

بسم الله الرحمن الرحيم

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تم رفع هذه الرسالة بواسطة / حسام الدين محمد مغربي

بقسم التوثيق الإلكتروني بمركز الشبكات وتكنولوجيا المعلومات دون أدنى مسئولية عن محتوى هذه الرسالة.

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Radiolabeling, Chemical and Biological Evaluation of Some Drugs for Nuclear Medicine Applications

Thesis

Submitted for the Degree of PhD in Chemistry

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سورة البقرة الآية: ٣٢

Acknowledgments

First and foremost, I feel always indebted to **Allah** the Most Beneficent and Merciful.

I would like to express my deep and sincere gratitude to my research supervisor **Prof. Dr. Abeer Mohamed Amin,** Professor of Radiochemistry, Hot Labs Center, Egyptian Atomic Energy Authority, **Dr. Ibanan Abd El-Azez Abd El-Razk Elsabagh**, Lecturer of Radiochemistry, Hot Labs Center, Egyptian Atomic Energy Authority for their vision, sincerity and motivation have deeply inspired me. They have taught me the methodology to carry out the research and to present the research works as clearly as possible.

My deep thanks to **Prof. Dr. Found Ibrahim El Hosiny** Professor of Physical Chemistry, Faculty of Science, Ain
Shams University for the continuous support of my Ph.D study
and research, for his patience, motivation, enthusiasm, and
immense knowledge.

I would like to thank the rest of my thesis committee: **Prof. Dr. Gehad Genidy Mohamed**, Professor of Inorganic and Analytical Chemistry, Faculty of Science, Cairo University, and the soul of **Prof. Dr. Salah Abdel Ghani Abo-El-Enein**, Professor of Physical Chemistry, Faculty of Science, Ain Shams University.

I would like to appreciate **Prof. Dr. Dona Farouk**, Professor at Animal Production Research Institute, for introducing me all the facilities to achieve this work.

I am very much thankful to my sisters for their love, understanding, prayers and continuing support to complete this research work.

Finally, my thanks go to all the people who have supported me to complete the research work directly or indirectly.



EGY.ASE Studies

Dear Author(s)

The journal editorial board hereby informs you with pleasure that your submitted article entitled "Radiolabeling, chemical and biological evaluation of Lidocaine in nuclear medicine application".

Author(s): Fatma A.Hafez, H. Abd El-Aziz, A.M. Amin, G.G. Mohamed and F.I. El-Hosiny.

Has been accepted to be published in EGY.ASE Studies ISSN indexed

journal.

Regards

Ass. Prof Nour Eldeen Ahmed Abd ElSatar

Editor-in-Chief.ASE Studies (ISSN: 2535-1664)

Office: Cairo Egypt



Tist of Abbreviations

BDH.....British Drug Houses

CATChloramine-T

CT.....Computed tomography

EC.....Electron capture

EpinEpinephrine

FDG.....Fluorodeoxyglucose

fMRIFunctional magnetic resonance imaging

HPLC.....High-performance liquid chromatography

ITIsomeric transition

LET.....Linear energy transfer

Lido.....Lidocaine

NODCAR......National Organization for Drug Control and Research

PET.....Positron emission tomography

SG-TLCSilica gel thin-layer chromatography

SPECT.....Single-photon emission computed tomography

Vera.....Verapamil

ABSTRACT

Candidate Name: Fatma ELzahraa Ahmed Mohamed Hafez

<u>Title of Thesis:</u> Radiochemical and Biological Characterization of some Radiolabeled Drugs for Nuclear Medicine Applications

<u>Degree (PhD):</u> The PhD of Science in Chemistry, Faculty of Science, Ain Shams University, 2022.

