ABSTRACT

This thesis is composed of two projects, both involving synthesis aimed at drug discovery.

The first project covers method development for the synthesis of substances that can be used in estrogen replacement therapy. It presents the successful use of CuO as *co*-reagent in various palladium catalyzed Stille cross-couplings of sterically hindered bi- and heterobiaryls, meant to function as mimetics of the estradiol backbone. The results clearly point to the advantages of the method, compared to the classical Stille cross-coupling methodology for this kind of substances.

The second project covers the synthesis of ligands designed to bind to the Aβ-peptide and keep it in α-helical form. These new synthesized ligands are peptoids consisting of four building block units. The synthesis of peptoids was evaluated both in solution and on solid phase. Several new building blocks for peptoids were synthesized including the new amino acid N^{γ}-(2-aminoethyl)-2,4-diaminobutanoic acid. The new ligands will be evaluated with respect to Aβ helix stabilization and subsequent biological assays.