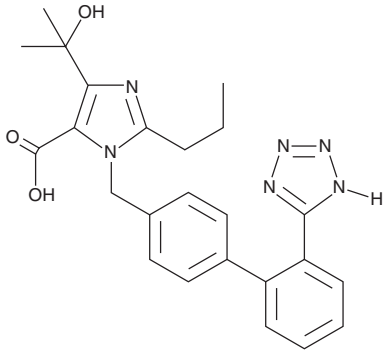
PRODUCT INFORMATION

Olmesartan

Item No. 23412

CAS Registry No.: 144689-24-7
Formal Name: 4-(1-hydroxy-1-methylethyl)-2-propyl-1-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1H-imidazole-5-carboxylic acid
Synonyms: CS 088, RNH 6270
MF: $C_{24}H_{26}N_6O_3$
FW: 446.5
Purity: ≥98%
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

Olmesartan is supplied as a crystalline solid. A stock solution may be made by dissolving the olmesartan in the solvent of choice, which should be purged with an inert gas. Olmesartan is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of olmesartan in these solvents is approximately 0.2, 20, and 30 mg/ml, respectively.

Olmesartan is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, olmesartan should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Olmesartan 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

Olmesartan is a non-peptide angiotensin II receptor 1 (AT_1) antagonist (IC_{50} = 0.0077 µM for the bovine adrenal cortex receptor) and an active metabolite of olmesartan medoxomil (Item No. 11614).[1] It is formed from olmesartan medoxomil by paraoxonase 1 (PON1) in human plasma.[2] Olmesartan is selective for AT_1 over AT_2 (IC_{50} = >100 µM for the bovine cerebellar receptor). It reduces contraction of isolated guinea pig aorta induced by angiotensin II (Item No. 17150; pD_2 = 9.9) but not phenylephrine (Item Nos. 17205 | 18619) or potassium chloride. Olmesartan (0.01 and 0.03 mg/kg, i.v.) reduces the angiotensin II-induced pressor response in conscious normotensive rats.

References

1. Mizuno, M., Sada, T., Ikeda, M., *et al.* Pharmacology of CS-866, a novel nonpeptide angiotensin II receptor antagonist. *Eur. J. Pharmacol.* **285(2)**, 181-188 (1995).
2. Ishizuka, T., Fujimori, I., Nishida, A., *et al.* Paraoxonase 1 as a major bioactivating hydrolase for olmesartan medoxomil in human blood circulation: Molecular identification and contribution to plasma metabolism. *Drug Metab. Dispos.* **40(2)**, 374-380 (2012).

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