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Lasofloxifene

National Cancer Institute

Source

National Cancer Institute. *Lasofloxifene*. NCI Thesaurus. Code C80679.

A non-steroidal, naphthalene-derived, third-generation selective estrogen receptor modulator (SERM) with potential antineoplastic and anti-osteoporotic activities. Upon oral administration, lasofloxifene selectively binds to both estrogen receptor alpha (ERalpha; ESR1) and estrogen receptor beta (ERbeta; ESR2) with high affinity and mimics the effects of endogenous estradiol with varying agonist and antagonist effects in ER-expressing tissues. Blockade of ERalpha by lasofloxifene may potentially inhibit estrogen-dependent cancer cell proliferation in ER-expressing cancers. Lasofloxifene may also bind to the certain mutant forms of ERalpha, including the Y537S ESR1 mutant, making it potentially useful in the treatment of tumors that have acquired resistance to other ER-targeting agents.