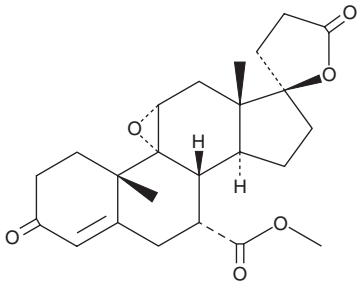
PRODUCT INFORMATION

Eplerenone

Item No. 15616

CAS Registry No.:	107724-20-9
Formal Name:	(7α,11α,17α)-9,11-epoxy-17-hydroxy-3-oxo-pregn-4-ene-7,21-dicarboxylic acid, γ-lactone, 7-methyl ester
Synonyms:	CGP 30083, (+)-Eplerenone, Epoxymexrenone, SC-6110, SC-66110
MF:	$C_{24}H_{30}O_6$
FW:	414.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 241 nm
Supplied as:	A crystalline 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

Eplerenone is supplied as a crystalline solid. A stock solution may be made by dissolving the eplerenone in the solvent of choice, which should be purged with an inert gas. Eplerenone is soluble in the organic solvent dimethyl formamide (DMF) at a concentration of approximately 2 mg/ml.

Eplerenone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, eplerenone should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Eplerenone has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Eplerenone is a mineralocorticoid receptor antagonist.[1] It is selective for the mineralocorticoid receptor over glucocorticoid, androgen, progesterone, and estrogen receptors in radioligand binding assays (IC_{50}s = 138, 6,920, 523, >10,000, and 5,702 nM, respectively). Eplerenone inhibits aldosterone-induced mineralocorticoid activity in a luciferase assay (IC_{50} = 122 nM). *In vivo*, eplerenone (100 mg/kg per day) reduces urinary albumin secretion and glomerulosclerosis in the Dahl salt-sensitive rat model of hypertension and nephropathy. It reduces myocardial IL-1β levels and collagen deposition, as well as improves left ventricular systolic dysfunction in a mouse model of acute myocardial infarction.[2] Formulations containing eplerenone have been used in the treatment of hypertension and heart failure after myocardial infarction.

References

1. Meyers, M.J., Arhancet, G.B., Hockerman, S.L., *et al.* Discovery of (3S,3aR)-2-(3-chloro-4-cyanophenyl)-3-cyclopentyl-3,3a,4,5-tetrahydro-2H-benzo[g]indazole-7-carboxylic acid (PF-3882845), an orally efficacious mineralocorticoid receptor (MR) antagonist for hypertension and nephropathy. *J. Med. Chem.* **53(16)**, 5979-6002 (2010).
2. Chen, B., Geng, J., Gao, S.-X., *et al.* Eplerenone modulates interleukin-33/sST2 signaling and IL-1β in left ventricular systolic dysfunction after acute myocardial infarction. *J. Interferon. Cytokine Res.* **38(3)**, 137-144 (2018).

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