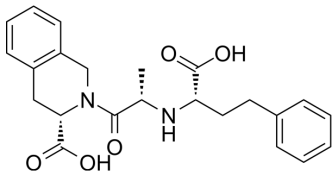
Quinaprilat

Cat. No.:	HY-127026
CAS No.:	82768-85-2
Molecular Formula:	$C_{23}H_{26}N_2O_5$
Molecular Weight:	410.46
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

Quinaprilat is an orally active non-mercapto Angiotensin Converting Enzyme (ACE) inhibitor, the active metabolite of Quinapril. Quinaprilat specific blocks the conversion of angiotensin I to the vasoconstrictor angiotensin II and inhibits the degradation of bradykinin. Quinaprilat acts as anti-hype agent and vasodilator[1][2].

In Vitro

Quinaprilat (5 μM) mediates the interaction of organic anion transporter 3 (hOAT3) which can promote renal active secretion of quinapril that incre uptake of quinaprilat to 25-fold in HEK293 cells and hOAT3 affinity K_m for quinaprilat is 13.4 μM[1].

Quinaprilat (100 nM, 20 min) can inhibit the activity of protein kinase C (PKC) by activing the B1 receptor resulting in the release of NO in human lun microvascular endothelial (HLMVE) cells[2].

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

In Vivo

Quinaprilat (oral gavage, 3 mg/kg, every day, 6 days) has some anti-hypertensive effect by combining with other drugs in male spontaneous hyperte rats (SHRs)[1].

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Animal Model:	Male spontaneous hypertensive rats (SHRs) (230-250 g)[1]
Dosage:	3 mg/kg
Administration:	Oral gavage; every day; 6 days
Result:	Caused a significant drop in blood pressure from day 1 to day 5 by combining quinapril and gemcabene while e alone had no effect. Decreased plasma concentration of quinaprilat on the fifth day.

Animal Model:	
Dosage:	
Administration:	
Result:	Result: The pharmacokinetic parameters of quinaprilat

Parameter	
AUC(0-24 h)	4.62 μM/h
Ae(0-24 h)	23.1 μg
renal clearance	31.0 mL/h

REFERENCES

[1]. Haodan Yuan, et al. Renal organic anion transporter-mediated drug-drug interaction between gemcabene and quinapril. J Pharmacol Exp Ther. 2009 Jul;330(1
doi: 10.1124/jpet.108.149476. Epub 2009 Apr 6.

[2]. Sinisa Stanisavljevic, et al. Angiotensin I-converting enzyme inhibitors block protein kinase C epsilon by activating bradykinin B1 receptors in human endothe
J Pharmacol Exp Ther. 2006 Mar;316(3):1153-8.

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