Inhibition of angiotensin converting enzyme (ACE) by fruit flavonoids in regulation of hypertension

H.P. Vasantha Rupasinghe
Nova Scotia Agricultural College (NSAC), PO Box 550, Truro, Nova Scotia, B2N 5E3, Canada

Renin angiotensin aldosterone system (RAAS) is a major biochemical pathway of regulating blood pressure in human body. Angiotensin converting enzyme (ACE) is a key enzyme in the RAAS which produce angiotensinogen II, a known vasoconstriction factor. As the over expression of RAAS is associated with vascular hypertension and consequent health issues. ACE inhibition has become a major target control for hypertension. Recent research findings prove that the bioactive compounds present in fruits are among the natural dietary components to inhibit ACE. In the current study, fruit flavonoids were investigated on their inhibitory property using an in vitro system and human umbilical vein derived endothelial cells (HUVEC). The results demonstrated that among polyphenolics, flavonoids have a potential to inhibit ACE in vitro. The ring B of flavonoids is essential for the inhibitory function and the inhibition varies according to type of sugar moiety attached at C-3 position of flavonoids. For all flavonoids tested, IC50 values varied within the range of 70-200 μM. Interestingly, the lowest IC50 value is associated with the quercetin-3-O-glucuronic acid, a major in vivo metabolites of quercetin and its glycosides. Most flavonoids showed a concentration dependent ACE inhibition. Enzyme kinetic analysis proved that flavonoids are competitive inhibitors of ACE. When the ACE inhibition was performed using HUVEC, quercetin-3-O-glucuronic acid quercetin-3-O-glucoside exhibited the most effective ACE inhibition. Overall, results suggest that there is a high potential to use fruit flavonoids as dietary supplements for ACE inhibition in prevention and treatment of mild to moderate hypertension.

Biography

Dr. Vasantha Rupasinghe is an Associate Professor and Canada Research Chair in Fruit Bioactives & BioProducts at NSAC, Canada. He also serves as an Adjunct Professor of the Departments of Pharmacology of Dalhousie University, Canada. He earned his M.Sc. from Iowa State University, USA and a PhD from University of Guelph, Canada. He has developed a unique research program for investigating the mode of action of antioxidant and biological properties of plant secondary metabolites of cool climate fruits. He is the author or co-author of 59 refereed journal publications and 94 abstracts of conference presentations.