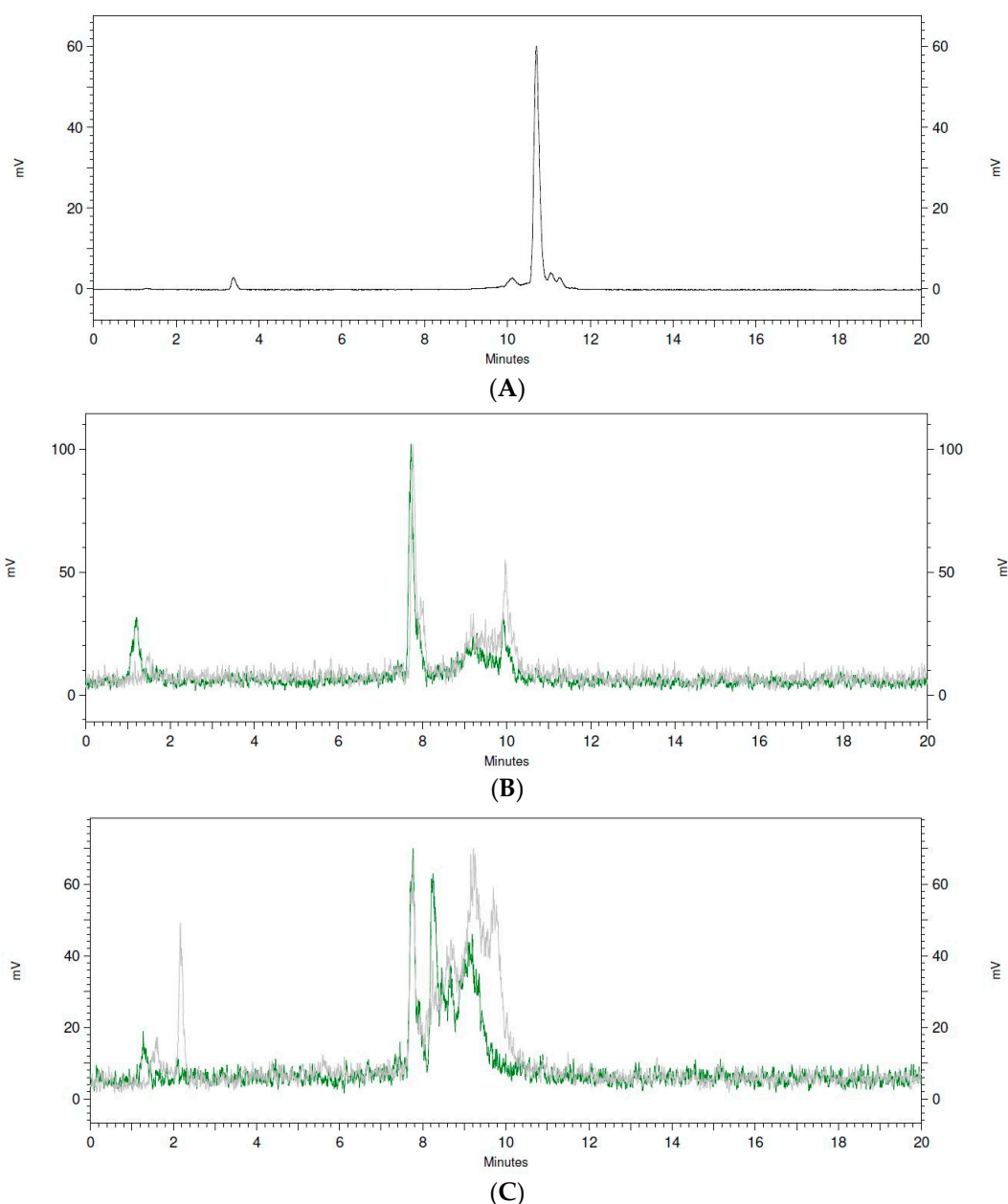
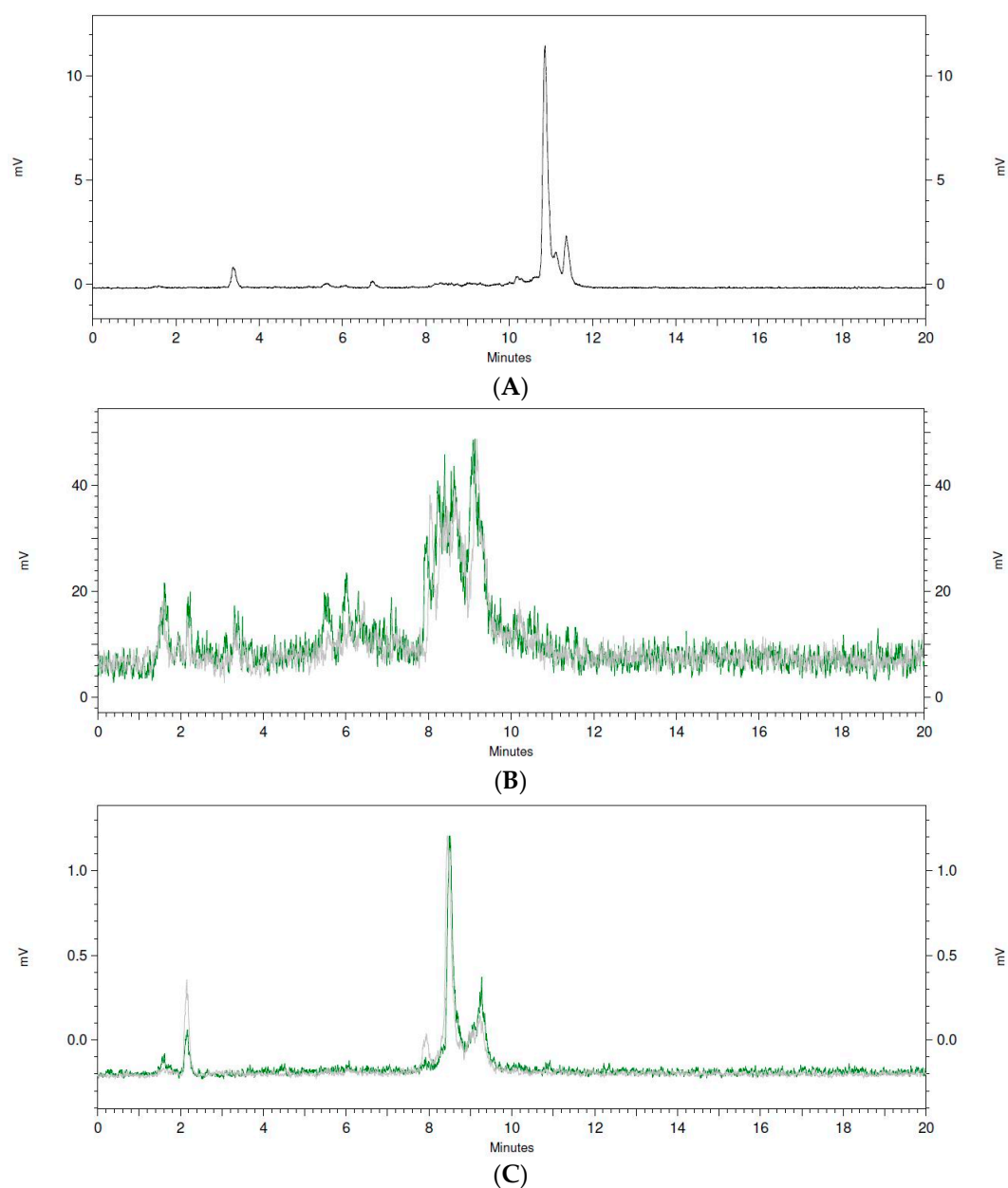


