Eprosartan

Cat. No.: HY-117743

CAS No.: 133040-01-4

Molecular Formula: $C_{23}H_{24}N_2O_4S$

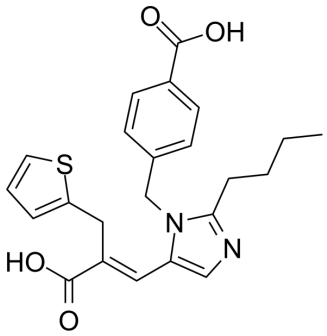
Molecular Weight: 424.51

Target: Angiotensin Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (294.46 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3557 mL	11.7783 mL	23.5566 mL
	5 mM	0.4711 mL	2.3557 mL	4.7113 mL
	10 mM	0.2356 mL	1.1778 mL	2.3557 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 (4.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.90 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Eprosartan (SKF-108566J free base) is a selective, competitive, nonpeptid and orally active angiotensin II receptor antagonist, used as an antihypertensive. Eprosartan binds angiotensin II receptor with IC_{50}s of 9.2 nM and 3.9 nM in rat and human adrenal cortical membranes, respectively [1].

In Vitro

Eprosartan (SKF-108566J) inhibits [^{125}I]AII binding to human liver membranes (IC_{50} of 1.7 nM) and to rat mesenteric artery membranes (IC_{50} of 1.5 nM). In rabbit aortic smooth muscle cells, Eprosartan caused a concentration-dependent inhibition of AII-induced increases in intracellular Ca^{2+} levels[1].

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

In Vivo	In conscious normotensive rats, i.v. administration of Eprosartan (0.01-0.3 mg/kg) produced dose-dependent parallel shifts in the AII pressor dose-response curve. Administration of Eprosartan (3-10 mg/kg) intraduodenally or intragastrically to conscious normotensive rats resulted in a dose-dependent inhibition of the pressor response to AII (250 ng/kg, i.v.). At 10 mg/kg, i.d., significant inhibition of the pressor response to AII was observed for 3 hr[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Lumin. 2018 Nov; 203;616-628.

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REFERENCES

[1]. R M Edwards, et al. Pharmacological characterization of the nonpeptide angiotensin II receptor antagonist, SK&F 108566. J Pharmacol Exp Ther. 1992 Jan;260(1):175-81.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA