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Total synthesis of natural cyclic depsi-peptides by convergent SPPS and macrolactonization strategy for anti-TB activity

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Recent years have witnessed a renaissance in the field of peptides that are obtained from various natural sources such as many bacteria, fungi, plants, seaweeds, vertebratesand invertebrates and have been reported for various pharmacological properties such as anti-TB, anticancer, antimalarial, anti-inflammatory, anti-HIV, antibacterial, antifungal, and antidiabetic activities. In view of the pharmacological significance of natural peptides, serious research efforts of many scientific groups and pharmaceutical companies have consequently focused on them to explore the possibility of developing their potential analogues as therapeutic agents. Solid phase and solution phase peptide synthesis are the two methodologies currently available for the synthesis of natural or synthetic linear or cyclic depsi-peptides. From a synthetic point of view, there is no doubt that the solid-phase methodology gained added advantages over solution phase methodology in terms of simplicity, purity of the compound and the speed with which peptides can be synthesised. In the present study total synthesis, purification and structural elucidation of analogues of natural anti-TB cyclic depsi-peptides such as depsidomycin, massetolides and viscosin has been attempted by solid phase method using standard Fmoc protocols and finally of resin cyclization in solution phase method. In case of depsidomycin, synthesis of linear peptide on solid phase could not be achieved because of two turn inducing amino acids in the peptide sequence, but total synthesis was achieved by convergent solid phase peptide synthesis followed by cyclization in solution phase method. The title compounds obtained were in good yields and characterized by NMR and HRMS. Anti-TB results revealed that the potential title compound exhibited promising activity at 4 μg/mL against H37Rv and 16 μg/mL against MDR strains of tuberculosis.