

$^{188}\text{Re-MAG}_3$ and $^{188}\text{Re-MAG}_3\text{-TFP}$ was radiolabeled with 98 % yield. Radiolabeling yield of lym-1 with $^{188}\text{Re-MAG}_3$ was 23 %. $^{188}\text{Re-Tricine}$ was radiolabeled with 96.5 % yield. HYNIC coupling ratio to a molecule of lym-1 was 3.6. $^{188}\text{Re-HYNIC-lym-1}$ was prepared by 20 % labeling yield and non-specific labeling of $^{188}\text{Re-Tricine}$ with lym-1 was < 1 %. Radiochemical purity of purified $^{188}\text{Re-MAG}_3\text{-lym-1}$ and $^{188}\text{Re-HYNIC-lym-1}$ were maintained at >80% after 16hr standing at 4 °C. Both $^{188}\text{Re-MAG}_3\text{-lym-1}$ and $^{188}\text{Re-HYNIC-lym-1}$ immunoconjugates showed 20% labeling yield and > 80% stability. Radiolabeling of lym-1 with HYNIC chelate than MAG3 was more simple and time-saving method. Antibody radiolabeling with ^{188}Re using HYNIC chelate can be used for the preparation of radioimmunoconjugate for radioimmunotherapy

가
 (1-2). ^{131}I 가
 . ^{131}I 가 (3-4).
 , 364Kev, 가 가 ^{90}Y
 , ^{111}In (5-7). ^{90}Y ^{111}In
 , ^{131}I 가
 (3-4). ^{90}Y 가 ^{90}Y
 (6,8). DOTA(1,4,7,10-
 tetraazacyclododecanetetraacetic acid) macrocyclic
 (human anti-mouse
 antibody: HAMA) DOTA
 (7). ^{90}Y 가 ^{90}Y
 , ^{111}In ^{111}In
 dosimetry 가 (6,9). ^{188}Re 16.7
 가
 $^{188}\text{W}/^{188}\text{Re}$,
 가 155Kev 가가 가
 가 가 가 가
 . ^{188}Re $^{99\text{m}}\text{Tc}$
 (10-11). ^{188}Re

N₂S₂, N₂S₄ , N₃S

(12-16). Burkitt's lymphoma(Raji)

murine anti-lymphoma IgG_{2a} Lym-1

¹⁸⁸Re ¹⁸⁸Re-MAG₃

pre-chelating HYNIC ¹⁸⁸Re-tricine

post-chelating ¹⁸⁸Re-Lym-1

1. MAG₃ ¹⁸⁸Re lym-1

1) ¹⁸⁸Re-MAG₃

¹⁸⁸Re-MAG₃ Na⁺¹⁸⁸ReO₄⁻ 370MBq/200μl 1M Sodium

Potassium Tartrate 200 μl 가 10 μl 10N HCl 가 Stannous

Tartrate 10mg/ml 200 μl 가 100 30min argon

HPLC HPLC

μ -Bondapak C18 10mM

PBS(pH=7.4) 10 5 : 95 , 10 20 90 : 10

20 30 90 : 10 1ml/

254nm waters 486 absorbance detector

Raytest RI detector ¹⁸⁸Re-MAG₃ Argon

가 100 15 가 가

5 ¹⁸⁸Re-MAG₃ 500 μl Ar purged

가 1N H₂SO₄ pH 6

2) ¹⁸⁸Re-MAG₃-Tetrafluorophenol(TFP) ester

¹⁸⁸Re-MAG₃-Tetrafluorophenol(TFP) ester ¹⁸⁸Re-MAG₃ Tetrafluoro-

phenol(TFP) 100mg/ml Acetonitrile 9:1

200 μl 가 EDC 100mg 가 30

Acetonitrile Ar-purging 10

¹⁸⁸Re-MAG₃-Tetrafluorophenol(TFP) ester

HPLC ¹⁸⁸Re-MAG₃-Tetrafluoro-

phenol(TFP) ester ¹⁸⁸Re-MAG₃-TFP Sep-pak

¹⁸⁸Re-MAG₃ -Tetrafluorophenol(TFP) ester 8ml

20ml 20ml 0.001N HCl Sep-pak C18

Ar-purged	10ml	MAG3	10mM
PB(pH=7.0)	5%가	10ml	.
Ar-purged	10ml	Ar-purged	
Diethylether	0.5ml	¹⁸⁸ Re-MAG ₃ -TFP	Acetonitrile 2.5ml

