Esaxerenone

Cat. No.: HY-100471

CAS No.: 1632006-28-0

Molecular Formula: $C_{22}H_{21}F_3N_2O_4S$

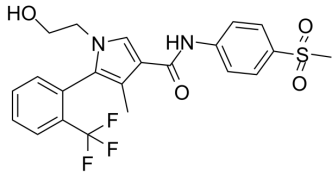
Molecular Weight: 466.47

Target: Mineralocorticoid Receptor

Pathway: Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor

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 : 100 mg/mL (214.38 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1438 mL	10.7188 mL	21.4376 mL
5 mM	0.4288 mL	2.1438 mL	4.2875 mL
10 mM	0.2144 mL	1.0719 mL	2.1438 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 (4.46 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.46 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.08 mg/mL (4.46 mM); Clear solution; Need warming

BIOLOGICAL ACTIVITY

Description

Esaxerenone (CS-3150) is a highly potent and selective non-steroidal mineralocorticoid receptor antagonist[1].

IC_{50} & Target

Mineralocorticoid receptor[1]

In Vivo

After single oral administration of Esaxerenone at 0.1, 0.3, 1, and 3 mg/kg, maximum plasma concentration (C_{max}) and the area under the plasma concentration versus time curve (AUC) are increased with dose. Time to reach the maximum plasma concentration (T_{max}) of Esaxerenone ranges from 2.0 to 4.5 h. After intravenous administration of Esaxerenone at 0.1, 0.3, 1,

and 3 mg/kg, the total body clearance (CL) and distribution volume at steady state (V_{ss}) are 3.53 to 6.69 mL/min/kg and 1.47 to 2.49 L/kg, respectively, in rats, and 2.79 to 3.69 mL/min/kg and 1.34 to 1.54 L/kg, respectively, in cynomolgus monkeys. Up to 168 h after administration, 3.9% and 91.4% of dosed radioactivity are excreted in rat urine and feces, respectively, and 95.2% in total. In monkeys, the excreted radioactivity up to 168 h is 11.5% in urine, 82.3% in feces, and 93.9% in total[1].

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

PROTOCOL

Animal Administration [1]

Esaxerenone dissolved in vehicle is administered orally or intravenously at doses of 0.1, 0.3, 1, and 3 mg/kg to rats (8 weeks old, 285 to 313 g, four animals per group) or to cynomolgus monkeys (3 to 5 years old, 3.37 to 4.48 kg, four animals per group). The blood is collected with heparinized needles and syringes at the designated sample collection times from the cervical veins of the rats and from the femoral veins of the monkeys. Plasma is obtained by centrifugation (4°C, 1710×g, 15 min) and stored at -80 °C before analysis. To rats (6 weeks old, 146 to 154 g, 4 animals), [^{14}C] Esaxerenone is orally administered at a single dose of 1 mg/kg prepared in vehicle. Urine and feces are collected for the designated periods. For the monkey study, [^{14}C] Esaxerenone suspended in 0.5% Methylcellulose (MC) is orally administered to cynomolgus monkeys (3 years old, 2.9 to 3.5 kg, three animals) at a single dose of 1 mg/kg. Urine and feces are collected for a designated period up to 168 h post-dose[1].

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

CUSTOMER VALIDATION

- Biomedicines. 2021, 9(9), 1146.
- Biomedicines. 2021, 9(5), 549.

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REFERENCES

[1]. Yamada M, et al. Pharmacokinetics, distribution, and disposition of esaxerenone, a novel, highly potent and selective non-steroidal mineralocorticoid receptor antagonist, in rats and monkeys. Xenobiotica. 2017 Dec;47(12):1090-1103.

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