Product Data Sheet

Losartan carboxylic acid-d₄ hydrochloride

 $C_{22}H_{18}D_4Cl_2N_6O_2$

Cat. No.: HY-12765S1

477.38 Molecular Weight:

Molecular Formula:

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 Losartan carboxylic acid-d₄ (hydrochloride) is deuterium labeled Losartan Carboxylic Acid. Losartan Carboxylic Acid (E-3174), an active carboxylic acid metabolite of Losartan, is an angiotensin II receptor type 1 (AT1) antagonist. The Ki values are 0.97, 0.57, 0.67 nM for rat AT1B/AT1A and human AT1, respectively. Losartan Carboxylic Acid blocks the angiotensin IIinduced responses in vascular smoothmuscle cells (VSMC). Losartan Carboxylic Acid elevates plasma renin activities and reduces mean arterial pressure[1][2][3][4].

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].

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

REFERENCES

[1]. Inada Y, et al. Binding of KRH-594, an antagonist of the angiotensin II type 1 receptor, to cloned human and rat angiotensin II receptors. Fundam Clin Pharmacol. 2002 Aug;16(4):317-23.

[2]. Lynch JJ Jr, et al. EXP3174, the AII antagonist human metabolite of losartan, but not losartan nor the angiotensin-converting enzyme inhibitor captopril, prevents the development of lethal ischemic ventricular arrhythmias in a canine model of recent myocardial infarction. J Am Coll Cardiol. 1999 Sep;34(3):876-84.

[3]. Richard V, et al. Comparison of the effects of EXP3174, an angiotensin II antagonist and enalaprilat on myocardial infarct size in anaesthetized dogs. Br J Pharmacol. 1993 Nov:110(3):969-74.

[4]. Sachinidis A, et al. EXP3174, a metabolite of losartan (MK 954, DuP 753) is more potent than losartan in blockingthe angiotensin II-induced responses in vascular smooth muscle cells. J Hypertens. 1993 Feb;11(2):155-62.

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

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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Page 2 of 2 www.MedChemExpress.com