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8.48 Synthesis and application of cinchona-based, enlarged organocatalysts

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Organic solvent nanofiltration is a membrane separation technique based on a membrane which has different permeability to different compounds. The rejection depends mainly on the size of the molecules – hence compounds with higher molecular weight have higher rejection. Therefore catalyst enlargement can help to overcome the separation bottleneck. In my research work I managed to carry out more ways of enlarging cinchona alkaloid derivatives by attaching them to a central core.

During the first strategy commercially available hydroquinine was demethylated and then attached to 1,3,5-*tris*(bromomethyl)benzene. The reaction gave the product catalyst 1 with proper yield (65 %). The other methodology was carried out by click reaction. 1,3,5-*tris*(Azidomethyl)benzene was used as a central unit and the triple bond was formed on quinine in a two step (bromine addition, hydrogen bromide elimination) reaction. The synthesized catalyst 2 can be easily modified with further hydrogen bond donor units like squaramide or thiourea.

The enlarged organocatalysts were tested in *Michael* addition reactions. The asymmetric organocatalysis was successfully demonstrated and allowed pretty high yields (up to 90 %) and enantioselectivities (up to 97 % ee). The concept of size-enlarged cinchona-based organocatalysts presented herein enables new possibilities for fine chemical manufacturing, and further boosts growth in the exciting new era of organocatalysis.

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