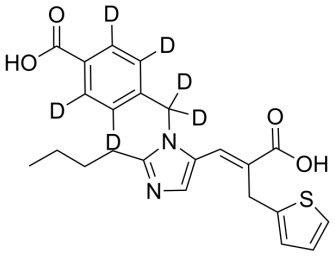
Eprosartan-d_6

Cat. No.:	HY-117743S1
Molecular Formula:	$C_{23}H_{18}D_6N_2O_4S$
Molecular Weight:	430.55
Target:	Angiotensin Receptor; Isotope-Labeled Compounds
Pathway:	GPCR/G Protein; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Eprosartan-d_6 is deuterated labeled Eprosartan (HY-117743). 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	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. 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[2]. 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[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

[2]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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