Biflavones from *Garcinia brasiliensis* Mart. as inhibitors of HIV- protein

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Introdução

Garcinia is the largest member of the family Clusiaceae. It consists of over 400 species widespread in tropical Asia, Africa, New Caledonia, Polynesia and Brazil. The Genus is rich in oxygenated and prenylated phenol derivatives including isoprenylated benzophenones and flavonoids[1] which posses antidepressant, antiviral, anti-tubercular and anti-protozoan activities[2,3]. Flavonoids are polyphenolic, hydrophobic, aromatic compounds that occur in plants. The hydrophobicity increases with the increase in methoxyl groups which affects the bioactivity of the substances. The present study was conducted to evaluate antioxidant and protease activities of biflavones from *Garcinia brasiliensis* using DPPH free radical assays and HIV protein.

Resultados e Discussão

The biossay-guided fractionation of *G. brasilienses* EtOH extract led to the isolation of two fre-radical scavenging biflavones podocarpusflavone and amentoflavone (figure 1).

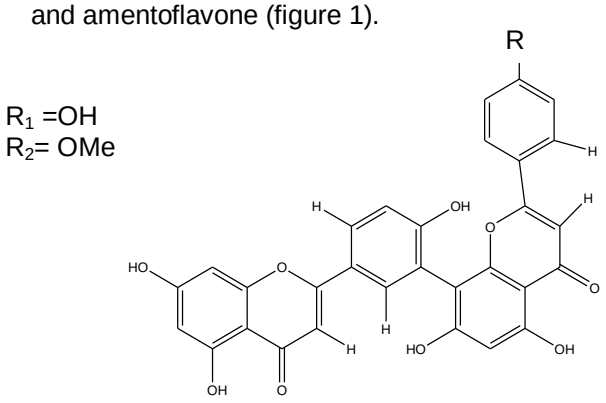

Figure 1: Planar structure of amentoflavone (R1) and podocarpusflavone(R2)

The results showed that both podocarpusflavone and amentoflavone (figure 1) inhibit protein and sequester DPPH in a concentration-dependent manner (figure 2). Further, the substances showed similar values in the inhibition of HIV protein. Amentoflavone showed higher DPPH sequestration values due to the presence of hydroxyl group at 4[III] in place of methoxyl group which has no observable influence on protease activities of the substances.

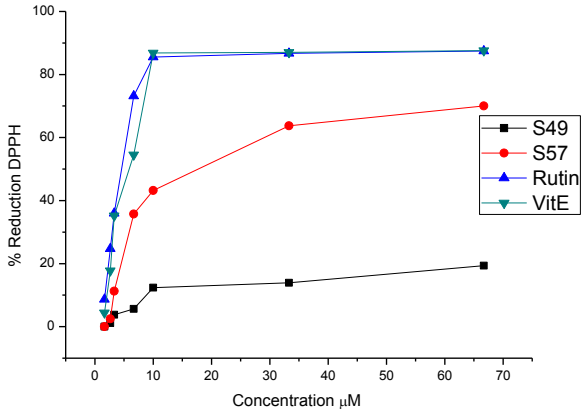

Figure 2: DPPH sequestration Activities of the isolated substances

Podocarpusflavone at 2.83μM inhibited 52.6% of HIV protease, a potency similar to amentoflavone. Both biflavones displayed moderate DPPH radical scavenging activities. The free radical scavenging activity of Amentoflavone (68%) wasover 3 times more potent that podocarpusflavone (20 %) at 28.3uM.

Conclusões

These results suggest that *G. brasiliensis* possess interesting biflavones and could provide lead molecules for development of therapeutic agents for HIV treatment. Further the analysis indicated that whereas substitution of hydroxyl group in amentoflavone with methoxyl in podocarpus flavone perturbs planarity of the biflavones, it had no influence on protease inhibitory activities of the substances. Such results evidence the effects of substituent groups on reactivity of molecules, thus nature is a reservoir of substances with pharmacological activity hence conservation and sustainable use is necessary for preservation of biodiversity.

Agradecimentos

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