This study aims to develop potential radiopharmaceuticals for non-invasive cardiac imaging by exploiting the advantages of drugs that can act on the heart. Lidocaine hydrochloride, Verapamil hydrochloride and Epinephrine were successfully labeled with radioactive iodine (125 I) using Chloramine-T via an electrophilic substitution reaction. 125 I-Lidocaine, 125 I-Verapamil, and 125 I-Epinphrine gave maximum labeling yields of 93.2 % \pm 0.1, 95.4 % \pm 0.4 and 96% \pm 0.8, respectively. Biodistribution studies showed that the maximum uptake of radioidonated Lidocaine, Verapamil of mice was 19.8 % and 18.3 %, respectively, at 60 min post injection while the maximum uptake of radioidonated Epinephrine was 18.6% at 180 min post injection.

Tist of Contents

Title	Page No.
List of Tables	
List of Figures	
Chapter 1: Introduction	
I.1. Radioactivity	
I.2. Types of Radioactivity	
I.2.1. Natural radioactivity	
I.2.2. Artificial or Man-made radioactivity	
I.3. Radionuclides	
I.3.1 Spontaneous fission:	
I.3.2 Alpha decay:	
I.3.3 Beta decay:	
I.3.4 Positron emission:	
I.3.5 Electron capture (EC):	
I.3.6 Isomer Transition (IT):	
I.4 Nuclear Medicine	
I.4.1 Radiopharmaceuticals	
I.5 Characteristics of Ideal Radiopharmaceuticals	
I.5.1 Easy availability	
I.5.2. Short effective half-life (t_e)	
I.6. Radionuclide Selection Criteria	
I.6.1. Diagnostic radionuclide choice criteria	
I.6.2. Therapeutic radionuclide choice criteria	
1.7 Iodine	
1.7.1 Iodine Chemistry	13
I.7.2. Iodine radioactivity: Iodine isotopes	
I.7.3. Oxidation of iodine and labeling process	16
I.8. Radioactive Waste	19
I.9. Biomedical radioactive waste management	20
I.9.1. Mechanical treatment	20
I.9.2. Thermal treatment	20
I.9.3. Chemical treatment	21
I.10. Human Heart	21
I.10.1. Composition of the human	22

Tist of Contents cont...

I.10.1 Cardiac imaging	Title	Page No.
I.11.1 Radiopharmaceutical of Investigation 27 I.11.1 Lidocaine Hydrochloride: 28 I.11.2 Verapamil Hydrochloride: 28 I.11.3 Epinephrine: 29 Chapter 2: Materials and Methods of Inverstigation 31 IIA. Materials 31 IIA.1 Chemicals 31 IIA.2 Equipment 32 IIA.3 Animals 33 IIA.5 Preparation of buffers(80) 34 IIB Methods 36 IIB.1 Iodination of Radiopharmaceutical drugs 36 IIB.2 Determination of radiochemical purity 37 IIB.3 Factors Affecting Radiolabeling Yield 38 IIB.3.2 Effect of oxidizing agent (Chloramine-T) concentration 38 IIB.3.3 Effect of the reaction temperature: 39 IIB.3.4 Effect of pH of reaction medium 39 IIB.3.5 Effect of reaction time 40 IIB.4 In vivo Biodistribution Studies 41 Chapter 3: Results and Discussion 42 III. Factors affecting in Radiolabeling Yield 44 III.1 Effect of CAT Concentration 44 III.2 Effect of Reaction Temperature 49 III.5 Effect of the reaction tim	I 10 1 Candias imaging	9.4
I.11.1 Lidocaine Hydrochloride: 28 I.11.2 Verapamil Hydrochloride: 28 I.11.3 Epinephrine: 29 Chapter 2: Materials and Methods of Inverstigation 31 IIA. Materials 31 IIA.1 Chemicals 31 IIA.2 Equipment 32 IIA.3 Animals 33 IIA.4 Radioactive material 33 IIA.5. Preparation of buffers(80) 34 IIB. Methods 36 IIB.1 Iodination of Radiopharmaceutical drugs 36 IIB.2 Determination of radiochemical yield 36 IIB.3 Determination of radiochemical purity 37 IIB.3 Factors Affecting Radiolabeling Yield 38 IIB.3. Factors Affecting Radiolabeling Yield 38 IIB.3.2 Effect of oxidizing agent (Chloramine-T) concentration 38 IIB.3.5 Effect of pH of reaction temperature: 39 IIB.3.6 In-Vitro Stability of the Radiolabeling drugs 40 IIB.4 In vivo Biodistribution Studies 41 Chapter 3: Results and Discussion 42 III. Factors affecting in Radiolabeling Yield 44 III.1 Effect of CAT Concentration 46 III.2 Effect		
I.11.2 Verapamil Hydrochloride: 28 I.11.3 Epinephrine: 29 Chapter 2: Materials and Methods of Inverstigation 31 IIA. Materials 31 IIA.1. Chemicals 31 IIA.2. Equipment 32 IIA.3 Animals 33 IIA.4. Radioactive material 33 IIA.5. Preparation of buffers(80) 34 IIB. Methods 36 IIB.1. Iodination of Radiopharmaceutical drugs 36 IIB.3. Determination of radiochemical yield 36 IIB.3. Determination of radiochemical purity 37 IIB.3. Factors Affecting Radiolabeling Yield 38 IIB.3.2. Effect of oxidizing agent (Chloramine-T) concentration 38 IIB.3.3. Effect of the reaction temperature: 39 IIB.3.4. Effect of pH of reaction medium 39 IIB.3.5. Effect of reaction time 40 IIB.4. In vivo Biodistribution Studies 41 Chapter 3: Results and Discussion 42 III. Factors affecting in Radiolabeling Yield 44 III.1. Effect of CAT Concentration 44 III.2. Effect of Reaction Temperature 49 III.4. Effect of the r	I 11 1 Lidocoino Undrochlorido	
I.11.3 Epinephrine: 29 Chapter 2: Materials and Methods of Inverstigation 31 IIA. Materials 31 IIA.1 Chemicals 31 IIA.2 Equipment 32 IIA.3 Animals 33 IIA.4 Radioactive material 33 IIA.5 Preparation of buffers(80) 34 IIB. Methods 36 IIB.1 Iodination of Radiopharmaceutical drugs 36 IIB.2 Determination of radiochemical yield 36 IIB.3 Determination of radiochemical purity 37 IIB.3 Factors Affecting Radiolabeling Yield 38 IIB.3.2 Effect of oxidizing agent (Chloramine-T) concentration 38 IIB.3.3 Effect of the reaction temperature: 39 IIB.3.4 Effect of pH of reaction medium 39 IIB.3.5 Effect of reaction time 40 IIB.3.6 In-Vitro Stability of the Radiolabeling drugs 40 IIB.4 In vivo Biodistribution Studies 41 III.1 Effect of Substrate Concentration 44 III.2 Effect of CAT Concentration 44 III.3 Effect of Reaction Temperature 49 III.4 Effect of PH value of Reaction Medium 51 III.5 Effect of the reaction time 54 III.7 The radiochemical purity HPLC analysis 59 III.8 Biodistribution application studies 50 Summary and Conclusions 50	I 11 9 Voranamil Hydrochlorida:	20 98
