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Azilsartan medoxomil monopotassium

Cat. No.: HY-17458

CAS No.: 863031-24-7

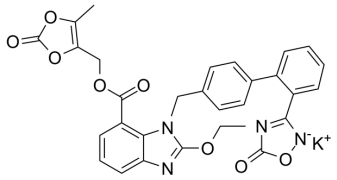
Molecular Formula: $C_{30}H_{23}KN_4O_8$

Molecular Weight: 606.62

Target: Angiotensin Receptor

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 175 mg/mL (288.48 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6485 mL	8.2424 mL	16.4848 mL
	5 mM	0.3297 mL	1.6485 mL	3.2970 mL
	10 mM	0.1648 mL	0.8242 mL	1.6485 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: ≥ 3 mg/mL (4.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (4.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Azilsartan medoxomil monopotassium is an orally administered angiotensin II receptor type 1 antagonist with IC50 of 0.62 nM, which used in the treatment of adults with essential hypertension. IC50 Value: 0.62 nM [2]Target: AT1 receptorin vitro: In aortic endothelial cells, azilsartan inhibited cell proliferation at concentrations as low as 1 µmol/l, whereas valsartan showed little or no antiproliferative effects at concentrations below 10 µmol/l. Antiproliferative effects of azilsartan were also observed in cells lacking AT1 receptors[1].in vivo: Oral administration of 0.1-3 mg/kg olmesartan medoxomil reduced blood pressure; however, only the two highest doses significantly reduced blood pressure 24h after dosing. ED(25) values were 0.41 and 1.3 mg/kg for azilsartan medoxomil and olmesartan medoxomil, respectively [2]. Over a longer treatment period of 24 weeks, azilsartan medoxomil showed sustained BP-lowering efficacy, with the reduction in 24-hour mean SBP at week 24 significantly greater with azilsartan medoxomil 40 or 80 mg once daily than with valsartan 320 mg once daily. Mean reductions from baseline in mean clinic SBP and DBP as well as DBP by ABPM were also significantly greater with azilsartan medoxomil 40 or 80 mg once daily than with valsartan[3]. In 4 randomized controlled trials (3 published to date),

	azilsartan medoxomil/chlorthalidone 40 mg/12.5 mg and 40 mg/25 mg reduced blood pressure (BP) significantly more than comparators did, including an approximately 5-mm Hg greater BP reduction than olmesartan medoxomil/hydrochlorothiazide 40 mg/25 mg and azilsartan medoxomil/hydrochlorothiazide [4].
IC_{50} & Target	AT1 Receptor
In Vivo	Azilsartan medoxomil (0.03-1 mg/kg, p.o.) monopotassium inhibits the angiotensin II-induced pressor response innormotensive rats[2]. Azilsartan medoxomil (0.1-10 mg/kg in peanut butter, once daily) monopotassium 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[5]. Azilsartan medoxomil (0.03-10 mg/kg, oral gavage, once a day) monopotassium reduces myocardial infarct size in rats[6]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. Kajiya 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.

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