

Product Data Sheet

Product Name: Cyclo(RGDyK) trifluoroacetate

Cat. No.: GC13923

Chemical Properties

Cas. No. 250612-42-1

Chemical Name 2,2,2-trifluoroacetic acid compound with 2-((1Z,2S,3Z,5R,6Z,8S,9Z,11S,12Z)-8-(4-aminobutyl)-11-(3-guanidinopropyl)-3,6,9,12,15-pentahydroxy-5-(4-hydroxybenzyl)-1,4,7,10,13-pentaazacyclopentadeca-3,6,9,12,15-pentaen-2-yl)acetic acid (2:1)

SMILES NCCCC[C@@]1([H])/C(O)=N/[C@@]/C(O)=N/C/C(O)=N/[C@@]/C(O)=N/[C@]/C(O)=N/1)([H])CC2=CC=C(O)C=C2)([H])CC(O)=O)([H])CCCNC(N)=N.FC(F)(F)C(O)=O.FC(F)(F)C(O)=O

Formula $C_{31}H_{43}F_6N_9O_{12}$

M.Wt 847.72

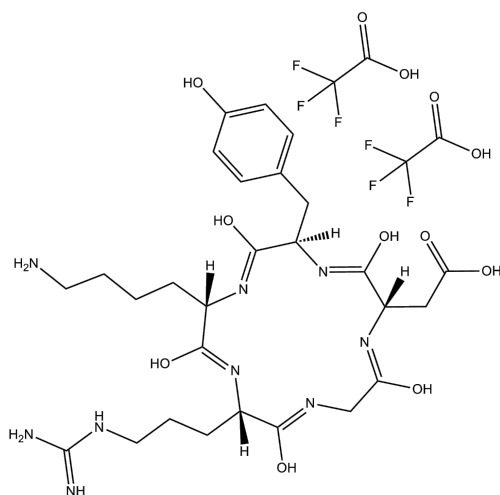
Solubility DMSO : 100mg/mL; Water : 100mg/mL

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.

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

Structure



Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Protocol

Cell experiment [1]:	
Cell lines	Ligament fibroblasts (LFs) and endothelial cells (ECs)
Preparation Method	LFs and ECs were maintained in high-glucose DMEM with 10% FBS or endothelial cell medium with 5% FBS at 37°C, 5% CO ₂ . LFs and ECs were treated with Cyclo(RGDyK) trifluoroacetate at 1μM, 5μM or 10μM for 24h (migration assays) or throughout osteogenic induction (medium refreshed every 2 days); after treatment, CCK-8, immunofluorescence, ALP/alizarin red S staining, qPCR, western blot, transwell, scratch and Matrigel tube formation assays were performed.
Reaction Conditions	1-10μM; 1-5 days
Applications	Cyclo(RGDyK) trifluoroacetate attenuated ALP and alizarin red S staining, downregulated ALP, RUNX2, OCN, OPN and Collagen I mRNA/protein in LFs, reduced integrinαVβ3 expression, decreased FAK and ERK1/2 phosphorylation, inhibited EC migration in transwell and scratch assays, reduced Matrigel tube node and mesh formation, lowered integrinαVβ3 expression in ECs, and reduced p-FAK and p-ERK1/2 levels.
Animal experiment [2]:	
Animal models	Streptozotocin-induced diabetic Wistar Hannover rats (male, 8-week-old)

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Preparation Method	Diabetic rats were intraperitoneally injected with 1mg/kg Cyclo(RGDyK) trifluoroacetate five days a week for 8 weeks, either alone or combined with 8-week treadmill aerobic exercise; after treatment, 24-h urine, blood, kidney cortex and gastrocnemius muscle were collected for UACR, immunohistochemistry (collagen IV, fibronectin, TNF- α , F4/80), western blot (p-AMPK, p-ACC) and serum irisin ELISA.
Dosage form	1mg/kg; i.p.; 5 days/week; 8 weeks
Applications	Cyclo(RGDyK) trifluoroacetate abolished the exercise-induced reduction in albuminuria and the downregulation of glomerular collagen IV and fibronectin expression, and prevented the exercise-elevated serum irisin levels from translating into kidney protection, without altering body weight, fasting glucose, systolic or diastolic blood pressure.
References: [1] Geng X, Tang Y, Gu C, et al. Integrin α V β 3 antagonist-c(RGDyK) peptide attenuates the progression of ossification of the posterior longitudinal ligament by inhibiting osteogenesis and angiogenesis. <i>Molecular Medicine</i> . 2024;30:57. [2] Formigari GP, Datilola MN, Vareda B, et al. Renal protection induced by physical exercise may be mediated by the irisin/AMPK axis in diabetic nephropathy. <i>Scientific Reports</i> . 2022 May 31;12:9062.	

Background

Cyclo(RGDyK) trifluoroacetate is a potent and selective inhibitor of integrin α V β 3 (IC₅₀=20nM). Cyclo(RGDyK) trifluoroacetate competitively binds to the ligand-binding site of integrin α V β 3 through the RGD sequence. Cyclo(RGDyK) trifluoroacetate blocks the interaction between α V β 3 and extracellular matrix ligands such as fibronectin and vitronectin. Cyclo(RGDyK) trifluoroacetate inhibits

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

integrin-mediated cell adhesion, migration, angiogenesis, and downstream FAK/Src/PI3K/Akt signaling pathways. Cyclo(RGDyK) trifluoroacetate can be used in tumor-targeted drug delivery, α V β 3-mediated neovascularization imaging, and anti-tumor research^[1-4].

