Olmesartan medoxomil

Cat. No.: HY-17005

CAS No.: 144689-63-4

Molecular Formula: $C_{29}H_{30}N_6O_6$

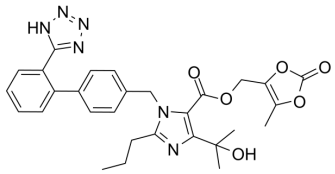
Molecular Weight: 558.59

Target: Angiotensin Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (89.51 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7902 mL	8.9511 mL	17.9022 mL
	5 mM	0.3580 mL	1.7902 mL	3.5804 mL
	10 mM	0.1790 mL	0.8951 mL	1.7902 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: 2.08 mg/mL (3.72 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.72 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Olmesartan medoxomil is a potent and selective angiotensin AT1 receptor inhibitor with IC_{50} of 66.2 μM.

IC_{50} & Target

AT1 Receptor

In Vitro

Inhibition of Arachidonic acid (AA) metabolism by angiotensin II receptor blockers (ARBs) is detected in a concentration-dependent manner with IC_{50} of Olmesartan (66.2 μM)[1]. Olmesartan medoxomil (OLM) is a potent and selective angiotensin AT1 receptor blocker[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The efficacy of Olmesartan (20 mg/kg) studied in db/db diabetic mice for a period of 12 weeks starting from week 10 to 12 of age. The db/db mice have 11.7 fold increased albuminuria in comparison to control mice at week 22 to 24 of age. Twelve weeks Olmesartan administration significantly reduces albuminuria in db/db mice by 77% as compared with placebo treated db/db mice. The albumin/creatinine ratio (ACR) is increased in db/db mice in comparison to control mice by 7.1 fold and Olmesartan treatment significantly decreases ACR by 59% in db/db mice[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [3]	Mice[3] 10 to 12-week old male db/db diabetic mice with background strain C57BL/KsJ and their age-matched non-diabetic lean control mice (C57BL) are used.10 non-diabetic control mice and 10 diabetic mice are fed with placebo (0.5% sodium CMC/saline solution), and 10 diabetic mice are fed with 20 mg/kg Olmesartan (MB5704) by daily gavage for 12 weeks. Mice are monitored for blood glucose, body weight and urine output every two weeks. After treatment, mice are euthanized and trunk blood is collected and is centrifuged to obtain plasma which is aliquoted and stored at -80°C. Kidney tissues are removed from mice. For protein extraction slices of the kidney tissue are frozen in liquid nitrogen, and stored at -80°C. Other parts of the kidney tissue are fixed with 4% paraformaldehyde and embedded in paraffin for immunostaining. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Senda A, et al. Effects of Angiotensin II Receptor Blockers on Metabolism of Arachidonic Acid via CYP2C8. Biol Pharm Bull. 2015;38(12):1975-9.

[2]. Shah S, et al. Simultaneous Quantitative Analysis of Olmesartan Medoxomil and Amlodipine Besylate in Plasma by High-performance Liquid Chromatography Technique. J Young Pharm. 2012 Apr;4(2):88-94.

[3]. Gu J, et al. Olmesartan Prevents Microalbuminuria in db/db Diabetic Mice Through Inhibition of Angiotensin II/p38/SIRT1-Induced Podocyte Apoptosis. Kidney Blood Press Res. 2016 Nov 21;41(6):848-864.

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