

THE DISTILLERY

This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Autoimmune disease				
Autoimmune disease	Jumonji domain containing 3 (JMJD3; KDM6B); lysine-specific demethylase 6A (KDM6A; UTX)	An <i>in vitro</i> and cell culture study identified a compound that inhibited the histone demethylases JMJD3 and UTX and that could help treat autoimmune diseases. <i>In vitro</i> , the small molecule GSK-J1 inhibited JMJD3 and UTX with nanomolar potency and with selectivity over other histone demethylases. In primary human macrophages, a prodrug of GSK-J1 with increased cell permeability decreased the expression of half of the lipopolysaccharide (LPS)-induced cytokines, including tumor necrosis factor- α (TNF- α), compared with an inactive control compound. Next steps include exploring the therapeutic effects of GSK-J1 in <i>in vitro</i> and <i>ex vivo</i> disease models. At least five companies market TNF- α blockers for autoimmune disease and other indications.	Patent and licensing status undisclosed; available for collaborations	Kruidenier, L. <i>et al. Nature</i> ; published online July 29, 2012; doi:10.1038/nature11262 Contact: David M. Wilson, GlaxoSmithKline plc R&D, Stevenage, U.K. e-mail: david.m.wilson@gsk.com

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