Baicalein, unlike 4-hydroxytamoxifen but similar to G15, suppresses 17β-estradiol-induced cell invasion, and matrix metalloproteinase-9 expression and activation in MCF-7 human breast cancer cells.

Chen Y[1,2], Hong DY[1], Wang J[1], Ling-Hu J[2], Zhang YY[1], Pan D[1,2], Xu YN[1], Tao L[1], Luo H[1], Shen XC[1,2].

https://www.ncbi.nlm.nih.gov/pubmed/28789417

Abstract

Estrogen performs an important role in the growth and development of breast cancer. There are at least three major receptors, including estrogen receptor (ER)α and β, and G protein-coupled receptor 30 (GPR30), which mediate the actions of estrogen through using transcriptional and rapid non-genomic signaling pathways. Flavonoids have been considered candidates for chemopreventive agents in breast cancer. Baicalein, the primary flavonoid derived from the root of *Scutellaria baicalensis* Georgi, has been reported to exert an anti-estrogenic effect. In the present study, the effects of baicalein on 17β-estradiol (E2)-induced cell invasion, and matrix metalloproteinase-9 (MMP-9) expression and activation were investigated. Furthermore, its effects were compared with that of the active form of the ER modulator tamoxifen 4-hydroxytamoxifen (OHT) and the GPR30 antagonist G15 in ERα- and GPR30-positive MCF-7 breast cancer cells. The results demonstrated that OHT failed to prevent E2-induced cell invasion, upregulation and proteolytic activity of MMP-9. However, baicalein was able to significantly suppress these E2-induced effects. Furthermore, E2-stimulated invasion, and MMP-9 expression and activation were significantly attenuated following G15 treatment. In addition, baicalein significantly inhibited G-1, a specific GPR30 agonist, induced invasion, and reduced G-1 promoted expression and activity of MMP-9, consistent with effects of G15. The results of the present study suggest that baicalein is a therapeutic candidate for GPR30-positive breast cancer treatment, and besides ERα targeting the GPR30 receptor it may achieve additional therapeutic benefits in breast cancer.