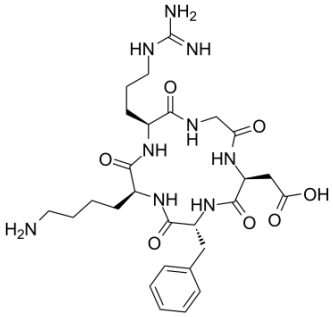
Cyclo(-RGDfK)

Cat. No.:	HY-P0023		
CAS No.:	161552-03-0		
Molecular Formula:	$C_{27}H_{41}N_9O_7$		
Molecular Weight:	603.67		
Sequence Shortening:	Cyclo(RGDFK)		
Target:	Integrin		
Pathway:	Cytoskeleton		
Storage:	Powder	-80°C	2 years
		-20°C	1 year
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (**207.07 mM;** Need ultrasonic)
H_2O : 50 mg/mL (**82.83 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.08 mg/mL (3.45 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.08 mg/mL (3.45 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.08 mg/mL (3.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cyclo(-RGDfK) is a potent and selective inhibitor of the **$\alpha_v\beta_3$** integrin, with an **IC_{50}** of 0.94 nM[1]. Cyclo(-RGDfK) TFA potently targets tumor microvasculature and cancer cells through the specific binding to the αvβ3 integrin on the cell surface[2].

IC_{50} & Target	IC50: 0.94 nM ($\alpha_v\beta_3$ integrin)[1].
In Vitro	Cyclo(-RGDfK) is a potent and selective inhibitor of the $\alpha_v\beta_3$ integrin, with a **IC_{50}** of 0.94 nM[1]. [^{66}Ga]DOTA-E-[c(RGDfK)]2 can be prepared with high radiochemical purity (>97%), specific activity (36-67GBq/μM), in vitro stability, and moderate protein binding. MicroPET imaging up to 24 post-injection showed contrasting tumors reflecting $\alpha_v\beta_3$-targeted tracer accumulation[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- **ACS Appl Mater Interfaces**. 2019 Jul 31;11(30):26648-26663.

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REFERENCES

[1]. Simecek 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.

[2]. 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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