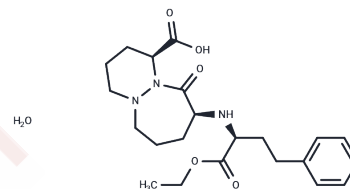


Cilazapril Monohydrate

Chemical Properties

CAS No. :	92077-78-6
Formula:	C ₂₂ H ₃₁ N ₃ O ₅ ·H ₂ O
Molecular Weight:	435.51
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	Cilazapril Monohydrate (Justor) is an angiotensin-converting enzyme (ACE) inhibitor used for the treatment of hypertension and congestive heart failure.
Targets(IC50)	RAAS, Angiotensin-converting Enzyme (ACE)
In vitro	BML-190 has 50-fold selectivity for CB2 receptors over CB1 receptors. In HEK-293 cells stably expressing the human CB2 receptor, BML-190 potentiates the forskolin-stimulated accumulation of cAMP. BML-190 reduces the basal levels of inositol phosphate production in cells expressing the CB2 receptor. 10 μM of BML-190 decreases inositol phosphates accumulation by 38%. [1] BML-190 is an aminoalkylindole. BML-190 is found to yield at least 15 metabolic products. [2] BML-190 diminishes LPS-induced NO and IL-6 production in a concentration-dependent manner. BML-190 also inhibits LPS-induced PGE2 production and COX-2 induction. [3]
In vivo	Cilazapril (1 mg/kg, daily) tends to decrease and the higher dose (10 mg/kg, daily) significantly decreases systolic blood pressure (SBP) in subtotal nephrectomized rats. Cilazapril attenuates the further development of protein uria in a dose-dependent manner in subtotal nephrectomized rats. Cilazapril attenuates the increase in plasma fibrinogen concentration and serum albumin concentration in a dose-dependent manner. Cilazapril reduces serum MCP-1 concentration in the nephrectomized rats. Cilazapril decreases hepatic fibrinogen synthesis through the alleviation of the local inflammatory process and the improvement of hypoalbuminemia. [1] Cilazapril normalizes systolic arterial pressure to 121 mm Hg (SD) in the treated SHR-SP rats. Cilazapril decreases systolic arterial pressure to a nearly normal level and prevents hypertensive retinal vascular changes, probably by improving endothelial function. [2] Cilazapril results in a marked decrease in the K _d of the renal arginine vasopressin (AVP) receptor and an increase in the plasma AVP level in the spontaneously hypertensive rat. [3] Cilazapril exerts a rapid, complete, and persistent antihypertensive effect in the spontaneously hypertensive rats (SHR) in vivo but has no effect on SAP in the normotensive Sprague-Dawley rat. Cilazapril treatment depresses heart performance (28-35%) in SHR but has no effect in the Sprague-Dawley rats. [4] Cilazapril decreases blood pressure to control values and reduces HW:BW in hyperthyroid rats. [5]

Solubility Information

A DRUG SCREENING EXPERT

Solubility	Ethanol: 81 mg/mL (185.99 mM),Sonication is recommended. H2O: <1 mg/mL, DMSO: 81 mg/mL (185.99 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 4 mg/mL (9.18 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2962 mL	11.4808 mL	22.9616 mL
5 mM	0.4592 mL	2.2962 mL	4.5923 mL
10 mM	0.2296 mL	1.1481 mL	2.2962 mL
50 mM	0.0459 mL	0.2296 mL	0.4592 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

- Sugimoto K, et al. J Pharmacol Sci, 2004, 94(1), 67-72.
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