Balcinrenone

Cat. No.: HY-120274

CAS No.: 1850385-64-6

Molecular Formula: $C_{20}H_{18}FN_3O_5$

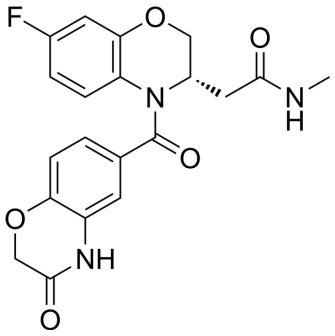
Molecular Weight: 399.37

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	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (625.99 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5039 mL	12.5197 mL	25.0394 mL
	5 mM	0.5008 mL	2.5039 mL	5.0079 mL
	10 mM	0.2504 mL	1.2520 mL	2.5039 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 (5.21 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.21 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Balcinrenone (AZD9977) is a potent, selective, and orally active mineralocorticoid receptor (MR) modulator. Balcinrenone is used for heart failure, and chronic kidney disease research[1].

In Vitro

Balcinrenone (AZD9977) and eplerenone activities on MR, GR, PR and AR in binding assays. The observed pK_i of MR, GR, and PR are 7.5, 5.4 and 4.6, respectively.
Functional interaction of Balcinrenone with MR is characterized in a reporter gene assay where the full-length MR drives a luciferase reporter gene in U2-OS cells. Balcinrenone antagonizes aldosterone-activated MR with an IC_{50} of 0.28 μM.

Whereas eplerenone is a full antagonist, Balcinrenone suppresses only 69% of the MR activity in this assay.
Species selective potencies of Balcinrenone are established in reporter gene assays using the MR LBDs from human, mouse or rat. The corresponding IC_{50} values are 0.37 μM, 0.08 μM and 0.08μM, respectively.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Balcinrenone (AZD9977) (oral administration; 10-100 mg/kg; 4 weeks) dose dependently reduces the UACR compared to vehicle in uni-nephrectomised male Sprague Dawley rats administered aldosterone and fed a high-salt diet. Balcinrenone is as efficacious as full MR antagonists on renal protection, despite the partial antagonism observed in in vitro assays[1].
Balcinrenone (oral administration; 100 mg/kg; co-administration with enalapril) stops further disease progression and reduces the urine albumin excretion (UAE) compared to vehicle treatment. Co-administration of enalapril has an apparent additive effect on UAE reduction, although this reduction is not statistically significant[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Uni-nephrectomised male Sprague Dawley rats administered aldosterone and fed a high-salt diet with AZD9977[1]
Dosage:	10, 30 and 100 mg/kg
Administration:	Oral administration; 10-100 mg/kg; 4 weeks
Result:	Improved kidney function and histology in animal models of CKD.

Animal Model:	Db/db mice uni-nephrectomised at 8 weeks of age are treated from age 18w to age 22w[1]
Dosage:	100 mg/kg
Administration:	Oral administration; 100 mg/kg; co-administration with enalapril
Result:	Reduced albuminuria in diabetic kidney disease. Co-administration of enalapril with AZD9977 had an additive effect on renal pathology scoring.

REFERENCES

[1]. Fredrik Erlandsson, et al. Clinical safety, tolerability, pharmacokinetics and effects on urinary electrolyte excretion of AZD9977, a novel, selective mineralocorticoid receptor modulator. Br J Clin Pharmacol. 2018 Jul;84(7):1486-1493.

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