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Abstract

Plant-Derived Peptides Rubiscolin-6, Soymorphin-6 and Their C-Terminal Amide Derivatives: Pharmacokinetic Properties and Biological Activity †

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Abstract: This study aims to investigate the pharmacokinetic properties, antinociceptive, and antioxidant activities of rubiscolin-6, soymorphin-6, and their *C*-terminal amides. Rubiscolin-6 and soymorphin-6 are two exhorphins derived from spinach and soybean, respectively. The four peptides were synthesized following Fmoc-SPPS strategy to give the final peptides in excellent overall yields, and purity following an analytical RP-HPLC analysis. Rubiscolin-6-amide exhibits a significative antinociceptive effect after i.c.v. and s.c. administration. Rubiscolin-6 shows the best in vitro intestinal bioavailability in the CaCo2 cell monolayer, and stability to the brush border exopeptidases in the apical compartment. In silico experiments show the interaction of rubiscolin-6 and rubiscolin-6 amide at the binding cavity of DOR compared with the crystallographic ligand TIPP-NH_2.

Keywords: rubiscolin; peptides; GIT; absorption; elimination

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