Screening and identification of enzyme inhibitors in local foods and analysis of lipase inhibition mode by anti-hyperlipidemic polyphenols

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Background

Elevated blood levels of TG and Cholesterol are two very important factors for hyperlipidemia symptoms. Blocking cholesterol biosynthesis and TG hydrolysis are two most effective mechanisms to cope hyperlipidemia.

Rationale

A number of plant polyphenols showed potential lipase and HMG CoA reductase inhibitory activity. Therefore, it is important to evaluate local dietary for their anti-hyperlipidemic activity.

Objectives

Searching inhibitors from local dietary sources was the central focus of the present study by conducting: (1) Screening of selected local agricultural produces for lipase and HMG CoA reductase inhibitors; (2) Extraction and quantification of selected inhibitors by HPLC; (3) Screening standard flavonoid and non-flavonoid phenolics for lipase inhibition potency; and (4) Diagnosis of lipase inhibition modality of the resultant high potency inhibitors to evaluation the enzyme structure-activity relationship.

Methodology

Selected foods were first extracted with different solvent systems followed by measuring their IC50 value as an index of their inhibitor potency. Standard phenolics were screened for lipase inhibition and high potency inhibitors were further analyzed for their enzyme inhibition kinetics.

Results

Nine bean extracts showed insignificant HMG CoA reductase inhibitions while, out of 16 bean, cereals, and fruits, only few showed significant lipase inhibition potency. HPLC assay identified presence of free gallic (GA) and ferulic acids (FA) in cereals as well as anthocyanins in black rice. A number of standard flavonoid and non-flavonoid polyphenols when screened for their lipase inhibition potential, only GA and gallol moiety containing catechins, namely, Epigallocatechin and Epigallocatechin gallate were found significantly inhibiting pancreatic lipase (IC50 are 387.2, 237.3, and 391.2 μM respectively). Analysis of lipase inhibition modality by these polyphenols identified a mode of inhibition that were best fit to competitive inhibitions as revealed by visual inspection of Lineweaver-Burk and Dixon plots.

Conclusions

Structural similarity and a common pattern of competitive inhibitions exerted by the studied polyphenols pointed to a role of their galloyl moiety in enzyme binding and inhibiting the substrates competitively.