Fosfenopril

Cat. No.: HY-107352

CAS No.: 95399-71-6

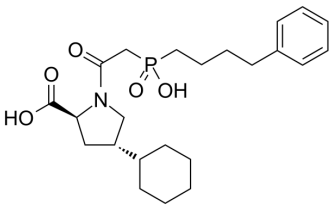
Molecular Formula: $C_{23}H_{34}NO_5P$

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: Please store the product under the recommended conditions in the Certificate of Analysis.



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
TNF-α			

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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