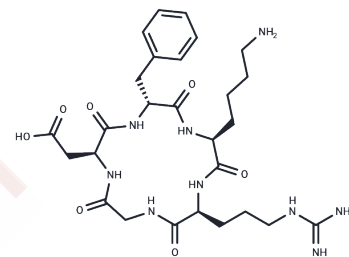


Cyclo(-RGDfK)

Chemical Properties

CAS No. :	161552-03-0
Formula:	C27H41N9O7
Molecular Weight:	603.67
Storage:	Keep away from moisture, Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	Cyclo(-RGDfK) is a potent and selective inhibitor of integrin $\alpha\beta3$ with IC ₅₀ of 0.94 nM. Cyclo specifically targets tumor microvasculature and cancer cells by binding to $\alpha\beta3$ integrin on the cell surface.
Targets(IC ₅₀)	Integrin
In vitro	Cyclo (-RGDfK-) has a high affinity for purified integrin (K _d : 41.70 nM). It is reacting with HEK293($\beta3$) cells moderately. The micelles modified by Cyclo(-RGDfK-) show strong affinity to T-24 cells and strong inhibitory effect on the proliferation of T-24 cells.
In vivo	In athymic mice bearing $\alpha(v)\beta(3)$ -integrin-positive C6 gliomas, Cyclo (-RGDfK-) modification induces less tumor metabolic activity, less tumor progression, fewer intratumoral vessels.
Kinase Assay	Isolated Integrin Binding Assays.: Purified integrin (1 μ g/mL; 4°C) is used to coat 96-well microtitre plates, which are then blocked with bovine serum albumin (BSA) (3% in 1 mM CaCl ₂ , 1 mM MgCl ₂ , 10 pM MnCl ₂ , 100 mM NaCl, 50 mM Tris-hydroxymethyl-aminomethane; pH 7.4), and incubated (3 h at 30 °C) with biotinylated ligands (1 pg/mL in binding buffer: 0.1% BSA, 1 mM CaCl ₂ , 1 mM MgCl ₂ , 10 μ M MnCl ₂ , 100 mM NaCl, 50 mM Tris-hydroxymethyl-aminomethane; pH 7.4) in the presence or absence of serially diluted peptides. After washing (3 \times 5 min with binding buffer), the bound biotinylated ligand is detected with alkaline-phosphatase conjugated goat anti-biotin antibodies (1 μ g/mL; 1 h, 37°C), using p-nitrophenyl phosphate as chromogen. Cyclo (-RGDfK) binding in the absence of competitor is defined as 100% signal; binding to blocked wells in the absence of integrin is defined as 0%. Concentrations of Cyclo (-RGDfK) required for 50% inhibition of signal (IC ₅₀ values) are estimated graphically.

Solubility Information

Solubility	H ₂ O: 80 mg/mL (132.52 mM), Sonication is recommended. Ethanol: 84 mg/mL (139.15 mM), Sonication is recommended. DMSO: 100 mg/mL (165.65 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 (6.63 mM), Sonication is recommended.

A DRUG SCREENING EXPERT

In vivo Formulation	<i>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.</i>
---------------------	--

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6565 mL	8.2827 mL	16.5653 mL
5 mM	0.3313 mL	1.6565 mL	3.3131 mL
10 mM	0.1657 mL	0.8283 mL	1.6565 mL
50 mM	0.0331 mL	0.1657 mL	0.3313 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

- Sancey L, et al. Clustering and internalization of integrin α v β 3 with a tetrameric RGD-synthetic peptide. *Mol Ther.* 2009 May;17(5):837-4doi: 10.1038/mt.2009.29. Epub 2009 Mar Erratum in: *Mol Ther.* 2009 Jul;17(7):1301. [multiple author names corrected]. Erratum in: *Mol Ther.* 2009 Jul;17(7):1301.
- Simeček J, et al. Benefits of NOPO as chelator in gallium-68 peptides, exemplified by preclinical characterization of (68)Ga-NOPO-c(RGDfK). *Mol Pharm.* 2014 May 5;11(5):1687-95.
- Jin ZH, et al. *Mol Cancer.* 2007, 6(41), 1-9.
- Zhou D, et al. *J Control Release.* 2013, 169(3), 204-210.
- Vilchis-Juárez A, et al. *J Biomed Nanotechnol.* 2014 Mar;10(3):393-404.
- Lopez-Rodriguez V, et al. Preparation and preclinical evaluation of (66)Ga-DOTA-E(c(RGDfK))₂ as a potential theranostic radiopharmaceutical. *Nucl Med Biol.* 2015 Feb;42(2):109-14.
- Roy S, Spinali K, Schmuck E G, et al. Cardiac fibroblast derived matrix-educated macrophages express VEGF and IL-6, and recruit mesenchymal stromal cells[J]. *Journal of Immunology and Regenerative Medicine.* 2020, 10: 100033.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481