Mexiletine hydrochloride

Cat. No.: HY-A0093

CAS No.: 5370-01-4

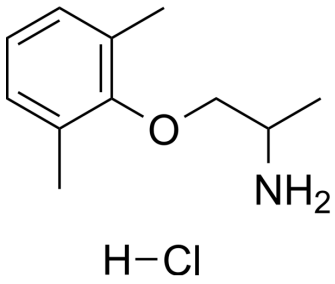
Molecular Formula: $C_{11}H_{18}ClNO$

Molecular Weight: 215.72

Target: Sodium Channel

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (463.56 mM; Need ultrasonic)
DMSO : ≥ 41 mg/mL (190.06 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.6356 mL	23.1782 mL	46.3564 mL
5 mM	0.9271 mL	4.6356 mL	9.2713 mL
10 mM	0.4636 mL	2.3178 mL	4.6356 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (463.56 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (11.59 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (11.59 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (11.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mexiletine is an orally effective antiarrhythmic agent which has also been found to be effective for myotonia and neuropathic pain. Mexiletine exerts its efficacy through blocking sodium channels (IC_{50} : 75±8 μM for tonic block, 23.6±2.8 μM for use-dependent block), therefore can be used for cardiovascular and neurological research[1][2][3][4][5].

IC_{50} & Target

IC50: 75±8 μM for tonic block, 23.6±2.8 μM for use-dependent block (sodium channel of HEK293 transfected with hNav1.5

	plasmid)[2]
In Vitro	Mexiletine (10μM, 48 h) increases peak I_{Na} and late I_{Na} in HEK293A cells transfected with SCN5A-WT or SCN5A-1795insD while Mexiletine (10μM, 5 min) blocks the late I_{Na}[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mexiletine (30, 100 mg/kg, p.o., acute administration) reverses both mechanical allodynia and cold hyperalgesia in Oxaliplatin (HY-17371) induced rats[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats with Oxaliplatin (HY-17371)-induced neuropathic pain [4]
Dosage:	Oxaliplatin (4 mg /kg) and Mexiletine(10, 30, 100 mg/kg)
Administration:	Oxaliplatin, Intraperitoneal injection (i.p.)in days 1, 2, 8, 9, 15, 16, 22, and 23; Mexiletine, Oral gavage (p.o.), acute administration
Result:	100 mg/kg completely reversed the reduction of 50% paw withdrawal threshold by Oxaliplatin at 60 min after administration in the von Frey test, completely reversed the increase of number of withdrawal responses at 60 and 120 min after administration in the acetone test. 30 mg/kg partly reversed the symptom and 10 mg/kg did not reverse any symptom. The effect disappeared by 180 min after administration.

CUSTOMER VALIDATION

- Clin Chem. 2019 Dec;65(12):1522-1531.

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REFERENCES

[1]. Campbell RW, et al. Mexiletine. N Engl J Med. 1987;316(1):29-34.

[2]. De Bellis M, et al. Combined modifications of mexiletine pharmacophores for new lead blockers of Na(v)1.4 channels. Biophys J. 2013;104(2):344-54.

[3]. Nasilli G, et al. Mexiletine reverses oxaliplatin-induced neuropathic pain in rats. J Pharmacol Sci. 2010;112(4):473-6.

[4]. Egashira N, et al. Mexiletine reverses oxaliplatin-induced neuropathic pain in rats. J Pharmacol Sci. 2010;112(4):473-6.

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