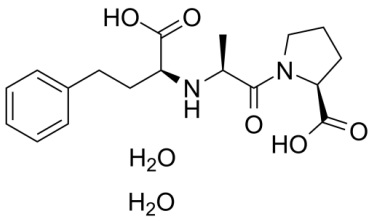
Data Sheet

Product Name:	Enalaprilat (dihydrate)
Cat. No.:	CS-2199
CAS No.:	84680-54-6
Molecular Formula:	C18H28N2O7
Molecular Weight:	384.42
Target:	Angiotensin-converting Enzyme (ACE); Autophagy
Pathway:	Autophagy; Metabolic Enzyme/Protease
Solubility:	DMSO : ≥ 100 mg/mL (260.13 mM); H2O : 12.5 mg/mL (32.52 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Enalaprilat dihydrate (MK-422) is an angiotensin-converting enzyme (ACE) inhibitor with IC_{50} of 1.94 nM. IC50 & Target: ACE[1]. **In Vitro:** Enalaprilat has high affinity for human endothelial ACE with IC_{50} of 1.94 nM in vitro binding assay by displacing a saturating concentration of [^{125}I]351A, a radiolabeled lisinopril analogue from ACE binding sites, and shows bradykinin/angiotensin I selectivity ratio of 1.00 calculated from double displacement experiments[1]. Enalaprilat attenuates the IGF-I induced neonatal rat cardiac fibroblast growth (30% reduction) in a concentration-dependent fashion, with IC_{50} of 90 mM[2]. **In Vivo:** Administration of Enalaprilat induces a significant reduction of MAP at 70 minutes compared with the placebo group during haemorrhagic shock in rats, and results in a 50% reduction of CO, a general tendency of EB extravasation which is significant in the kidney and lungs, and a significant increase in ileal EB extravasation (53%)[3].

References:

[1]. Ceconi, C., et al., Angiotensin-converting enzyme (ACE) inhibitors have different selectivity for bradykinin binding sites of human somatic ACE. Eur J Pharmacol, 2007. 577(1-3): p. 1-6.

[2]. van Eickels, M., H. Vetter, and C. Grohe, Angiotensin-converting enzyme (ACE) inhibition attenuates insulin-like growth factor-I (IGF-I) induced cardiac fibroblast proliferation. Br J Pharmacol, 2000. 131(8): p. 1592-6.

[3]. Schumacher, J., et al., Effects of candesartan and enalaprilat on the organ-specific microvascular permeability during haemorrhagic shock in rats. Br J Anaesth, 2006. 96(4): p. 437-43.

CAIndexNames:

L-Proline, N-[(1S)-1-carboxy-3-phenylpropyl]-L-alanyl-, hydrate (1:2)

SMILES:

O=C(O)[C@H]1N(C([C@H](C)N[C@H](C(O)=O)CCC2=CC=CC=C2)=O)CCC1.O.O

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

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