Stereoselective Arene-Forming Aldol Condensation: Synthesis of Axially Chiral Aromatic Amides

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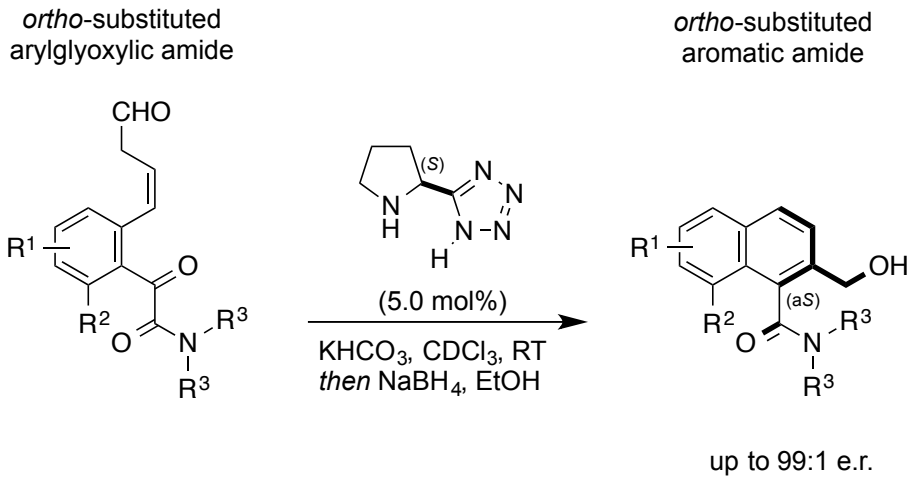
Aromatic amides are among the most valuable structural motifs for the synthesis of bioactive compounds. In the case of a substitution pattern leading to a restricted Ar–CO rotation, complex conformational features and atropisomerism can frequently be observed. However, the selective preparation of these aromatic amide atropisomers still remains synthetically challenging. Today, only two strategies for the stereoselective catalytic preparation of Ar–CO rotationally restricted aromatic amides have been reported, while the importance of axially chiral aromatic amides as auxiliaries, ligands and organocatalysts is established.

The poster will outline the stereoselective synthesis of configurationally stable aromatic amides by an atroposelective arene-forming aldol condensation. Ortho-substituted arylglyoxylic amides precursors are converted into the corresponding axially chiral aromatic amides by a chiral secondary amine catalyzed process. Nearly complete transfer of the stereochemical information of the catalyst into axially chiral aromatic amides was achieved within minutes at ambient temperature to obtain highly enantioenriched ortho-substituted aromatic amides.



[1] V. C. Fäseke, C. Sparr, *Angew. Chem. Int. Ed.,* **2016**, *55*, 7261-7264.