Zofenopril

Cat. No.: HY-108321

CAS No.: 81872-10-8

Molecular Formula: $C_{22}H_{23}NO_4S_2$

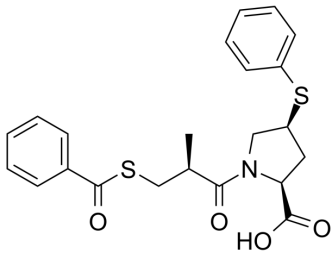
Molecular Weight: 429.55

Target: Angiotensin-converting Enzyme (ACE)

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (11.64 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3280 mL	11.6401 mL	23.2802 mL
5 mM	0.4656 mL	2.3280 mL	4.6560 mL
10 mM	0.2328 mL	1.1640 mL	2.3280 mL

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

BIOLOGICAL ACTIVITY

Description

Zofenopril is an angiotensin-converting enzyme (ACE) inhibitor with an IC_{50} of 81 μM.

IC_{50} & Target

IC50: 81 μM (ACE)[1]

In Vitro

Kinetic analyses demonstrate that enalapril inhibits the uptake of GlySar in a competitive manner (K_i approximately 6 mM). Fosinopril and Zofenopril have the greatest inhibitory potency (IC_{50} values of 55 and 81μM, respectively) while the other ACE inhibitors exhibit low-affinity interactions with the renal peptide transporter[1].

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

In Vivo

Zofenopril, a sulphydrylic compound, at doses higher than 70 mg/kg i.p. produces significant protection (i.e. at 70 mg/kg, P=0.044, F=2.17, d.f.=18; at higher concentration P<0.05) against the tonic phase of the audiogenic seizure response. Pretreatment with Zofenopril (15 mg/kg, i.p.) is able to produce a consistent shift to the left of the dose-response curves and a significant reduction of ED_{50} values against clonus of some AEDs with the exceptions of diazepam, felbamate, phenobarbital and phenytoin compare with concurrent groups, suggesting an increase in anticonvulsant activity[2].

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

PROTOCOL

Cell Assay [1]	Studies are performed in rabbit renal brush border membrane vesicles in which the uptake of radiolabeled GlySar is examined in the absence and presence of captopril, enalapril, enalaprilat, fosinopril, lisinopril, quinapril, quinaprilat, ramipril and Zofenopril[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Male and female mice weighing 8 to12 g (22 to 26 days old) or 20 to 28 g (48 to 56 days old) are used. Mice are exposed to auditory stimulation, 45, 60 or 120 min following intraperitoneal (i.p.) administration of ACE inhibitors (including Zofenopril) (10 to 100 mg/kg) or vehicle and 45 min following i.p. injection of the AEDs studied. All ACE inhibitors are suspended in a 1% solution of Tween 80 before administration[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lin CJ, et al. Competitive inhibition of glycylsarcosine transport by enalapril in rabbit renal brush border membrane vesicles: interaction of ACE inhibitors with high-affinity H+/peptide symporter. Pharm Res. 1999 May;16(5):609-15.

[2]. Sarro GD, et al. Fosinopril and zofenopril, two angiotensin-converting enzyme (ACE) inhibitors, potentiate the anticonvulsant activity of antiepileptic drugs against audiogenic seizures in DBA/2 mice. Pharmacol Res. 2012 Mar;65(3):285-96.

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