

This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Inflammation				
Asthma; arthritis	Phospholipase A ₂ (PLA ₂); human group X secreted PLA ₂ (hGX sPLA ₂); mouse group X secreted PLA ₂ (mGX sPLA ₂)	<p>An <i>in vitro</i> study identified indoles, benzoindoles and indolizines derived from the secreted PLA₂ inhibitor LY315920 that may be useful in treating asthma- and arthritis-associated inflammation. Fluorometric screening assays showed that several compounds had high affinity and specificity for mGX sPLA₂ and hGX sPLA₂ secreted enzyme, whereas other compounds showed high affinity against a broad class of secreted PLA₂s. Next steps include testing the therapeutic candidates in animal models of inflammation.</p> <p>A-001 (formerly LY315920 and S-5920), a PLA₂ inhibitor that Anthera Pharmaceuticals Inc. licensed from Eli Lilly and Co. and Shionogi & Co. Ltd., is in a Phase II trial to prevent acute chest syndrome in sickle cell disease patients.</p> <p>MRX4, an inhaled multifunctional anti-inflammatory drug (MFAID) from Morria Biopharmaceuticals plc that inhibits PLA₂, is in Phase II testing for allergies.</p>	Derivatives are patented by Eli Lilly; unavailable for licensing	<p>Oslund, R.C. <i>et al. J. Med. Chem.</i>; published online July 8, 2008; doi:10.1021/jm800422v</p> <p>Contact: Michael H. Gelb, University of Washington, Seattle, Wash. e-mail: gelb@chem.washington.edu</p>