Results and Discussion

Both enantiomers of chiral cyclic α,α-disubstituted amino acids Ac₃c^{dOM} were synthesized starting from dimethyl L-(+)- and D-(-)-tartrate, according to our previous report [2]. The chiral cyclic amino acid was incorporated into Aib sequence by solution-phase methods; the (S,S)-Ac₅c^{dOM} was introduced to the N-terminal, to the C-terminal, and at the center position of Aib peptides. Conformational analysis by using the ¹H NMR, FT-IR, and X-ray crystallographic analysis revealed that dominant conformation of the Aib peptides containing a chiral cyclic (S,S)-Ac₅c^{dOM} was 3₁₀-helix both in solution, and in the solid state. However, the control of helical-screw handedness by one chiral (S,S)-Ac₅c^{dOM} in Aib sequences seemed to be difficult. Also, we incorporated the achiral or chiral disubstituted amino acids into L-Leu sequences. Conformation analysis by using CD, ¹H NMR, and FT-IR spectra disclosed that the dominant conformation of heteropeptides containing the chiral cyclic Ac₅c^{dOM} in L-Leu sequences was the right-handed (P) helical structure, due to the chiral centers at the α-position of L-α-amino acid. The detailed conformation analysis including the X-ray crystallographic analysis will be reported elsewhere.

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