IIA. Materials 31 IIA.1. Chemicals 31 IIA.2. Equipment 32 IIA.3. Animals 33 IIA.4. Radioactive material 33 IIA.5. Preparation of buffers(80) 34 IIB. Methods 36 IIB.1. Iodination of Radiopharmaceutical drugs 36 IIB.2 Determination of radiochemical yield 36 IIB.3. Determination of radiochemical purity 37 IIB.3. Factors Affecting Radiolabeling Yield 38 IIB.3.2. Effect of oxidizing agent (Chloramine-T) concentration 38 IIB.3.3. Effect of the reaction temperature: 39 IIB.3.4. Effect of pH of reaction medium 39 IIB.3.5. Effect of reaction time 40 IIB.3.6. In-Vitro Stability of the Radiolabeling drugs 40 IIB.4. In vivo Biodistribution Studies 41 Chapter 3: Results and Discussion 42 III. Factors affecting in Radiolabeling Yield 44 III.1. Effect of CAT Concentration 46 III.3. Effect of Reaction Temperature 49 III.4. Effect of the reaction time 51 III.5. Effect of the reaction time 54	I 11 3 Eninenhrine	20 99
IIA. Materials 31 IIA.1. Chemicals 31 IIA.2. Equipment 32 IIA.3. Animals 33 IIA.4. Radioactive material 33 IIA.5. Preparation of buffers(80) 34 IIB. Methods 36 IIB.1. Iodination of Radiopharmaceutical drugs 36 IIB.2 Determination of radiochemical yield 36 IIB.3. Determination of radiochemical purity 37 IIB.3. Factors Affecting Radiolabeling Yield 38 IIB.3.2. Effect of oxidizing agent (Chloramine-T) concentration 38 IIB.3.3. Effect of the reaction temperature: 39 IIB.3.4. Effect of pH of reaction medium 39 IIB.3.5. Effect of reaction time 40 IIB.3.6. In-Vitro Stability of the Radiolabeling drugs 40 IIB.4. In vivo Biodistribution Studies 41 Chapter 3: Results and Discussion 42 III. Factors affecting in Radiolabeling Yield 44 III.1. Effect of CAT Concentration 46 III.3. Effect of Reaction Temperature 49 III.4. Effect of the reaction time 51 III.5. Effect of the reaction time 54	Chanter 2: Materials and Methods of Inverstigation	31
IIA.1. Chemicals 31 IIA.2. Equipment 32 IIA.3. Animals 33 IIA.4. Radioactive material 33 IIA.5. Preparation of buffers(80) 34 IIB. Methods 36 IIB.1. Iodination of Radiopharmaceutical drugs 36 IIB.2. Determination of radiochemical yield 36 IIB.3. Factors Affecting Radiolabeling Yield 38 IIB.3. Factors Affecting Radiolabeling Yield 38 IIB.3.2. Effect of oxidizing agent (Chloramine-T) concentration 38 IIB.3.3. Effect of the reaction temperature: 39 IIB.3.4. Effect of pH of reaction medium 39 IIB.3.6. In-Vitro Stability of the Radiolabeling drugs 40 IIB.4. In vivo Biodistribution Studies 41 Chapter 3: Results and Discussion 42 III. Factors affecting in Radiolabeling Yield 44 III. 2. Effect of CAT Concentration 46 III.3. Effect of Reaction Temperature 49 III.4. Effect of the reaction time 54 III.5. Effect of the reaction time 54 III.6. In vitro stability 57 III.7. The radiochemical purity HPLC analysis 59	IIA Materials	31
IIA.2. Equipment 32 IIA.3. Animals 33 IIA.4. Radioactive material 33 IIA.5. Preparation of buffers(80) 34 IIB. Methods 36 IIB.1. Iodination of Radiopharmaceutical drugs 36 IIB.2 Determination of radiochemical yield 36 IIB.3. Determination of radiochemical purity 37 IIB.3. Factors Affecting Radiolabeling Yield 38 IIB.3.2. Effect of oxidizing agent (Chloramine-T) concentration 38 IIB.3.3. Effect of the reaction temperature: 39 IIB.3.4. Effect of pH of reaction medium 39 IIB.3.5. Effect of reaction time 40 IIB.3.6. In-Vitro Stability of the Radiolabeling drugs 40 IIB.4. In vivo Biodistribution Studies 41 Chapter 3: Results and Discussion 42 III. Factors affecting in Radiolabeling Yield 44 III.1. Effect of Substrate Concentration 44 III.2. Effect of Reaction Temperature 49 III.4. Effect of pH value of Reaction Medium 51 III.5. Effect of the reaction time 54 III.6. In vitro stability 57 III.7. The radiochemical purity HPLC analy		
IIA.3. Animals	IIA.2. Equipment	32
IIA.4. Radioactive material	IIA.3. Animals	
IIA.5. Preparation of buffers ⁽⁸⁰⁾	IIA.4. Radioactive material	33
