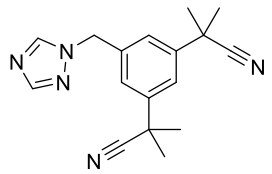
Product data sheet

MedKoo Cat#: 100060 Name: Anastrozole CAS#: 120511-73-1 Chemical Formula: $C_{17}H_{19}N_5$ Exact Mass: 293.16405 Molecular Weight: 293.36626	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Anastrazole is a nonsteroidal inhibitor of estrogen synthesis that resembles paclitaxel in chemical structure. As a third-generation aromatase inhibitor, anastrozole selectively binds to and reversibly inhibits aromatase, a cytochrome P-450 enzyme complex found in many tissues including those of the premenopausal ovary, liver, and breast; aromatase catalyzes the aromatization of androstenedione and testosterone into estrone and estradiol, the final step in estrogen biosynthesis. In estrogen-dependent breast cancers, anastrozole may inhibit tumor growth.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	50.59	177.45
DMF	14.0	47.72
Ethanol	36.11	123.09
Ethanol:PBS (pH 7.2) (1:9)	0.1	0.34

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	3.41 mL	17.04 mL	34.09 mL
5 mM	0.68 mL	3.41 mL	6.82 mL
10 mM	0.34 mL	1.70 mL	3.41 mL
50 mM	0.07 mL	0.34 mL	0.68 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Topcul M, Cetin I, Ozlem Kolusayin Ozar M. The effects of anastrozole on the proliferation of FM3A cells. J BUON. 2013 Oct-Dec;18(4):874-8. PMID: 24344011.

2. Vilquin P, Villedieu M, Grisard E, Ben Larbi S, Ghayad SE, Heudel PE, Bachelot T, Corbo L, Treilleux I, Vendrell JA, Cohen PA. Molecular characterization of anastrozole resistance in breast cancer: pivotal role of the Akt/mTOR pathway in the emergence of de novo or acquired resistance and importance of combining the allosteric Akt inhibitor MK-2206 with an aromatase inhibitor. Int J Cancer. 2013 Oct 1;133(7):1589-602. doi: 10.1002/ijc.28182. Epub 2013 May 2. PMID: 23553037.

In vivo study

Product data sheet

1. Chagas DC, Barros-Oliveira MDC, Lopes-Costa PV, Pereira RO, Melo MA, Costa-Silva DR, Borges CS, Viana JL, Santos ARD, Facina G, Silva BBD. Effects of anastrozole on Ki-67 antigen expression in the vaginal epithelium of female rats in persistent estrus. Clinics (Sao Paulo). 2020 Apr 3;75:e1643. doi: 10.6061/clinics/2020/e1643. PMID: 32267395; PMCID: PMC7098419.
2. Jazbutyte V, Stumpner J, Redel A, Lorenzen JM, Roewer N, Thum T, Kehl F. Aromatase inhibition attenuates desflurane-induced preconditioning against acute myocardial infarction in male mouse heart in vivo. PLoS One. 2012;7(8):e42032. doi: 10.1371/journal.pone.0042032. Epub 2012 Aug 2. PMID: 22876297; PMCID: PMC3410886.

7. Bioactivity

Biological target:

Anastrozole is an aromatase inhibitor, which inhibits human placental aromatase with an IC50 of 15 nM.

In vitro activity

Exposure to 100 nM MK-2206 induced a clear inhibition of the Akt pathway in both MCF-7aro and Res-Ana cell lines, as demonstrated by a significant decrease in the phosphorylation status of Akt, together with a significant decrease in the phosphorylation levels of GSK-3β and p70S6K (Fig. 3c). In accordance with their hyperactivated PI3K/Akt pathway, cytotoxicity assay revealed the Res-Ana cells to be significantly more sensitive to MK-2206 treatment alone than the MCF-7aro cells in both cell lines (Fig. 3d). Data illustrated in Figure 3d also showed in both MCF-7aro and Res-Ana cells that the combination of 100 nM MK-2206 with anastrozole (10−6 or 10−5 M) significantly increased the response when compared to anastrozole alone or to 100 nM MK-2206 alone. MK-2206 used at lower concentration (10 nM) gave similar results when combined with 10−5 M anastrozole (Fig. 3d). Finally, the cell viability observed in Res-Ana cells exposed to both MK-2206 (100 nM) and anastrozole was even lower than that observed in anastrozole-treated sensitive MCF-7aro cells (61.9 vs. 87% and 54.6 vs. 70.6%, $p < 0.001$).

Reference: Int J Cancer. 2013 Oct 1;133(7):1589-602. https://onlinelibrary.wiley.com/doi/full/10.1002/ijc.28182

In vivo activity

This study's observation that aromatase was among the genes which were upregulated by desflurane in the heart and it was abundantly expressed in endothelial and in smooth muscle cells in male mouse heart, let the study hypothesize that aromatase might also be involved in desflurane- induced cardioprotection in cardiac ischemia/reperfusion model. For this study, a highly selective fourth generation aromatase inhibitor anastrozole was utilized. This study demonstrated that aromatase inhibition by anastrozole at therapeutic concentrations (1 mg/kg BW) efficiently reduced local estrogen synthesis and thus blunted desflurane- induced cardioprotection in male mice without influencing cardiac function.

Reference: PLoS One. 2012; 7(8): e42032. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC3410886/