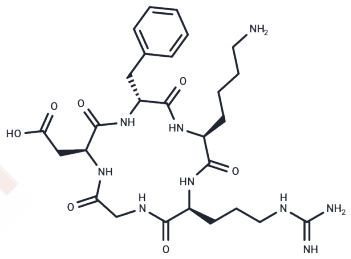
Data Sheet (Cat.No.T6812)

TargetMol

Cyclo(-RGDfK)

Chemical Properties



CAS No. :	161552-03-0
Formula:	C27H41N9O7
Molecular Weight:	603.67
Appearance:	no data available
Storage:	keep away from moisture Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Cyclo(-RGDfK) is a potent and selective inhibitor of integrin αvβ3 with IC50 of 0.94 nM. Cyclo specifically targets tumor microvasculature and cancer cells by binding to αvβ3 integrin on the cell surface.
Targets(IC50)	Integrin
In vitro	Cyclo (-RGDfK-) has a high affinity for purified integrin (Kd: 41.70 nM). It is reacting with HEK293(β3) 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 α(v)β(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 μg/mL; 4℃) is used to coat 96-well microtitre plates, which are then blocked with bovine serum albumin (BSA) (3% in 1 mM CaCl2, 1 mM MgCl2,10 pM MnCl2, 100 mM NaC1,50 mM Tris-hydroxymethyl-aminomethane; pH 7.4), and incubated (3 h at 30 ℃) with biotinylated ligands (1 pg/mL in binding buffer: 0.1% BSA, 1 mM CaCl2,1 mM MgCl2, 10 μM MnCl2, 100 mM NaCl, 50 mM Tris-hydroxymethyl-aminomethane; pH 7.4) in the presence or absence of serially diluted peptides. After washing (3×5 min with binding buffer), the bound biotinylated ligand is detected with alkaline-phosphatase conjugated goat anti-biotin antibodies (1 μg/mL; 1 h, 37℃), 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 (IC50 values) are estimated graphically.

Solubility Information

Solubility	H2O: 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)

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.

Reference

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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.
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