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Tamoxifen Citrate

National Cancer Institute

Source

National Cancer Institute. *Tamoxifen Citrate*. NCI Thesaurus. Code C855.

The citrate salt of an antineoplastic nonsteroidal selective estrogen receptor modulator (SERM). Tamoxifen competitively inhibits the binding of estradiol to estrogen receptors, thereby preventing the receptor from binding to the estrogen-response element on DNA. The result is a reduction in DNA synthesis and cellular response to estrogen. In addition, tamoxifen up-regulates the production of transforming growth factor B (TGFB), a factor that inhibits tumor cell growth, and down-regulates insulin-like growth factor 1 (IGF-1), a factor that stimulates breast cancer cell growth. Tamoxifen also down-regulates protein kinase C (PKC) expression in a dose-dependant manner, inhibiting signal transduction and producing an antiproliferative effect in tumors such as malignant glioma and other cancers that overexpress PKC.