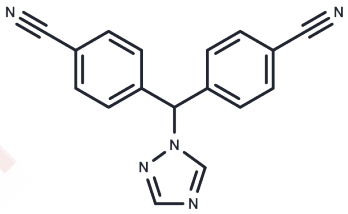
Data Sheet (Cat.No.T1590)

TargetMol

Letrozole

Chemical Properties



CAS No. : 112809-51-5

Formula: C17H11N5

Molecular Weight: 285.3

Storage: The compound is unstable in solution. Please use soon
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	Letrozole (CGS 20267) is an Aromatase Inhibitor. The mechanism of action of letrozole is as an Aromatase Inhibitor.
Targets(IC50)	Aromatase,Autophagy,Cytochromes P450
In vitro	Letrozole exhibits a dose-dependent inhibitory effect on the growth of tumors formed by MCF-7 cells, which have been transfected with the human aromatase gene (MCF-7Ca), in athymic nude mice. It completely inhibits tumor growth at a dosage of 20 mg/kg/day. In vivo studies have demonstrated that Letrozole can suppress aromatase activity, with an oral ED50 of 1-3 μg/mg.
In vivo	Letrozole inhibits the production of estradiol in hamster ovarian tissue induced by LH (Luteinizing Hormone), with an IC50 of 0.02 μM, and does not significantly affect progesterone production at concentrations up to 350 μM. In rat adrenal tissue stimulated by ACTH (Adrenocorticotropic Hormone), letrozole suppresses the production of aldosterone, with an IC50 of 210 μM. In non-cellular systems, its IC50 ranges from 1-13 nM. Letrozole effectively inhibits aromatase activity derived from various sources, including human placental microsomes, human breast cancer particulate fractions, rat ovarian microsomes, MCF-7 cells transfected with aromatase, JEG-3 choriocarcinoma cells, CHO (Chinese Hamster Ovary) cells, hamster ovarian tissue, and human breast cancer particulate fractions, with respective IC50 values of 11, 2, 7, 0.07, 0.07, 1.4, 20, and 0.8 nM.
Kinase Assay	Human placental aromatase activity: The assay is performed in a total volume of 1 mL at 37 ℃. Unless otherwise noted, the incubation mixture contains 11 nM [4- 14C] androstene-3, 17-dione ([4- 14C]A), 24 mM NADPH (tetrasodium salt Type III), the appropriate concentrations of the desired inhibitor, and 120 μg of microsomal protein. The (4- 14C)A is added as a solution in 1.7% ethanol in 0.05 M potassium phosphate buffer (pH 7.4), so that the final concentration of ethanol does not exceed 0.02% (v/v). The reaction is started by the addition of enzyme and stopped after 20 min by the addition of 7 vol of ethyl acetate. The mixture is agitated on a vortex mixer and centrifuged at 600 g for 5 min. The aqueous phase is re-extracted with 7 vol of ethyl acetate, and the combined extracts are evaporated to dryness using an Evapo-Mix. Over 99% of the radio- active of [4- 14C] added is recovered using this extraction system. The

Kinase Assay	residue obtained is dissolved in 150 μL acetone, and 100 μL aliquots are chromatographed for 65 min on thin-layer plates precoated with silica gel 60 using ethyl: acetate: isooctane (140:60, v/v; system A) or toluene: chloroform: methanol (70: 140:20; system B). The radioactive zones of the plate are located with a Berthold LB 2760 thin-layer scanner. The radioactive estradiol (E2) and estrone (E1) neaks are identified by comparison with authentic standards. The corresponding bonding band of silica gel is transferred to vials containing 10 mL of scintillation fluid, and counted with a 6880 Liquid Scintillation system.
Cell Research	Cells are seeded in duplicate at 5,000 to 10,000 cells per well in 24-well plates. The day after plating, different concentrations of Letrozole are added. At the end of incubation, cells are trypsinizated and placed in Isotone solution and counted immediately using a Coulter particle-counter. (Only for Reference)

Solubility Information

Solubility	DMSO: 245 mg/mL (858.75 mM),Sonication is recommended. The compound is unstable in solution. Please use soon. (< 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 (7.01 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	3.5051 mL	17.5254 mL	35.0508 mL
5 mM	0.701 mL	3.5051 mL	7.0102 mL
10 mM	0.3505 mL	1.7525 mL	3.5051 mL
50 mM	0.0701 mL	0.3505 mL	0.701 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

Haynes BP, et al. J Steroid Biochem Mol Biol, 2003, 87(1), 35-45.
Zheng Y H, Xu Y, Ma H X, et al. Effect of High-Fat Diet on the Intestinal Flora in Letrozole-Induced Polycystic Ovary Syndrome Rats. Evidence-Based Complementary and Alternative Medicine. 2021
Liu L, Mo M, Chen X, et al.Targeting inhibition of prognosis-related lipid metabolism genes including CYP19A1 enhances immunotherapeutic response in colon cancer.Journal of Experimental & Clinical Cancer Research.2023, 42(1): 1-20.
Bhatnagar AS, et al. J Steroid Biochem Mol Biol, 1990, 37(6), 1021-1027.
Mitropoulou TN, et al. Int J Cancer, 2003, 104(2), 155-160.
Lan H, Dong Z W, Zhang M Y, et al.Sinapic acid modulates oxidative stress and metabolic disturbances to attenuate ovarian fibrosis in letrozole-induced polycystic ovary syndrome SD rats.Food Science & Nutrition.2024
Dellapasqua S, et al. Expert Opin Drug Metab Toxicol, 2010, 6(2), 251-259.
Lee K, et al. Int J Cancer, 1995, 62(3), 297-302.