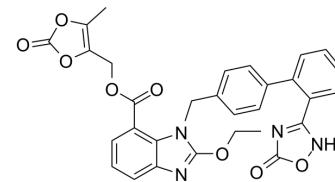


## Azilsartan medoxomil

Cat. No.:	HY-14736		
CAS No.:	863031-21-4		
Molecular Formula:	$C_{30}H_{24}N_4O_8$		
Molecular Weight:	568.53		
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 : 125 mg/mL (219.87 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration	Solvent Mass		
		1 mg	5 mg	10 mg
	1 mM	1.7589 mL	8.7946 mL	17.5892 mL
	5 mM	0.3518 mL	1.7589 mL	3.5178 mL
	10 mM	0.1759 mL	0.8795 mL	1.7589 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:  $\geq 2.08 \text{ mg/mL}$  (3.66 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility:  $\geq 2.08 \text{ mg/mL}$  (3.66 mM); Clear solution

### BIOLOGICAL ACTIVITY

Description	Azilsartan medoxomil (TAK 491) is an orally administered angiotensin II receptor type 1 antagonist with $IC_{50}$ of 0.62 nM, which used in the treatment of adults with essential hypertension <sup>[1][2][3][4]</sup> .
$IC_{50}$ & Target	AT1 Receptor
In Vivo	<p>Azilsartan medoxomil (0.03-1 mg/kg, p.o.) inhibits the angiotensin II-induced pressor response in normotensive rats<sup>[2]</sup>. Azilsartan medoxomil (0.1-10 mg/kg in peanut butter, once daily) inhibits vascular wall expression of plasminogen activator inhibitor type-I (PAI-1) protein, and potentially facilitates the stabilization of atherosclerotic plaques in ApoE knockout mice on a high fat diet rendered overexpressors of PAI-1 in VSMCs<sup>[5]</sup>.</p> <p>Azilsartan medoxomil (0.03-10 mg/kg, oral gavage, once a day) reduces myocardial infarct size in rats<sup>[6]</sup>.</p>

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats <sup>[6]</sup>
Dosage:	0.03-10 mg/kg
Administration:	oral gavage, once a day for 4 days
Result:	Reduced myocardial infarct size. Increased calcium-dependent nitric oxide synthase activity. Increased Akt, ERK 1/2 and eNOS phosphorylation and inhibited BAX activation.

## REFERENCES

- [1]. French CJ, et al. The angiotensin receptor blocker, azilsartan medoxomil (TAK-491), suppresses vascular wall expression of plasminogen activator inhibitor type-I protein potentially facilitating the stabilization of atherosclerotic plaques. *J Cardiovasc Pharmacol.* 2011 Aug;58(2):143-8.
- [2]. Ye Y, et al. Additive effect of TAK-491, a new angiotensin receptor blocker, and pioglitazone, in reducing myocardial infarct size. *Cardiovasc Drugs Ther.* 2010 Apr;24(2):107-20.
- [3]. Kajiyama T, Ho C, Wang J, Molecular and cellular effects of azilsartan: a new generation angiotensin II receptor blocker. *J Hypertens.* 2011 Dec;29(12):2476-83.
- [4]. Kusumoto K, Igata H, Ojima M, Antihypertensive, insulin-sensitising and renoprotective effects of a novel, potent and long-acting angiotensin II type 1 receptor blocker, azilsartan medoxomil, in rat and dog models.
- [5]. Perry CM. Azilsartan medoxomil: a review of its use in hypertension. *Clin Drug Investig.* 2012 Sep 1;32(9):621-39.
- [6]. Pierini D, Anderson KV. Azilsartan medoxomil/chlorthalidone: a new fixed-dose combination antihypertensive. *Ann Pharmacother.* 2013 May;47(5):694-703.

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

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