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3-Arylpropenoyl-adamantane amides: Synthesis, structural determination and biological activity

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The emergence and spread of drug-resistant pathogens, including bacteria, viruses, fungi and parasites continues to threaten not only humans, but also animals, and plants [1]. Antimicrobial resistance (AMR) is responsible for more than 1 million death. Particularly, antiviral drug resistance is an increasing concern, especially in immunocompromised patients, due to their permanent treating with antiviral therapies [2]. WHO prognosticates that AMR could lead to 10 million deaths per year by 2050? However, it is known that two-thirds to three-fourths of cases of acute respiratory illness are virus-induced [3]. Therefore, finding of new alternative therapies, and the development of new antivirals is a hot topic.

Adamantane nucleus is a key pharmacophore, used in creation of a diverse library of compounds with antimicrobial, neuroprotective, antimalarial, anti-inflammatory, and etc. [4]. The purpose of our study is to evaluate antiviral effects of 3-Arylpropenoylamides (described in Fig.1) against three Influenza strains A/FortMonmouth/1/1947; A/Jinnan/15/2009; A/Wuhan/359/1995. The amides were prepared in sufficiently yields by TBTU couplings [5]. Ther structures were entirely characterized by melting points and spectroscopic data (UV, IR, 1H NMR, 13C NMR, HRMS). The geometry of –CH=CH- side chain of desired compounds was assigned as an (E)-⍰-diastereomeric form on the basis of J values (>15.0 Hz) in the 1H NMR spectra. Methodology & Theoretical Orientation: The virus-induced CE was recorded when the CPE of virus control group reached 4, and IC50 of drugs were determined using Reed and Muench method. Findings: In general, in comparison with clinically used antiviral drugs (oseltamivir and ribavirin), the group of hybrids shows weaker antiviral activity against the influenza strains tested. Conclusion & Significance: Amongst the tested adamantane hybrids, emerges N-sinapoyl-memantine and thienyl-adamantane, which suppressed the viral replication of both strain A/FortMonmouth/1/1947 and A/Wuhan/359/1995, whereas they are resistant against strain A/Jinnan/15/2009

Biography

Maya Chochkova has her expertise in the field of discovery of novel biologically active compounds by chemical modification of natural compounds (cinnamic acids, peptides, amino acids, alkaloids) or drugs (anti-influenza, anti-Alzheimer) using conventional and green methodologies. Furthermore, her scientific interest is devoted to in vitro evaluation of anti-tyrosinase, anti-glucosidase and radical scavenging activities of the novel compounds. Currently, she is Assoc. Professor in Organic Chemistry at the South-West University "Neofit Rilski", Blagoevgrad, Bulgaria.

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