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The first synthesis of enantiopure cinchona-binaphthalene derivatives and their application as organocatalysts in asymmetric

Michael addition

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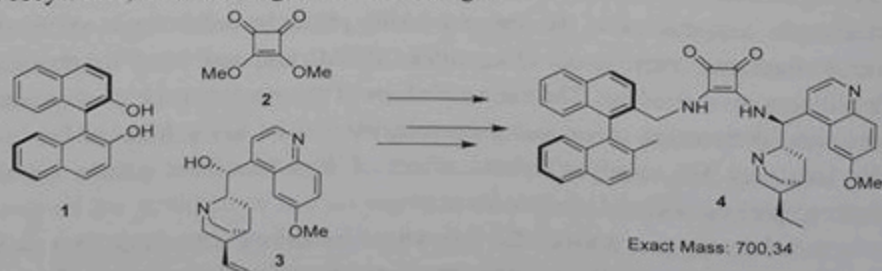
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Keywords: organic solvent nanofiltration, organocatalysis, cinchona alkaloids, Michael reaction, catalyst recovery

The use enantiopure 2,2'-disubstituted 1,1'-binaphthalene as a chiral scaffold is well established in asymmetric catalysis. The bifunctional binaphthalene-based organocatalysts containing hydrogen bond donor moieties have been found useful in asymmetric Michael addition, in Morita-Baylis-Hillman reaction and in Henry reaction.

Multistereogenic binaphthyl-cinchona derivatives (for example see **4** on Figure) were successfully applied in Michael addition between β -nitrostyrene and 1,3-dioxo compounds. High enantiomeric excesses were achieved (up to 97%) in different solvents. The applied hydrogen-bond organocatalysts were synthesized using commercially available, and relatively cheap starting materials such as (*R*)-binaphthol (**1**), quinine (**3**) and dimethyl squarate (**2**) that gave the squaramide unit which is frequently used as building blocks of H-bond organocatalysts.

The feasibility of the application of these catalysts can be potentially expanded by using OSN (Organic Solvent Nanofiltration) technique. This method is widely used for separation of molecules based on the differences between those molecule's sizes. With the help of the OSN technique, the applied organocatalysts can be recyclable, due to its high molecular weight.



Synthesis of new organocatalyst with central and axial chirality

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