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

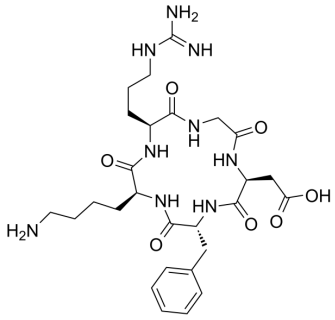
Pathway: Cytoskeleton

Storage: Sealed storage, away from moisture

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (138.04 mM; Need ultrasonic)

H_2O : 50 mg/mL (82.83 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / 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

αvβ3

0.94 nM (IC_{50})

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

- Bioact Mater. 2021 Jan 7;6(7):2039-2057.
- Engineering. 8 October 2020.
- Adv Healthc Mater. 2021 May 29;e2100304.
- Acta Biomater. 2021 Mar 9;S1742-7061(21)00152-5.
- 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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