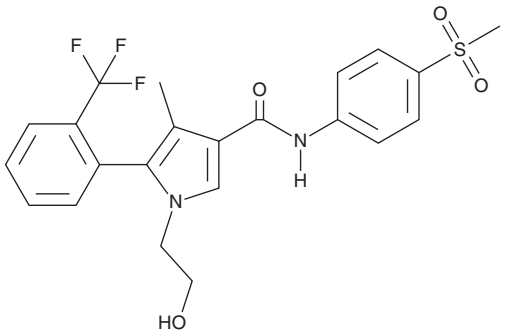
PRODUCT INFORMATION

Esaxerenone

Item No. 41082



CAS Registry No.:	1632006-28-0
Formal Name:	1-(2-hydroxyethyl)-4-methyl-N-[4-(methylsulfonyl)phenyl]-5S-[2-(trifluoromethyl)phenyl]-1H-pyrrole-3-carboxamide
Synonym:	CS-3150
MF:	$C_{22}H_{21}F_3N_2O_4S$
FW:	466.5
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Esaxerenone is supplied as a solid. A stock solution may be made by dissolving the esaxerenone in the solvent of choice, which should be purged with an inert gas. Esaxerenone is soluble (≥10 mg/ml) in DMSO and sparingly soluble (1-10 mg/ml) in ethanol.

Description

Esaxerenone is a non-steroidal mineralocorticoid receptor antagonist (IC_{50} = 9.4 nM).[1] It is selective for mineralocorticoid receptors over glucocorticoid, androgen, and progesterone receptors (IC_{50}s = >10,000 nM for all). Esaxerenone (0.3, 1, or 3 mg/kg) increases the urinary ratio of sodium to potassium in bilateral adrenalectomized rats. It decreases systolic blood pressure in DOCA-salt hypertensive rats when administered at a dose of 3 mg/kg to a greater extent than the mineralocorticoid receptor antagonists spironolactone or eplerenone (Item No. 15616). Esaxerenone (2 mg/kg per day) reduces systolic blood pressure, urinary protein levels, blood levels of brain natriuretic peptide (BNP), kidney and left ventricle weights, and glomerulosclerosis, as well as increases blood potassium levels, in Dahl salt-sensitive rats fed a high-salt diet.[2] Formulations containing esaxerenone have been used in the treatment of hypertension.

References

1. Arai, K., Homma, T., Morikawa, Y., *et al.* Pharmacological profile of CS-3150, a novel, highly potent and selective non-steroidal mineralocorticoid receptor antagonist. *Eur. J. Pharmacol.* **761**, 226-234 (2015).
2. Arai, K., Tsuruoka, H., and Homma, T. CS-3150, a novel non-steroidal mineralocorticoid receptor antagonist, prevents hypertension and cardiorenal injury in Dahl salt-sensitive hypertensive rats. *Eur. J. Pharmacol.* **769**, 266-273 (2015).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM