

ENANTIOSELECTIVE N-ALKYLATION OF INDOLE DERIVATIVES

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The indole core is present in a variety of biologically active natural products and pharmaceutical compounds [1]. The N1 position of the indole framework has been used for the enantioselective alkylation reactions [2,3,4]. The aim of this study is to find novel approaches to the enantioselective synthesis of aza-Michael products **3** from indole derivatives **1** and Michael acceptors **2** (Fig. 1). However, the asymmetric N-alkylation has been underdeveloped due to low acidity of *N*-H proton [5]. New organocatalytic methods of the asymmetric *C*-*N* bond formation will be studied and discussed.

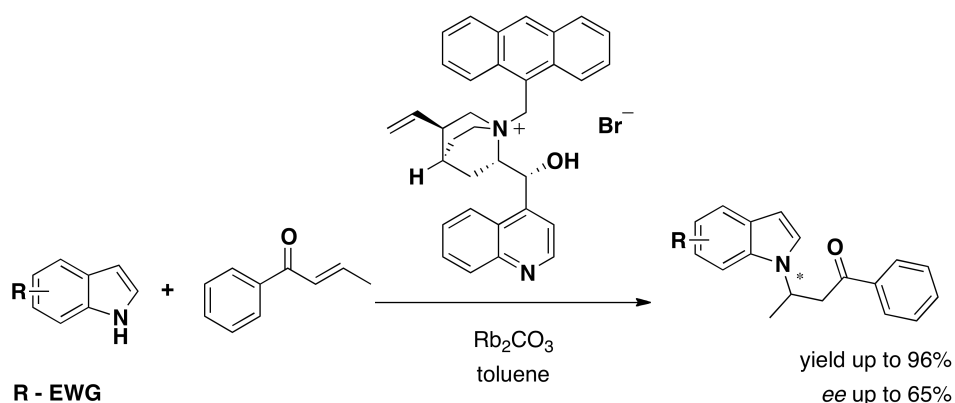


Fig. 1. Enantioselective aza-Michael reaction.

Our preliminary results show that reactions of indole derivatives with α,β -unsaturated ketones give Michael adducts in high yields and moderate enantioselectivities in the presence of phase transfer catalyst.

References

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