Anastrozole

Cat. No.: HY-14274

CAS No.: 120511-73-1

Molecular Formula: $C_{17}H_{19}N_5$

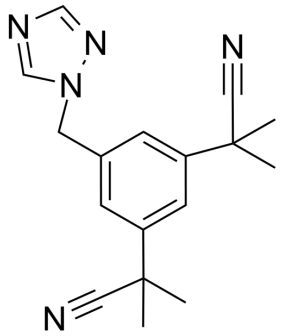
Molecular Weight: 293.37

Target: Cytochrome P450

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (340.87 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.4087 mL	17.0433 mL	34.0866 mL
5 mM	0.6817 mL	3.4087 mL	6.8173 mL
10 mM	0.3409 mL	1.7043 mL	3.4087 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Anastrozole is a potent, highly selective aromatase inhibitor, which inhibits human placental aromatase with an IC_{50} of 15 nM.

IC_{50} & Target

Aromatase

In Vitro

Anastrozole is a comparatively simple, achiral benzyltriazole derivative, that inhibits human placental aromatase with an IC

	$_{50}$ of 15 nM. In the same assay it is 200 times as potent as aminoglutethimide (AG), twice as potent as 4-OHA and one third as potent as Fadrozole[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Groups of eight immature (22-day-old) female rats are given androstenedione (AD) (30 mg/kg) in arachis oil s.c. daily for3 days with or without various doses of Anastrozole p.o. on day 4 the uteri are dissected, blotted and weighed. An oral dose of 0.1 mg/kg of Anastrozole given on day 2 or day 3 of the cycle completely blocked ovulation. At the same daily dosage (0.1 mg/kg), Anastrozole completely extinguished the uterotrophic activity of exogenous AD in immature rats. In male pigtailed monkeys, twice-daily oral treatment with 0.1 mg/kg and above of Anastrozole reduced circulating oestradiol concentrations by 50-60%[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	Aromatase inhibition is measured using human placental microsomes and the method of Thompson and Siiteri with Testosterone (0.5 μM) as substrate. 11-hydroxylase inhibition is determined by measuring the conversion of [1,2,6,7-^{3}H]-ll-deoxy- cortisol to cortisol using freshly prepared mitochondria from guinea pig, dog and cow adrenal glands. Reaction products areextracted into chloroform and separated by thin layer chromatography[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] Groups of at least eight adult female rats, housed in controlled lighting (on 06.00-20.00 h) and temperature (24±2°C) and undergoing 4-day oestrous cycles, are treated p.o. with a single dose of Anastrozole (0.01-0.1 mg/kg), Fadrozole (0.01-0.1 mg/kg) or AG (5-20 mg/kg) on day 2 at 16.00 h or day 3 at 12.00 h. The presence or absence of eggs in the oviducts on day 1 of the next cycle is then determined. Ovulation is considered blocked when no eggs are found. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Pharm Biomed Anal. 2023 Jul 14, 115583.
- Drug Test Anal. 2020 Aug 27.

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REFERENCES

[1]. Dukes M, et al. The preclinical pharmacology of "Arimidex" (anastrozole; ZD1033)--a potent, selective aromatase inhibitor. J Steroid Biochem Mol Biol. 1996 Jul;58(4):439-45.

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