

Synthesis, biological activity and resistance to proteolytic digestion of new cyclic dermorphin/deltorphan analogues.

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Streszczenie

A series of novel cyclic ureidopeptides, analogues of dermorphine/deltorphine tetrapeptide, were synthesized by solid phase peptide synthesis and/or in solution. The antinociceptive activity of *N*-substituted amides **1–10** was evaluated using hot-plate and tail-flick tests. Analogue **1** showed significant, stronger than morphine, antinociceptive effect after systemic applications. All analogues were also tested for their *in vitro* resistance to proteolysis by means of mass spectroscopy and it was found that all substituted amides **1–10** showed full stability during incubation with large excess of chymotrypsin and pepsin. Compound **1** is a lead molecule for further evaluation.

Słowa kluczowe

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