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## Selective Estrogen Receptor Modulator TAS-108

National Cancer Institute

## Source

National Cancer Institute. <u>Selective Estrogen Receptor Modulator TAS-108</u>. NCI Thesaurus. Code C61494.

A synthetic, antiestrogenic steroidal compound with potential antitumor activity. TAS-108 binds to and inhibits estrogenic receptor alpha (ERa), mainly expressed in the mammary gland and uterus and upregulated in estrogen-dependent tumors. Blockage of ERa by TAS-108 prevents the binding and effects of estrogen and may lead to an inhibition of estrogen-dependent cancer cell proliferation. TAS-108 also is a partial agonist of the estrogenic receptor beta (ERb), expressed in many tissues including the central nervous system, urogenital tract, bone and cardiovascular system, thereby exerting a positive effect on these tissues. In addition, TAS-108 activates the co-repressor Silencing Mediator for Retinoid and Thyroid hormone receptor (SMRT), a protein that inhibits the activities of the estrogen receptors, which may contribute to the antitumor activity of TAS-108.

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