3) ¹⁸⁸Re-MAG₃-Lym-1

¹⁸⁸ Re-MAG ₃ -TFP	30	Ar purging	30	500 μl
Saline	lym-1	5mg/ml	200μl	가 0.05M
Na ₂ CO ₃	pH 9.5		30	.
¹⁸⁸ Re-MAG ₃ -Lym-1	10mM PBS		1% BSA	.
	PD-10	¹⁸⁸ Re-MAG ₃ -Lym-1		.
¹⁸⁸ Re-MAG ₃ -Lym-1	0.22 μm filter			.

2. HYNIC ¹⁸⁸Re

1) HYNIC-Lym-1

HYNIC(succinimidyl 6-hydrazinonicotinate hydrochloride)	64mg/ml	DMSO
64ug (0.266 mmol)	0.1M PB (pH=7.8)	10mg/ml lym-1
1ml	가	5
		10,000 cut-off
가 Slidelyzer (pierce co.)	10mM Sodium Citrate buffer(pH=5.2)	24
3		
Centricon-30(Amicon co.)	가	0.22μm filter
	5mg/ml	200μl
HYNIC-lym-1	HYNIC-Lym-1	2.1 μ M (315 μg/ml)
1ml	p-nitrobenzaldehyde	2.5% Acetonitrile
가 0.1M Sodium Acetate	0.5mM	1ml
5		(Beckman, model Du-650)
		340nm
	HYNIC	lym-1
HYNIC		

2) ¹⁸⁸Re-HYNIC-Lym-1

¹⁸⁸ Re-HYNIC-mAb	¹⁸⁸ Re-tricine	¹⁸⁸ Re
370MBq/200 μl	Tricine 35mg/ml	100 μl
	가	stannous

