

PREPARATION AND EVALUATION OF ETHYLCELLULOSE MICROCAPSULES WITH BACAMPICILLIN

A. Kristl, M. Bogataj, A. Mrhar, F. Kozjek

**Faculty of Natural Sciences, Department of Pharmacy, E. Kardelj University,
Ljubljana (Yugoslavia)**

**Table 1: Microscopic and Macroscopic Observation of the Products Obtained
at the Experiments Using Different PIBs. The Mass Ratio of Ethylcellulose
N – 100 and Bacampicillin is 1 : 1.**

PIB	PIB concentration (g PIB/g of React. Mixture)	Product Obtained
Oppanol B – 3	15/100	Shapelles, Nonregularly Coated, Large Particles
Oppanol B – 50	8/100	Spheric, Completely and Regularly Coated Particles
Oppanol B – 100	4/100	“Gelatinous” Mixture, Shapelles Particles
Oppanol B – 200	2/100	Crystals and Precipitates, Uncoated Particles

Table 2: Microcapsules Prepared with Different Ethylcelluloses (EC) and at Different Core to Wall Mass Ratios Using Oppanol B – 50 at Concentration 8 g PIB/100 g Reaction Mixture. Microscopic Observations are Presented.

The Signature of the Sample	The Type of EC Used	Mass Ratio Core/EC	Microscopic Observation in Cyclohexane
A₁	N – 100	1 : 1	Spheric, Coated Particles, Partialy Agglomerated
B₁	N – 50	1 : 1	Spheric, Coated Particles, no Agglomeration
B₂	N – 50	2 : 1	Spheric, Coated Particles, Some EC Agglomerated
B₃	N – 50	1 : 1,5	Spheric, Coated Particles, no Agglomeration
C₁	N – 22	1 : 1	Nonregular Shapes, Coated Particles, no Agglomeration
C₂	N – 22	2 : 1	Nonregular Shapes, Coated Particles, partially Agglomerated Product
C₃	N – 22	1 : 1,5	Nonregular Shapes, Coated Particles, no Agglomeration
D	N – 7	1 : 1	Nonregular Shapes, Coated Particles, partially Agglomerated

Table 3: Average Diameters of Microcapsules. The Logharitms with Standard Deviations and Antilogharitms of Average Values are Given.

MICROCAPSULES	AVERAGE DIAMETER		
	log d	+ log s	d (μm)
B ₃	2,337	0,359	217
B ₂	2,396	0,377	249
C ₃	2,419	0,255	262
C ₂	2,549	0,352	354

Table 4: Results of Chi – square Tests for Log – normal Distribution of Microcapsules Prepared with Different Ethylcelluloses.

$X_T^2 = 9,94$; NS = Non Significant Differences.

Sample	Calculated Value for X_T^2
B ₂	0,656 (NS)
B ₃	0,519 (NS)
C ₂	0,397 (NS)
C ₃	0,689 (NS)

