Letrozole

Cat. No.: HY-14248

CAS No.: 112809-51-5

Molecular Formula: $C_{17}H_{11}N_5$

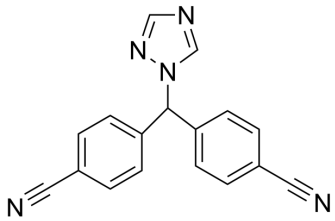
Molecular Weight: 285.3

Target: Autophagy; Cytochrome P450

Pathway: Autophagy; 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 : 50 mg/mL (175.25 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5051 mL	17.5254 mL	35.0508 mL
	5 mM	0.7010 mL	3.5051 mL	7.0102 mL
	10 mM	0.3505 mL	1.7525 mL	3.5051 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: ≥ 2.08 mg/mL (7.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.29 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Letrozole (CGS 20267) is a potent, selective, reversible and orally active non-steroidal inhibitor of aromatase, with an IC_{50} of 11.5 nM. Letrozole selective inhibits estrogen biosynthesis, and can be used for the research of breast cancer[1][2][3].

IC_{50} & Target

Aromatase

In Vitro

Letrozole (0.1-100 nM; 24-96 h) significantly inhibits growth of the MCF-7 epithelial breast cancer cells in a dose- and time-dependent manner[2].

Letrozole (10 nM) significantly suppresses the stimulatory effects of testosterone on MCF-7 cell proliferation[2].
Letrozole (10 nM; 24-48 h) suppresses the levels of secreted metalloproteinases (MMP□2 and MMP□9) in MCF-7 cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	MCF-7 cells
Concentration:	0.1, 1, 10, 100 nM
Incubation Time:	24, 48, 96 hours
Result:	Inhibited cells growth in a dose- and time-dependent manner.

In Vivo

Letrozole (3-300 μg/kg; oral gavage once daily for 6 weeks) exhibits anti-tumor effects in rats[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult female rats bearing mammary tumors[3]
Dosage:	3, 10, 30, 100, 300 μg/kg
Administration:	Oral gavage once daily for 6 weeks
Result:	Induced complete regression of mammary tumors, with an ED_{50} of 10-30 μg/kg/day.

CUSTOMER VALIDATION

- Nucleic Acids Res. 2020 Nov 4;48(19):10768-10784.
- Cell Death Differ. 2023 Feb 24.
- Cancer Lett. 2019 Dec 28;467:72-84.
- Ecotoxicol Environ Saf. 2021 Apr 27;217:112255.
- J Ethnopharmacol. 15 September 2022, 115398.

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REFERENCES

[1]. Bhatnagar AS, et, al. Highly selective inhibition of estrogen biosynthesis by CGS 20267, a new non-steroidal aromatase inhibitor. J Steroid Biochem Mol Biol. 1990 Dec 20;37(6):1021-7.

[2]. Mitropoulou TN, et, al. Letrozole as a potent inhibitor of cell proliferation and expression of metalloproteinases (MMP-2 and MMP-9) by human epithelial breast cancer cells. Int J Cancer. 2003 Mar 20;104(2):155-60.

[3]. Schieweck K, et, al. Anti-tumor and endocrine effects of non-steroidal aromatase inhibitors on estrogen-dependent rat mammary tumors. J Steroid Biochem Mol Biol. 1993 Mar;44(4-6):633-6.

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