Temocapril hydrochloride

Cat. No.: HY-B0384

CAS No.: 110221-44-8

Molecular Formula: $C_{23}H_{29}ClN_2O_5S_2$

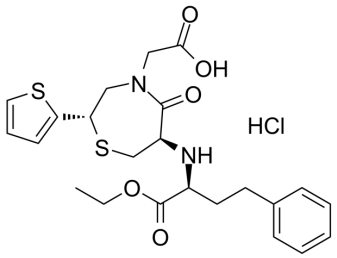
Molecular Weight: 513.07

Target: Angiotensin-converting Enzyme (ACE)

Pathway: Metabolic Enzyme/Protease

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

DMSO : 62.5 mg/mL (121.82 mM; ultrasonic and warming and heat to 60°C)

H_2O : 2.27 mg/mL (4.42 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	1.9491 mL	9.7453 mL	19.4905 mL
5 mM	0.3898 mL	1.9491 mL	3.8981 mL
10 mM	0.1949 mL	0.9745 mL	1.9491 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: ≥ 2.08 mg/mL (4.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.05 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Temocapril hydrochloride is an orally active angiotensin-converting enzyme (ACE) inhibitor. Temocapril hydrochloride can be used for the research of hypertension, congestive heart failure, acute myocardial infarction, insulin resistance, and renal diseases[1][2].

IC_{50} & Target

ACE[1]

In Vitro

Temocapril hydrochloride is a prodrug of the ACE inhibitor, Temocaprilat. Temocapril hydrochloride can be readily uptaken via the small intestine, and then be converted to its active metabolite (temocaprilat) by CES1 (human carboxylesterase 1) in

the liver[1].
Temocapril hydrochloride (500 nM) reduces the inhibitory effects of RS (N-acetyltetradecapeptide renin substrate) and AngI on neurogenic vasodilation in the SHR[2].
Temocapril hydrochloride (0.1-10 μM; 24 h) shows inductive effects on redox proteins TRX while no effect on antioxidant enzymes Cu/ZnSOD and Mn-SOD expressions[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[3]

Cell Line:	Cultured neonatal rat cardiomyocytes
Concentration:	0.1 μM, 1 μM, 10 μM
Incubation Time:	24 hours
Result:	Enhanced TRX protein expression 1.9-fold at 10 μM without affecting TRX2, Cu / Zn-SOD or Mn-SOD protein expression.

In Vivo

Temocapril hydrochloride (10 mg/kg; p.o.; 21 d) enhances cardiomyocyte thioredoxin expression and ameliorates autoimmune myocarditis[3].
Temocapril hydrochloride (30 mg/kg; p.o.; daily; for 4 weeks) suppresses Angiotensin I-induced hypertension, plasma and renal ACE activity, but fails to reduce the level of Ang II in the kidney[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Experimental autoimmune myocarditis (EAM) rat model[3]
Dosage:	10 mg/kg
Administration:	Oral gavage; administration by water; 21 days
Result:	Ameliorated EAM and prevented cellular proteins from oxidation. Enhanced cardiomyocyte redox regulatory protein TRX expression.

Animal Model:	Male Sprague Dawley rats[1]
Dosage:	30 mg/kg
Administration:	Oral administration, daily, for 4 weeks
Result:	Suppressed the blood pressure elevation induced by Ang I.

REFERENCES

[1]. Fukami T, et al. In vitro evaluation of inhibitory effects of antidiabetic and antihyperlipidemic drugs on human carboxylesterase activities. Drug Metab Dispos. 2010 Dec;38(12):2173-8.

[2]. Kawasaki H, et al. Angiotensin inhibits neurotransmission of calcitonin gene-related peptide-containing vasodilator nerves in mesenteric artery of spontaneously hypertensive rats. J Pharmacol Exp Ther. 1998 Feb;284(2):508-15.

[3]. Yuan Z, et al. Temocapril treatment ameliorates autoimmune myocarditis associated with enhanced cardiomyocyte thioredoxin expression. Cardiovasc Res. 2002 Aug 1;55(2):320-8.

[4]. Ohnishi K, et al. Angiotensin-converting enzyme inhibitor does not suppress renal angiotensin II levels in angiotensin I-infused rats. J Pharmacol Sci. 2013;122(2):103-8.

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

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