citrate buffer pH=5.2

20%

, Lym-1

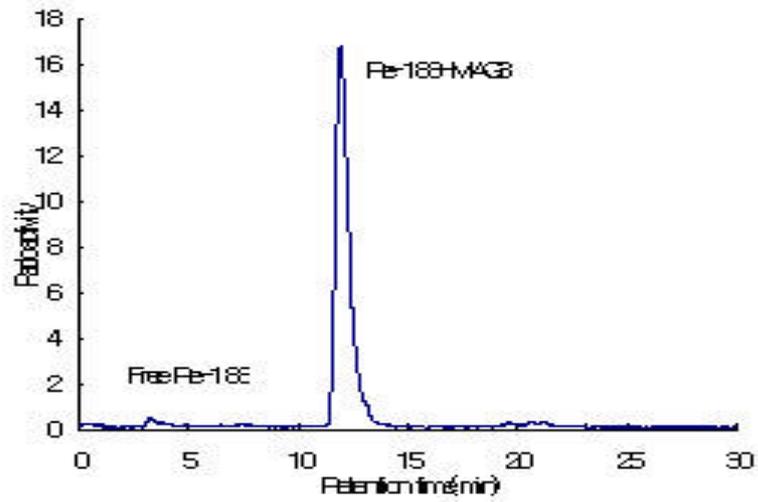
HYNIC

lym-

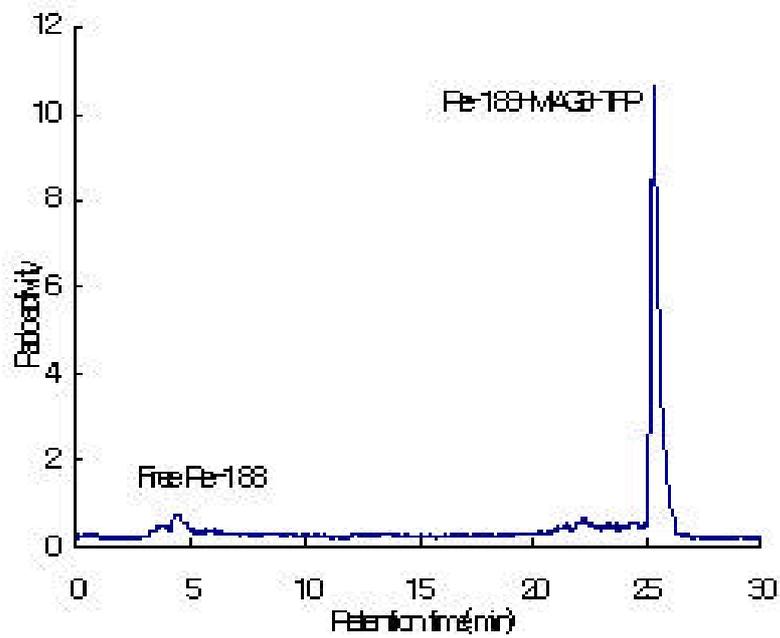
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1 %

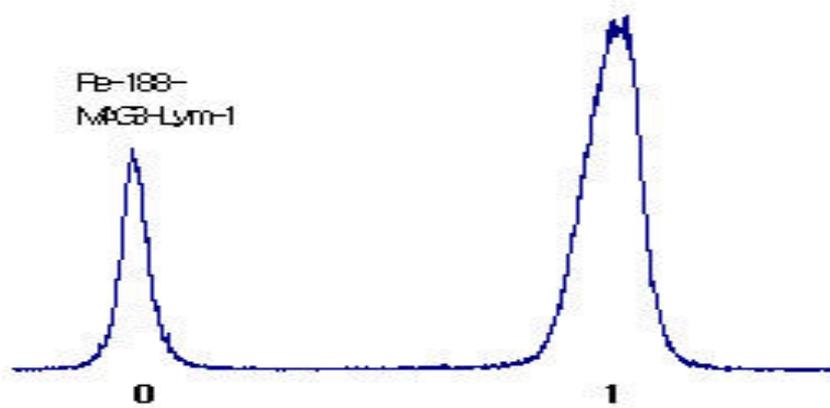
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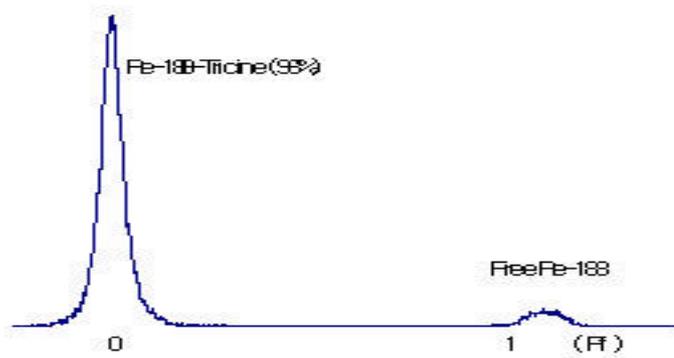
1. HPLC radiochromatogram of ^{188}Re -MAG₃



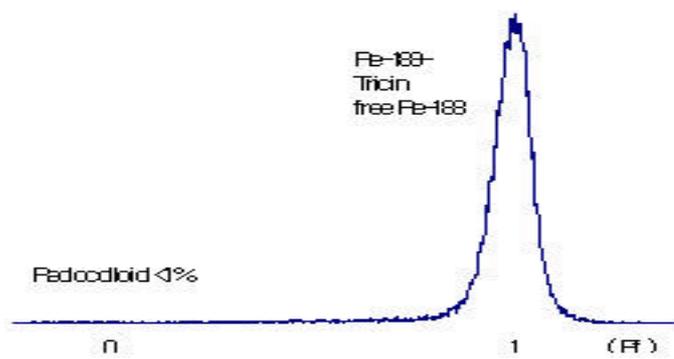
2. HPLC radiochromatogram of ^{188}Re -MAG₃-TFP.



3. . ITLC radiochromatogram of $^{188}\text{Re-MAG}_3\text{-Lym-1}$ reaction mixture.

