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MICROENCAPSULATION OF MINOCYCLINE HYDROCHLORIDE USING
POLYLACTIDE AND POLYLACTIDE-CO-GLYCOLIDE

Miss Natthida Assavarat

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for the Degree of Master of Science in Pharmacy

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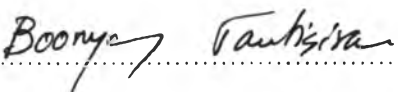
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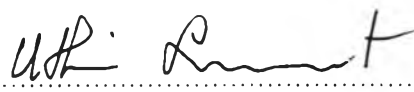
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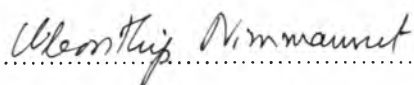
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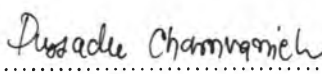
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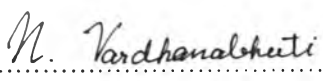
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ณัฐธิดา อัครวรัตน์ : ไมโครเอนแคปซูลเลขชั้นของมิโนซัยคลินไฮโดรคลอไรด์โดยใช้โพลิแลคไทด์และโพลิแลคไทด์โคไกลโคไลด์. (MICROENCAPSULATION OF MINOCYCLINE HYDROCHLORIDE USING POLYLACTIDE AND POLYLACTIDE-CO-GLYCOLIDE) อาจารย์ที่ปรึกษา : รศ.ดร.อุบลทิพย์ นิมมานนิตย์, อาจารย์ที่ปรึกษาร่วม : อ.ดุษฎี ชาญวานิช, 121 หน้า. ISBN 974-17-1104-2.

การศึกษานี้เพื่อพัฒนาการเตรียมไมโครแคปซูลออกฤทธิ์นานของมิโนซัยคลินไฮโดรคลอไรด์โดยเทคนิคการระเหยตัวทำละลายชนิดน้ำในน้ำมันในน้ำ โดยศึกษาผลของชนิดของผนังไมโครแคปซูล (โพลิ แอล-แลคไทด์ โพลิ ดีแอล-แลคไทด์ โพลิ ดีแอล-แลคไทด์โคไกลโคไลด์ 75:25 และ โพลิ ดีแอล-แลคไทด์โคไกลโคไลด์ 50:50) ความเข้มข้นของสารเพิ่มความคงตัว (โซเดียมคาร์บอกซีเมทิลเซลลูโลส) และอัตราส่วนระหว่างตัวยาต่อผนังที่มีต่อปริมาณไมโครแคปซูลที่เตรียมได้ ประสิทธิภาพในการกักเก็บยาและลักษณะการปลดปล่อยยา การเพิ่มโซเดียมคาร์บอกซีเมทิลเซลลูโลสทำให้ประสิทธิภาพในการกักเก็บยาลดลง อัตราส่วนแกนต่อผนัง 1:5 ให้ปริมาณไมโครแคปซูลที่เตรียมได้และปริมาณตัวยาในไมโครแคปซูลสูงที่สุด ไมโครแคปซูลที่เตรียมจากโพลิ ดีแอล-แลคไทด์โคไกลโคไลด์ 75:25 มีการกักเก็บตัวยาในไมโครแคปซูลได้สูงและใกล้เคียงกับโพลิ แอล-แลคไทด์ การปลดปล่อยยาของโพลิ ดีแอล-แลคไทด์โคไกลโคไลด์ 75:25 สูงกว่าโพลิ แอล-แลคไทด์ โพลิ ดีแอล-แลคไทด์ และ โพลิ ดีแอล-แลคไทด์โคไกลโคไลด์ 50:50 ตามลำดับ จลนศาสตร์การปลดปล่อยตัวยาเป็นแบบอันดับหนึ่ง ไมโครแคปซูลที่เตรียมโดยใช้โพลิ แอล-แลคไทด์ โพลิ ดีแอล-แลคไทด์ และโพลิ ดีแอล-แลคไทด์โคไกลโคไลด์ 50:50 มีปริมาณตัวทำละลายอินทรีย์ตกค้างเป็นไปตามมาตรฐานเภสัชตำรับของสหรัฐอเมริกา โพลิ แอล-แลคไทด์ และโพลิ ดีแอล-แลคไทด์เหมาะสมที่จะนำมาใช้เตรียมไมโครแคปซูลออกฤทธิ์นานของมิโนซัยคลินไฮโดรคลอไรด์เนื่องจากการปลดปล่อยยาอย่างต่อเนื่องนานกว่า 48 ชั่วโมง

