Solid-phase synthesis of peptide thioureas and thiazole-containing macrocycles through ru-catalyzed ring-closing metathesis - DTU Orbit (08/11/2017)

Solid-phase synthesis of peptide thioureas and thiazole-containing macrocycles through ru-catalyzed ring-closing metathesis

N-Terminally modified α-thiourea peptides can selectively be synthesized on solid support under mild reaction conditions using *N,N′*-di-Boc-thiourea and Mukaiyama's reagent (2-chloro-1-methyl-pyridinium iodide). This N-terminal modification applies to the 20 proteinogenic amino acid residues on three commonly used resins for solid-phase synthesis. Complementary methods for the synthesis of α-guanidino peptides have also been developed. The thiourea products underwent quantitative reactions with α-halo ketones to form thiazoles in excellent purities and yields. When strategically installed between two alkene moieties, said thiazole core was conveniently embedded in peptide macrocycles via Ru-catalyzed ring-closing metathesis reactions. Various 15-17 membered macrocycles were easily accessible in all diastereomeric forms using this methodology. The developed "build/couple/pair" strategy is well suited for the generation of larger and stereochemically complete screening libraries of thiazole-containing peptide macrocycles.

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