In vitro, treatment of ligament fibroblasts with 1-10 μ M Cyclo(RGDyK) trifluoroacetate for 1-5 days inhibited integrin α V β 3 function, suppressed osteogenic differentiation, inhibited endothelial cell migration and tube formation, and reduced FAK and ERK1/2 phosphorylation levels^[5]. Treatment of human renal proximal tubular epithelial cells and renal fibroblasts in 1:1 contact co-culture with 0.04-0.1 μ M Cyclo(RGDyK) trifluoroacetate for 7 days inhibited cell-contact-driven spontaneous deposition of fibronectin, collagen I/III/V, and total extracellular matrix^[6]. Treatment of tumor-associated macrophages (co-TAM) or IL-4-induced BMDM cells with 10 μ M Cyclo(RGDyK) trifluoroacetate for 24 hours downregulated CD206, Arg1, and IL-10 mRNA expression and reduced urea production and IL-10 release^[7].

In vivo, intraperitoneal injection of 1mg/kg Cyclo(RGDyK) trifluoroacetate into streptozotocin-induced diabetic Wistar Hannover rats 5 days per week for 8 weeks abolished the reduction in albuminuria caused by aerobic exercise and weakened the exercise-induced decrease in glomerular collagen IV and fibronectin expression^[8]. Intra-articular injection of 4mg/kg Cyclo(RGDyK) trifluoroacetate into the right knee joint of SD rats subjected to medial meniscus destabilization once per week for 6-12 weeks reduced cartilage wear and extracellular matrix loss in the medial weight-bearing area and lowered Mankin scores^[9]. Tail vein injection of 2.5mg/kg Cyclo(RGDyK) trifluoroacetate into MPTP-induced C57BL/6J Parkinson's disease mouse model twice per week for 10 weeks counteracted the exercise-induced increase in p-AMPK and SIRT1 expression, increased the number and area of caspase-3 and Hoechst33258-positive apoptotic cells in the substantia nigra, and reversed the beneficial effects of exercise on neuronal apoptosis, mitochondrial fission, and mitochondrial function^[10].

References:

[1] Leung K. Cyclo(Arg-Gly-Asp-d-Tyr-Lys)-Cy5.5. 2004 Dec 27 [updated 2011 Sep 22]. In: Molecular Imaging and Contrast Agent Database (MICAD) [Internet]. Bethesda (MD): National Center for Biotechnology Information (US); 2004–2013.

[2] Chen Y, Zhang W, Huang Y, et al. In Vivo Biodistribution and Anti-Tumor Efficacy Evaluation of

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Doxorubicin and Paclitaxel-Loaded Pluronic Micelles Decorated with c(RGDyK) Peptide. PLoS One. 2016 Mar 1;11(3):e0149952.

[3] Haubner R, Wester HJ, Glycosylated RGD-containing peptides: tracer for tumor targeting and angiogenesis imaging with improved biokinetics. J Nucl Med. 2001 Feb;42(2):326-36.

[4] Huang B, Desai A, Tang S, et al. The synthesis of a c(RGDyK) targeted SN38 prodrug with an indolequinone structure for bio-reductive drug release. Org Lett. 2010 Apr 2;12(7):1384-7.

[5] Geng X, Tang Y, Gu C, et al. Integrin $\alpha V\beta 3$ antagonist-c(RGDyK) peptide attenuates the progression of ossification of the posterior longitudinal ligament by inhibiting osteogenesis and angiogenesis. Molecular Medicine. 2024;30:57.

[6] Bon H, Hales P, Lumb S, et al. Spontaneous Extracellular Matrix Accumulation in a Human in vitro Model of Renal Fibrosis Is Mediated by αV Integrins. Nephron. 2019;142(4):328-350.

[7] Shu Y, Qin M, Song Y, et al. M2 polarization of tumor-associated macrophages is dependent on integrin $\beta 3$ via peroxisome proliferator-activated receptor- γ up-regulation in breast cancer. Immunology. 2020;160(3):345-356.

[8] Formigari GP, Datilola MN, Vareda B, et al. Renal protection induced by physical exercise may be mediated by the irisin/AMPK axis in diabetic nephropathy. Scientific Reports. 2022 May 31;12:9062.

[9] Song F, Mao X, Dai J, et al. Integrin $\alpha V\beta 3$ Signaling in the Progression of Osteoarthritis Induced by Excessive Mechanical Stress. Inflammation. 2023 Apr;46(2):739-751.

[10] Li N, Wang B, Wang Y, et al. Exercise Ameliorates Dysregulated Mitochondrial Fission, Mitochondrial Respiration, and Neuronal Apoptosis in Parkinson's Disease Mice via the Irisin/AMPK/SIRT1 Pathway. Molecular Neurobiology. 2025;62(8):8843-8856.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA