

A Ferutinin Analogue with Enhanced Potency and Selectivity against Estrogen Receptor Positive Breast Cancer Cells in vitro

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Abstract : Estrogen is considered a risk factor for breast cancer since it promotes breast-cell proliferation. The jaesckeanadiol-3-p-hydroxyphenylpropanoate, a hemi-synthetic analogue of the natural phytoestrogen ferutinin (jaesckeanadiol-p-hydroxybenzoate), is designed to be devoid of estrogenic activity. This analogue induces a cytotoxic effect 30 times higher than that of ferutinin towards MCF-7 breast cancer cell line. We compared these two compounds with respect to their effect on proliferation, cell cycle distribution and cancer stem-like cells in the MCF-7 cell line. Treatment with ferutinin (30 μ M) and its analogue (1 μ M) produced a significant accumulation of cells at the pre G0/G1 cell cycle phase and triggered apoptosis. Importantly, this compound retains its anti-proliferative activity against breast cancer stem/progenitor cells that are naturally insensitive to ferutinin at the same dose. These results position ferutinin analogue as an effective compound inhibiting the proliferation of estrogen-dependent breast cancer cells and consistently targeting their stem-like cells.

Keywords : ferutinin, hemi-synthetic analogue, breast cancer, estrogen, stem/progenitor cells

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