Table 5: Content of Bacampicillin in Different Microcapsules

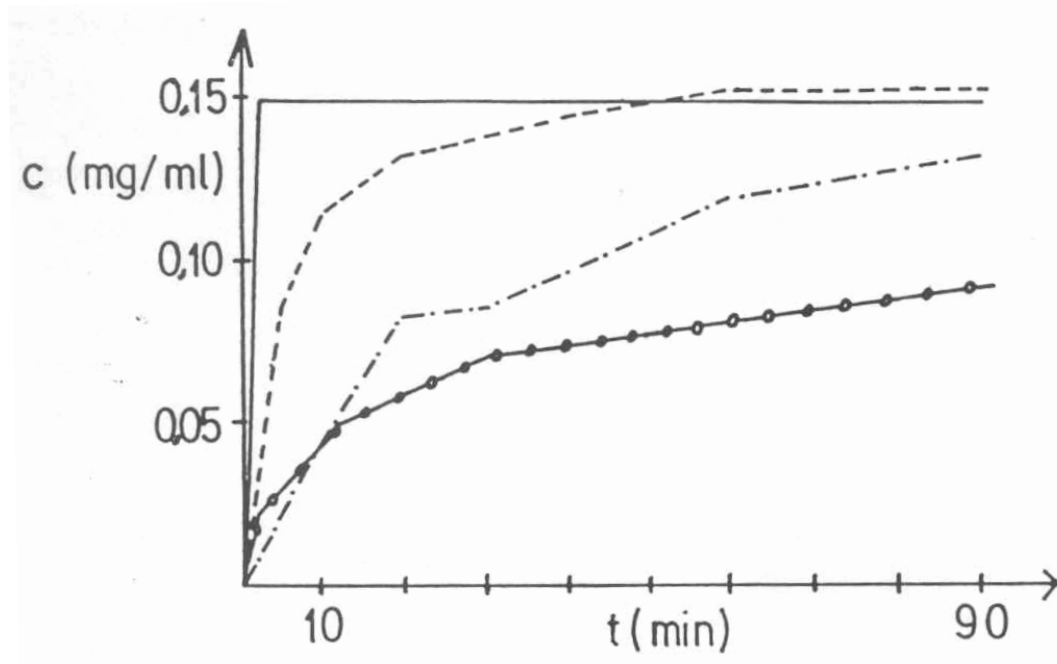
Microcapsules (Sample)	Determined % of the Drug (w/w)	Expected Values in % (w/w)
A₁	45,3	50,0
B₁	43,1	50,0
B₃	31,9	40,0
B₂	66,7	66,7
C₁	48,4	50,0
C₃	39,6	40,0
C₂	65,0	66,07
D	48,0	50,0

Table 6: Water Dissolution of Bacampicillin Microcapsules Samples Containing Approximately 150 mg of Bacampicillin.

conc. (mg/L)								
Time (min)	A ₁	B ₁	B ₂	B ₃	C ₁	C ₂	C ₃	D
0	0	0	0	0	0	0	0	0
2	54	30	/	22	18	/	78	/
5	88	26	130	29	40	82	111	30
8	/	37	/	37	61	/	117	/
10	111	/	134	/	/	113	/	66
12	/	54	/	49	88	/	132	/
15	119	63	139	54	99	123	137	73
20	130	83	140	70	/	132	/	97
30	/	/	142	/	119	/	139	112
40	/	97	144	75	/	144	/	121
60	133	119	147	82	157	151	144	123
90	/	131	157	92	/	/	/	149

/ - the concentration was not determined

Figure 1: The Dissolution Profiles of Bacampicillin itself and from Microcapsules. Presented are some Representative Sample.



- Legend:**
- Dissolution of Bacampicillin itself
 - · - · - · Dissolution of the Sample B₁, 300 mg were Weighed
 - ○ ○ ○ Dissolution of the Sample B₃, 375,30 mg were Weighed
 - - - - Dissolution of the Sample C₂, 462,30 mg were Weighed

Table 7: Correlation Coefficients for Linear Relationship of Zero Order, First Order, Higuchi and Hixon – Crowell Kinetics.

Kinetics	Samples							
	A ₁	B ₁	B ₂	B ₃	C ₁	C ₂	C ₃	D
0. order	0,885	0,914	0,523	0,882	0,914	0,849	0,741	0,818
1. order	0,989	0,989	0,900	0,962	0,987	0,992	0,903	0,986
$\sqrt{t}$ order	0,980	0,984	0,733	0,979	0,987	0,972	0,900	0,955
$\sqrt[3]{t}$ order	0,969	0,990	0,761	0,941	0,993	0,963	0,847	0,967

Table 8: The Values of Release Constants (Zero and First Order) with Correlation Coefficients.

Microcapsules	0. order $k_0 \cdot 10^2$	r_0	1. order $k_1 \cdot 10$	r_1
A₁	1,71	0,970	1,17	0,986
B₁	0,41	0,997	0,48	0,977
B₂	1,34	0,879	0,33	0,958
B₃	0,31	0,951	0,11	0,990
C₁	0,73	0,998	0,85	0,981
C₂	1,13	0,967	0,94	0,959
C₃	1,56	1,000	0,30	0,971
D	1,31	0,998	0,78	0,982