Atroposelective Double Arene-Forming Aldol Condensation: Synthesis of Tetra-ortho-substituted Binaphthalenes

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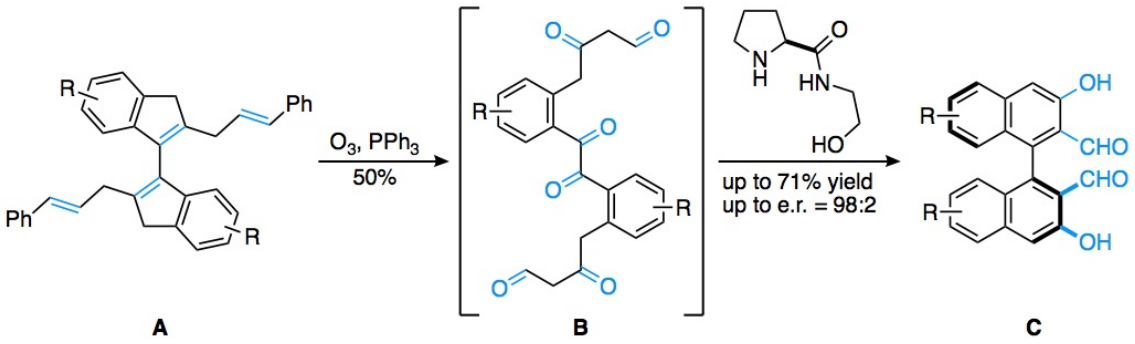
Due to their excellent suitability as ligands or organocatalysts for stereoselective catalysis, axially chiral molecules, such as biaryls, have received a great level of interest. However, despite their importance, the stereoselective synthesis of biaryl atropisomers remains challenging and resolution of racemic mixtures is still a frequently applied strategy for the preparation of enantiopure biaryls. Novel stereoselective methods to prepare axially chiral biaryls are therefore highly desirable. Of particular interest are strategies to make enantioenriched 2,2',3,3'-substituted binaphthalenes, privileged scaffolds in ligand design, as they would allow to replace cumbersome multi-step procedures which typically involve protecting group manipulations.

The presentation outlines our approach to stereoselectively prepare 2,2',3,3'-substituted binaphthalenes by a four-fold ozonolysis of cinnamyl indene dimers (**A**) to hexa-carbonyl substrates (**B**) followed by a secondary amine catalyzed double arene-forming aldol condensation. The reaction cascade proceeds with good overall yields and high enantioselectivities giving access to tetra-*ortho*-substituted binaphthalenes (**C**) which can be readily converted into established scaffolds for catalysis.



Reto M. Witzig, Vincent C. Fäseke, Christof Sparr, *unpublished results*; Achim Link, Christof Sparr, *Angew. Chem. Int. Ed.* **2014**, 53, 5458–5461;
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