A Formal Synthesis of Atorvastatin

Significance: The key step in this formal synthesis of atorvastatin (Lipitor®) is the enantioselective intramolecular oxa-Michael reaction of A mediated by 10 mol% of benzothiadiazine catalyst B. Methods for converting G and its relatives into atorvastatin have been summarized by Y. Kawato et al. (Chem. Eur. J. 2013, 19, 3802; see also references therein).

Comment: For the conversion of N-nitrosamides into esters (e.g., D → E), see: D. T. Glatzhofer, R. R. Roy, K. N. Cossey Org. Lett. 2002, 4, 2349. Phenolic nucleophiles (14 examples) also participate in the oxa-Michael reaction, and in the case of H only 1 mol% of catalyst I is required.

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Synfacts 2014, 10(1), 0006  Published online: 13.12.2013
DOI: 10.1055/s-0033-1340325; Reg-No.: K07113SF