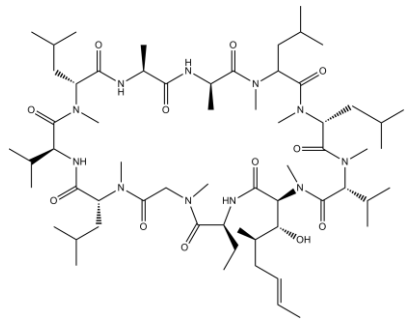
Product Data Sheet

Chemical Properties



Product Name: Cyclosporine

Cas No.: 59865-13-3

M.Wt: 1202.61

Formula: C62H111N11O12

Synonyms: N/A

Chemical Name: 30-ethyl-33-[(E,1R,2R)-1-hydroxy-2-methylhex-4-enyl]-1,4,7,10,12,15,19,25,28-nonamethyl-6,9,18,24-tetrakis(2-methylpropyl)-3,21-di(propan-2-yl)-1,4,7,10,13,16,19,22,25,28,31-undecazacyclotritriacontane-2,5,8,11,14,17,20,23,26,29,32-undecone

Canonical SMILES: CCC1C(=O)N(CC(=O)N(C(C(=O)NC(C(=O)N(C(C(=O)NC(C(=O)NC(C(=O)N(C(C(=O)N(C(C(=O)N(C(C(=O)N1)C(C(C)CC=CC)O)C)C(C)C)C)CC(C)C)C)CC(C)C)C)C)C)CC(C)C)C(C)C)CC(C)C)C

Solubility: ≥52.95mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice
All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets : Others

Pathways: Others

Description:

Cyclosporine (cyclosporin A), a immunosuppressive agent, inhibits phosphatase activity of calcineurin with IC50 value of 5 nM [1].

The immunosuppressive agent cyclosporin A (CsA) binds to soluble cytosolic proteins named cyclophilins, and the complex of cyclophilin–CsA blocks calcineurin, which inhibits stimulation of the NFAT-induced genes which are required for the activation of T cells.
As a widely used immunosuppressive agent, cyclosporin A has been reported to be effective against HCV infection. The anti-viral effects of CsA has been investigated by an HCV replicon system. Huh7/Rep-Feo cells treated with CsA with an IC50 value of about 0.5 μg/ml resulted in suppression of the replication of the HCV replicon in a dose-dependent manner. There were no changes in the rate of cell growth or viability, revealing that the specific effect of CsA against HCV is not due to cytotoxicity. CsA inhibits HCV replication in vitro specifically at clinical concentrations [2].
Transplantation of CSA-expanded FCV cells to chronic myocardial infarction was performed in the model of rat. Transplanted FCV cells were successfully differentiated into cardiomyocytes and integrated in the infarct heart to form GFP+/cTnT+ donor cell-derived cardiomyocyte bundle in the scar tissue in 2 weeks after the injection. The result show that CSA-expanded FCV cells can show highly cardiogenic potentials also in vivo after cell transplantation [3].

***Reference**:*

[1]. Fruman DA , Klee CB, Bierer BE, et al. Calcineurin Phosphatase-Activity In Lymphocytes-T Is Inhibited By Fk-506 And Cyclosporine-A. Proceedings Of The National Academy Of Sciences Of The United States Of America. 1992, 89(9): 3686-3690.
[2]. Nakagawa M, Sakamoto N, Enomoto N, et al. Specific inhibition of hepatitis C virus replication by cyclosporin A. Biochemical And Biophysical Research Communications. 2004, 313(1): 42-47.
[3]. Yan P, Nagasawa A, Uosaki H, et al. Cyclosporin-A potently induces highly cardiogenic progenitors from embryonic stem cells. Biochemical And Biophysical Research Communications. 2009, 379(1): 115-120.

Protocol

Cell experiment:

Cell lines	EMG7 mouse ES cells, systematically induced from mesodermal precursor, Flk1 (also designated as vascular endothelial growth factor receptor-2 (VEGFR2))-expressing cells.
Preparation method	The solubility of this compound in DMSO is >53mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.
Reacting conditions	
Applications	Addition of cyclosporine (1–3 μg/mL) to Flk1+ cells showed a striking effect to increase beating cells at Flk-d6 and induced approximately 13 times increase in cardiac troponin-T (cTnT)-positive cardiomyocyte appearance than control. These results indicated that functional cardiomyocytes were successfully induced and expanded by cyclosporine treatment. Addition of cyclosporine to Flk1+ cells

specifically increased FCV (Flk1+/CXCR4+/vascular) population to approximately 10–20 times more than control. The maximum percentage of FCV cells within total Flk1+ cell-derived cells was increased up to 40% by cyclosporine. The yield of purified FCV progenitor cells was increased approximately 22 times by cyclosporine treatment. These results indicate that cyclosporine-expanded FCV cells retained their high cardiogenic potentials. Taken together, cyclosporine showed a novel effect specifically acting on mesoderm cells to drastically increase cardiac progenitors as well as cardiomyocytes by 10–20 times. Expanded FCV cardiac progenitors showed differentiation potentials to cardiomyocytes in vivo after cell transplantation to rat myocardial infarction model.

Animal experiment [3]:

Animal models	mice of 7-12 weeks (the inbred strains C3H,C57BL/6 and BALB/c);outbred guinea-pigs of both sexes
Dosage form	Male mice (SIM and C3H) , gavage 250 mg/kg/day on 5 consecutive days; Guinea-pigs i.p. 20-50mg/kg/day on 4 consecutive days.
Applications	Doses of cyclosporin on consecutive days strongly depressed direct PFC (plaque-forming cells) in rats in a dose-dependent manner. cyclosporin markedly depresses both direct and indirect PFC in mice on day 4.In another similar skin contact sensitivity model using DNCB (1-chlor-2,4-dinitrobenzene) in guinea pigs, i.p. Treatment on days 0-4 with either 20 or 50 mg/kg/day of cyclosporin completely suppressed the skin reaction on day 10 since no redness nor swelling were observed. The inhibition caused by cyclosporin seems to affect mainly the effector cells and was achieved at well-tolerated doses. Cyclosporin has, in addition, been shown to depress not only the primary response, but also the secondary response of both IgM and IgG2a PFC, oxazolone hypersensitivity.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

***Reference**:*

[1]. Peishi Yan , Atsushi Nagasawa , Hideki Uosaki ., et al.Cyclosporin-A potently induces highly cardiogenic progenitors from embryonic stem cells. BiochemsBiophys.Res.Commun. 379 (2009)

115–120.
[2]. Borel JF, Feurer C, Magnée C., et al. Effects of the new anti-lymphocytic peptide cyclosporin A in animals. Immunology. 1977 Jun;32(6):1017-25.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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