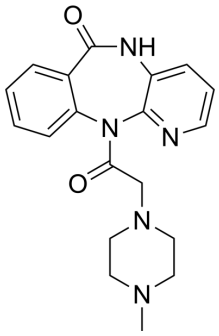
Pirenzepine

Cat. No.:	HY-17037A
CAS No.:	28797-61-7
Molecular Formula:	$C_{19}H_{21}N_5O_2$
Molecular Weight:	351.4
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

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

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).

Western Blot Analysis[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 cell
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].

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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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