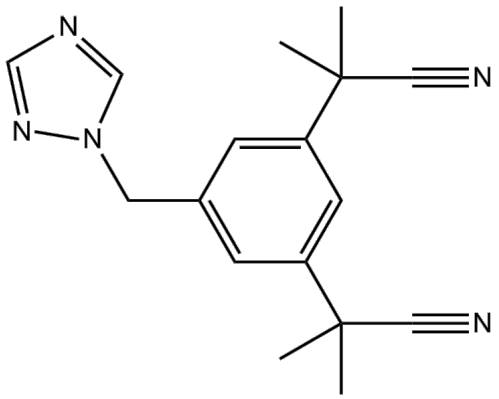
Product Data Sheet

Product Name: Anastrozole
Cat. No.: GC10256

Chemical Properties

Cas. No.	120511-73-1		
Chemical Name	2-[3-(2-cyanopropan-2-yl)-5-(1,2,4-triazol-1-ylmethyl)phenyl]-2-methylpropanenitrile		
SMILES	CC(C)(C#N)C1=CC(=CC(=C1)CN2C=NC=N2)C(C)(C)C#N		
Formula	$C_{17}H_{19}N_5$	M.Wt	293.37
Solubility	≥ 14.15mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines MCF7, HepG2, and PC3 cell lines

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Preparation method	The solubility of this compound in DMSO is >14.2mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting condition	25-400 μg/mL, 24h
Applications	In MCF7, HepG2, and PC3 cell lines, Anastrozole at 400 μg/mL showed the most significant cytotoxic toward all cell lines. Anastrozole at 400 μg/mL exhibited inhibition rates of 58.4%, 41.7% and 26.6% on MCF7, HepG2, and PC3 cell lines, respectively. In MCF7 breast cancer cells, Anastrozole (200 μg/mL) increased nuclear intensity corresponding to apoptotic changes by 38% and increased cell membrane permeability by 17.3%. Anastrozole also significantly increased cytochrome c release.

Animal experiment [2]:

Animal models	adult female rats; mature male pigtailed monkeys (M. nernestrina)
Dosage form	Rats: 0.01-0.1 mg/kg, p.o., on day 2 at 16.00 h or day 3 at 12.00 hMonkeys: 0.003, 0.01, 0.03, 0.1, 0.3 and 1.0 mg/kg, p.o., twice daily (09.00 h and 16.00 h)
Application	In adult female rats, Anastrozole (0.1 mg/kg) given on day 2 or day 3 completely blocked ovulation. In male pigtailed monkeys, Anastrozole (0.1 mg/kg and above) reduced circulating oestradiol concentrations by 50-60%. The clearance half-life of anastrozole in the monkey was about 7h.

Caution: Product has not been fully validated for medical applications. For research use only.
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Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

[1]. Hassan F1, El-Hiti GA, Abd-Allateef M, et al. Cytotoxicity anticancer activities of anastrozole against breast, liver hepatocellular, and prostate cancer cells. Saudi Med J. 2017 Apr;38(4):359-365.

[2] Dukes M1, Edwards PN, Large M, Smith IK, Boyle T. The preclinical pharmacology of "Arimidex" (anastrozole; ZD1033)--a potent, selective aromatase inhibitor. J Steroid Biochem Mol Biol. 1996 Jul;58(4):439-45.

Background

Anastrozole (Arimidex,ZD1033) is a potent and selective inhibitor of aromatase with an IC50 value of 14.6 nM or 0.0043μg/ml [1].

Anastrozole has been reported to inhibit human placental aromatase with an IC50 value of 14.6 nM or 0.0043μg/ml. In addition, an oral concentration of 0.1mg/kg of anastrozole has been revealed to completely inhibit ovulation by given on day 2 or day 3 of the cycle. In immature rat, an oral concentration of 0.1mg/kg of anastrozole has also noted to completely extinguish the uterotrophic activity of exogenous AD. Apart from these, by guinea pig, dog and cow adrenal microsomes, anastrozole has been exhibited to suppress the conversion of 11-deoxycortisol to cortisol with mean IC50 values of 4.09μM,129μM and 11.9μM, respectively [1].

References:

[1] Dukes M1, Edwards PN, Large M, Smith IK, Boyle T. The preclinical pharmacology of "Arimidex" (anastrozole; ZD1033)--a potent, selective aromatase inhibitor. J Steroid Biochem Mol Biol. 1996 Jul;58(4):439-45.