In humans and in different species the metabolic transformation of various hormones are well documented, but the biological importance of the metabolic products are poorly understood. Estradiol (E2) is one of the main factors which control the growth and evolution of breast cancer. Breast cancer cells possess all the enzymatic systems (e.g. sulfates, aromatase, 17β-hydroxysteroid dehydrogenase (17β-HSD), involved in the conversion of estrogen precursors into E2. In this presentation we explore: A) The effects of Duphaston and of its 20-Dihydro-derivative and B) the progesterone metabolites, in some enzymes implicated in the formation of E2 in the human breast. It is observed that Duphaston and its 20-dihydro metabolite are potent inhibitory agents on sulfatase and 17β-HSD. Progesterone is metabolize in the normal breast mainly into 4-ene-pregnenes (20a-dihydroprogesterone, 5alpha-DHP), but in contrast, in breast cancer tissue the 5alpha-dihydopregnanes (5alpha-dihydopregnanes, 5alpha-DHP) are prevalent. 20alpha-DHP significantly inhibe aromatase activity in breast cancer cells no effect was found with 5alpha-DHP, suggesting that 5alpha-DHP, might be involved in the control of E2 production in the normal breast cell and might therefore be one of the multifactorial factors involved in breast carcinogenesis.