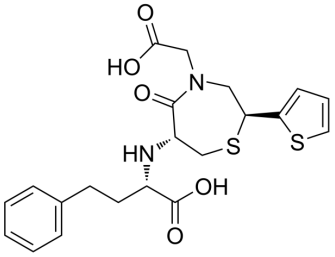
Temocaprilat

Cat. No.:	HY-A0117
CAS No.:	110221-53-9
Molecular Formula:	$C_{21}H_{24}N_2O_5S_2$
Molecular Weight:	448.56
Target:	Angiotensin-converting Enzyme (ACE)
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Temocaprilat (Temocapril diacid) is an inhibitor of angiotensin-converting enzyme (ACE). Temocaprilat alleviates the inhibitory effect of high glucose on the proliferation of aortic endothelial cells. Temocaprilat has potential applications in hypertension and vascular inflammation[1][2][3][4].

In Vitro

Temocaprilat (1, 10, 100 and 1000 nM; 72 h) relieves high glucose (22.2 mM) mediated inhibition of human aortic endothelial cells (HAECs) proliferation with dose-dependent manner. Temocaprilat inhibits oxidative stress induced by high glucose in HAECs[1].

Temocaprilat (1 μM; 10 min) increases protein kinase C (PKC) activity in HAECs[1].

Temocaprilat (0.1 μM) inhibits IL-1β induced IL-6 expression by reducing the stability of IL-6 mRNA[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Temocaprilat (1 mg/kg/d; i.v.; 4 weeks) significantly reduces systolic blood pressure with time-dependent manner in spontaneously hypertensive (SHR) rats. Temocaprilat improves myocardial fibrosis and oxidative stress in Wistar-Kyoto (WKY) rats and SHR rats[3].

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Animal Model:	Six 10-week-old WKYs and SHRs and six 50-week-old (aging control) SHRs[3].
Dosage:	1 mg/kg/d.
Administration:	Intravenous injection; 4 weeks.
Result:	Reduced the expression levels of myocardial fibrosis, transforming growth factor-β1 (TGF-β1) mRNA and fibroblast growth factor-2 (FGF-2) mRNA in the left ventricle (LV). Weakened the expression levels of 8-isoprostane, p22phox mRNA, p47phox mRNA and gp91phox mRNA in LV.

REFERENCES

[1]. 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.

[2]. Püchler K, et al. Single dose and steady state pharmacokinetics of temocapril and temocaprilat in young and elderly hypertensive patients. Br J Clin Pharmacol. 1998 Oct;46(4):363-7.

[3]. Ito N, et al. Renin-angiotensin inhibition reverses advanced cardiac remodeling in aging spontaneously hypertensive rats. Am J Hypertens. 2007 Jul;20(7):792-9.

[4]. Yang Z H, et al. P-540: Olmesartan and temocaprilat suppress IL-1 [beta]-induced IL-6 expression via a decrease in mRNA stability in vascular smooth muscle cells[J]. American Journal of Hypertension, 2002, 15(S3): 228A.

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