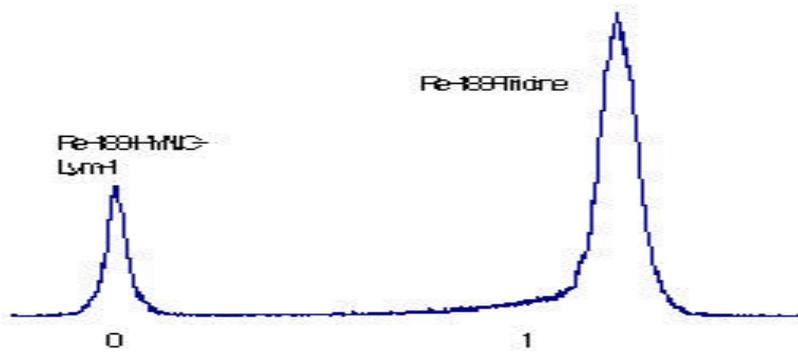


(A) MEK

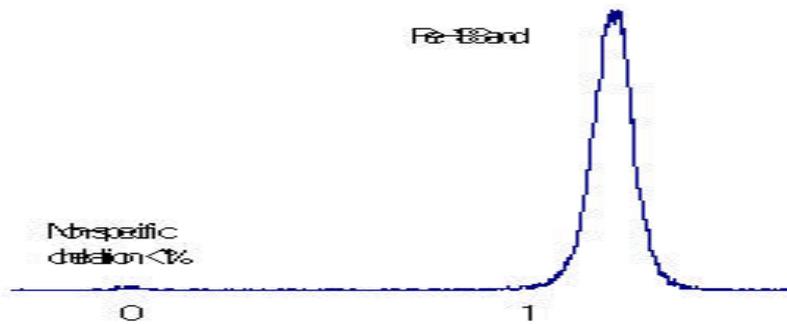


(B) Saline

4. . ITLC radiochromatogram of $^{188}\text{Re-tricine}$.



(A) HYNIC-Lym-1

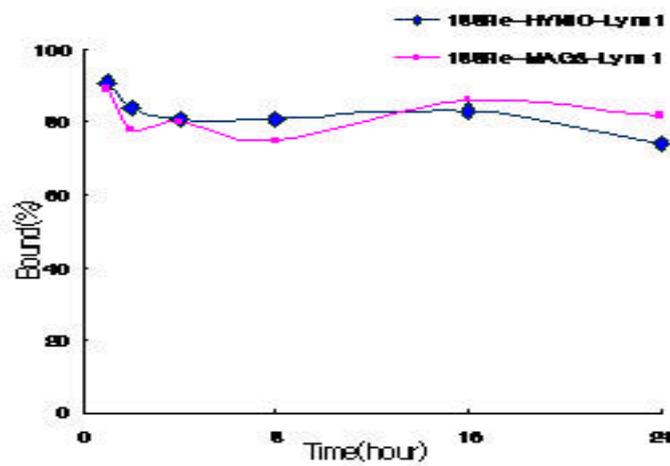


(B) Lym-1 (control)

5. ITLC radiochromatogram of ^{188}Re -HYNIC-Lym-1.

^{188}Re -MAG ₃ -lym-1	^{188}Re -HYNIC-lym-1	PD-10
^{188}Re -MAG ₃ -lym-1	Ascorbic acid	가
	5mg/ml	4 °C
ITLC-sg	16	80%

6



6. *In vitro* stability of ^{188}Re -MAG₃-lym-1 and ^{188}Re -HYNIC-lym-1.

가		가	
mag3	pre-chelating	hynic	post-chelating
	$^{188}\text{Re-MAG}_3$	98%	$^{188}\text{Re-MAG}_3\text{-TFP}$ 98%
, sep-pak		95%	$^{188}\text{Re-MAG}_3\text{-TFP}$ lym-1
	23%		$^{188}\text{Re-tricine}$ 96.5%
	1%		HYNIC-lym-1 Lym-1
3.6	HYNIC		$^{188}\text{Re-tricine}$ HYNIC-lym-1
20%		, Lym-1	1 %
	$^{188}\text{Re-MAG}_3\text{-lym-1}$	$^{188}\text{Re-HYNIC-lym-1}$	
	4 °C 16	80%	
20%			HYNIC
			가

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