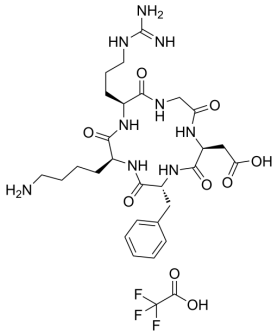
Cyclo(-RGDfK) TFA



Cat. No.:	HY-P0023A
CAS No.:	500577-51-5
Molecular Formula:	$C_{29}H_{42}F_3N_9O_9$
Molecular Weight:	717.69
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 : 100 mg/mL (139.34 mM; Need ultrasonic)
H_2O : 33.33 mg/mL (46.44 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3934 mL	6.9668 mL	13.9336 mL
	5 mM	0.2787 mL	1.3934 mL	2.7867 mL
	10 mM	0.1393 mL	0.6967 mL	1.3934 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 130 mg/mL (181.14 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (2.90 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (2.90 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cyclo(-RGDfK) TFA 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[3].

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 and exhibits 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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