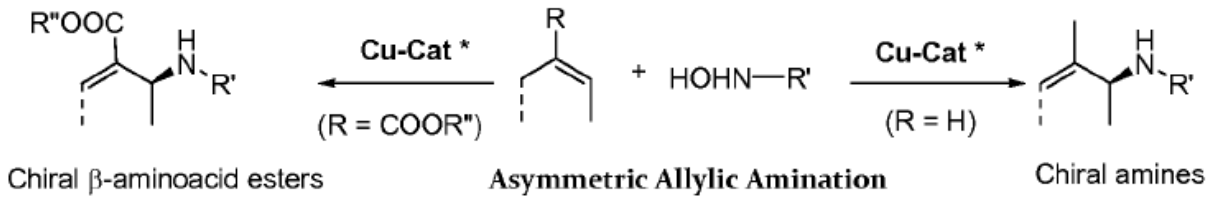
Asymmetric Synthesis of *N*-substituted Allylic Amine Compounds

Many natural products, including pharmaceutical compounds and agrochemicals contain chiral amine functionality. Thus, the asymmetric (chiral selective) amination of olefins has received significant attention from both life science and fine chemical industries. Recently, researchers at the **University of Louisiana at Lafayette** have developed a novel method of asymmetric synthesis of *N*-substituted allylic amine compounds. And, this method offers numerous technical advantages over current asymmetric methods, including asymmetrical synthesis of *N*-aryl-substituted allyl amines.

Diagram of the method for producing chiral *N*-substituted allylic amine compounds



This method comprises preparation of selective chiral *N*-substituted allylic amine compounds from corresponding allylic substrates and substituted hydroxylamines, in the presence of an inexpensive copper-compound and a chiral ligand (US 61/680,551).

Technical Advantages:

- Reduced number of synthesis steps; utilization of inexpensive Cu-compound rather than expensive transition metal complexes as chiral catalysts;
- Reduces wasteful synthesis of distomer enantiomer; ideal for syntheses utilizing expensive and/or precious starting material;
- Advantageous for synthesis of allylic amine compounds with multiple chiral centers where chiral HPLC separation is problematic
- Uniquely effective for synthesis of asymmetrical *N*-aryl-substituted allyl amines;

Summary of the current invention:

This asymmetrical synthesis method, alone or coupled with chiral purification, would permit a significant reduction in cost and waste in comparison to other racemic synthesis, chiral purification strategies. We currently seek partnership opportunities to develop this method for asymmetrical synthesis of specific allylic amine compounds (or classes) of interest. To learn more about this research and/or partnership opportunities, please contact Seth Boudreaux, Technology Manager, via the info provided below.

Innovation Management

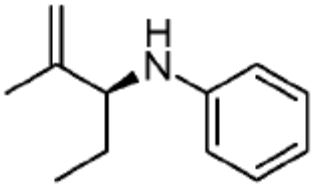
University of Louisiana at Lafayette, P.O. Box 43610, Lafayette, LA 70504-3610, USA

Tel: 337-482-2849, Email: seth.boudreaux@louisiana.edu

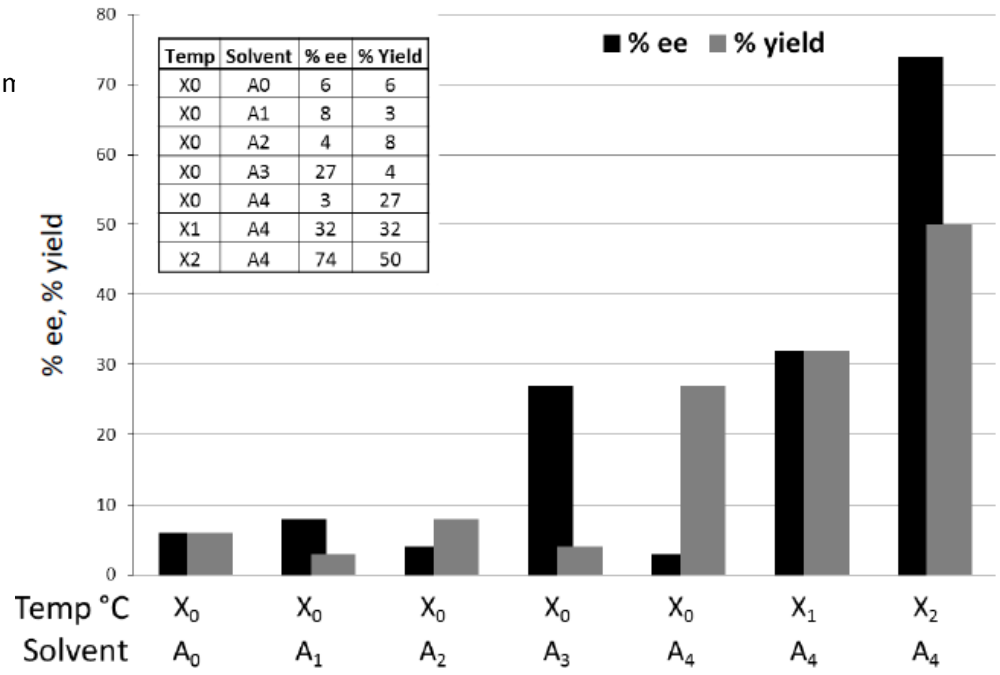
Asymmetric Synthesis of *N*-substituted Allylic Amine Compounds (SUP)

Current research efforts are directed towards identifying general reaction conditions that increase overall % ee and % yield, and reaction conditions optimized for specific allylic amine compounds of interest. As an example, the graph below depicts a partial mapping of the optimization space for N-(2-methylpent-1-en-3-yl) benzenamine:

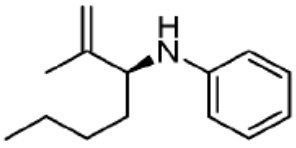
N-(2-methylpent-1-en-3-yl) benzenan

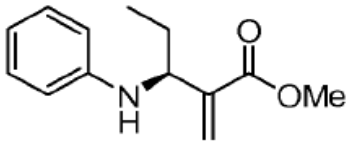


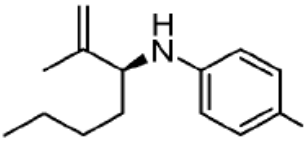
Temp	Solvent	% ee	% Yield
X0	A0	6	6
X0	A1	8	3
X0	A2	4	8
X0	A3	27	4
X0	A4	3	27
X1	A4	32	32
X2	A4	74	50

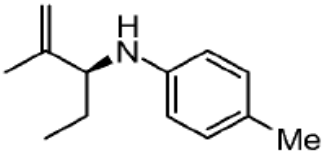


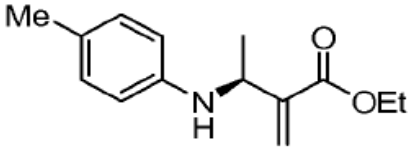
Other examples of *N*-substituted allylic amine compounds asymmetrically synthesized by the current method:

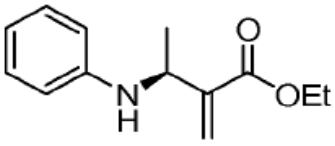












Innovation Management
University of Louisiana at Lafayette, P.O. Box 43610, Lafayette, LA 70504-3610, USA
Tel: 337-482-2849, Email: seth.boudreaux@louisiana.edu