Cloperastine hydrochloride

Cat. No.:	HY-B2133
CAS No.:	14984-68-0
Molecular Formula:	C ₂₀ H ₂₅ Cl ₂ NO
Molecular Weight:	366.32
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

SOLVENT & SOLUBILITY

In Vitro	DMSO : 250 mg/mL (682.46 mM; Need ultrasonic) H ₂ O : 100 mg/mL (272.99 mM; Need ultrasonic)						
		Solvent Mass Concentration	1 mg	5 mg	10 mg		
	Preparing Stock Solutions	1 mM	2.7299 mL	13.6493 mL	27.2985 mL		
		5 mM	0.5460 mL	2.7299 mL	5.4597 mL		
		10 mM	0.2730 mL	1.3649 mL	2.7299 mL		
	Please refer to the so	lubility information to select the app	propriate solvent.				
In Vivo	1. Add each solvent one by one: PBS Solubility: 100 mg/mL (272.99 mM); Clear solution; Need ultrasonic						
	2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (5.68 mM); Clear solution						
	3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (5.68 mM); Clear solution						
	 Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (5.68 mM); Clear solution 						

BIOLOGICAL ACTIVITY				
Description	Cloperastine hydrochloride inhibits the hERG K ⁺ currents in a concentration-dependent manner with an IC ₅₀ value of 27 nM ^[1] .			
IC ₅₀ & Target	27 nM (K ⁺ currents) ^[1]			
In Vitro	Cloperastine inhibits the hERG K ⁺ currents in a concentration dependent manner with an IC_{50} value of 27 nM ^[1] .			

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	?Among the antitussive agents, Cloperastine, which possesses antitussive and antiedemic activity, also relaxes the bronchial musculature. Cloperastine is a drug with a central antitussive effect, and is also endowed with an antihistaminic and papaverine-like activity similar to codeine but without its narcotic effects ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In the anesthetized guinea pigs, Cloperastine at a therapeutic dose of 1 mg/kg prolonged the QT interval and monophasic?action potential (MAP) duration without affecting PR interval or QRS width ^[1] . ?Cloperastine hydrochloride shows relatively low acute toxicity when administered by the intraperitoneal route in rats and mice, and shows minor toxicity by the oral route when administered as Cloperastine fendizoate, the LD ₅₀ in rats and mice for the two administration routes exceeds 1000 and 2000 mg/kg, respectively ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Takahara A, et al. Effects of the antitussive drug cloperastine on ventricular repolarization in halothane-anesthetized guinea pigs. J Pharmacol Sci. 2012;120(3):165-75.

[2]. Catania MA, et al. Pharmacological and clinical overview of cloperastine in treatment of cough. Ther Clin Risk Manag. 2011;7:83-92.

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

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