# PRODUCT INFORMATION



## **Tibolone**

Item No. 10006321

**CAS Registry No.:** 5630-53-5

Formal Name:  $17\alpha$ -hydroxy- $7\alpha$ -methyl-19-norpregn-5(10)-

en-20-yn-3-one

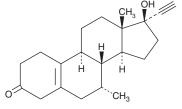
Synonyms:  $7\alpha$ -Methyl- $\Delta^{5,10}$ -norethindrone, Org OD 14

MF:  $C_{21}H_{28}O_2$ 312.5 FW: **Purity:** ≥98%

Supplied as: A crystalline solid

Storage: -20°C Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



## **Laboratory Procedures**

Tibolone is supplied as a crystalline solid. A stock solution may be made by dissolving the tibolone in the solvent of choice, which should be purged with an inert gas. Tibolone is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of tibolone in these solvents is approximately 2, 20, and 30 mg/ml, respectively.

Tibolone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, tibolone should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Tibolone has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

#### Description

Tibolone is an estrogen-like compound used for the treatment of the symptoms associated with menopausal transition (i.e., climacteric symptoms) and also for the treatment of osteoporosis.<sup>1</sup> Three major metabolites of tibolone are responsible for its tissue selective mechanism of action. Conversion into  $3\alpha$ - and  $3\beta$ -hydroxy-tibolone results in estrogenic effects in brain, vagina, and bone. The  $\Delta^4$  isomer has progestrogenic and androgenic effects and does not cause estrogenic stimulation in the endometrium. 1 A two-year longitudinal study indicates that low doses (1.25-2.5 mg) of tibolone effectively relieve climacteric symptoms and prevent loss of bone mass in early postmenopausal women.<sup>2</sup>

#### References

- 1. Kloosterboer, H.J. Tissue-selectivity: The mechanism of action of tibolone. Maturitas 48(Suppl 1), S30-S40 (2004).
- 2. Gambacciani, M., Ciaponi, M., Cappagli, B., et al. A longitudinal evaluation of the effect of two doses of tibolone on bone density and metabolism in postmenopausal women. Gynecol. Endocrinol. 18(1), 9-16 (2004).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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