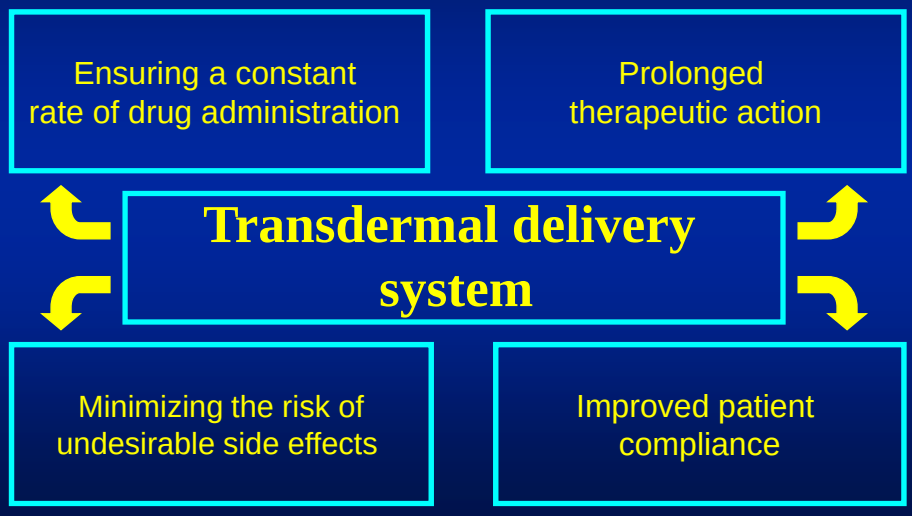
Research Institute of Transplantology and Artificial Organs
The research Center for Biomaterials

Drug pharmacokinetics during transdermal delivery system application

J.B. Basok

Advantages of transdermal delivery systems



1

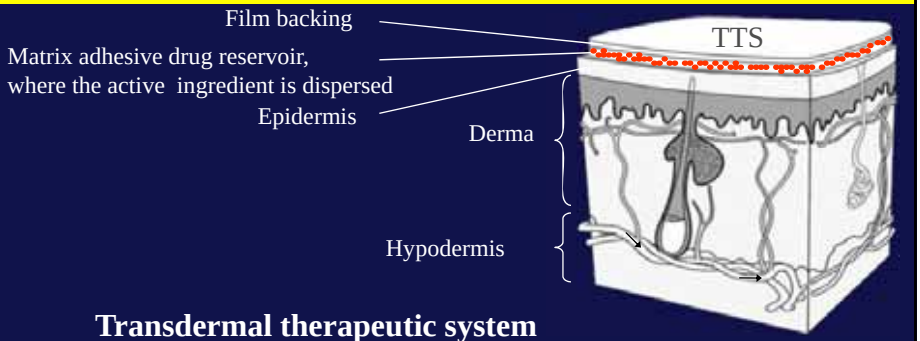
Purpose

Pharmacokinetic research of acetylsalicylic acid, chlorpropamide and acizol transdermal drug delivery systems.

Research Institute of Transplantology and Artificial Organs

Object of the research

Transdermal drug delivery systems	Area	Content of the drug in pharmaceutical dosage form
Acetylsalicylic acid (antiaggregating agent)	10 cm^2	50 mg
Chlorpropamide (hypoglycemic agent)		5 mg
Acizol (carbon monoxide antidote)		100 mg



Transdermal therapeutic system

Research Institute of Transplantology and Artificial Organs

2

Research tactics

Collection of blood samples

↓

Blood samples preparation (protein sedimentation, liquid drug extraction and concentrating of the extract)

↓

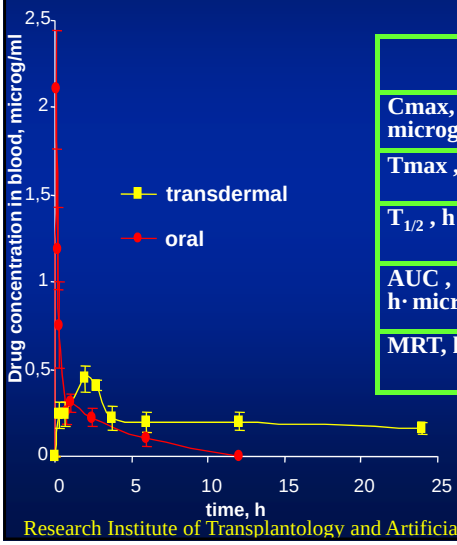
Drug concentration in samples were determined by means of high-performance liquid chromatography

↓

Pharmacokinetic parameters were obtained by non-compartmental analysis

Research Institute of Transplantology and Artificial Organs

Dynamics of acetylsalicylic acid concentration in experimental animals (n=12) blood after single transdermal and oral administration.



