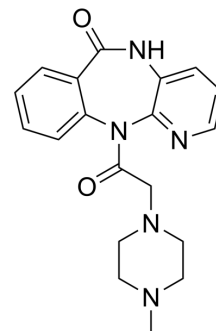


Pirenzepine

Cat. No.:	HY-17037A
CAS No.:	28797-61-7
Molecular Formula:	C ₁₉ H ₂₁ N ₅ O 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	<p>Pirenzepine (100-140 µg/mL; 24 h) inhibits PC-3 cell proliferation activity^[2].</p> <p>Pirenzepine (110 µg/mL; 24 h) inhibits prostate and lung cancer cell migration^[2].</p> <p>Pirenzepine (100-130 µg/mL; 0-24 h) inhibits the expression of GLI1 in PC-3 cells^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>PC-3 cells</td> </tr> <tr> <td>Concentration:</td> <td>100-140 µg/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Inhibited PC-3 cell proliferation in a concentration-dependent manner.</td> </tr> </table> <p>Cell Migration Assay^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>PC-3 and A549 cells</td> </tr> <tr> <td>Concentration:</td> <td>110 µg/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Inhibited the migration of PC-3 and A549 cell lines (P=0.014).</td> </tr> </table> <p>Western Blot Analysis^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>PC-3 cells</td> </tr> <tr> <td>Concentration:</td> <td>110 µg/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>0-24 hours</td> </tr> </table>	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 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 Line:	PC-3 cells	Concentration:	110 µg/mL	Incubation Time:	0-24 hours
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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] . 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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