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Technology Transfer Office

Bronsted Acid-Catalyzed Asymmetric Allylation and Propargylation of Aldehydes

Researchers at the University of South Florida have developed a method of synthesizing homoallylic or homopropargylic alcohols to produce chiral allylic alcohols with a high enantiocontrol and chemical yield.

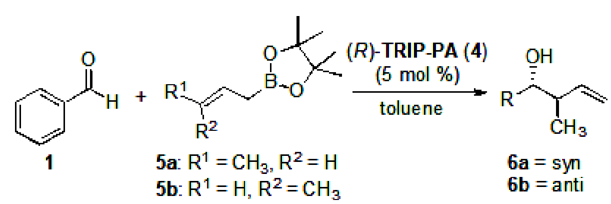
An aldehyde is an organic compound that contains the group –CHO, and is formed by the oxidation of alcohols. Common aldehydes include methanal (formaldehyde) and ethanal (acetaldehyde). The allylation of aldehydes is a common chemical reaction that provides a useful route for the preparation of homoallylic alcohols. These homoallylic alcohols contain the –OH carbon β to a double bond. These compounds are important building blocks in organic synthesis and are also key components in many biologically active molecules such as macrolides and polyether antibiotics. Over the past decade there has been extensive research in this area resulting in the development of multiple effective allylation procedures. However, many of these procedures have some inherent issues such as limited aldehyde scope, the requirement of expensive or toxic metal catalysts, and the use of reagents which are moisture sensitive and generate HCl as a byproduct.

USF researchers have developed an improved method of synthesizing homoallyl or homopropargyl alcohols. The method exhibited enhanced enantiocontrol and chemical yield, which increased with lower temperatures. The method utilizes a non-metal based catalyst and is among the most simple and straightforward asymmetric allylations to date. The reaction is very diverse and uses a wide range of aldehydes. Furthermore, the products are easily separated and exhibit high enantio and diastereo-control.

ADVANTAGES:

- **High enantioselectivity and chemical yield**
- **Stable and easily synthesized reagents and catalyst**
- **Expansive substrate scope**
- **No expensive or toxic organocatalysts**

A Novel Method for the Controlled Enantioselective Allylation of Aldehydes



Entry	R^1	R^2	Temp	**6a** : **6b**[b]	Yield,[c] %	ee,[d] %
1	CH_3	H	RT	2 : 98	96	96
2	CH_3	H	0 ¡C	2 : 98	95	99
3	H	CH_3	-30 ¡C	98 : 2	95	94

An Example of the Method Applied to the Crotylboration of Benzaldehyde Shows Enhanced Chirality (6a : 6b[b])

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