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Some Pharmacokinetic Parameters of Ampicyline

Niektóre parametry farmakokinetyczne ampicyliny

Некоторые фармакокинетические параметры ампициллина

Dynamic development of pharmacokinetics makes it possible to use pharmacokinetic parameters more and more widely in planning therapy with antibiotics. Basis of the study are determinations of concentrations or quantities of the unaltered therapeutic substance of the antibiotic or its metabolites in body fluids.

The study aimed at determining some pharmacokinetic parameters of the antibiotic ampicyline produced in Tarchomin Pharmaceutic Works "Polfa" in the form of tablets containing 250 mg of active substance each (examination series no 141277).

MATERIAL AND METHODS

Calculations of pharmacokinetic parameters were performed on the basis of an unicompartmental open model of kinetics according to the authors' recommendations (1, 2, 3, 4). Calculations were performed on the basis of concentration parameters of the assessed antibiotic in blood serum in 11 healthy men — probands selected according to generally accepted principles, after administration on empty stomach, adorally a single 250 mg dose of the antibiotic. Blood samples were taken at the so-called 0-hour and after 30 and 45 min., and also 1, 2, 3, 4, 5, 6, 8, 10, 12 hours after administration of the drug. Ampicyline concentration in blood serum was determined by the diffusion method in agar by means of an adequate standard strain.

Basing on the results obtained in that way there were calculated:

(a) — constant velocity of resorption (K_a),
(b) — constant velocity of elimination ((K),
(c) — biologic period of half duration ($t_{0,5}$),
(d) — distribution volume (V_d),
(e) — time after which maximum concentration in blood serum is reached (t_p),
(f) — maximum concentration in blood serum ($C_{max.}$),
(g) — retardation of absorption (T_0),
(h) — area below the curve of drug concentration changes in the blood (AUC).

For each calculated parameter were given: range of values (from-to), mean value, standard deviation.

RESULTS AND DISCUSSION

The obtained results of ampicyline concentrations in blood serum of individual probands after a single adoral administration of the dose at the examined time intervals are set up in Table 1.

A graphic comparison of the obtained concentration values is presented in Fig. 1 by plotting separate curves for each examined person.

Using accepted systems of mathematic formulas (1) individual pharmacokinetic parameters for the examined antibiotic were calculated and set up in Table 2.

Knowledge of ampicyline's determined pharmacokinetic parameters lets us improve treatment with this antibiotic and its rational planning in adequate dosage diagrams with regard to patient's needs as well as to etiologic sensitivity of bacterial factor.

Table 1. Measurements of the examined antibiotic (ampicyline) concentrations in blood serum of adequately selected group of probands, after a single adoral administration of 250 mg of the antibiotic

No. proband	Concentration in blood serum /μg/ml/											
	Minutes			Hours								
	0	30	45	1	2	3	4	5	6	8	10	12
1	0	0	0.40	1.25	1.87	1.56	0.74	0.28	0.15	0	0	0
2	0	0	0	0.28	1.25	1.87	1.12	0.62	0.21	0	0	0
3	0	1.50	3.80	4.0	3.00	1.82	0.62	0.36	0	0	0	0
4	0	0	0.57	3.0	7.50	4.00	1.00	0.50	0.30	0	0	0
5	0	0.63	1.20	2.1	2.50	2.10	1.20	0.63	0.30	0	0	0
6	0	0	0.20	0.82	2.10	1.90	1.20	0.94	0.20	0	0	0
7	0	0.63	1.90	2.50	3.10	2.50	1.56	0.94	0.31	0	0	0
8	0	0	0	0	3.75	1.90	0.94	0.63	0.30	0	0	0
9	0	0.94	2.20	5.00	2.50	2.20	1.25	0.62	0.31	0	0	0
10	0	3.34	4.20	4.60	3.18	2.50	1.25	0.62	0	0	0	0
11	0	0	2.50	5.00	4.50	3.20	1.25	0	0	0	0	0

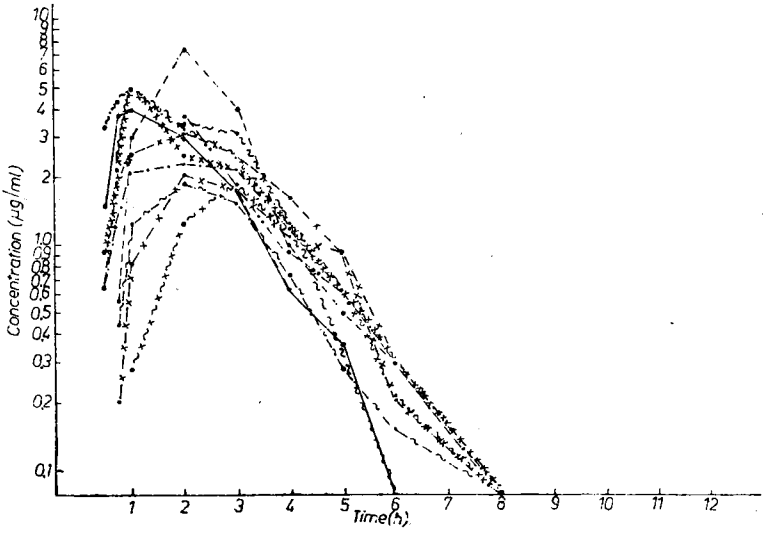

Fig. 1. Ampicyline concentration in blood serum of probands

Table 2. Pharmacokinetic parameters of ampicyline

Assessed parameter	Range of values /min.-max./	Mean value	Standard deviation
Constant velocity of resorption /K_a/	1.399-3.016 /h^{-1}/	1.805 /h^{-1}/	0.585
Constant velocity of elimination /K/	0.347-1.040 /h^{-1}/	0.601 /h^{-1}/	0.272
Biologic period of half-duration /$t_{0.5}$/	0.666-1.997 /h/	1.231 /h/	0.451
Distribution volume /V_d/	2.759-49.950 /l/	22.708 /l/	14.728
Time after which maximum concentration in blood serum is reached /t_p/	0.645-1.255 /h/	0.913 /h/	0.223
Value of maximum concentration in blood serum /C_{max}/	1.87-7.50 /µg/ml/	3.75 /µg/ml/	1.724
Retardation of absorption /T_o/	0.391-0.968 /h/	0.652 /h/	0.182
Area below the curve of drug concentration changes in the blood /AUC/	14.424-87.115 /µg/ml·h/	29.484 /µg/ml·h/	18.875

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STRESZCZENIE

Przedstawiono metodykę i wyniki oznaczeń niektórych parametrów farmakokinetycznych antybiotyku ampicyliny. Badania przeprowadzono w grupie odpowiednio dobranych probantów po jednorazowym doustnym podaniu 250 mg antybiotyku. Oznaczenia wykonano w kolejnych przedziałach czasowych od 0 do 12 godz.

РЕЗЮМЕ

В данной работе представлено методику и результаты определений некоторых фармакокинетических параметров антибиотика ампициллина. Исследования проводились в группе соответственно подобранных пробандов. Производилось однократное пероральное введение 250 мг антибиотика. Определения производились в очередных промежутках времени от 0 до 12 часов.