

Ormeloxifene

Ormeloxifene (also known as **centchroman**) is one of the **selective estrogen receptor modulators**,^[2] or SERMs, a class of medication which acts on the estrogen receptor. It is best known as a non-hormonal, non-steroidal oral contraceptive which is taken once per week. In India, ormeloxifene has been available as **birth control** since the early 1990s, and it is currently marketed there under the trade name **Saheli**.^[3] Ormeloxifene has also been licensed under the trade names **Novex-DS**, **Centron** and **Sevista**.

1 Medical uses

Ormeloxifene is primarily used as a contraceptive but may also be effective for **dysfunctional uterine bleeding** and advanced **breast cancer**.^[4]

1.1 Birth control

Ormeloxifene may be used as a weekly oral contraceptive.^[4] The weekly schedule is an advantage for women who prefer an oral contraceptive, but find it difficult or impractical to adhere to a daily schedule required by other oral contraceptives.

For the first twelve weeks of use, it is advised to take the ormeloxifene pill twice per week.^[4] From the thirteenth week on, it is taken once per week.^{[4][5]} The consensus is that backup protection in the first month is a cautious but sensible choice. A standard dose is 30 mg weekly, but 60 mg loading doses can reduce pregnancy rates by 38%.^[6]

It has a failure rate of about 1-2% with ideal use which is slightly less effective than found for **combined oral contraceptive pills**.^[7]

1.2 Other indications

- Ormeloxifene has also been tested in experimental setting as a treatment for **menorrhagia**.^[8]
- use in treatment of **mastalgia** and **fibroadenoma** has also been described.^[9]

2 Adverse effects

There are concerns that ormeloxifene may cause **delayed menstruation**.^[10]

3 Method of action

Ormeloxifene is a **SERM**, or selective **estrogen receptor modulator**. In some parts of the body, its action is **estrogenic** (e.g., bones), in other parts of the body, its action is **anti-estrogenic** (e.g., uterus, breasts.^{[11][12]}) It causes an **asynchrony** in the **menstrual cycle** between **ovulation** and the development of the uterine lining, although its exact mode of action is not well defined. In clinical trials, it caused ovulation to occur later than it normally would in some women,^[7] but did not affect ovulation in the majority of women, while causing the lining of the uterus to build more slowly. It speeds the transport of any fertilized egg through the fallopian tubes more quickly than is normal.^[7] Presumably, this combination of effects creates an environment such that if fertilization occurs, implantation will not be possible.^[7]

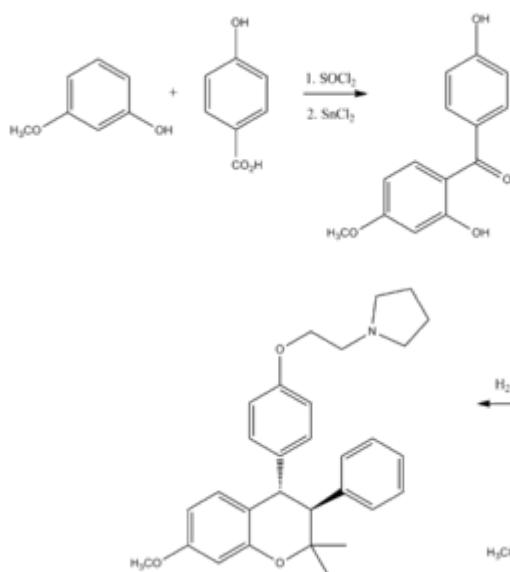
4 Marketing

Ormeloxifene is only legally available in India as of 2009.^[13]

Ormeloxifene has been tested and licensed as a form of birth control, as well as a treatment for **dysfunctional uterine bleeding**.

- It was first manufactured by **Torrent Pharmaceuticals**, and marketed as birth control under the trade name **Centron**. Centron was discontinued.
- A new license for ormeloxifene was issued to **Hindustan Latex Ltd.**, which now manufactures ormeloxifene as birth control under the trade name **Saheli**, **Novex** and **Novex-DS**.
- **Torrent Pharmaceuticals** has resumed manufacture of ormeloxifene under the trade name **Sevista**, as a treatment for **dysfunctional uterine bleeding**.

5 Synthesis



6 See also

- Hormonal contraception
- Levormeloxifene, a related SERM

7 References

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- [12] Nigam, Manisha; Ranjan, Vishal; Srivastava, Swasti; Sharma, Ramesh; Balapure, Anil K. (2008). "Centchroman induces G0/G1 arrest and Caspase-dependent Apoptosis involving Mitochondrial Membrane Depolarization in MCF-7 and MDA MB-231 Human Breast Cancer Cells". *Life Sciences*. **82** (11–12): 577–90. doi:10.1016/j.lfs.2007.11.028. PMID 18279897.
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8 Further reading

- Ray, Suprabhat; Grover, Payara K.; Kamboj, Ved P.; Setty, B. S.; Kar, Amiya B.; Anand, Nitya (1976). "Antifertility agents. 12. Structure-activity relation of 3,4-diphenylchromenes and -chromans". *Journal of Medicinal Chemistry*. **19** (2): 276–9. doi:10.1021/jm00224a014. PMID 1249807.

9 External links

- United States National Library of Medicine *Centchroman* entry in the Medical Subject Headings (MeSH) database
- Reproductive Health Online, a Johns Hopkins University affiliate providing information on Centchroman

- Central Drug Research Institute, Lucknow, India: a government-funded laboratory, conducting R&D on Centchroman as birth control.
- Ministry of Health and Family Welfare - Indian government site; information about availability of Saheli.

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