

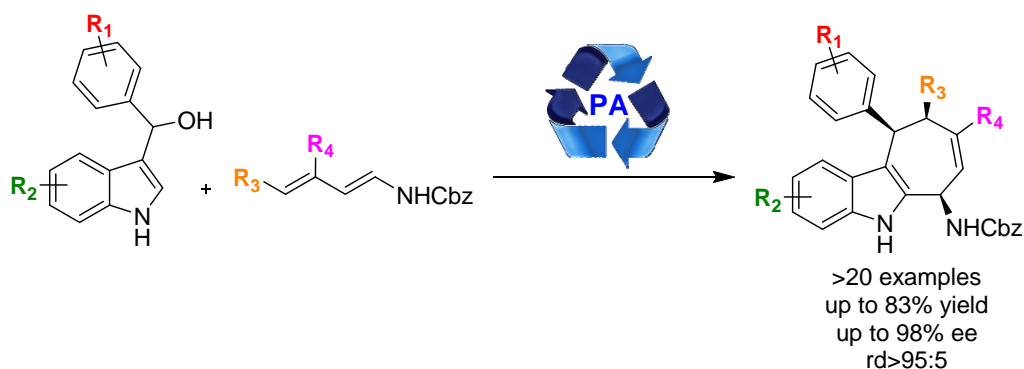
ASYMMETRIC ORGANOCATALYSIS – SYNTHESIS OF CYCLOHEPTA[*b*]INDOLES BY (4+3) CYCLOADDITION

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A lot of pharmaceutical drugs and natural products have as their central motif the cyclohepta[*b*]indole.^[1] Therefore a considerable interest in these units and their synthesis has developed. The stereoselective [4+3] cycloaddition is one of the most convergent strategies for the synthesis of chiral polysubstituted cyclohepta[*b*]indole derivatives. Although the utility of these reactions has been explored fruitfully over the past two decades, quite limited progress has been made in catalytic asymmetric variants.^[2]

On the basis of these facts, we describe herein the first examples of phosphoric acid-catalyzed enantioselective (4+3) cycloaddition of 3-indolylmethanols and dienecarbamates (Scheme 1). Excellent enantioselectivities (up to 98% ee) were observed for a broad spectrum of substrates under mild conditions. Moreover, these functionalized cyclohepta[*b*]indole derivatives could be used as the key intermediates for further transformations to reach additional molecular diversity.^[3]



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