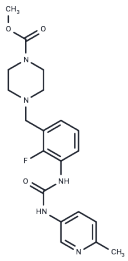
Data Sheet (Cat.No.T2006)

TargetMol

Omecamtiv mecarbil

Chemical Properties



CAS No. : 873697-71-3

Formula: C20H24FN5O3

Molecular Weight: 401.43

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	Omecamtiv mecarbil (CK-1827452) has been used in trials studying the treatment and basic science of Heart Failure, Echocardiogram, Pharmacokinetics, Chronic Heart Failure, and History of Chronic Heart Failure, among others.
Targets(IC50)	ATPase,Myosin
In vitro	Omecamtiv mecarbil induces a decrease in various hemodynamic parameters, including heart rate, mean left atrial pressure, and left ventricular end-diastolic pressure. The effects of Omecamtiv mecarbil on these hemodynamic parameters within dogs with left ventricular hypertrophy, while awake, show similar impacts without significant statistical differences. In conscious dogs with myocardial infarction (MI-sHF), Omecamtiv mecarbil significantly increases wall thickening (25%), stroke volume (44%), cardiac output (22%), and left ventricular (LV) contraction ejection time (26%).
In vivo	In isolated cardiomyocytes, Omecamtiv mecarbil (can) enhance(s) the contractility of myocytes, overcoming the inhibition of myosin by BDM without increasing calcium transients or inhibiting the PDE pathway. Ex-vivo, Omecamtiv mecarbil selectively activates cardiac myosin by increasing the rate of myosin ATPase, thereby enhancing myocardial contractility.
Kinase Assay	Axl Kinase activity assay: Test compounds are diluted to desired concentrations in kinase reaction buffer (50 mM HEPES pH 7.5, 10 mM MgCl2, 1 mM EGTA, 2 mM DTT, and 0.01% v/v Tween-20) and are briefly incubated with Axl kinase. The Axl kinase used is recombinant human Axl kinase (catalytic domain, amino acids 473-894) with a histidine tag. The reaction is initiated by the addition of ATP and fluorescein-labeled poly-GT substrate (poly Glu:Tyr, 4:1 polymer). Concentration of the various components in the assay (10 µL reaction volume) are: 1% DMSO, 93 ng/mL Axl kinase, 20 µM ATP, and 200 nM fluorescein poly-GT substrate. Following addition of ATP and fluorescein poly-GT substrate, incubation is for 60 min at room temperature, the enzyme reaction is stopped by addition of 10 µL terbium-labeled anti-phosphotyrosine PY20 antibody in EDTA-containing buffer. Final concentration of EDTA and antibody after addition to the reaction is 10 mM and 2 nM, respectively. The terbium conjugated antibody generates a time-resolved FRET signal with the fluorescein molecule (bound to the poly-GT substrate) when the substrate is phosphorylated. After one hour incubation at room temperature, fluorescence is measured with excitation of 320 nm and dual emission of 495 and 520 nm on an EnVision microplate reader. Signal is expressed in -636996terms of a TR-FRET ratio (fluorescence intensity at 520 nm to 495 nm).

Solubility Information

Solubility	Ethanol: 6 mg/mL (14.95 mM),Sonication is recommended. DMSO: 122.5 mg/mL (305.16 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: 2 mg/mL (4.98 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	2.4911 mL	12.4555 mL	24.9109 mL
5 mM	0.4982 mL	2.4911 mL	4.9822 mL
10 mM	0.2491 mL	1.2455 mL	2.4911 mL
50 mM	0.0498 mL	0.2491 mL	0.4982 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

Anderson RL, et al. Mol Bio Cell, 2005, 16 (Abstract #1728).
Shen YT, et al. Circ Heart Fail. 2010, 3(4), 522-577.