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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
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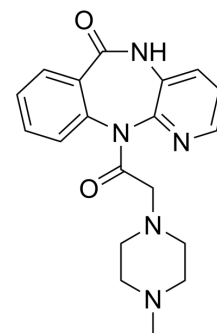
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Pirenzepine

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:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (284.58 mM; ultrasonic and warming and heat to 60°C)

Concentration	Solvent	Mass	1 mg	5 mg	10 mg
			1 mg	5 mg	10 mg
1 mM			2.8458 mL	14.2288 mL	28.4576 mL
5 mM			0.5692 mL	2.8458 mL	5.6915 mL
10 mM			0.2846 mL	1.4229 mL	2.8458 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 5 mg/mL (14.23 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 5 mg/mL (14.23 mM); Clear solution

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].

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.

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

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