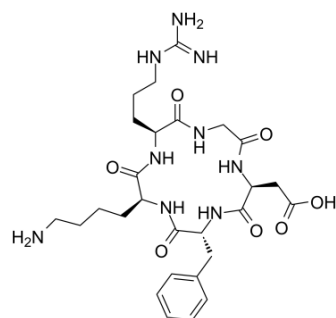


## Cyclo(-RGDfK)

|                             |   |       |          |
|-----------------------------|---|-------|----------|
| <b>Cat. No.:</b>            | HY-P0023  |       |          |
| <b>CAS No.:</b>             | 161552-03-0   |       |          |
| <b>Molecular Formula:</b>   | C <sub>27</sub> H <sub>41</sub> N <sub>9</sub> O <sub>7</sub> |       |          |
| <b>Molecular Weight:</b>    | 603.67  |       |          |
| <b>Sequence Shortening:</b> | Cyclo(RGDfK)  |       |          |
| <b>Target:</b>              | Integrin  |       |          |
| <b>Pathway:</b>             | Cytoskeleton  |       |          |
| <b>Storage:</b>             | 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<sub>2</sub>O : 50 mg/mL (82.83 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent Concentration | Mass      |           |            |
|---------------------------|-----------------------|-----------|-----------|------------|
|                           |                       | 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

- 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
- 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
- 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 α<sub>v</sub>β<sub>3</sub> integrin, with an IC<sub>50</sub> of 0.94 nM<sup>[1]</sup>. Cyclo(-RGDfK) TFA potently targets tumor microvasculature and cancer cells through the specific binding to the α<sub>v</sub>β<sub>3</sub> integrin on the cell surface<sup>[2]</sup>.

#### IC<sub>50</sub> & Target

IC<sub>50</sub>: 0.94 nM (α<sub>v</sub>β<sub>3</sub> integrin)<sup>[1]</sup>.

## 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<sup>[1]</sup>. [<sup>66</sup>Ga]DOTA-E-[c(RGDfK)]<sub>2</sub> can be prepared with high radiochemical purity (>97%), specific activity (36-67GBq/ $\mu$ M), 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.
- Bioact Mater. 6 (2021) 2039-2057.

See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)

## 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))<sub>2</sub> as a potential theranostic radiopharmaceutical. Nucl Med Biol. 2015 Feb;42(2):109-14.

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

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