Cyclic mu-opioid receptor ligands containing multiple *N*-methylated amino acid residues.

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In this study we report the in vitro activities of four cyclic opioid peptides with various sequence length/macrocycle size and N-methylamino acid residue content. N-Methylated amino acids were incorporated and cyclization was employed to enhance conformational rigidity to various extent. The effect of such modifications on ligand structure and binding properties were studied. The pentapeptide containing one endocyclic and one exocyclic N-methylated amino acid displayed the highest affinity to the mu-opioid receptor. This peptide was also shown to be a full agonist, while the other analogs failed to activate the mu opioid receptor. Results of molecular docking studies provided rationale for the explanation of binding properties on a structural basis.

Słowa kluczowe

opioid receptors, N-Methylated amino acids, Cyclic peptides, binding studies, Functional assays, Enzymatic stability, docking

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