IIB. Methods IIB.1. Iodination of Radiopharmaceutical drugs IIB.2 Determination of radiochemical yield IIB.3. Determination of radiochemical purity 37 IIB.3. Factors Affecting Radiolabeling Yield 38 IIB.3.2. Effect of oxidizing agent (Chloramine-T) concentration 38 IIB.3.3. Effect of the reaction temperature: 39 IIB.3.4. Effect of pH of reaction medium 39 IIB.3.5. Effect of reaction time 40 IIB.3.6. In-Vitro Stability of the Radiolabeling drugs 40 IIB.4. In vivo Biodistribution Studies 41 Chapter 3: Results and Discussion 42 III. Factors affecting in Radiolabeling Yield 44 III.1. Effect of Substrate Concentration 44 III.2. Effect of CAT Concentration 45 III.3. Effect of Reaction Temperature 49 III.4. Effect of PH value of Reaction Medium 51 III.5. Effect of the reaction time 54 III.6. In vitro stability 57 III.7. The radiochemical purity HPLC analysis 59 III.8. Biodistribution application studies: 62 Summary and Conclusions 66 References	IIA.5. Preparation of buffers ⁽⁸⁰⁾	34
IIB.1. Iodination of Radiopharmaceutical drugs 36 IIB.2 Determination of radiochemical yield 36 IIB.3. Determination of radiochemical purity 37 IIB.3. Factors Affecting Radiolabeling Yield 38 IIB.3.2. Effect of oxidizing agent (Chloramine-T) concentration 38 IIB.3.3. Effect of the reaction temperature: 39 IIB.3.4. Effect of pH of reaction medium 39 IIB.3.5. Effect of reaction time 40 IIB.3.6. In-Vitro Stability of the Radiolabeling drugs 40 IIB.4. In vivo Biodistribution Studies 41 Chapter 3: Results and Discussion 42 III. Factors affecting in Radiolabeling Yield 44 III.1. Effect of Substrate Concentration 44 III.2. Effect of CAT Concentration 46 III.3. Effect of Reaction Temperature 49 III.4. Effect of pH value of Reaction Medium 51 III.5. Effect of the reaction time 54 III.6. In vitro stability 57 III.7. The radiochemical purity HPLC analysis 59 III.8. Biodistribution application studies: 62 Summary and Conclusions 66 References 70	IIB. Methods	36
IIB.2 Determination of radiochemical yield IIB.3. Determination of radiochemical purity 37 IIB.3. Factors Affecting Radiolabeling Yield 38 IIB.3.2. Effect of oxidizing agent (Chloramine-T) concentration 38 IIB.3.3. Effect of the reaction temperature: 39 IIB.3.4. Effect of pH of reaction medium 39 IIB.3.5. Effect of reaction time 40 IIB.3.6. In-Vitro Stability of the Radiolabeling drugs 40 IIB.4. In vivo Biodistribution Studies 41 Chapter 3: Results and Discussion 42 III. Factors affecting in Radiolabeling Yield 44 III.1. Effect of Substrate Concentration 44 III.2. Effect of CAT Concentration 46 III.3. Effect of Reaction Temperature 49 III.4. Effect of pH value of Reaction Medium 51 III.5. Effect of the reaction time 54 III.6. In vitro stability 57 III.7. The radiochemical purity HPLC analysis 59 III.8. Biodistribution application studies: 62 Summary and Conclusions 66 References	IIB.1. Iodination of Radiopharmaceutical drugs	36
IIB.3. Determination of radiochemical purity		
IIB.3. Factors Affecting Radiolabeling Yield		
IIB.3.3. Effect of the reaction temperature:39IIB.3.4. Effect of pH of reaction medium39IIB.3.5. Effect of reaction time40IIB.3.6. In-Vitro Stability of the Radiolabeling drugs40IIB.4. In vivo Biodistribution Studies41Chapter 3: Results and Discussion42III. Factors affecting in Radiolabeling Yield44III.1. Effect of Substrate Concentration44III.2. Effect of CAT Concentration46III.3. Effect of Reaction Temperature49III.4. Effect of pH value of Reaction Medium51III.5. Effect of the reaction time54III.6. In vitro stability57III.7. The radiochemical purity HPLC analysis59III.8. Biodistribution application studies:62Summary and Conclusions66References70	IIB.3. Factors Affecting Radiolabeling Yield	38
