Cytotoxicity and Bioavailability of Diaylheptanoids isolated from *Garuga pinnata* Roxb

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ABSTRACT

Diarylheptanoids are major class of plant secondary metabolites characterized by 1, 7-diphemnyl heptanes in a seven carbon frame. The cytotoxic activity of the isolated compounds (Garuganins) was evaluated against MCF-7 (human breast cancer cell lines) and HCT15 (human colon cancer cell lines). The transport characteristics of isolated compounds were conducted by using Caco-2 cell lines. In accordance to the results obtained, the cytotoxicity of the isolated compounds (Garuga 1, 3, 4 and 5) was concentration dependent. The results are significant with compounds Garuga 4 and Garuga 5 against HCT15 cell lines with IC_{50} 21.5 and 17.3 μg/mL respectively, whereas, the compounds Garuga 1 and 3 exhibited poor activity with IC_{50} >200 μg/mL for MCF-7 and HCT15 cell lines. The bioavailability and transport characteristics of the isolated compounds were studied in carried out in Apical and Basal directions, in the presence and absence of verampil, Pgp inhibitor and MK 571 inhibitors. The ability of isolated compounds to interact and incorporate in to Caco-2 cells at different concentrations and different time intervals was found significant ($P<0.05$). According to the current investigation data, the time dependent cellular uptake and accumulation of the compounds was noticed. The intracellular deposition of compounds raised steadily over 6h. Interestingly the accumulated concentration of the compounds was significantly increased of about 2.0 fold after 2 h of incubation compared with that form initiation point. Garuganin IV and V raised the intracellular concentration of about 4.0 fold 162.11±1.88, 137.91±1.61 nmol/L at 6h respectively, comparing to starting hour of incubation. The transport efficiency was found significant when the compounds are incubated with Caco-2 cells from basolateral direction. Intracellular concentration of the Garuganin IV and V noted are 176.31±0.07, 154.29±1.14, 132.33±1.08, 110.19±0.04, 187.55±2.84, 61.89 ± 2.31 μM respectively in the presence P-gp and MRPs and MK571 inhibitors.

Keywords: Diarylheptanoids, Garuganins, cytotoxic activity, Bioavailability, verampil

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