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Synthesis, Electrochemical and Fluorimetric Analysis of Caffeic Cinnamic and Acid-Conjugated Hemorphin Derivatives Designed as Potential Anticonvulsant Agents

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Abstract : In the present study, a series of bioconjugates of N-modified hemorphine analogs containing second pharmacophore cinnamic acids (CA) or caffeic (KA) were synthesized by a traditional solid-phase Fmoc chemistry method for peptide synthesis. Electrochemical and fluorimetrical analysis and in vivo anticonvulsant activity in mice were conducted on the compounds. The three CA acids (H4-CA, H5-CA, and H7-CA) and three KA acids (H4-KA, H5-KA, and H7-KA)-conjugated hemorphine derivatives showed dose-dependent anticonvulsant activity in the maximal electroshock test (MES) in mice. The KA-conjugated H5-KA derivate was the only compound that suppressed clonic seizures at the lowest dose of 0.5 µg/mouse in the scPTZ test. The activity against the psychomotor seizures in the 6-Hz test was detected only for the H4-CA (0.5 µg) and H4-KA (0.5 µg and 1 µg), respectively. The peptide derivates did not exhibit neurotoxicity in the rotarod test. Our findings suggest that conjugated CA and KA hemorphine peptides can be used as a background for developing hemorphin-related analogs with anticonvulsant activity. Acknowledgements: This study is funded by the European Union-NextGenerationEU, through the National Recovery and Resilience Plan of the Republic of Bulgaria, project № BG-RRP-2.004-0002, "BiOrgaMCT".
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