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Selective Estrogen Receptor Covalent Antagonist H3B-6545

National Cancer Institute

Source

National Cancer Institute. *Selective Estrogen Receptor Covalent Antagonist H3B-6545*.

NCI Thesaurus. Code C142980.

An orally available, selective and covalent antagonist of estrogen receptor alpha (ERalpha; ERa; ESR1; nuclear receptor subfamily 3, group A, member 1; NR3A1), with potential antineoplastic activity. Upon oral administration, selective estrogen receptor covalent antagonist (SERCA) H3B-6545 selectively and covalently binds to a cysteine that is unique to ERalpha and is not present in other nuclear hormone receptors, thereby inhibiting the activity of ERalpha. This inhibits the growth and survival of ERalpha-expressing cancer cells. ERalpha, a nuclear hormone receptor, is often overexpressed and/or mutated in a variety of cancer cell types. It plays a key role in tumor cell proliferation and survival.