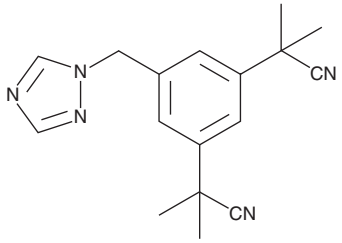
PRODUCT INFORMATION

Anastrozole

Item No. 11987

CAS Registry No.:	120511-73-1
Formal Name:	$\alpha^1,\alpha^1,\alpha^3,\alpha^3$-tetramethyl-5-(1H-1,2,4-triazol-1-ylmethyl)-1,3-benzenediacetonitrile
Synonyms:	Anastrol, ICI-D 1033, ZD 1033
MF:	$C_{17}H_{19}N_5$
FW:	293.4
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

Anastrozole is supplied as a crystalline solid. A stock solution may be made by dissolving the anastrozole in the solvent of choice. Anastrozole is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of anastrozole in these solvents is approximately 20, 13, and 14 mg/ml, respectively.

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

Description

Anastrozole is an aromatase/CYP19A1 inhibitor (IC_{50} = 15 nM for human placental aromatase/CYP19A1).[1] It is selective for aromatase/CYP19A1 over the cytochrome P450 (CYP) isoforms CYP1A2, CYP2A6, CYP2C9, CYP2D6, and CYP3A (IC_{50}s = 27-650 µM). Anastrozole (0.1 mg/kg) blocks ovulation in mature female rats and androstenedione-stimulated uterine development in pubertal female rats.[2] It inhibits peripheral aromatase/CYP19A1 and reduces plasma estradiol concentrations in male pigtailed monkeys when administered at doses greater than 0.1 mg/kg. Anastrozole (0.5 mg/kg) reduces tumor incidence and the number of tumors by 40 and 57%, respectively, as well as increases latency to tumor appearance in a rat model of premenopausal mammary tumorigenesis.[3] Formulations containing anastrozole have been used in the treatment of breast cancer.

References

1. Grimm, S.W. and Dyroff, M.C. Inhibition of human drug metabolizing cytochromes P450 by anastrozole, a potent and selective inhibitor of aromatase. *Drug Metab. Dispos.* **25(5)**, 598-602 (1997).
2. Plourde, P.V., Dyroff, M.C., and Dukes, M. Arimidex®: A potent and selective fourth-generation aromatase inhibitor. *Breast Cancer Res. Treat. 30(1)*, 103-111 (1994).
3. Kubatka, P., Sadlonová, V., Kajo, K., *et al.* Chemopreventive effects of anastrozole in a premenopausal breast cancer model. *Anticancer Res.* **28(5A)**, 2819-2823 (2008).

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