MPP dihydrochloride

Cat. No.: HY-103454

CAS No.: 911295-24-4

Molecular Formula: $C_{29}H_{33}Cl_2N_3O_3$

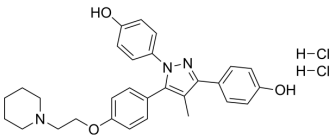
Molecular Weight: 542.5

Target: Estrogen Receptor/ERR; Apoptosis

Pathway: Vitamin D Related/Nuclear Receptor; Apoptosis

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 : 30 mg/mL (55.30 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8433 mL	9.2166 mL	18.4332 mL
	5 mM	0.3687 mL	1.8433 mL	3.6866 mL
	10 mM	0.1843 mL	0.9217 mL	1.8433 mL

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

BIOLOGICAL ACTIVITY

Description

MPP dihydrochloride is a potent and selective ER (estrogen receptor) modulator. MPP dihydrochloride induces significant apoptosis in the endometrial cancer and oLE cell lines. MPP dihydrochloride reverses the positive effects of beta-estradiol. MPP dihydrochloride has mixed agonist/antagonist action on murine uterine ERalpha in vivo[1][2][3].

IC_{50} & Target	ERα	ERβ

In Vitro

MPP (1, 5, 10, 25, 50 and 100 μM; 24 h) decreases cell viability with an IC_{50} value of 20.01 μM in RL95-2 cells[1].
MPP dihydrochloride shows antiproliferative activity at a concentration of 10 μM in RL95-2 cells[1].
MPP dihydrochloride (20 μM; 24 h) reduces the phosphorylation of ERα, while it does not alter the phosphorylation of Akt.
MPP dihydrochloride reduces the ratio of p-ERα/ERα[1].

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

Cell Viability Assay[1]

Cell Line:	RL95-2 endometrium cancer cells
Concentration:	1, 5, 10, 25, 50 and 100 μM

Incubation Time:	24 hours
Result:	The treatment with 25 μM, 50 μM and 100 μM for 24 h decreased cell viability significantly. However, cell viability was not significantly changed by MPP dihydrochloride at concentration below 25 μM.

Cell Proliferation Assay[1]

Cell Line:	RL95-2 cell
Concentration:	10, 15, 20 and 25 μM
Incubation Time:	72 hours
Result:	Showed antiproliferative activity at a concentration of 10 μM.

Western Blot Analysis[1]

Cell Line:	RL95-2 cell line
Concentration:	20 μM
Incubation Time:	24 hours
Result:	Reduced the phosphorylation of ERα, while it did not alter the phosphorylation of Akt. Reduced the ratio of p-ERα/ERα compared to the control group.

In Vivo

MPP (Low dose 20 μg/kg body weight or high dose 200 μg/kg body weight) leads to a dose-dependent attenuation of percent prepulse inhibition (PPI)[2].

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

Animal Model:	Male C57BL/6N mice at the age of 9-10 weeks[2]
Dosage:	Low dose (20 μg/kg body weight) or high dose (200 μg/kg body weight)
Administration:	Administered subcutaneously (s.c.) injected; injection volume of 5 mL/kg; 60 min before PPI testing
Result:	Led to a dose-dependent attenuation of percent PPI. Pretreatment with 200 μg/kg reduced the mean percent PPI scores by ~30%.

CUSTOMER VALIDATION

- Drug Resist Updat. 2023 Oct 26:71:101014.
- Phytomedicine. 2023 Nov 14:123:155218.
- Phytomedicine. 27 February 2022, 154022.
- Ecotoxicol Environ Saf. 2023 May 23;259:115060.
- Biochem Pharmacol. 2024 May 9:225:116256.

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REFERENCES

[1]. Davis AM, et al. The effects of the selective estrogen receptor modulators, methyl-piperidino-pyrazole (MPP), and raloxifene in normal and cancerous endometrial cell lines and in the murine uterus. Mol Reprod Dev. 2006 Aug;73(8):1034-44.

[2]. Karaboğa Arslan AK, et al. α-Chaconine and α-Solanine Inhibit RL95-2 Endometrium Cancer Cell Proliferation by Reducing Expression of Akt (Ser473) and ERα (Ser167). Nutrients. 2018 May 25;10(6). pii: E672.

[3]. Labouesse MA, et al. Effects of selective estrogen receptor alpha and beta modulators on prepulse inhibition in male mice. Psychopharmacology (Berl). 2015 Aug;232(16):2981-94.

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