

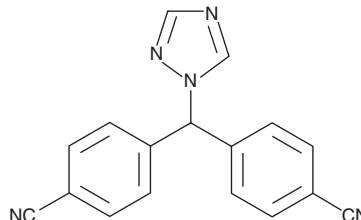
# PRODUCT INFORMATION



## Letrozole

Item No. 11568

**CAS Registry No.:** 112809-51-5  
**Formal Name:** 4,4'-(1H-1,2,4-triazol-1-ylmethylene)bis-benzonitrile  
**Synonym:** CGS 20267  
**MF:** C<sub>17</sub>H<sub>11</sub>N<sub>5</sub>  
**FW:** 285.3  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 239, 273 nm  
**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

Letrozole is supplied as a crystalline solid. A stock solution may be made by dissolving the letrozole in the solvent of choice, which should be purged with an inert gas. Letrozole is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of letrozole in these solvents is approximately 16 mg/ml.

Letrozole is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, letrozole should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Letrozole has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Letrozole is a potent, cell-permeable inhibitor of aromatase (IC<sub>50</sub> = 2 nM).<sup>1</sup> It inhibits proliferation of estrogen receptor-positive (ER<sup>+</sup>) MCF-7 cells when used alone at concentrations ranging from 0.1 to 100 nM and when used at a concentration of 10 nM in combination with testosterone or 4-androstene-3,17-dione.<sup>2</sup> It also reduces matrix metalloproteinase-2 (MMP-2) and MMP-9 levels in MCF-7 cells when used at a concentration of 10 nM. Letrozole (10 µg per day) reduces tumor growth in an MCF-7Ca ovariectomized-mouse xenograft model.<sup>3</sup> Formulations containing letrozole have been used in the treatment of postmenopausal breast cancer.<sup>4</sup>

### References

1. Mayhoub, A.S., Marler, L., Kondratyuk, T.P., *et al.* Optimization of the aromatase inhibitory activities of pyridylthiazole analogues of resveratrol. *Bioorg. Med. Chem.* **20(7)**, 2427-2434 (2012).
2. Mitropoulou, T.N., Tzanakakis, G.N., Kletsas, D., *et al.* Letrozole as a potent inhibitor of cell proliferation and expression of metalloproteinases (MMP-2 and MMP-9) by human epithelial breast cancer cells. *Int. J. Cancer* **104(2)**, 155-160 (2003).
3. Long, B.J., Jelovac, D., Handratta, V., *et al.* Therapeutic strategies using the aromatase inhibitor letrozole and tamoxifen in a breast cancer model. *J. Natl. Cancer Inst.* **96(6)**, 456-465 (2004).
4. Cohen, M.H., Johnson, J.R., Li, N., *et al.* Approval summary: Letrozole in the treatment of postmenopausal women with advanced breast cancer. *Clin Cancer Res.* **8(3)**, 665-669 (2002).

#### WARNING

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

#### SAFETY DATA

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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