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Estrogenic Activity of Daidzein, Genistein, and Their Glycosides in Stably Transfected MCF-7-ERE Cells

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One of the most well known phytoestrogens isoflavone exist naturally in glycoside form. Glycosides, daidzın and genistin, are metabolized into aglycones, daidzen and genistein, respectively in the human digestive tract and further specific metabolites upon absorption. Biotransformation of phytoestrogen glycosides into aglycones has been thought to be necessary to exert their estrogenic activity due to their poor absorption and low binding affinity for estrogen receptor. However, relatively little works have been attempted on estrogenic activity of glycosides. In this study, estrogenic activity of daizein, genistein, and their glycosides was assessed by reporter gene assay using cultured MCF-7-ERE cells, human mammary cancer cells stably transfected with reporter genes. The glycosides daidzin, genistin and their aglycones daidzein and genistem were treated to the cells at various concentrations ($1 \times 10^{-9} \sim 1 \times 10^{-5}$ M) for various reaction times ($1 \sim 20$ hr). Both the glycosides and their aglycones showed estrogenic activity in dose and time dependent manners. Glycosides, daidzin and genistin, exhibited estrogenic activity even though the activity of glycosides was lower than that of aglycones, daidzein and genistein. After treatment with 1×10-5M each isoflavone for 20hr, daidzein and genistein showed 26.16 and 47.98 fold induction, respectively. Their glycosides, daidzin and genistin, showed 21.31 and 25.13 fold induction, respectively. This study suggests that glycosides of phytoestrogens as well as aglycones can exert estrogenic activity.

Keyword: estrogenic activity, glycosides, aglycones, daidzein, genistein