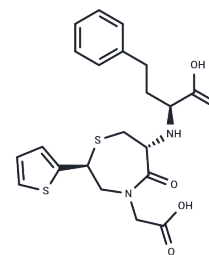


Temocaprilat

Chemical Properties

CAS No. :	110221-53-9
Formula:	C ₂₁ H ₂₄ N ₂ O ₅ S ₂
Molecular Weight:	448.56
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Temocaprilat (RS5139) is an Angiotensin-converting Enzyme (ACE) inhibitor. Temocaprilat is effectively excreted in bile via cMOAT that is deficient in EHBR and that many of other ACE inhibitors have low affinity for cMOAT.
Targets(IC50)	Angiotensin-converting Enzyme (ACE)
In vivo	Biliary clearance of Temocaprilat after i.v. administration of [14C]temocapril x HCl (1.0 mg/kg) in EHBR was significantly lower than that in Sprague-Dawley rats (5.00 ml/min/kg for Sprague-Dawley rats vs. 0.25 ml/min/kg for EHBR). The uptake of Temocaprilat into canalicular membrane vesicles (CMVs) prepared from Sprague-Dawley rats was stimulated in the presence of ATP, whereas little stimulation was observed in CMVs from EHBR. The initial uptake rate of ATP-dependent transport of Temocaprilat showed saturation kinetics; we obtained an apparent V(max) value of 1.14 nmol/min/mg protein and a K(m) value 92.5 microM. ATP-dependent transport of Temocaprilat was competitively inhibited by 2,4-dinitrophenyl-S-glutathione, a typical substrate for cMOAT with an inhibition constant (K(i)) of 25.8 microM. The K(m) value for the uptake of 2,4-dinitrophenyl-S-glutathione into CMVs (K(m) = 29.6 microM) was consistent with this K(i) value. In addition, the ATP-dependent uptake of 2,4-dinitrophenyl-S-glutathione was inhibited by Temocaprilat in a concentration-dependent manner. Active forms of some ACE inhibitors (benazepril, cilazapril, delapril, enalapril and imidapril) did not affect the transport of Temocaprilat into CMVs even at concentrations as high as 200 microM[1].

Solubility Information

Solubility	DMSO: 4.49 mg/mL (10.01 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2294 mL	11.1468 mL	22.2936 mL
5 mM	0.4459 mL	2.2294 mL	4.4587 mL
10 mM	0.2229 mL	1.1147 mL	2.2294 mL
50 mM	0.0446 mL	0.2229 mL	0.4459 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Ishizuka H, et al. Temocaprilat, a novel angiotensin-converting enzyme inhibitor, is excreted in bile via an ATP-dependent active transporter (cMOAT) that is deficient in Eisai hyperbilirubinemic mutant rats (EHBR). *J Pharmacol Exp Ther.* 1997 Mar;280(3):1304-11.

Yasunari K, et al. Converting enzyme inhibitor temocaprilat prevents high glucose-mediated suppression of human aortic endothelial cell proliferation. *J Cardiovasc Pharmacol.* 2003 Dec;42 Suppl 1:S55-60.

Püchler K, et al. Pharmacokinetics of temocapril and temocaprilat after 14 once daily oral doses of temocapril in hypertensive patients with varying degrees of renal impairment. *Br J Clin Pharmacol.* 1997 Dec;44(6):531-6.

Ishizuka H, et al. Transport of temocaprilat into rat hepatocytes: role of organic anion transporting polypeptide. *J Pharmacol Exp Ther.* 1998 Oct;287(1):37-42.

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