

THE DISTILLERY

This week in therapeutics

Indication	Target/marker/ pathway	Summary	Licensing status	Publication and contact information
Various				
Autoimmune disease; inflammation; rheumatoid arthritis (RA)	CC chemokine receptor 1 (CCR1; CD191)	In vitro and mouse studies identified CCR1 antagonists that could help treat inflammation in RA and other diseases. Chemical synthesis, SAR and <i>in vitro</i> testing of 4-(4-chlorophenyl)piperidine analogs identified multiple compounds as selective nanomolar antagonists of CCR1. In a human monocyte chemotaxis assay, one of the lead compounds inhibited cell migration with a low nanomolar IC ₅₀ value. In mice, the compound showed good bioavailability and pharmacokinetics. Future studies could include testing the lead compound in animal models of RA. CCX354, a small molecule CCR1 antagonist from ChemoCentryx Inc. and GlaxoSmithKline plc, has	Patent and licensing status undisclosed	Cavallaro, C.L. <i>et al. J. Med. Chem.</i> ; published online Oct. 17, 2012; doi:10.1021/jm300896d Contact: Cullen L. Cavallaro, Bristol- Myers Squibb Co., Princeton, N.J. e-mail: cullen.cavallaro@bms.com

completed Phase II testing to treat RA.

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