IIB.3.3. Effect of the reaction temperature:39IIB.3.4. Effect of pH of reaction medium39IIB.3.5. Effect of reaction time40IIB.3.6. In-Vitro Stability of the Radiolabeling drugs40IIB.4. In vivo Biodistribution Studies41Chapter 3: Results and Discussion42III. Factors affecting in Radiolabeling Yield44III.1. Effect of Substrate Concentration44III.2. Effect of CAT Concentration46III.3. Effect of Reaction Temperature49III.4. Effect of pH value of Reaction Medium51III.5. Effect of the reaction time54III.6. In vitro stability57III.7. The radiochemical purity HPLC analysis59III.8. Biodistribution application studies:62Summary and Conclusions66References70	IIB.3.2. Effect of oxidizing agent (Chloramine-T) concentration	38
IIB.3.4. Effect of pH of reaction medium	IIB.3.3. Effect of the reaction temperature:	39
IIB.3.6. In-Vitro Stability of the Radiolabeling drugs40IIB.4. In vivo Biodistribution Studies41Chapter 3: Results and Discussion42III. Factors affecting in Radiolabeling Yield44III.1. Effect of Substrate Concentration44III.2. Effect of CAT Concentration46III.3. Effect of Reaction Temperature49III.4. Effect of pH value of Reaction Medium51III.5. Effect of the reaction time54III.6. In vitro stability57III.7. The radiochemical purity HPLC analysis59III.8. Biodistribution application studies:62Summary and Conclusions66References70	IIB.3.4. Effect of pH of reaction medium	39
IIB.4. In vivo Biodistribution Studies	IIB.3.5. Effect of reaction time	40
Chapter 3: Results and Discussion42III. Factors affecting in Radiolabeling Yield44III.1. Effect of Substrate Concentration44III.2. Effect of CAT Concentration46III.3. Effect of Reaction Temperature49III.4. Effect of pH value of Reaction Medium51III.5. Effect of the reaction time54III.6. In vitro stability57III.7. The radiochemical purity HPLC analysis59III.8. Biodistribution application studies:62Summary and Conclusions66References70	IIB.3.6. In-Vitro Stability of the Radiolabeling drug	gs 40
III. Factors affecting in Radiolabeling Yield44III.1. Effect of Substrate Concentration44III.2. Effect of CAT Concentration46III.3. Effect of Reaction Temperature49III.4. Effect of pH value of Reaction Medium51III.5. Effect of the reaction time54III.6. In vitro stability57III.7. The radiochemical purity HPLC analysis59III.8. Biodistribution application studies:62Summary and Conclusions66References70		
III.1. Effect of Substrate Concentration44III.2. Effect of CAT Concentration46III.3. Effect of Reaction Temperature49III.4. Effect of pH value of Reaction Medium51III.5. Effect of the reaction time54III.6. In vitro stability57III.7. The radiochemical purity HPLC analysis59III.8. Biodistribution application studies:62Summary and Conclusions66References70	Chapter 3: Results and Discussion	42
III.2. Effect of CAT Concentration46III.3. Effect of Reaction Temperature49III.4. Effect of pH value of Reaction Medium51III.5. Effect of the reaction time54III.6. In vitro stability57III.7. The radiochemical purity HPLC analysis59III.8. Biodistribution application studies:62Summary and Conclusions66References70	III. Factors affecting in Radiolabeling Yield	44
III.3. Effect of Reaction Temperature49III.4. Effect of pH value of Reaction Medium51III.5. Effect of the reaction time54III.6. In vitro stability57III.7. The radiochemical purity HPLC analysis59III.8. Biodistribution application studies:62Summary and Conclusions66References70		
III.4. Effect of pH value of Reaction Medium51III.5. Effect of the reaction time54III.6. In vitro stability57III.7. The radiochemical purity HPLC analysis59III.8. Biodistribution application studies:62Summary and Conclusions66References70		
III.6. In vitro stability	III.3. Effect of Reaction Temperature	49
III.6. In vitro stability	III.4. Effect of pH value of Reaction Medium	51
III.7. The radiochemical purity HPLC analysis	III.5. Effect of the reaction time	54
III.8. Biodistribution application studies:	III.6. In vitro stability	57
Summary and Conclusions 66 References 70	III.7. The radiochemical purity HPLC analysis	59
References	III.8. Biodistribution application studies:	62
Arabic Summary		

