PRODUCT INFORMATION

Tibolone
Item No. 10006321

CAS Registry No.: 5630-53-5
Formal Name: 17α-hydroxy-7α-methyl-19-norpregn-5(10)-en-20-yn-3-one
Synonyms: 7α-Methyl-Δ5,10-norethindrone, Org OD 14
MF: C21H28O2
FW: 312.5
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.1 Three major metabolites of tibolone are responsible for its tissue selective mechanism of action. Conversion into 3α- and 3β-hydroxy-tibolone results in estrogenic effects in brain, vagina, and bone. The Δ4 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.2

References