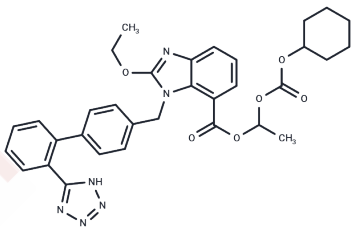
Data Sheet (Cat.No.T2400)

TargetMol

Candesartan Cilexetil

Chemical Properties



CAS No. : 145040-37-5

Formula: C33H34N6O6

Molecular Weight: 610.66

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Candesartan Cilexetil (TCV-116) is an angiotensin II receptor antagonist (IC50: 0.26 nM). Upon hydrolysis to candesartan during gastrointestinal absorption, it selectively competes with angiotensin II for binding to the angiotensin II receptor subtype 1 (AT1) in vascular smooth muscle, blocking angiotensin II-mediated vasoconstriction and inducing vasodilatation.
Targets(IC50)	Apoptosis,RAAS
In vitro	Five hours post-administration, Candesartan (1 mg/kg, orally) reduced blood pressure to a similar extent as Enalapril (10 mg/kg, orally) on both the 1st and 7th days. Candesartan significantly increased renal blood flow without altering the cardiac index. In rat myocardium with dilated cardiomyopathy (DCM), Candesartan dose-dependently improved functional markers and upregulated angiotensin (1-7), ACE2, and MAS1. Treatment with Candesartan in rats led to a reduction in various endoplasmic reticulum (ER) stress markers, apoptotic markers, and the number of apoptotic cells. Furthermore, Candesartan demonstrated a dose-dependent blockade of angiotensin-II in rats with dilated cardiomyopathy.
In vivo	The prodrug of Candesartan is absorbed through the gastrointestinal tract and activated by ester hydrolysis into Candesartan. Candesartan then blocks the effects of angiotensin II on the angiotensin II type 1 receptors.
Kinase Assay	Kinetic Methods: In a typical kinetic run, 2.00 mL of assay buffer (20 mM HEPES, 0.5 mM EDTA, 0.035% SDS, pH 7.8) and Suc-Leu-Leu-Val-Tyr-AMC in DMSO are added to a 3 mL fluorescence cuvette, and the cuvette is placed in the jacketed cell holder of a fluorescence spectrophotometer. Reaction temperature is maintained at 37°C by a circulating water bath. After the reaction solution has reached thermal equilibrium (5 minutes), 1 μL?10 μL of the stock enzyme solution is added to the cuvette. Reaction progress is monitored by the increase in fluorescence emission at 440 nm (λex= 380 nm) that accompanies cleavage of AMC from peptide-AMC substrates.

Solubility Information

Solubility	DMSO: 70 mg/mL (114.63 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6376 mL	8.1879 mL	16.3757 mL
5 mM	0.3275 mL	1.6376 mL	3.2751 mL
10 mM	0.1638 mL	0.8188 mL	1.6376 mL
50 mM	0.0328 mL	0.1638 mL	0.3275 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

See S, et al. Am J Health Syst Pharm,2000,7(8), 739-746.
Arumugam S, et al. Toxicology,2012, 291(1-3), 139-145.
Shirai K, et al. Mol Cell Biochem,2005, 269(1-2), 137-142.
Kanagawa R, et al. Jpn J Pharmacol,1997, 73(3), 185-190.
Kondo T, et al. Arzneimittelforschung,1996, 46(6), 594-600.