ภาควิชา เภสัชกรรม

สาขาวิชา เภสัชกรรม

ปีการศึกษา 2545

ลายมือชื่อนิสิต...ณัฐธิดา อัครวรัตน์.....

ลายมือชื่ออาจารย์ที่ปรึกษา.....

ลายมือชื่ออาจารย์ที่ปรึกษาร่วม.....

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NATTHIDA ASSAVARAT: MICROENCAPSULATION OF MINOCYCLINE HYDROCHLORIDE USING POLYLACTIDE AND POLYLACTIDE-CO-GLYCOLIDE THESIS ADVISOR: ASSOC. PROF. UBONTHIP NIMMANNIT, Ph.D. THESIS CO-ADVISOR: DUSADEE CHARNVANICH, M.Sc. in Pharm. 121 pp. ISBN 974-17-1104-2.

The present study was designed to develop sustained release microcapsules of minocycline hydrochloride by water-in-oil-in-water solvent evaporation technique. Effects of polymer type (poly (L-lactide), poly (DL-lactide), poly (DL-lactide-co-glycolide) 75:25, and poly (DL-lactide-co-glycolide) 50:50), stabiliser concentration (sodium carboxymethylcellulose), and core to wall ratio on yield, encapsulation efficiency, and release characteristic were investigated. Increasing sodium carboxymethylcellulose decreased encapsulation efficiency. The core to wall ratio of 1:5 gave the highest yield and drug entrapment. Microcapsules prepared by using poly (DL-lactide-co-glycolide) 75:25 gave high percent entrapment similar to poly (L-lactide). The release profile of poly (DL-lactide-co-glycolide) 75:25 was higher than poly (L-lactide), poly (DL-lactide), and poly (DL-lactide-co-glycolide) 50:50, respectively. The release kinetics followed first order. Microcapsules prepared by using poly (L-lactide), poly (DL-lactide), and poly (DL-lactide-co-glycolide) 50:50 had residual organic solvent conforming to the United States Pharmacopeia limits. Poly (L-lactide) and poly (DL-lactide) were suitable to prepare sustained release microcapsules of minocycline hydrochloride because they displayed extended release profile more than 48 hours.

Department Pharmacy
Field of study Pharmacy
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CONTENTS

	Page
THAI ABSTRACT	iv
ENGLISH ABSTRACT	v
ACKNOWLEDGEMENTS	vi
CONTENTS	vii
LIST OF TABLES.....	viii
LIST OF FIGURES.....	xiii
LIST OF ABBREVIATIONS.....	xv
CHAPTER	
I INTRODUCTION.....	1
II REVIEW OF LITERATURE..	4
III MATERIALS AND METHODS.....	28
IV RESULTS AND DISCUSSION.....	38
V CONCLUSIONS.....	67
REFERENCES.....	69
APPENDICES	
APPENDIX A.....	74
APPENDIX B.....	79
APPENDIX C.....	83
APPENDIX D.....	96
APPENDIX E.....	105
VITA.....	121

