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6th Global Summit on **TOXICOLOGY & Applied Pharmacology** October 17-19, 2016 Houston, USA

Novel resveratrol triesters demonstrate activity in diverse biological systems

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Resveratrol (3, 5, 4'-trihydroxy-*trans*-stilbene, *t*RV) is a polyphenol found in numerous plant species, e. g. grapes, berries, peanuts, that exhibits many beneficial properties namely antioxidant, anti-inflammatory and cytostatic. However, its wide application is limited due to its rapid metabolism and low solubility. Our laboratory synthesized 16 derivatives of *t*RV by conjugation with other bioactive compounds such as hydroxycinnamic acids. Rigorous structure identification was carried out, and the crystal structure of selected compounds was determined. In this work, *t*RV esters were tested for biological activity. Specifically, radical scavenging effect, elastase, collagenase and the inhibitory activity of tyrosynase and cholinesterases were investigated *in vitro* studies. Interestingly, resveratryl tri-p- and tri-m-coumatare were the best inhibitors of both cholinesterases. We have also checked the ability of resveratrol esters to bind to and activate CB1 and CB2 cannabinoid receptors. Preliminary data indicates that several of *t*RV esters also bind to CB1 and CB2 with low affinity (µmol range) but comparable to *t*RV. Based on these observations, we hypothesized that CB1 and CB2 receptors may play an important role in the molecular mechanism of action for *t*RV esters. Minor modifications to the basic *t*RV structure resulted in marked alterations in the affinity of various analogs for CBRs; this indicates that *t*RV could be used as a scaffold for the design of highly selective and efficacious CB1R and CB2R ligands. It is expected that the conjugation of *t*RV with other bioactive compounds may lead to synergistic biological effects and, consequently, higher activity as compared to the unbound, individual components.

Biography

Alicja Urbaniak received her MSc degree in Chemistry at the Adam Mickiewicz University in Poznan, Poland, where she continued her chemistry education as a PhD student. Since June 2016, she has worked as a graduate student at University of Arkansas for Medical Sciences in Prof. Anna Radominska-Pandya's group. She was awarded the best Master's Thesis in quantum chemistry when she defended in Poland in 2012. She is an author of four scientific papers and presented her research results at 32 scientific conferences. Her scientific interests are related to the organic chemistry of natural compounds and their metabolism.

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