, Victoria, Australia e-mail: arthur.christopoulos@monash.edu Contact: Peter J. Scammells, same affiliation as above e-mail: peter.scammells@monash.edu
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