Ring Expansion Approaches for the Synthesis of Functionalised Macrocycles

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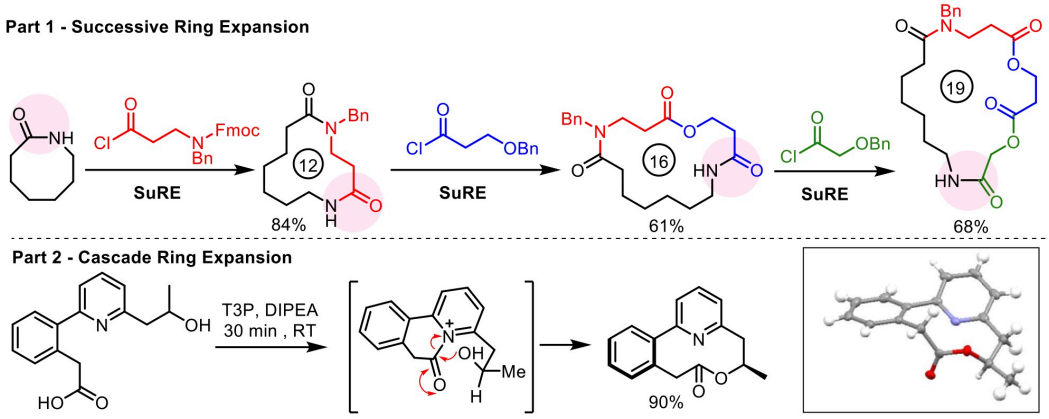
This talk concerns the development of two ring enlargement new way to construct functionalised macrocycles (12+ membered rings) and medium-sized rings (8–11-membered). These ring systems are usually difficult to make, with one of the key challenges being the effective control of intra- and intermolecular reaction during end-to-end cyclisation.[1,2] Both approaches I will discuss in this talk are based on strategies by which the difficult end-to-end cyclisation step can be completely avoided. First, I will describe an iterative ring enlargement approach known as 'Successive Ring Expansion' (SuRE).[3-6] SuRE works be enabling the controlled insertion of amino acid and hydroxy acid fragments into ring enlarged products via a telescoped acylation/rearrangement reaction sequence. Background, methods development, substrate scope/limitations, the synthesis of compound libraries for biological evaluation[4] and DFT calculations[7] will all be covered. Second, a new ring expansion cascade strategy[8,9] will be introduced, that enables the atroposelective synthesis of medium sized rings directly from linear precursors.[10]



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