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Bioconjugated (amino) quercitol with cinnamic acid derivatives: Synthesis, bio-activity assays and kinetic studies

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Bioconjugated compounds, containing two biomolecules directly connected together or by linker, have been developed to improve specific chemical property or biological activity. Common types of bioconjugation reactions are coupling of protein, lipid, amino acid or sugar. In this research, we have focused on synthesis of bioconjugated compounds containing cinnamic acid derivatives (CADs) and (+)-*proto*-quercitol through amide or ester linkage. CADs have been documented to possess antioxidant and α-glucosidase inhibitory effect, and quercitol is a sugar mimic. We hope that the combination of two active moieties would afford bioconjugates with improved activities. In this research, 31 novel (quercityl-cinnamate derivatives and quercityl-cinnamamide derivatives) were prepared from *trans*-cinnamic acid derivatives and natural carbasugars, (+)-*proto*-quercitol which is isolated from the stems of *Arfeuillea arborescens*. All synthesized compounds are fully characterized and investigated for their α-glucosidase inhibitory effect against baker's yeast and rat intestine (maltase and sucrase) *in vitro*. Most compounds potentially inhibit α-glucosidase from rat intestine with IC50 value in the range of 0.41-527.41 μM, which are 1.4-208 times greater than that of starting cinnamic acid derivatives. Of the prepared compounds, 11AS inhibited maltase with an IC_{50} value of 0.41 μM, which had potency similar to antidiabetic drug, acarbose and voglibose (IC_{50}=1.5 and 0.25 μM). While its radical scavenging (SC_{50}=0.07 mM) were comparable to that of commercial antioxidant BHA (SC_{50}=0.10 mM), but (amino)quercitols, acarbose and voglibose were not effected on DPPH scavenging. In addition, an investigation on mechanism underlying inhibitory effect of 11AS indicated that it blocked maltase functions by noncompetitive manner. For synergistic effect of 11AS, inhibitory activity against maltase exerted by a mixture of acarbose and 11AS significantly improved (8 to 42%), compare with acarbose alone, suggesting that they may provide a significant clinical benefit in delaying postprandial hyperglycemia.

Biography

Eakkaphon Rattaangkool has been studying in Natural Product Chemistry and Organic Synthetic Methodology Chemistry field from Chulalongkorn University. His research focused on the synthesis of cinnamic acid derivatives/quercitol bioconjugates as antioxidant and α-glucosidase inhibitor at Department of Chemistry, Faculty of Science, Chulalongkorn University. He has published 4 papers in scientific journals.

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