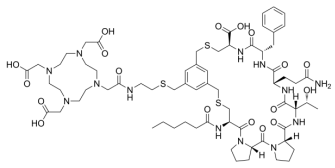
FAP-2286

Cat. No.:	HY-147057
CAS No.:	2581741-18-4
Molecular Formula:	$C_{67}H_{99}N_{13}O_{18}S_3$
Molecular Weight:	1470.77
Target:	FAP
Pathway:	Immunology/Inflammation
Storage:	-20°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 100 mg/mL (67.99 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	0.6799 mL	3.3996 mL	6.7992 mL
5 mM	0.1360 mL	0.6799 mL	1.3598 mL
10 mM	0.0680 mL	0.3400 mL	0.6799 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (34.00 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

FAP-2286 is a potent and selective FAP-binding peptide coupled to a radionuclide chelator with a mean IC_{50} value of 2.7 nM for binding to FAP. FAP-2286 can chelate radionuclides for imaging or therapeutic applications and has a strong effect on FAP-positive tumors. FAP-2286 can be used for FAP-positive tumor research[1].

In Vitro

FAP-2286 (0.1-30 nM, 1 h) reduces fuorophore-labeled competitor peptide bound to cells in human WI-38 fibroblast like fetal lung cell line[1].

FAP-2286 (5 nM, 1, 3, 8, 24, and 72 h) maintains long tumor retention and suppression in HEK-FAP cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

FAP-2286 (30 MBq/nmol for intravenous injection) accumulated atably maintained in the tumors of HEK-FAP tumor-bearing mice[1].

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Animal Model:	HEK-FAP tumor-bearing mice[1]
Dosage:	30 MBq/nmol
Administration:	Intravenous injection (i.v.)
Result:	Increased tumor-to-kidney (T/K) ratio with the highest differential uptake of 7.5 T/K obtained at 48 h post injection. Maintained accumulation at 3 h after injection with 10.8 ID/g.

REFERENCES

[1]. Dirk Zboralski, et al. Comparative Biodistribution and Radiotherapeutic Efficacy of the Fibroblast Activation Protein (FAP)–Targeting Agents FAP-2286 and FAPI-46.

[2]. Richard P Baum, et al. Feasibility, Biodistribution, and Preliminary Dosimetry in Peptide-Targeted Radionuclide Therapy of Diverse Adenocarcinomas Using 177 Lu-FAP-2286: First-in-Humans Results. J Nucl Med. 2022 Mar;63(3):415-423.

[3]. Zboralski D, Hoehne A, Bredenbeck A, et al. Preclinical evaluation of FAP-2286 for fibroblast activation protein targeted radionuclide imaging and therapy. Eur J Nucl Med Mol Imaging. 2022;49(11):3651-3667.

[4]. Pang Y, Zhao L, Meng T, et al. PET Imaging of Fibroblast Activation Protein in Various Types of Cancer Using 68Ga-FAP-2286: Comparison with 18F-FDG and 68Ga-FAPI-46 in a Single-Center, Prospective Study. J Nucl Med. 2023;64(3):386-394.

[5]. Zboralski D, et al. Preclinical evaluation of FAP-2286 for fibroblast activation protein targeted radionuclide imaging and therapy. Eur J Nucl Med Mol Imaging. 2022 Sep;49(11):3651-3667.

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