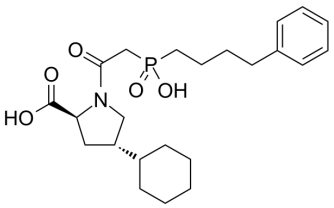
Fosfenopril

Cat. No.: HY-107352

CAS No.: 95399-71-6

Molecular Formula: $C_{23}H_{34}NO_5P$

Molecular Weight: 435.49



Target: Angiotensin-converting Enzyme (ACE); Toll-like Receptor (TLR); NF-κB; TNF Receptor; Interleukin Related

Pathway: Metabolic Enzyme/Protease; Immunology/Inflammation; NF-κB; Apoptosis

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 : 100 mg/mL (229.63 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2963 mL	11.4813 mL	22.9626 mL
5 mM	0.4593 mL	2.2963 mL	4.5925 mL
10 mM	0.2296 mL	1.1481 mL	2.2963 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description Fosfenopril (Fosinoprilat) is a potent angiotensin converting enzyme (ACE) inhibitor. Fosfenopril alleviates lipopolysaccharide (LPS)-induced inflammation by inhibiting TLR4/NF-κB signaling in monocytes[1][2].

IC_{50} & Target	TLR4	NF-κB	IL-6	IL-1β

In Vitro Fosfenopril (0-10 μM, 5 min) inhibits the expression of TLR4 which is elevated by LPS[1].

Fosfenopril (0-10 μM, 1 h)attenuates the expression of NF-κB which is activated by LPS[1].
Fosfenopril inhibits LPS-induced cytokines (IL-6, IL-1β, and TNF-α) expression[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	THP1 cells
Concentration:	0, 0.25, 0.5, 1, 5, and 10 μM
Incubation Time:	1 h
Result:	Down-regulated the expression of NF-κB protein.

RT-PCR[1]

Cell Line:	THP1 cells
Concentration:	0, 0.25, 0.5, 1, 5, and 10 μM
Incubation Time:	5 min
Result:	Showed a dose-dependent inhibitory effect on the TLR4 expression.

In Vivo

Fosfenopril (0.5 mg/kg bolus plus 0.1 mg/kg/min, IV, once) increases p-aminohippurate (PAH) clearance and glomerular filtration rate (GFR) in dogs[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Famale mongrel dogs (n=7)[3]
Dosage:	0.5 mg/kg (1.1 mumol/kg) bolus plus 0.1 mg/kg/min (0.22 mumol/kg/min)
Administration:	IV, once
Result:	Increased p-aminohippurate (PAH) clearance and glomerular filtration rate (GFR) by 25 and 16%, respectively without changing arterial pressure (AP).

REFERENCES

[1]. Yang S, et al. Fosinoprilat alleviates lipopolysaccharide (LPS)-induced inflammation by inhibiting TLR4/NF-κB signaling in monocytes. Cell Immunol. 2013 Jul-Aug;284(1-2):182-6.

[2]. DeForrest JM, et al. Fosinopril, a phosphinic acid inhibitor of angiotensin I converting enzyme: in vitro and preclinical in vivo pharmacology. J Cardiovasc Pharmacol. 1989 Nov;14(5):730-6.

[3]. DeForrest JM, et al. Blood pressure lowering and renal hemodynamic effects of fosinopril in conscious animal models. J Cardiovasc Pharmacol. 1990 Jul;16(1):139-46.

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