Tist of Tables

Table No.	Title	Page No.
Table 1:	Examples of radiopharmaceuticals diagnostic agents.	
Table 2:	Examples of radiopharmaceuticals	
	therapeutic agents	
Table 3:	Some radionuclides commonly used in nuclear medicine	
Table 4:	Nuclear characteristics of the main rad	
	of iodine	-
Table 5:	<i>In vitro</i> stability of ¹²⁵ <i>I- Lido</i> at optimu	
	conditions (150 µg Lidocaine, 150 µg (•
	3.7 MBq Na ¹²⁵ I, pH 7, at 60°C tempera	ture for 30
	min)	
Table 6:	In vitro stability of ^{125}I - Vera at optimu	_
	conditions (150 µg Verapamil, 150 µg C	•
	3.7 MBq Na ¹²⁵ I, pH 7, at 60°C tempera	
	min)	
Table 7:	In vitro stability of ¹²⁵ I- Epin at optimu	•
	conditions (100 µg Epinephrine, 150	
	about 3.7 MBq Na ¹²⁵ I, pH 7, at room te	-
W 11 0	for 30 min)	
Table 8:	Biodistribution of ¹³¹ I- Lido in normal	
Table 9:	different times	
Table 9:	different times.	
Table 10:	Biodistribution of ¹³¹ I-Epin in norma	
Table 10.	different times.	

List of Figures

Fig. No.	Title	Page No.
Figure 1:	Radioactive decay	1
Figure 2:	Spontaneous Fission	3
Figure 3:	Electron Capture	5
Figure 4:	Isomeric Transition	5
Figure 5:	Heart structure	23
Figure 6:	PET/CT gamma camera	26
Figure 7:	Chemical Structure of Lidocaine	28
Figure 8:	Chemical Structure of Verapamil	29
Figure 9:	Chemical Structure of Epinephrine.	30
Figure 10:	The presumable structure for $^{125} ext{I-Li}$	docaine42
Figure 11:	The presumable structure for $^{125} ext{I-Ve}$	erapamil43
Figure 12:	The presumable structure for $^{125} ext{I-E}_{ ext{I}}$	oinephrine43
Figure 13:	The radiochemical yield of ¹²⁵ I-Lido of substrate amount (x µg Lidoca CAT, about 3.7 MBq Na ¹²⁵ I, pH temperature for 30 min)	aine, 150 μg 7, at 60°C
Figure 14:	The radiochemical yield of ¹²⁵ I-function of substrate amount (x µg 150 µg CAT, about 3.7 MBq Na ¹²⁵ I, 1 temperature for 30 min	g Verapamil, pH 7, at 60°C
Figure 15:	The radiochemical yield of ¹²⁵ I-function of substrate amount (x µg 150 µg CAT, about 3.7 MBq Na ¹² room temperature for 30 min	Epinephrine, ²⁵ I, pH 7, at

Tist of Figures cont...