LIST OF TABLES

Table	page
1	Aqueous Solubility of minocycline at 25°C.....5
2	Solubility of minocycline hydrochloride 2H ₂ O in various solvents at 25°C.....5
3	Summary of major microencapsulation procedures.....11
4	Microcapsule size ranges produced by various microencapsulation process13
5	The parameters used in the preparation of minocycline hydrochloride microcapsules with varying types of polymer and stabilizer concentrations.....33
6	The parameters used in the preparation of minocycline hydrochloride microcapsules with varying core to wall ratios.....34
7	Calibration curve data of minocycline hydrochloride assayed by UV spectrophotometer at 244 nm.....43
8	Calibration curve data of minocycline hydrochloride assayed by UV spectrophotometer at 381 nm.....44
9	Accuracy data of minocycline hydrochloride assayed by UV spectrophotometric method at 244 nm.....46
10	Accuracy data of minocycline hydrochloride assayed by UV spectrophotometric method at 381 nm.....47
11	Data of within-run precision of minocycline hydrochloride assayed by UV spectrophotometric method at 244 nm.....48
12	Data of between-run precision of minocycline hydrochloride assayed by UV spectrophotometric method at 244 nm.....50
13	Data of within-run precision of minocycline hydrochloride assayed by UV spectrophotometric method at 381 nm.....49
14	Data of between-run precision of minocycline hydrochloride assayed by UV spectrophotometric method at 381 nm.....49

LIST OF TABLES (continued)

Table	page
15	Percent entrapment of minocycline hydrochloride microcapsules prepared by w/o/w solvent evaporation technique with different polymers and sodium carboxymethylcellulose concentrations (mean±SD, n=3).....52
16	Percent yield of minocycline hydrochloride microcapsules prepared by the w/o/w solvent evaporation technique with different polymers and core to wall ratios.....55
17	The percent content and percent entrapment of minocycline hydrochloride microcapsules prepared by the w/o/w solvent evaporation technique with difference polymers and core to wall ratios (mean±SD, n=3).....56
18	Mode size of minocycline hydrochloride microcapsules prepared with 1:5 core to wall ratio and various coating polymers.....61
19	The release rate constants, the coefficient of determination (R^2), and graph intercept of zero-order (k_0), first-order (k_1), and Higuchi model (k_n) of minocycline hydrochloride microcapsules prepared with 1:5 core to wall ratio and various coating polymers in pH 7.5 ± 0.1 (mean \pm SE, n=6).....65
20	Residual dichloromethane in various coating polymers of minocycline hydrochloride microcapsules prepared with 1:5 core to wall ratio (n=1).....66
21	The percent yield, percent content, and percent entrapment of minocycline hydrochloride microcapsules prepared by the w/o/w solvent evaporation technique with different polymers and sodium carboxymethylcellulose concentrations.....80
22	The percent yield, percent content, and percent entrapment of minocycline hydrochloride microcapsules prepared by the w/o/w solvent evaporation technique with different polymers and core to wall ratios.....82
23	Particle size of monocycline hydrochloride microcapsules using L-PLA with 1:5 core to wall ratio.....84

LIST OF TABLES (continued)

Table	page
24 Particle size of minocycline hydrochloride microcapsules using DL-PLA with 1:5 core to wall ratio.....	87
25 Particle size of minocycline hydrochloride microcapsules using PLGA 75:25 with 1:5 core to wall ratio.....	90
26 Particle size of minocycline hydrochloride microcapsules using PLGA 50:50 with 1:5 core to wall ratio.....	93
27 The percent release of minocycline hydrochloride from minocycline hydrochloride microcapsules prepared from poly (L-lactide) with 1:5 core to wall ratio in isotonic borate buffer pH 7.5 ± 0.1 (n=6).....	97
28 The percent release of minocycline hydrochloride from minocycline hydrochloride microcapsules prepared from poly (DL-lactide) with 1:5 core to wall ratio in isotonic borate buffer pH 7.5 ± 0.1 (n=6).....	99
29 The percent release of minocycline hydrochloride from minocycline hydrochloride microcapsules prepared from poly (DL-lactide-co-glycolide) 75:25 with 1:5 core to wall ratio in isotonic borate buffer pH 7.5 ± 0.1 (n=6).....	101
30 The percent release of minocycline hydrochloride from minocycline hydrochloride microcapsules prepared from poly (DL-lactide-co-glycolide) 50:50 with 1:5 core to wall ratio in isotonic borate buffer pH 7.5 ± 0.1 (n=6).....	103
31 Test of split-plot design on the effect of stabilising agent concentration on percent entrapment of minocycline hydrochloride microcapsules prepared with 1:5 core to wall ratio.....	106
32 Test of randomized block design on the effect of stabilising agent concentration on percent entrapment of minocycline hydrochloride microcapsules prepared from poly (L-lactide) with 1:5 core to wall ratio.....	107

