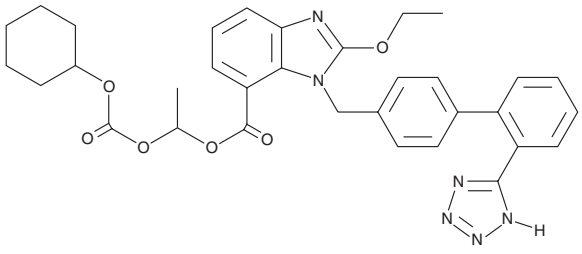
PRODUCT INFORMATION

Candesartan Cilexetil

Item No. 10489

CAS Registry No.:	145040-37-5
Formal Name:	2-ethoxy-1-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1H-benzimidazole-7-carboxylic acid, 1-[[(cyclohexyloxy)carbonyl]oxy]ethyl ester
Synonyms:	Candesartan M1 Cilexetil, TCV-116
MF:	$C_{33}H_{34}N_6O_6$
FW:	610.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 206, 254, 305 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

Candesartan cilexetil is supplied as a crystalline solid. A stock solution may be made by dissolving the candesartan cilexetil in the solvent of choice, which should be purged with an inert gas. Candesartan cilexetil is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of candesartan cilexetil in ethanol is approximately 3 mg/ml and approximately 30 mg/ml in DMSO and DMF.

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

Description

Candesartan cilexetil is a prodrug form of the angiotensin II type 1 receptor (AT_1) antagonist candesartan (Item No. 9003239).[1] Candesartan cilexetil is converted to candesartan by hydrolysis in the gastrointestinal tract.[2] It inhibits the pressor response induced by angiotensin II in normotensive rats (ID_{50} = 0.069 mg/kg), as well as decreases blood pressure, but not heart rate, in spontaneously hypertensive and two kidney-one clip (2K-1C) and 1K-1C renal hypertensive rats (ED_{25}s = 0.68, 0.03, and 0.23 mg/kg, respectively).[1,3] Candesartan cilexetil (0.1 mg/kg) reduces stroke incidence and urinary protein excretion in stroke-prone spontaneously hypertensive rats.[4] It prevents stress-induced tyrosine hydroxylase transcription and increases AT_2 receptor expression in the ventrolateral thalamic nucleus in cold-restraint stressed spontaneously hypertensive rats when administered at a dose of 10 mg/kg per day.[5] Formulations containing candesartan cilexetil have been used in the treatment of hypertension and heart failure.

References

1. Shibouta, Y., Inada, Y., Ojima, M., *et al. J. Pharmacol. Exp. Ther.* **266(1)**, 114-120 (1993).
2. Gavras, H. *Am. J. Hypertens.* **13(1 Pt.2)**, 25S-30S (2000).
3. Inada, Y., Wada, T., Shibouta, Y., *et al. J. Pharmacol. Exp. Ther.* **268(3)**, 1540-1547 (1993).
4. Inada, Y., Wade, T., Ojima, M., *et al. Clin. Exp. Hypertens.* **19(7)**, 1079-1099 (1997).
5. Bregonzio, C., Seltzer, A., Armando, I., *et al. Stress* **11(6)**, 457-466 (2008).

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