Clomiphene citrate

Cat. No.: HY-B0463

CAS No.: 50-41-9

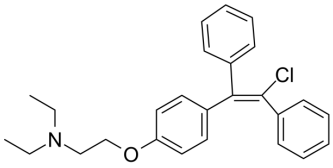
Molecular Formula: $C_{32}H_{36}ClNO_8$

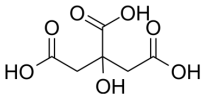
Molecular Weight: 598.08

Target: Estrogen Receptor/ERR

Pathway: Vitamin D Related/Nuclear Receptor

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)





SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (83.60 mM)

H_2O : 1 mg/mL (1.67 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6720 mL	8.3601 mL	16.7202 mL
	5 mM	0.3344 mL	1.6720 mL	3.3440 mL
	10 mM	0.1672 mL	0.8360 mL	1.6720 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 7.14 mg/mL (11.94 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.18 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.18 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Clomiphene citrate (Clomifene citrate) is an orally active estrogen-receptor modulator. Clomiphene citrate has anti-cancer actixity, induces perturbations during meiotic maturation and cytogenetic abnormalities and ameliorates in managing psychiatric and cognitive impairment[1][2][3].

In Vitro

Clomiphene citrate (50 μM, 1-30 min) inhibits the viability of PC3 human prostate cancer cells[1].

Clomiphene citrate (1-5 μg/mL, 18 h) induces chromosome abnormalities in mouse oocytes[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	PC3 human prostate cancer cells
Concentration:	50 μM
Incubation Time:	1 min, 2 min, 5 min, 10 min, 15 min, 20 min, 30 min
Result:	Reduced cell viability by 10-50% for 2-15 min in a time-dependent manner.

In Vivo

Clomiphene citrate (25-100 mg/kg, Intraperitoneal injection, single dose) induces chromosome abnormalities in mouse metaphase II oocytes[2].

Clomiphene citrate (1 mg/kg, Oral, once a day for 15 days) with carvedilol (HY-B0006) has anxiolytic potential and improved cognitive functions in letrozole(HY-14248)-induced polycystic ovary syndrome (PCOS) rats[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female ICR mice[2]
Dosage:	25 mg/kg, 50mg/kg, 100mg/kg
Administration:	Intraperitoneal injection (i.p.)
Result:	Resulted in a decrease in the number of ovulated oocytes and a significant increase in hyperploidy at 100 mg/kg.

Animal Model:	letrozole(HY-14248)-induced PCOS rats [3]
Dosage:	1 mg/kg
Administration:	Oral
Result:	Demonstrated a statistically raised NRF-2 gene expression. Up-regulated expression of NF-κB. Showed a reversal of the memory defect. Down-regulated of acetylcholine esterase expression.

CUSTOMER VALIDATION

- J Pharmaceut Biomed. 2020, 113870.
- Biochem Biophys Res Commun. 2020 Feb 19;522(4):862-868.
- Patent. US11696914.
- bioRxiv. 2020 May.

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REFERENCES

[1]. Jiann B P, et al. Effect of clomiphene on Ca2+ movement in human prostate cancer cells [J]. Life Sciences, 2002, 70(26): 3167-3178.

[2]. London S N, et al. Clomiphene citrate-induced perturbations during meiotic maturation and cytogenetic abnormalities in mouse oocytes in vivo and in vitro [J]. Fertility and sterility, 2000, 73(3): 620-626.

[3]. Akintoye O O, et al. Synergistic action of carvedilol and clomiphene in mitigating the behavioral phenotypes of letrozole-model of PCOS rats by modulating the NRF2/NFKB pathway [J]. Life Sciences, 2023, 324: 121737.

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