

Selective estrogen receptor modulator

Selective estrogen receptor modulators (SERMs) are a class of drugs that act on the estrogen receptor (ER).

A characteristic that distinguishes these substances from pure ER agonists and antagonists (that is, full agonists and silent antagonists) is that their action is different in various tissues, thereby granting the possibility to selectively inhibit or stimulate estrogen-like action in various tissues.

Hannen et al., analyzed the effects of fulvestrant and three [Selective estrogen receptor modulators](#) (SERMs), bazedoxifene, clomifene, and [raloxifene](#), on [pituitary neuroendocrine tumors](#) cell lines AtT20, TtT/GF, and GH3. In cell survival assays, clomifene was shown to be the most potent compound in all three cell lines with IC50 values ranging between 2, 6, and 10 μ M, respectively, depending on the cell type. Raloxifene and bazedoxifene were also effective but to a lower extent. Also, all SERMs affected migratory and invasive behavior of pituitary neuroendocrine tumor cells. Mechanistically, treatment of cells with SERMs caused cell apoptosis, as demonstrated by Caspase 3/7 activity and western blot assays. In addition, western blots demonstrate activation of p53 in TtT/GF cells and loss of ERK1/2 activation in AtT20 cells. In contrast, fulvestrant was only effective in GH3 cells. Thus, the general applicability of SERMs for pituitary neuroendocrine tumor cells might be promising in clinical applications for the treatment of pituitary neuroendocrine tumors ¹⁾.

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Hannen R, Steffani M, Voellger B, Carl B, Wang J, Bartsch JW, Nimsky C. Effects of anti-estrogens on cell invasion and survival in pituitary neuroendocrine tumor cells: A systematic study. J Steroid Biochem Mol Biol. 2019 Mar;187:88-96. doi: 10.1016/j.jsbmb.2018.11.005. Epub 2018 Nov 13. PubMed PMID: 30439415.

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Last update: **2024/06/07 02:50**

