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.[1] 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 poster 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.[2] The reaction cascade proceeds with good overall yields and high enantioselectivities giving access to tetra-orthosubstituted binaphthalenes (C) which can be readily converted into established scaffolds for catalysis.