Pirenzepine dihydrochloride

Cat. No.: HY-17037

CAS No.: 29868-97-1

Molecular Formula: $C_{19}H_{23}Cl_2N_5O_2$

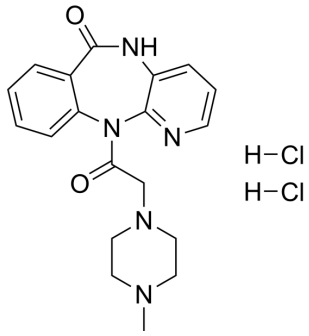
Molecular Weight: 424.32

Target: mAChR

Pathway: GPCR/G Protein; Neuronal Signaling

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

H_2O : 75 mg/mL (176.75 mM; Need ultrasonic)

DMSO : 25 mg/mL (58.92 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3567 mL	11.7836 mL	23.5671 mL
5 mM	0.4713 mL	2.3567 mL	4.7134 mL
10 mM	0.2357 mL	1.1784 mL	2.3567 mL

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

BIOLOGICAL ACTIVITY

Description

Pirenzepine (LS 519) dihydrochloride is a selective M1 mAChR (muscarinic acetylcholine receptor) antagonist. Pirenzepine dihydrochloride reduces gastric acid secretion and reduces muscle spasm, can be used in peptic ulcers research. Pirenzepine dihydrochloride shows anti-proliferative activity to cancer cells[1][2].

IC_{50} & Target

mAChR1

In Vitro

Pirenzepine (100-140 μg/mL; 24 h) inhibits PC-3 cell proliferation activity[2].

Pirenzepine (110 μg/mL; 24 h) inhibits prostate and lung cancer cell migration[2].

Pirenzepine (100-130 μg/mL; 0-24 h) inhibits the expression of GLI1 in PC-3 cells[2].

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

Cell Proliferation Assay[2]

Cell Line:	PC-3 cells
Concentration:	100-140 μg/mL

Incubation Time:	24 hours
Result:	Inhibited PC-3 cell proliferation in a concentration-dependent manner.

Cell Migration Assay [2]

Cell Line:	PC-3 and A549 cells
Concentration:	110 μg/mL
Incubation Time:	24 hours
Result:	Inhibited the migration of PC-3 and A549 cell lines (P=0.014).

Cell Migration Assay [2]

Cell Line:	PC-3 cells
Concentration:	110 μg/mL
Incubation Time:	0-24 hours
Result:	Inhibited the expression of GLI1 and PTCH1.

RT-PCR[2]

Cell Line:	PC-3 cells
Concentration:	100-130 μg/mL
Incubation Time:	24 hours
Result:	Suppressed GLI1 mRNA expression in PC-3 cells. Increased PTCH1 mRNA level but not reach statistical significance. Showed no SHH mRNA expression level change.

In Vivo

Pirenzepine (intraperitoneal injection; 0.3 mg/kg; once) treatment shows beneficial effects in lipopolysaccharide-induced septic shock[3].

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

Animal Model:	Male C57BL/6 mice with experimental endotoxemia[3]
Dosage:	0.3 mg/kg
Administration:	Intraperitoneal injection; 0.3 mg/kg; once
Result:	Improved survival rate of LPS-induced septic shock. Relieved LPS-induced pulmonary and hepatic injury. Reduced the expression of SOCS3 at mRNA level.

CUSTOMER VALIDATION

- Research Square Preprint. 2021 Jan.

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REFERENCES

[1]. Carmine AA, et al. Pirenzepine. A review of its pharmacodynamic and pharmacokinetic properties and therapeutic efficacy in peptic ulcer disease and other allied diseases. Drugs. 1985 Aug;30(2):85-126.

[2]. Yin QQ, et al. Muscarinic acetylcholine receptor M1 mediates prostate cancer cell migration and invasion through hedgehog signaling. Asian J Androl. 2018 Nov-Dec;20(6):608-614.

[3]. Yabuki Y, et al. The T-type calcium channel enhancer SAK3 inhibits neuronal death following transient brain ischemia via nicotinic acetylcholine receptor stimulation. Neurochem Int. 2017 Sep;108:272-281.

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