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Megestrol Acetate

National Cancer Institute

Source

National Cancer Institute. *Megestrol Acetate*. NCI Thesaurus. Code C1156.

The acetate salt form of megestrol, a synthetic derivative of the naturally occurring female sex hormone progesterone with potential anti-estrogenic and antineoplastic activity. Mimicking the action of progesterone, megestrol acetate binds to and activates nuclear progesterone receptors in the reproductive system, and causes the ligand-receptor complex to be translocated to the nucleus where it binds to and promotes expression of target genes. This leads to an alteration in protein synthesis, which modulates cell growth of reproductive tissues. Due to the negative feedback mechanism seen with progesterone, megestrol also blocks luteinizing hormone (LH) release from the pituitary gland, thereby leading to an inhibition of ovulation and an alteration in the cervical mucus and endometrium. Furthermore, without stimulation of LH, estrogen release from the ovaries is stopped, hence impedes the growth of estrogen-sensitive tumor cells.