## Supplementary Materials: Preclinical Evaluation of $^{99m}\text{Tc}$ -La-beled GRPR Antagonists maSSS/SES-PEG<sub>2</sub>-RM26 for Imaging of Prostate Cancer

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**Figure S1.** (A) Radio-chromatogram of  $^{99m}\text{Tc}$ ]Tc-maSSS-PEG<sub>2</sub>-RM26 before injection in NMRI mice. (B) Radio-chromatogram of the collected urine samples from two mice 3 h after injecting  $^{99m}\text{Tc}$ ]Tc-maSSS-PEG<sub>2</sub>-RM26. (C) Radio-chromatogram of the collected caecum content from two mice 3 h after injecting  $^{99m}\text{Tc}$ ]Tc-maSSS-PEG<sub>2</sub>-RM26. The x-axes show the retention time in minutes and the y-axes show the signal.



**Figure S2.** (A) Radio-chromatogram of  $[^{99m}\text{Tc}]\text{Tc-maSES-PEG}_2\text{-RM26}$  before injection in NMRI mice. (B) Radio-chromatogram of the collected urine samples from two mice 3 h after injecting  $[^{99m}\text{Tc}]\text{Tc-maSES-PEG}_2\text{-RM26}$ . (C) Radio-chromatogram of the collected caecum content from two mice 3 h after injecting  $[^{99m}\text{Tc}]\text{Tc-maSES-PEG}_2\text{-RM26}$ . The x-axes show the retention time in minutes and the y-axes show the signal.

**Table S1.** The biodistribution data for [<sup>99m</sup>Tc]Tc-maSES-RM26 and [<sup>99m</sup>Tc]Tc-maSSS-RM26 in Balb/c nu/nu mice bearing PC-3 xenografts.

