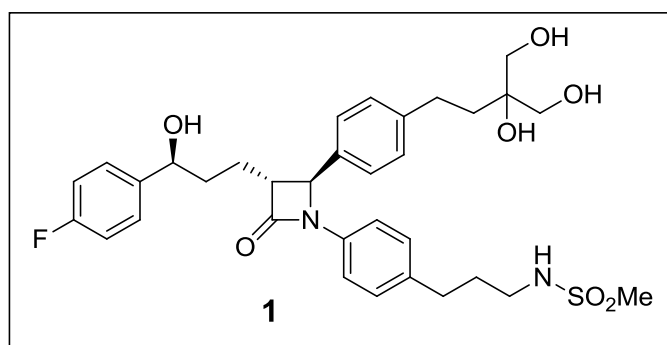


The Power of Transition Metal Catalyzed Reactions in Drug Synthesis

Lushi Tan

Process Chemistry Department, Merck Research Laboratories, Rahway, NJ 07065 USA

Compound 1 was designed as a cholesterol absorption inhibitor (CAI) which targets Niemann-Pick C1-Like 1 (NPC1L1) peptide, an enzyme responsible for facilitating cholesterol uptake/absorption in jejunum enterocytes. To support further development of this compound, a feasible large-scale manufacturing route has been identified and demonstrated. Details of these works will be shared in this presentation, specifically around utilization of sequential transition metal-catalyzed reactions, including Ir-catalyzed hydroboration, Pd-catalyzed C-C bond formation, and Ru-catalyzed asymmetric transfer hydrogenation in the synthesis.



<参考文献>

1. J. Limanto, S. W. Krska, B. T. Dornier, E. Vazquez, N. Yoshikawa, L. Tan, *Org. Lett.*, **2010**, 12(3), 512.