Cloperastine fendizoate

Cat. No.: HY-B2179

CAS No.: 85187-37-7

Molecular Formula: $C_{40}H_{38}ClNO_5$

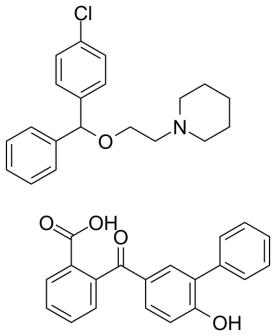
Molecular Weight: 648.19

Target: Potassium Channel

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (15.43 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5428 mL	7.7138 mL	15.4276 mL
	5 mM	0.3086 mL	1.5428 mL	3.0855 mL
	10 mM	0.1543 mL	0.7714 mL	1.5428 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1 mg/mL (1.54 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (1.54 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (1.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cloperastine fendizoate inhibits the hERG K^+ currents in a concentration-dependent manner with an IC_{50} value of 27 nM.

IC_{50} & Target

27 nM (K^+ currents)[1]

In Vitro

Cloperastine inhibits the hERG K^+ currents in a concentrationdependent manner with IC_{50} value of 27±3 nM[1]. 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 monophasicaction 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.

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