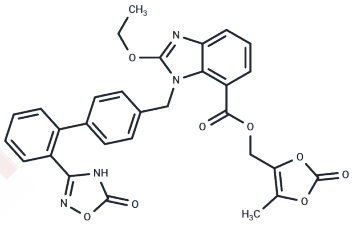
Data Sheet (Cat.No.T6219)

TargetMol

Azilsartan Medoxomil

Chemical Properties



CAS No. : 863031-21-4

Formula: C30H24N4O8

Molecular Weight: 568.53

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Azilsartan Medoxomil (TAK-491) is an orally administered angiotensin II receptor type 1 antagonist with IC50 of 0.62 nM, which used in the treatment of adults with essential hypertension.
Targets(IC50)	RAAS
In vitro	Azilsartan medoxomil is a prodrug, which is rapidly converted to the active moiety, azilsartan (TAK-536), by ester hydrolysis in the gut and plasma during absorption after oral administration. Azilsartan selectively blocks the binding of angiotensin II to the AT1 (angiotensin II type 1) receptors found in the vascular smooth muscle and the adrenal gland, thereby promoting vasodilation and a decrease in the effects of aldosterone. [2] Azilsartan is a highly selective antagonist to the AT1 receptor, with an IC50 of 2.6 nM, exhibiting a >10,000-fold affinity for the AT1 receptor compared with the AT2 receptor, and has not shown affinity for other cardiac receptors or ion channels. The inhibitory effect of Azilsartan persists after washout of the free compound (IC50 value of 7.4 nM). Azilsartan also inhibits the accumulation of angiotensin II -induced inositol 1-phosphate (IP1) in the cell-based assay with an IC50 value of 9.2 nM, and this effect is resistant to washout (IC50 value of 81.3 nM). [1]
Kinase Assay	Radioligand Binding: A radioligand binding assay is performed by using human AT1 receptor-coated microplates containing 4.4 to 6.2 fmol of receptors/well (10 μg of membrane protein/well). Membrane-coated wells are incubated with 45 μl of assay buffer (50 mM Tris-HCl, 5 mM MgCl2, 1 mM EDTA, and 0.005% CHAPS, pH 7.4) containing various concentrations of test compounds at room temperature. After 90 min, 5 μl of 125I-Sar1-Ile8-AII (final concentration 0.6 nM) dissolved in assay buffer is added to the wells, and the plate is incubated for 5 h. In each step, the plate is briefly and gently shaken on a plate shaker.
Cell Research	Measurement of Inositol 1-Phosphate Accumulation. Twentyfour hours after transfections with human AT1-expressing plasmids, the cells are starved by changing the culture medium to starvation buffer (1 mM CaCl2, 0.5 mM MgCl2, 4.2 mM KCl, 146 mM NaCl, 5.5 mM glucose, and 10 mM HEPES, pH 7.3). Then, 5 μl/well of the test compounds dissolved in starvation buffer is added to the cells at the indicated concentrations, and they are pretreated for the indicated times. Two hours after starvation, LiCl is added to a final concentration of 50 mM with or without angiotensin II 10 nM, and the cells are further incubated for the indicated times at 37°C. In washout experiments, the cells are washed once with 100 μl/well of starvation buffer to remove unbound compounds

Cell Research	before stimulation with angiotensin II. The accumulation of inositol 1-phosphate (IP1) is measured by using a IP-One Tb kit. The fluorescence resonance energy transfer signal is measured on a plate reader.(Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 250 mg/mL (439.73 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (7.04 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7589 mL	8.7946 mL	17.5892 mL
5 mM	0.3518 mL	1.7589 mL	3.5178 mL
10 mM	0.1759 mL	0.8795 mL	1.7589 mL
50 mM	0.0352 mL	0.1759 mL	0.3518 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Ojima M, et al. J Pharmacol Exp Ther, 2011, 336(3), 801-808.
Cheng S, Jin P, Li H, et al. Evaluation of CML TKI Induced Cardiovascular Toxicity and Development of Potential Rescue Strategies in a Zebrafish Model. Frontiers in Pharmacology. 2021: 2866.
Zaiken K, et al. Clin Ther, 2011, 33(11), 1577-1589.