

Novel 1,3-diamine catalysts for highly selective Mannich reactions

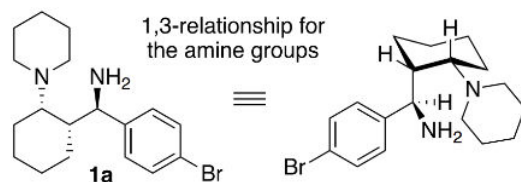
Summary

Compounds that have a primary amine group and a tertiary amine group in a geminal relationship (or 1,2-relationship), such as cinchona-derived amines, cyclohexane-1,2-diamines, and 1,2-diarylethane-1,2-diamines, have been used as catalysts to accelerate bond-forming reactions of enolizable ketones and nucleophilic aldehydes. 1,3-diamine derivatives have not been widely explored but have the potential to act as much more selective catalysts than 1,2-diamines.

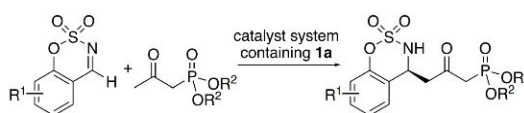
A team of researchers led by Prof Fujie Tanaka have designed a novel family of enantiopure 1,3-diamines that, in combination with an acid, act as catalysts in asymmetric γ -position-selective Mannich reactions. In particular, compound **1a** affords high enantiopurity and high yields under mild conditions. This research represents an important breakthrough in smart catalyst design to achieve highly enantiopure compounds through inexpensive reaction protocols.

Technology

Compound **1a** combined with an acid as a catalyst system is highly effective in the regio- and enantioselective Mannich reaction of various ketones under mild conditions. For example, it catalyses the enantioselective Mannich reaction of β -ketophosphonates selectively at the γ position, with no formation of the α -position reaction product. The research conducted by the team indicates that the primary and the tertiary amine groups of **1a**, which are in a 1,3-relationship, function cooperatively during the catalysis.



1,3-diamine catalyst **1a**



1a catalyses the Mannich reaction of β -ketophosphonates to afford the γ -position-selective product

Applications

- Chemical manufacturing
- Drug discovery

Advantages

- Selective reaction at the γ position
- Mild conditions
- High enantioselectivity

Category:
Chemistry & Materials Science

Lead Researcher:
Prof Fujie Tanaka

Intellectual Property:
Patent Pending

For more information:
Technology Licensing Section
Okinawa Institute of Science and Technology

tls@oist.jp or +81-(0)98-966-8937

