Product Data Sheet

Product Name: Evolocumab
Cat. No.: GC64281

Chemical Properties

Cas. No.	1256937-27-5	
Formula	M.Wt	
Solubility	Storage	Store at 4°C, protect from light
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.	
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.	
Structure	Evolocumab	

Protocol

Cell experiment [1]:

Cell lines	Human umbilical vein endothelial cells (HUVEC)

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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Preparation Method	For assessment of HUVECs viability, MTT [3-(4,5-dimethylthia-zol-2-yl)-2,5-diphenyltetrazolium bromide] kit was used. Briefly, the cells were seeded at 1×10^5 cells per well in the 96-well plates and pre-treated, with Evolocumab at the concentration range of 0.5–100mg/ml in the logarithmic growth phase. After 24h incubation, for preventing any direct interaction between the test drug and H_2O_2, the medium of each well was removed and the cells were washed out with phosphate buffered saline (PBS). After that, new medium was added to the wells and HUVEC were exposed to 0.5mM H_2O_2 for 2h. Then MTT reagent was added and the cells were cultivated for 4h followed by dissolving the fora-mazan crystals resulting from MTT reaction with living cells with dimethyl sulfoxide. Finally, the absorbance was detected by a microplate reader at 570nm.
Reaction Conditions	0.5–100mg/ml; 24h
Applications	Evolocumab pretreatment significantly reduced the cytotoxicity of human umbilical vein endothelial cells (HUVEC) induced by hydrogen peroxide (H_2O_2).

Animal experiment [2]:

Animal models	Wild-type (WT) C57BL/6J mice (Myocardial ischemia–reperfusion (I/R) model)

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Preparation Method	I/R surgery: WT mice were placed in the supine position after anesthetized with ketamine (0.2g/kg) and xylazine (0.01g/kg) via intraperitoneal injection. To expose heart, the skin of left chest was disinfected and incised through the third or fourth intercostal space after blunt dissection of the chest wall. The left anterior descending coronary artery (LAD) was obturated with a slipknot made with a nylon silk suture, positioned 2mm above the inferior margin of the left auricle. Myocardial ischemia was indicated by observing the color of the myocardium below the knot site, which changed from red to pale. After 30min of ligation, the slipknot was loosened to allow reperfusion for 72h. Mice received subcutaneously injections of Evolocumab (PCSK9 inhibitor, 10mg/kg), the first and second times were 7 days and 30min before surgery, respectively, and an equivalent volume of saline was used for the control group. The recombinant adeno-associated virus 9 (rAAV9) with siRNA was selected to perform myocardial-specific knockdown of LIAS, and the rAAV9-siLIAS or rAAV9-sicontrol was administrated to mice by tail intravenous injection at 3 weeks before I/R surgery. After 72h of reperfusion, the cardiac function was estimated by echocardiography with Vevo 1100 system.
Dosage form	10mg/kg/day; twice; subcutaneously injections
Applications	Evolocumab treatment significantly improved the cardiac injury and functional impairment in mice with coronary artery disease (I/R).

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References:
[1] Safaeian L, Mirian M, Bahrizadeh S. Evolocumab, a PCSK9 inhibitor, protects human endothelial cells against H2O2-induced oxidative stress[J]. Archives of physiology and biochemistry, 2022, 128(6): 1681-1686.
[2] Li ZZ, Guo L, An YL, et al. Evolocumab attenuates myocardial ischemia/reperfusion injury by blocking PCSK9/LIAS-mediated cuproptosis of cardiomyocytes. *Basic Res Cardiol*. 2025;120(2):301-320.

Background

Evolocumab is a human monoclonal antibody that inhibits protein convertase subtilisin/kexin type 9 (PCSK9) [1]. PCSK9 is a secreted protein that can bind to low-density lipoprotein receptor (LDLR) and transport it to the lysosomal degradation pathway. Evolocumab binds to the circulating PCSK9 protein, which can inhibit its binding to LDLR [2-3]. Evolocumab can be used in the research of hypercholesterolemia and atherosclerotic cardiovascular diseases [4].

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In vitro, Evolocumab (0.5–100mg/ml; 24h) pretreatment significantly reduced the cytotoxicity of human umbilical vein endothelial cells (HUVEC) induced by hydrogen peroxide (H_2O_2), as well as the levels of hydrogen peroxide and MDA, and improved the iron-reducing antioxidant capacity (FRAP) in both intracellular and extracellular culture media [5]. Two hours before hypoxia/reoxygenation (H/R), pretreatment with Evolocumab (100μg/ml) inhibited the decline in AC16 cell viability induced by H/R and successfully reversed the upregulation of LIAS mRNA levels after H/R [6].

In vivo, Evolocumab (10mg/kg/day; twice; s.c.) treatment significantly improved the cardiac injury and functional impairment, inflammation, and oxidative stress in mice with coronary artery disease (I/R) injury, blocked PCSK9, and inhibited the increase in the ratio of infarct area/risk area of I/R [6]. A single-dose treatment with Evolocumab (1, 5, 10mg/kg/day; i.v.) significantly alleviated cerebral infarction and neurological dysfunction in mice with middle cerebral artery occlusion/reperfusion (MCAO/R) model, upregulated the expression of occludin and claudin-5, and significantly reduced the levels of platelet cells, ICAM-1, VCAM-1, and CD45 [7].

References:
[1] Fala L. Repatha (Evolocumab): Second PCSK9 Inhibitor Approved by the FDA for Patients with Familial Hypercholesterolemia. Am Health Drug Benefits. 2016 Mar;9(Spec Feature):136-9.
[2] Horton JD, Cohen JC, Hobbs HH. PCSK9: a convertase that coordinates LDL catabolism. J Lipid Res. 2009;50 Suppl(Suppl):S172-S177.
[3] Essalmani R, Weider E, Marcinkiewicz J, et al. A single domain antibody against the Cys-and His-rich domain of PCSK9 and evolocumab exhibit different inhibition mechanisms in humanized PCSK9 mice[J]. Biological chemistry, 2018, 399(12): 1363-1374.
[4] Li Z, Zhu H, Liu H, et al. Evolocumab loaded Bio-Liposomes for efficient atherosclerosis therapy[J]. Journal of Nanobiotechnology, 2023, 21(1): 158.
[5] Safaeian L, Mirian M, Bahrizadeh S. Evolocumab, a PCSK9 inhibitor, protects human endothelial cells against H2O2-induced oxidative stress[J]. Archives of physiology and biochemistry, 2022, 128(6): 1681-1686.
[6] Li ZZ, Guo L, An YL, et al. Evolocumab attenuates myocardial ischemia/reperfusion injury by blocking PCSK9/LIAS-mediated cuproptosis of cardiomyocytes. Basic Res Cardiol. 2025;120(2):301-320.

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[7] Luo Y, Yuan L, Liu Z, et al. Inhibition of PCSK9 Protects against Cerebral Ischemia–Reperfusion Injury via Attenuating Microcirculatory Dysfunction. Neurochem Res. 2024;50(1):10.