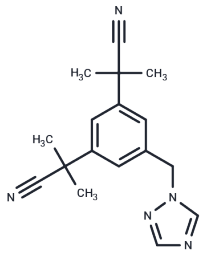
Data Sheet (Cat.No.T0393)

TargetMol

Anastrozole

Chemical Properties



CAS No. : 120511-73-1

Formula: C17H19N5

Molecular Weight: 293.37

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Anastrozole (ZD1033)(ZD1033), a potent and highly selective aromatase (CYP19) inhibitor (IC50 = 15 nM), has no obvious effect on adrenocorticoid hormone synthesis.
Targets(IC50)	Aromatase,Cytochromes P450
In vitro	Administration of Anastrozole (>9.1 mg/kg) twice daily to male cynomolgus monkeys inhibits peripheral aromatase activity, reducing circulating estradiol concentrations by 50% to 60%. Oral dosing of mature rats every 2 to 3 estrous cycles with 0.1 mg/kg of Anastrozole blocks ovulation in mature female rats; administering certain doses for 3 days to pubescent rats completely inhibits uterine growth stimulated by androstenedione. These effects may be due to the suppression of the pre-ovulatory surge in estrogen synthesis within the follicles of mature female animals and the inhibition of immature ovarian metabolism of exogenous androstenedione in pubescent females.
In vivo	Anastrozole binds to the heme group within the aromatase CYP unit, competitively inhibiting the enzyme and consequently reducing estrogen biosynthesis in breast tissue and peripheral areas. It has negligible effects on other steroid hormones. In vitro, Anastrozole exhibits high inhibitory potency, with an IC50 of 15 nM against human placental aromatase. Its efficacy is twice that of 4-OHA, 200 times that of AG, and a third of that of fadrozole.
Kinase Assay	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 [1,2,6,7-3H]-ll-deoxy- cortisol to cortisol using freshly prepared mitochondria from guinea pig, dog and cow adrenal glands. Reaction products are extracted into chloroform and separated by thin layer chromatography[1].

Solubility Information

Solubility	DMSO: 60 mg/mL (204.52 mM),Sonication is recommended. Ethanol: 29.3 mg/mL (99.87 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.82 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0682 mL	0.3409 mL	0.6817 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Dukes M, et al. J Steroid Biochem Mol Biol, 1996, 58(4), 439-445.
Plourde PV, et al. Breast Cancer Res Treat, 1994, 30(1), 103-111.