Peptide Stapling with Boronate Esters- A Reversible Folding of (Artificial) Peptide Chain to α-Helix

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Streszczenie

Stabilization of a peptide conformation via stapling strategy may be realized by the reversible or more often irreversible connection of side chains being in mutually appropriate geometry. An incorporation of phenylboronic acid and sugar residues (fructonic or galacturonic acid), attached to two lysine side chains via amide bonds and separated by 2, 3, or 6 other residues in the C-terminal fragment of RNase A introduces the intramolecular interaction stabilizing the α-helical organization. The boronate ester stapling is stabilized in mild basic conditions and may be switched off by acidification leading to unfolded organization of the peptide chain. We investigated the possibility of using switchable stapling by mass spectrometry, NMR and UV-CD spectroscopies, and DFT calculations.

Słowa kluczowe

boronate esters, helical structure, peptide macrocycles, peptide stapling, reversible folding

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