

This week in therapeutics

Indication	Target/marker/ pathway	Summary	Licensing status	Publication and contact information
Cardiovascular disease				
Atherosclerosis	Squalene synthase (SQS; FDFT1); cyclooxygenase (COX)	<i>In vitro</i> and mouse studies suggest a new class of trifunctional, cholesterol-limiting, anti-inflammatory, antioxidant compounds could help treat atherosclerosis. Chemical synthesis and <i>in vitro</i> testing of phenothiazine-morpholine and phenothiazine-benzothiazine analogs identified four lead compounds that inhibited SQS, COX and lipid peroxidation at high nanomolar to micromolar IC ₅₀ values and that decreased oxidation of human low-density lipoprotein (LDL) compared with vehicle. In mice fed a high-fat diet, lead compounds decreased serum levels of LDL and total cholesterol and increased serum levels of high-density lipoprotein (HDL) compared with vehicle. Ongoing work includes optimizing the lead compounds to treat atherosclerosis and other disorders involving dyslipidemia.	Unpatented; unlicensed	Matralis, A.N. & Kourounakis, A.P. <i>J. Med. Chem.</i> ; published online Feb. 25, 2014; doi:10.1021/jm401842e Contact: Angeliki P. Kourounakis, University of Athens, Athens, Greece e-mail: angeliki@pharm.uoa.gr
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