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THE DISTILLERY

This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Inflammation				
Inflammation	Bromodomain containing 4 (BRD4); IL-6	*In vitro* and rodent studies identified BRD4 inhibitors that could help treat inflammation. Fragment-based screening, chemical synthesis and *in vitro* testing of sulfonamide analogs of quinazolinone identified a lead compound as a nanomolar inhibitor of BRD4. In a human monocyte-based inflammation assay, the lead compound blocked lipopolysaccharide (LPS)-induced IL-6 production with a low micromolar EC_{50} value. In normal mice and rats, the lead compound showed oral bioavailability and good pharmacokinetics. Future studies could include testing the compound in animal models of inflammation. Resverlogix Corp.'s NexVas (RVX-208), an inhibitor of the bromodomain and extra terminal domain (BET) family of bromodomain-containing proteins including BRD4, is in Phase II testing to treat diabetes and atherosclerosis and Phase I testing to treat Alzheimer's disease (AD). Mitsubishi Tanabe Pharma Corp. and Oncoethix S.A. have OTX015, a synthetic small molecule inhibitor of BET BRD2, BRD3 and BRD4, in Phase I testing to treat cancer. *SciBX* 5(44); doi:10.1038/scibx.2012.1163 Published online Nov. 8, 2012	Patent and licensing status undisclosed	Fish, P. *et al. J. Med. Chem.*; published online Oct. 25, 2012; doi:10.1021/jm3010515 **Contact:** Dafydd R. Owen, Pfizer Worldwide R&D, Cambridge, Mass. e-mail: dafydd.owen@pfizer.com