Fig. No.	Title	Page No.
Figure 16:	The radiochemical yield of ¹²⁵ I of CAT amount (150 µg Lide about 3.7 MBq Na ¹²⁵ I, 1 temperature for 30 min)	ocaine, x μg CAT, pH 7, at 60°C
Figure 17:	The radiochemical yield of ¹²⁵ I-of CAT amount (150 µg Vera about 3.7 MBq Na ¹²⁵ I, 1 temperature for 30 min)	npamil, x μg CAT, pH 7, at 60°C
Figure 18:	The radiochemical yield of ¹²⁵ I-of CAT amount (100 µg Epine about 3.7 MBq Na ¹²⁵ I, I temperature °C for 30 min)	phrine, x µg CAT, pH 7, at room
Figure 19:	The Effect of temperature on yield of ¹²⁵ I-Lido (150 µg Lidoo about 3.7 MBq Na ¹²⁵ I, pH 7, a for 30min)	caine, 150 µg CAT, t x °C temperature
Figure 20:	The Effect of temperature on yield of ¹²⁵ I-Vera (150 µg V CAT, about 3.7 MBq Na ¹²⁵ I temperature for 30min)	Terapamil, 150 μg I, pH 7, at x °C
Figure 21:	The Effect of temperature on yield of ¹²⁵ I-Epin (100 µg Ep CAT, about 3.7 MBq Na ¹²⁵ I temperature for 30min)	inephrine, 150 μg I, pH 7, at x °C
Figure 22: '	The Effect of PH on the radioche Lido (150 µg Lidocaine, 150 µ MBq Na ¹²⁵ I, pH x, at 60 °C t min)	ag CAT, about 3.7 emperature for 30

Tist of Figures cont...

Fig. No.	Title	Page No.
Figure 23:	The Effect of PH on the radio ¹²⁵ I- Vera (150 µg Verapamil, 18 3.7 MBq Na ¹²⁵ I, pH x, at 60 °C 30 min)	50 μg CAT, about C temperature for
Figure 24:	The Effect of PH on the radio ¹²⁵ I- Epin (100 µg Epinephrir about 3.7 MBq Na ¹²⁵ I, pl temperature for 30 min)	ne, 150 µg CAT, H x, at room
Figure 25:	The Effect of Time Rearradiochemical yield of ¹²⁵ I-Lidocaine, 150 µg CAT, about pH 7, at 60 °C temperature for x	Lido (150 μg 3.7 MBq Na ¹²⁵ I,
Figure 26:	The Effect of Time Rearradiochemical yield of ¹²⁵ I-Verapamil, 150 µg CAT, about pH 7, at 60 °C temperature for x	Vera (150 µg 3.7 MBq Na ¹²⁵ I,
Figure 27:	The Effect of Time Rearradiochemical yield of ¹²⁵ I-Epinephrine, 150 µg CAT, about pH 7, at room temperature for x	Epin (100 μg t 3.7 MBq Na ¹²⁵ I,
Figure 28:	HPLC radiochromatogram of 125	FI-Lido59
Figure 29:	HPLC radiochromatogram of 125	FI-Vera60
Figure 30:	HPLC radiochromatogram of 125	FI-Epin61

ABSTRACT

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<u>Title of Thesis:</u> Radiochemical and Biological Characterization of some Radiolabeled Drugs for Nuclear Medicine Applications

<u>Degree (PhD):</u> The PhD of Science in Chemistry, Faculty of Science, Ain Shams University, 2022.

This study aims to develop potential radiopharmaceuticals for non-invasive cardiac imaging by exploiting the advantages of drugs that can act on the heart. Lidocaine hydrochloride, Verapamil hydrochloride and Epinephrine were successfully labeled with radioactive iodine (125 I) using Chloramine-T via an electrophilic substitution reaction. 125 I-, and 125 I-gave maximum labeling yields of 93.2 % ± 0.1, 95.4 % ± 0.4 and 96% ± 0.8, respectively. Biodistribution studies showed that the maximum uptake of radioidonated Lidocaine, Verapamil of mice was 19.8 % and 18.3 %, respectively, at 60 min post injection while the maximum uptake of radioidonated Epinephrine was 18.6% at 180 min post injection.

<u>Keywords:</u> Radiopharmaceuticals, Radioiodination, Lidocaine, Verapamil, Epinephrine, Heart imaging, Biodistribution.