LIST OF TABLES (continued)

Table	page
33	Test of John Tukey's Honestly significant difference on the effect of stabilising agent concentration on percent entrapment of minocycline hydrochloride microcapsules prepared from poly (L-lactide) with 1:5 core to wall ratio.....108
34	Test of randomized block design on the effect of stabilising agent concentration on percent entrapment of minocycline hydrochloride microcapsules prepared from poly (DL-lactide) with 1:5 core to wall ratio.....109
35	Test of John Tukey's Honestly significant difference on the effect of stabilising agent concentration on percent entrapment of minocycline hydrochloride microcapsules prepared from poly (DL-lactide) with 1:5 core to wall ratio.....110
36	Test of randomized block design on the effect of stabilising agent concentration on percent entrapment of minocycline hydrochloride microcapsules prepared from poly (DL-lactide-co-glycolide) 75:25 with 1:5 core to wall ratio.....111
37	Test of John Tukey's Honestly significant difference on the effect of stabilising agent concentration on percent entrapment of minocycline hydrochloride microcapsules prepared from poly (DL-lactide-co-glycolide) 75:25 with 1:5 core to wall ratio.....112
38	Test of randomized block design on the effect of stabilising agent concentration on percent entrapment of minocycline hydrochloride microcapsules prepared from poly (DL-lactide-co-glycolide) 50:50 with 1:5 core to wall ratio.....113
39	Test of John Tukey's Honestly significant difference on the effect of stabilising agent concentration on percent entrapment of minocycline hydrochloride microcapsules prepared from poly (DL-lactide-co-glycolide) 50:50 with 1:5 core to wall ratio.....114

LIST OF TABLES (continued)

Table	page
40	Test of randomized block design on the effect of polymers on percent entrapment of minocycline hydrochloride microcapsules prepared by using 0% SCMC with 1:5 core to wall ratio.....115
41	Test of John Tukey's Honestly significant difference on the effect of polymers on percent entrapment of minocycline hydrochloride microcapsules prepared by using 0% SCMC with 1:5 core to wall ratio.....116
42	Test of randomized block design on the effect of core to wall ratio on percent entrapment of minocycline hydrochloride microcapsules prepared from poly (L-lactide).....117
43	Test of randomized block design on the effect of core to wall ratio on percent entrapment of minocycline hydrochloride microcapsules prepared from poly (DL-lactide).....118
44	Test of randomized block design on the effect of core to wall ratio on percent entrapment of minocycline hydrochloride microcapsules prepared from poly (DL-lactide-co-glycolide) 75:25.....119
45	Test of randomized block design on the effect of core to wall ratio on percent entrapment of minocycline hydrochloride microcapsules prepared from poly (DL-lactide-co-glycolide) 50:50.....120

