**Enantioselective Synthesis of Hemiaminals via Pd-Catalyzed C-N coupling with Chiral Bisphosphine Mono-Oxides**

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A novel asymmetric synthesis of fully functionalized chiral $N,O$- and $N,N$-acetals has been developed. The reaction tolerates a wide range of aromatic and aliphatic substituents at the stereochemically labile aminal position. Starting from racemic starting materials, the desired products are obtained in high yield and enantiomeric excess. Additionally, we will discuss our investigations towards understanding the mechanistic aspects of this reaction. With the implementation of high-throughput experimentation, the current method was quickly developed and implemented to provide a highly efficient synthesis of a late stage drug candidate.