Eplerenone

Cat. No.: HY-B0251

CAS No.: 107724-20-9

Molecular Formula: $C_{24}H_{30}O_6$

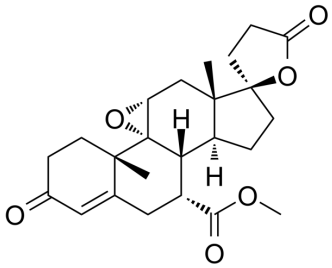
Molecular Weight: 414.49

Target: Mineralocorticoid Receptor; Endogenous Metabolite

Pathway: Metabolic Enzyme/Protease

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 : 25 mg/mL (60.32 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4126 mL	12.0630 mL	24.1260 mL
	5 mM	0.4825 mL	2.4126 mL	4.8252 mL
	10 mM	0.2413 mL	1.2063 mL	2.4126 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 (6.03 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.03 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Eplerenone (Epoxymexrenone) is a selective, highly specific and orally active aldosterone blocker (SAB). Eplerenone also is a selective mineralocorticoid receptor antagonist (MRA) with IC_{50} value of 0.081 μM. Eplerenone can be used for the research of hypertension, atherosclerosis, chronic systolic heart failure (HF) and cardiovascular (CV)[1][2].

IC_{50} & Target

IC50: 0.081 μM (human mineralocorticoid receptor) [2]

In Vitro

Eplerenone inhibits the human mineralocorticoid receptor with IC_{50} value of 0.081 μM[2].

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

In Vivo

Eplerenone (oral, 200 mg/kg/day for 3 months) significantly reduces oxidative stress and atherosclerosis progression in atherosclerotic apolipoprotein edeficient (EO) mice[3].

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

Animal Model:	Atherosclerotic apolipoprotein Edeficient (EO) mice[3]
Dosage:	200 mg/kg
Administration:	oral, 200 mg/kg/day for 3 months
Result:	Significantly decreased systolic and diastolic blood pressure by 12% and 11%, respectively. Decreased serum susceptibility to lipid peroxidation by as much as 26%, and increased serum paraoxonase activity by 28%. Reduced levels of lipid peroxides, and significantly reduced macrophage oxidation of low-density lipoprotein (LDL) and superoxide ion release. Significantly reduced the atherosclerotic lesion area.

CUSTOMER VALIDATION

- Br J Pharmacol. 2021 Aug;178(15):2976-2997.
- J Pharmaceut Biomed. 2020, 113870.
- Mol Med Rep. 2020 Sep;22(3):1859-1867.
- Research Square Preprint. 2021 Apr.

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REFERENCES

[1]. Myron H Weinberger, et al. Eplerenone, a selective aldosterone blocker, in mild-to-moderate hypertension. Am J Hypertens. 2002 Aug;15(8):709-16.

[2]. Shlomo Keidar, et al. Effect of eplerenone, a selective aldosterone blocker, on blood pressure, serum and macrophage oxidative stress, and atherosclerosis in apolipoprotein E-deficient mice. J Cardiovasc Pharmacol. 2003 Jun;41(6):955-63.

[3]. Dhillon, S., Eplerenone: a review of its use in patients with chronic systolic heart failure and mild symptoms. Drugs, 2013. 73(13): p. 1451-62.

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

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