

Structure Activity Relationship Of Flavonoids Using pERE-Luc Stably Transfected MCF-7 Cell system.

K.E. Joung and Y.Y. Sheen

College of Pharmacy, Ewha Womans University, Seoul 120-750, Korea

We have examine the estrogenic activites of flavonoids using pERE-Luc and pCYP1A1-Luc reporter system. MCF-7 humans breast cancer cells were stably transfected with pERE-Luc and Hepa I cells were transfected with pCYP1A1-Luc. Estradiol (E2) and synthetic estrogen, diethylstylbesterol (DES) were induced luciferase activity in dose dependent manner and their induced activities were decreased by tamoxifen (Tam) treatment. A large series of flavonoids showed estrogenic activities and there were some relationship between their structure and activity. First, 4-methoxylation and catechol structure decreased estrogenic activities. Second, hydroxylation of 3 position reduced estrogenic effect. Third, glycosides of flavonoids showed weak estrogenic activity or no activity. Interestingly, when tested at high concentrations, genistein, kaempferol, biochanin A and chrysin elicited luciferase induction higher than that of the maximum induction by estradiol. And these effect of genistein and kaempferol could not be fully inhibited with tamoxifen. [Supported by the Ministry of Environment of Korea]