

Product Data Sheet

Product Name: FAP-2286

Cat. No.: GC65537

Chemical Properties

Cas. No. 2581741-18-4

Formula $C_{67}H_{99}N_{13}O_{18}S_3$

M.Wt 1470.77

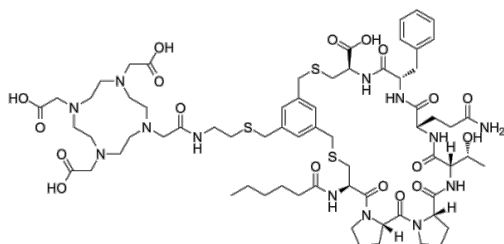
Solubility Water : ≥ 100 mg/mL (67.99 mM)

Storage Store at -20°C , protect from light, stored under nitrogen

General tips For obtaining a higher solubility , please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines HEK FAP+ cells

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

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Approximately one million HEK FAP+ cells were seeded into the wells of a 6-well plate along containing a complete culture medium and incubated for 24h. Then, the culture medium was removed, the cells were washed, and incubated Preparation Method with fresh culture medium for 1h at 37°C. The plates were then placed on ice for 30min, and different concentrations of FAP-2286 (1-100nM) were added. For internalization studies, the radiolabeled compound was incubated with cells for 30, 60, 120, 240, and 360min at 37°C.

Reaction Conditions 1-100nM; 30, 60, 120, 240, and 360min

Applications The binding of [^{13}X La]La-FAP-2286 on HEK FAP + cell line was evaluated, demonstrating a dissociation constant (K_D) value of $0.51 \pm 0.12\text{nM}$, confirming the strong affinity of the radiolabeled complex to the FAP-expressing human cell line.

Animal experiment [1]:

Animal models NOD/SCID mice

Preparation Method [^{13}X La]La-FAP-2286 (200 μL , 7.4MBq) were intravenously (i.v.) injected into both normal and tumor-bearing mice through their tail vein. 8–10-week-old NOD/SCID tumor-bearing mice with an average weight of 21.4 ± 1.7 gr were used for biodistribution studies. Tumor induction was achieved by injecting approximately 1 million HEK FAP+cells subcutaneously into the mice's flanks.

Dosage form 200 μL , 7.4MBq; i.v.; one dose

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Applications

The biodistribution of FAP-2286 in tumor-bearing mice showed the significant accumulation of the radiolabeled compound in tumors at different time intervals. Also, a significant accumulation of activity was observed in the kidney after injection of the radiolabeled compound, which is related to the high hydrophilicity of the compound and its excretion via the urinary tract.

References:

[1] Shirpour A, Hadadi A, Zolghadri S, et al. Preclinical evaluation of [^{13}La]La-FAP-2286 as a novel theranostic agent for tumors expressing fibroblast activation protein. Sci Rep. 2025 Mar 3;15(1):7475.

Background

FAP-2286 is a potent and selective FAP-binding peptide conjugated to a radionuclide chelator with a mean IC_{50} value of $2.7 \pm 0.9\text{nM}$ for inhibiting human FAP protease activity. Fibroblast activation protein (FAP) is a membrane-bound protease highly expressed on cancer-associated fibroblasts (CAFs) and some tumor cells, with limited expression in normal adult tissues. The high binding affinity FAP-2286 enables the attachment of radionuclides for imaging and therapeutic use in oncology^{[1][2]}.

In vitro, [^{13}La]La-FAP-2286 (1-100nM) incubated HEK-FAP+ and CHO FAP- cells confirming the strong affinity of the radiolabeled complex to the FAP-expressing human cell line^[3].

In vivo, ^{177}Lu -FAP-2286 (30 or 60MBq/nmol) was administrated into HEK-FAP xenograft mouse model via Intravenous injection. Significant antitumor activity was observed with tumor growth inhibition (TGI) of 111% and 113% respectively on day 14^[1]. [^{13}La]La-FAP-2286 (200 μL , 7.4MBq) were intravenously (i.v.) injected into both normal and HEK FAP+ tumor-bearing mice through their tail vein. The biodistribution of [^{13}La]La-FAP-2286 in tumor-bearing mice showed the significant accumulation of the radiolabeled compound in tumors and kidney^[3]. FAP-2286-modified LNP-based nano vector (20mg/kg) was administered via intravenous injection in subcutaneous RM-1 tumor model. Tumor accumulation was significantly increased at each time point (3, 6, 9, 12, and 24 hours after injection), with 2.1-fold, 3.2-fold, 9.2-fold, and 10.5-fold increases, respectively comparing to

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unmodified LNP^[4].

References:

- [1] 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 Sep;49(11):3651-3667.
- [2] Pang Y C, Zhao L, Meng T H, 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 Mar;64(3):386-394.
- [3] Shirpour A, Hadadi A, Zolghadri S, et al. Preclinical evaluation of [13xLa]La-FAP-2286 as a novel theranostic agent for tumors expressing fibroblast activation protein. Sci Rep. 2025 Mar 3;15(1):7475.
- [4] Qi F, Fu D, Cai H Z, et al. Metabolic Reprogramming of Cancer-Associated Fibroblasts: Transforming Tumor Accomplices into Immunotherapeutic Allies. Adv. Funct. Mater. 2024, 2418240

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