

Synthesis and preclinical evaluation of a ^{68}Ga -radiolabeled peptide targeting very late antigen-3 for PET imaging of pancreatic cancer

Huiling Li^{1, 2,†}, Lujie Yuan^{1, 2, 3†}, Yu Long^{1, 2}, Hanyi Fang^{1, 2}, Mengting Li^{1, 2}, Qingyao Liu^{1, 2}, Xiaotian Xia^{1, 2}, Chunxia Qin^{1, 2}, Yongxue Zhang^{1, 2}, Xiaoli Lan^{1, 2,*}, Yongkang Gai^{1, 2,*}

Affiliations:

¹ Department of Nuclear Medicine, Union Hospital, Tongji Medical College, Huazhong University of Science and Technology, Wuhan 430022, China

² Hubei Province Key Laboratory of Molecular Imaging

³ Department of Nuclear Medicine, Qilu Hospital of Shandong University, Jinan, Shandong Province, China.

†These authors contributed equally to this work.

***Corresponding author:**

Xiaoli Lan (M.D, Ph.D)

Department of Nuclear Medicine, Union Hospital, No. 1277 Jiefang Ave, Wuhan, Hubei Province 430022, China. Tel.: +86-27-83692633; Fax: +86-27-85726282.

E-Mail: LXL730724@hotmail.com

Yongkang Gai (Ph.D)

Department of Nuclear Medicine, Union Hospital, No. 1277 Jiefang Ave, Wuhan, Hubei Province 430022, China. Tel.: +86-15927288629; Fax: +86-27-85726282.

E-Mail: gykmail@hust.edu.cn

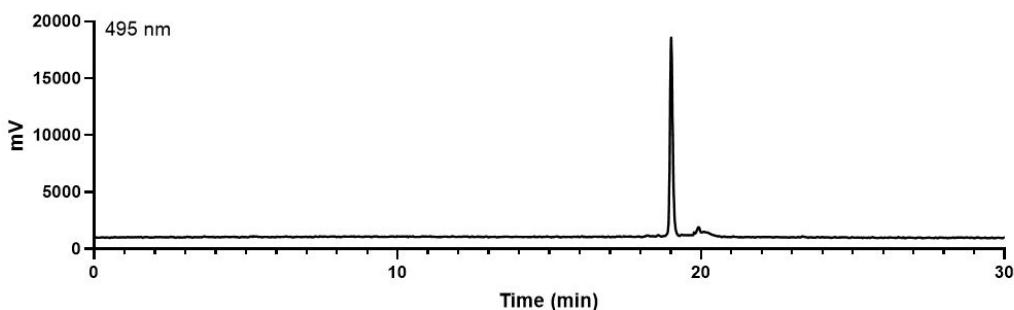


Figure S1. HPLC spectrum of FITC-CK11. HPLC condition: Detection wavelength was 495 nm. The flow rate was 1 ml/min. HPLC gradient: start and keep with 95% A (water containing 0.1% TFA) and 5% B (MeCN containing 0.1% TFA) for 3 min, then gradually increase to reach 70% B at 23 min, and change to 90% B after 23 min.

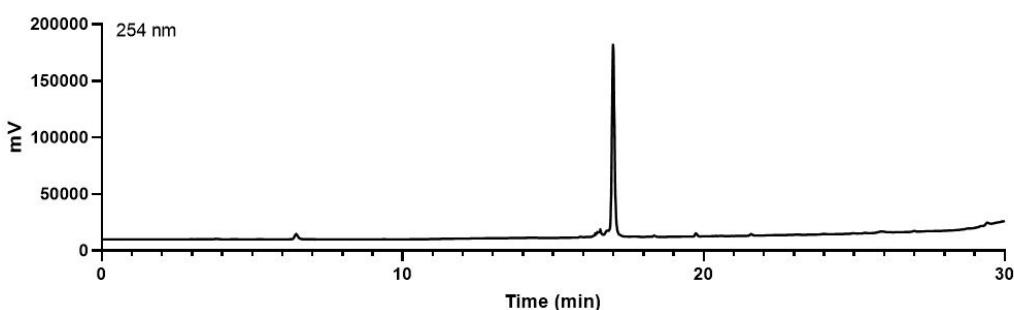


Figure S2. HPLC spectrum of NOTA-CK11. HPLC condition: Detection wavelength was 254 nm. The flow rate was 1 ml/min. HPLC gradient: start and keep with 95% A (water containing 0.1% TFA) and 5% B (MeCN containing 0.1% TFA) for 3 min, then gradually increase to reach 70% B at 23 min, and change to 90% B after 23 min.

Table S1 Radioactivity accumulation in major organs determined by quantitative analysis of the PET images (%ID/g, mean \pm SD) (n = 3).

Organ	0.5 h	0.5 h Blocking	1 h	1 h Blocking
Liver	0.78 \pm 0 .06	0.50 \pm 0.03	0.51 \pm 0.09	0.43 \pm 0.16
Kidney	5.55 \pm 1.04	2.47 \pm 0.21	4.39 \pm 0.57	1.78 \pm 0.19
Muscle	0.32 \pm 0.02	0.26 \pm 0.03	0.13 \pm 0.01	0.18 \pm 0.03
Tumor	0.84 \pm 0.05	0.34 \pm 0.08	0.41 \pm 0.07	0.23 \pm 0.05
Tumor-to-muscle	2.66 \pm 0.34	1.29 \pm 0.30	3.20 \pm 0.41	1.28 \pm 0.23

Table S2. Biodistribution in SW1990 bearing BALB/C nu/nu mice at 0.5 h and 1 h postinjection (%ID/g, mean \pm SD) (n = 5).

Organ	0.5 h	0.5 h Blocking	1 h
Blood	0.54 \pm 0.05	0.46 \pm 0.15	0.15 \pm 0.03
Brain	0.03 \pm 0.01	0.03 \pm 0.01	0.02 \pm 0.01
Heart	0.16 \pm 0.02	0.14 \pm 0.06	0.08 \pm 0.03
Lung	0.43 \pm 0.09	0.34 \pm 0.12	0.21 \pm 0.05
Liver	0.54 \pm 0.03	0.53 \pm 0.16	0.40 \pm 0.06
Spleen	0.14 \pm 0.01	0.16 \pm 0.06	0.11 \pm 0.02
Kidney	10.33 \pm 1.02	8.71 \pm 1.34	7.22 \pm 0.61
Stomach	0.23 \pm 0.05	0.18 \pm 0.06	0.13 \pm 0.04
Small intestine	0.22 \pm 0.02	0.31 \pm 0.15	0.14 \pm 0.03
Large intestine	0.20 \pm 0.03	0.21 \pm 0.09	0.13 \pm 0.08
Muscle	0.18 \pm 0.08	0.22 \pm 0.12	0.21 \pm 0.13
Bone	0.21 \pm 0.06	0.27 \pm 0.18	0.15 \pm 0.04
Pancreas	0.14 \pm 0.02	0.37 \pm 0.07	0.13 \pm 0.11
Tumor	0.67 \pm 0.07	0.12 \pm 0.05	0.34 \pm 0.08
Tumor-to-blood	1.21 \pm 0.13	0.71 \pm 0.15	2.45 \pm 0.31
Tumor-to-muscle	2.85 \pm 0.35	1.54 \pm 0.68	3.65 \pm 0.33