Conjugate	[ <sup>99m</sup> Tc]Tc-maSES-RM26		[ <sup>99m</sup> Tc]Tc-maSSS-RM26	
	3 h		3 h	6 h
Elapsed time	% IA/g			
Organs	% IA/g			
Blood	0.15 ± 0.07	0.09 ± 0.04	0.056 ± 0.009	
Lung	0.14 ± 0.07	0.12 ± 0.03	0.20 ± 0.10	
Liver	1.1 ± 0.3 *	3 ± 1	0.68 ± 0.08 †	
Spleen	0.11 ± 0.02	0.12 ± 0.04	0.06 ± 0.01 †	
Pancreas	2 ± 1 *	6.1 ± 0.8	0.98 ± 0.08 †	
Stomach	0.9 ± 0.4 *	1.4 ± 0.3	0.9 ± 0.2 †	
Intestine	1.1 ± 0.6 *	3 ± 1	0.4 ± 0.2 †	
Kidneys	3 ± 2	0.7 ± 0.4	0.59 ± 0.06	
Tumor	2.9 ± 0.7 *	7 ± 2	3 ± 1 †	
Muscle	0.04 ± 0.03	0.04 ± 0.02	0.012 ± 0.004 †	
Bone	0.04 ± 0.02	0.04 ± 0.02	0.02 ± 0.02	
GI	46 ± 4 *‡	56 ± 3 ‡	26 ± 6 ‡‡	
<b>Tumor-to-non-tumor ratio</b>				
Blood	26 ± 19 *	97 ± 56	57 ± 22	
Lung	26 ± 16 *	65 ± 20	18 ± 8 †	
Liver	3.0 ± 0.8	3 ± 2	5 ± 2	
Spleen	24 ± 10 *	62 ± 14	50 ± 16	
Pancreas	1.5 ± 0.8	1.2 ± 0.4	3 ± 1 †	
Stomach	4 ± 2	5 ± 2	3 ± 1	
Intestine	3 ± 2	3 ± 1	9 ± 4 †	
Kidneys	2 ± 2 *	14 ± 9	5 ± 2	
Muscle	90 ± 52 *	188 ± 32	270 ± 67	
Bone	84 ± 54	177 ± 79	287 ± 232	

\*Significant difference between the values for [<sup>99m</sup>Tc]Tc-maSES-RM26 and for [<sup>99m</sup>Tc]Tc-maSSS-RM26 at 3 h pi. †Significant difference between the values for [<sup>99m</sup>Tc]Tc-maSSS-RM26 at 6 h pi and at 3 h pi. ‡The value calculated as the % IA.

**Table S2.** The biodistribution data for [<sup>99m</sup>Tc]Tc-maSSS-RM26 in NMRI mice.

Elapsed time	0.5 h	1 h	3 h	6 h	24 h
<b>Organs</b>	<b>% IA/g</b>				
Blood	0.7 ± 0.1	0.8 ± 0.1	0.38 ± 0.06	0.30 ± 0.07	0.030 ± 0.006
Heart	0.27 ± 0.10	0.23 ± 0.03	0.12 ± 0.01	0.17 ± 0.08	0.021 ± 0.003
Lung	0.7 ± 0.3	0.9 ± 0.4	0.44 ± 0.07	0.3 ± 0.1	0.09 ± 0.04
Liver	4 ± 1	6 ± 1	2.5 ± 0.4	1.1 ± 0.3	0.39 ± 0.05
Spleen	1.1 ± 0.4	2.4 ± 1.6	2 ± 1	0.6 ± 0.5	0.37 ± 0.09
Pancreas	7 ± 2	13 ± 6	3.2 ± 0.7	0.8 ± 0.2	0.10 ± 0.02
Kidneys	2.5 ± 0.6	4 ± 1	1.5 ± 0.3	1.3 ± 0.3	0.46 ± 0.08
Muscle	0.13 ± 0.03	0.12 ± 0.02	0.061 ± 0.006	0.05 ± 0.03	0.006 ± 0.003
Bone	0.26 ± 0.09	0.2 ± 0.1	0.27 ± 0.04	0.18 ± 0.03	0.04 ± 0.02
Brain	0.031 ± 0.008	0.04 ± 0.02	0.03 ± 0.01	0.03 ± 0.01	0.006 ± 0.004
	<b>%IA/sample</b>				
Stomach	2.2 ± 0.2	6 ± 2	5 ± 1	3.2 ± 0.4	0.2 ± 0.1
Small intestine	10 ± 2	44 ± 10	9 ± 3	2.5 ± 0.8	0.10 ± 0.05
Upper large intestine	0.4 ± 0.3	0.8 ± 0.5	5.2 ± 5.1	14 ± 1	0.05 ± 0.01
Large intestine	0.7 ± 0.8	0.6 ± 0.1	3.3 ± 3.5	30 ± 13	0.05 ± 0.03
Caecum	0.34 ± 0.09	0.9 ± 0.2	16 ± 4	18 ± 3	0.3 ± 0.2
Body	4.5 ± 0.9	6 ± 1	4 ± 2	2.8 ± 0.2	0.27 ± 0.05