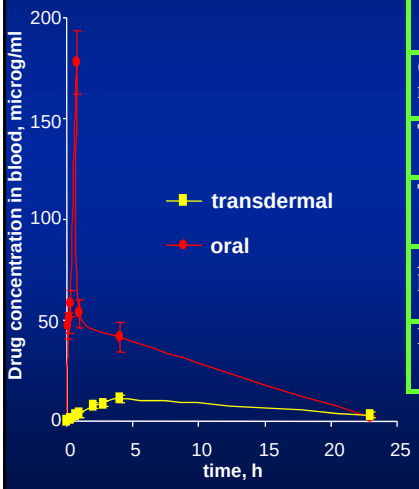
	Transdermal administration	Oral administration
Cmax, microg/ml	0,45±0,03	2,11±0,14
Tmax , h	2,01±0,50	0,08±0,02
$T_{1/2}$, h	7,26±1,05	1,71±0,39
AUC , h· microg /ml	5,06±0,97	1,88±0,24
MRT, h	10,48±1,13	2,46±0,23

Relative bioavailability = 2,7±0,6

Research Institute of Transplantology and Artificial Organs

3

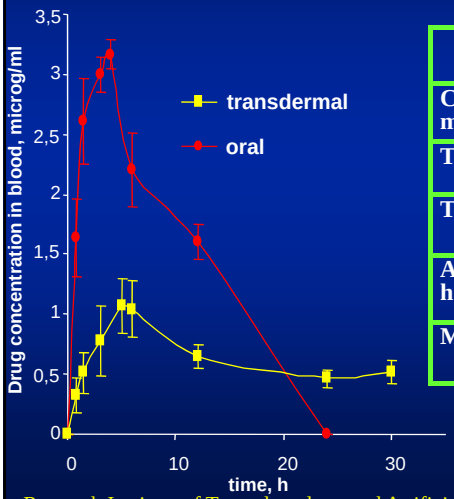
Dynamics of salicylic acid concentration in experimental animals (n=12) blood after single transdermal and oral administration of acetylsalicylic acid.



	Transdermal administration	Oral administration
Cmax, microg/ml	11,20±0,40	174,80±6,42
Tmax , h	4,00±1,35	0,75±0,12
$T_{1/2}$, h	5,07±0,74	2,59±0,37
AUC , h·microg/ml	163,36±4,9	656,78±54,39
MRT, h	7,32±0,97	3,74±0,51

Research Institute of Transplantology and Artificial Organs

Dynamics of chlorpropamide concentration in experimental animals (n=12) blood after single transdermal and oral administration.



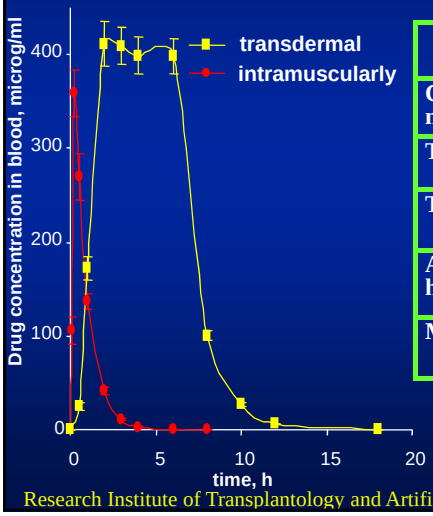
	Transdermal administration	Oral administration
Cmax, microg/ml	1,24±0,04	3,16±0,17
Tmax , h	5,00±0,00	4,00±0,00
$T_{1/2}$, h	8,31±1,12	5,08±0,56
AUC , h·microg/ml	19,30±3,41	37,95±2,22
MRT, h	11,99±1,00	7,33±0,08

Relative bioavailability = 0,8±0,2

Research Institute of Transplantology and Artificial Organs

4

Dynamics of acizol concentration in experimental animals (n=180) blood after single transdermal and intramuscularly administration.



	Transdermal administration	Intramuscularly administration
Cmax, microg/ml	415,80±36,66	358,16±60,55
Tmax , h	3,67±1,37	0,25±0,00
$T_{1/2}$, h	3,11±0,03	0,61±0,01
AUC , h· microg/ml	2637,60±218,83	349,47±63,85
MRT, h	4,48±0,05	0,89±0,01

Relative bioavailability = 7,8±1,6

Research Institute of Transplantology and Artificial Organs

CONCLUSIONS:

1. **Transdermal administration of examined medicines provides constant drug concentration in blood for prolonged time interval (to 27 hours).**

2. **The elimination half-life and mean residence time during transdermal drug delivery systems application are higher in comparison with traditional routes of drug administration.**

Research Institute of Transplantology and Artificial Organs

5

CONCLUSIONS:

3. **Acetylsalicylic acid and chlorpropamide peak plasma concentrations were higher and acizol plasma concentration was significantly equal in comparison with traditional routes of drug administration.**

4. **Acetylsalicylic acid and acizol bioavailability increased in (2,7±0,6) and (7,8±1,6) times accordingly, and chlorpropamide bioavailability was significantly the same (0,8±0,2) in case of transdermal administration as compared with traditional routes of medicine administration.**