LIST OF FIGURES

Figure	page
1	Structure of minocycline hydrochloride.....4
2	Some typical structures of microcapsules.....9
3	Schematic diagram of microsphere formation by solvent evaporation procedure.....14
4	The diagram of o/w emulsification-solvent evaporation technique16
5	Schematic diagram of microsphere formation by a w/o/w technique18
6	Structure of Poly (L-lactide) and Poly (DL-lactide).....23
7	Structure of Poly (lactide-co-glycolide).....24
8	Spectrum of minocycline hydrochloride standard solutions at the concentration of 2 (a), 4 (b), 9 (c), 14 (d), 19 (e), and 24 (f) $\mu\text{g/mL}$, respectively.....39
9	Spectrum of the solution extracted from minocycline hydrochloride Microcapsules (a) and blank microcapsules (b).....40
10	Spectrum of the solution released from minocycline hydrochloride microcapsules (a) and blank microcapsules (b).....41
11	Calibration curve of minocycline hydrochloride assayed by UV spectrophotometer at 244 nm.....43
12	Calibration curve of minocycline hydrochloride assayed by UV spectrophotometer at 381 nm.....44
13	Scanning electron micrographs of minocycline hydrochloride microcapsules prepared by the w/o/w solvent evaporation technique using poly (L-lactide) with 1:5 core to wall ratio. Magnification 200 x (a) and 1000 x (b), respectively.....57
14	Scanning electron micrographs of minocycline hydrochloride microcapsules prepared by the w/o/w solvent evaporation technique using poly (DL- lactide) with 1:5 core to wall ratio. Magnification 200 x (a) and 1000 x (b), respectively.....58

LIST OF FIGURES (continued)

Figure	page
15	Scanning electron micrographs of minocycline hydrochloride microcapsules prepared by the w/o/w solvent evaporation technique using poly (DL- lactide- co-glycolide) 75:25 with 1:5 core to wall ratio. Magnification 200 x (a) and 1000 x (b), respectively.....59
16	Scanning electron micrographs of minocycline hydrochloride microcapsules prepared by the w/o/w solvent evaporation technique using poly (DL- lactide- co-glycolide) 50:50 with 1:5 core to wall ratio. Magnification 200 x (a) and 1000 x (b), respectively.....60
17	The release profiles of minocycline hydrochloride microcapsules prepared with poly (L-lactide), poly (DL-lactide), poly (DL-lactide-co-glycolide) 75:25, and poly (DL-lactide-co-glycolide) 50:50 in isotonic borate buffer pH 7.5 ± 0.163
18	Scanning electron micrographs of minocycline hydrochloride microcapsules prepared with poly (L-lactide) (a), poly (DL-lactide) (b), poly (DL-lactide-co-glycolide) 75:25 (c), and poly (DL-lactide-co-glycolide) 50:50 (d) after 48 hours drug release.....64
19	Structure of Sodium Carboxymethylcellulose.....75
20	Particle size distribution of minocycline hydrochloride microcapsules using L-PLA with 1:5 core to wall ratio.....84
21	Particle size distribution of minocycline hydrochloride microcapsules using DL-PLA with 1:5 core to wall ratio.....87
22	Particle size distribution of minocycline hydrochloride microcapsules using PLGA 75:25with 1:5 core to wall ratio.....90
23	Particle size distribution of minocycline hydrochloride microcapsules using PLGA 50:50with 1:5 core to wall ratio.....93

LIST OF ABBREVIATIONS

ANOVA	=	analysis of variance
°C	=	degree Celcius
conc.	=	concentration
C:W	=	core to wall ratio
CV	=	coefficient of variation
e.g.	=	for example (<i>exempli gratia</i>)
et al.	=	and others
hr	=	hour
i.e.	=	that is (<i>id est</i>)
k	=	release rate constant
k_h	=	Higuchi release rate constant
mg	=	milligram
min	=	minute
mL	=	mililiter
MW	=	molecular weight
n	=	number of sample
nm	=	nanometer
no.	=	number
o/o	=	oil in oil
o/w	=	oil in water
L-PLA	=	poly (L-lactide)
DL-PLA	=	poly (DL-lactide)
PLGA 50:50	=	poly (DL-lactide-co-glycolide) 50:50
PLGA 75:25	=	poly (DL-lactide-co-glycolide) 75:25
pp.	=	pages
R^2	=	coefficient of determination
rpm	=	revolution per minute
SCMC	=	sodium carboxymethylcellulose
SD	=	standard deviation

LIST OF ABBREVIATIONS (continued)

SEM	=	scanning electron microscope
t	=	time
UV	=	ultraviolet
Wt	=	weight
w/o/w	=	water in oil in water
μg	=	microgram
λ	=	wavelength
%	=	percent
%w/v	=	percent weight by volume