Pharmacokinetic of Gabapentin 600 mg Tablet in Thai Healthy Subjects

Supeecha Wittayalertpanya MSc*,
Sumana Chompootaweep MD*, Nongnuch Thaworn MSc*,
Wondee Khemsri BSc*, Nantaporn Prompila MSc**,
Nonlanee Sayankuldilok BSc**, Wasan Punyasang MSc***

** Department of Pharmacology, Faculty of Medicine, Chulalongkorn University, Bangkok, Thailand*
*** Chula Pharmacokinetic Research Center, Faculty of Medicine, Chulalongkorn University, Bangkok, Thailand*
**** Clinical Epidemiology Unit, Faculty of Medicine, Chulalongkorn University, Bangkok, Thailand*

Background: *Gabapentin is an antiepileptic drug. It is structurally similar to γ-aminobutyric acid (GABA), which crosses the blood-brain barrier. Gabapentin is absorbed into the blood by the L-amino acid transport system. The oral bioavailability of gabapentin displays dose-dependence. Plasma concentrations of gabapentin are not directly proportional to dose. Therefore, pharmacokinetic of gabapentin is essential for patients who have to receive gabapentin 600 mg.*
Objective: *To investigate the pharmacokinetic of gabapentin 600 mg in Thai healthy subjects.*
Material and Method: *The present study was performed on 24 healthy Thai male subjects who received a single oral dose of 600 mg gabapentin tablet. Serial blood samples were collected before and to 48 hours after drug administration. Plasma gabapentin concentrations were determined by automated High Performance Liquid Chromatography (HPLC) with UV detector after deproteinized with acetonitrile followed by derivatization with 1-fluoro-2,4-dinitrobenzene. The relevant pharmacokinetic parameters were determined.*
Results: *The mean values of pharmacokinetic parameters (mean ± SD) were 3.17 ± 0.80 hour (1.5 to 5.0 hour) for T_{max}; 4,853.58 ± 1,369.67 ng/ml for C_{max}; 0.11 ± 0.02 hour^{-1} for K_{el}; 6.62 ± 1.87 hour (4.89 to 11.41 hour) for $T_{1/2}$; 47,712.88 ± 12,853.61 ng.hour/ml for AUC_{0-t}, 48,713.20 ± 12,909.78 ng.hour/ml for AUC_{0-inf}, 5.24 ± 1.32 L/hour for Cl, and 49.28 ± 15.98 L for Vd.*
Conclusion: *The data show the pharmacokinetic parameters of gabapentin 600 mg. These data should be used to support the assignment of therapeutic purposes for patients who have to receive gabapentin 600 mg.*

Keywords: *Gabapentin, Pharmacokinetic*

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Gabapentin is an antiepileptic drug that is structurally similar to γ–aminobutyric acid (GABA)[1,2]. GABA is a major inhibitory neurotransmitter in the human brain that does not cross the blood-brain barrier[3]. Gabapentin has a cyclohexane molecule system and is able to pass through the blood-brain barrier[4,5]. Gabapentin is approved for treatment of partial seizures with or without secondary generalization[2,5]. The mechanism of action of gabapentin is increasing of GABA concentration in the brain[4,6] by enhancing the activity of the synthetic enzyme glutamic acid decarboxylase (GAD), thereby increases GABA synthesis from glutamate, and decreases the breakdown by GABA decarboxylase[1,4]. The drug is absorbed into the blood stream through the small intestine by the L-amino acid transport system which is also expressed at the blood-brain barrier and in the nervous system[7]. Because the L-amino acid transport system is capacity limited, gabapentin displays dose-dependent bioavailability[2,8].

After oral administration, gabapentin is rapidly absorbed from the small intestine[9]. Maximum plasma gabapentin concentrations (C_{max}) are reached at 3 to 3.2 hours that is measured 2.7 to 2.99 mg/L after ingestion of a single 300 mg capsule. As a result of dose-dependent bioavailability of gabapentin, C_{max}

Correspondence to:
Wittayalertpanya S, Department of Pharmacology, Faculty of Medicine, Chulalongkorn University, Bangkok 10330, Thailand.
Phone: 0-2256-4481
Email: supeechas@hotmail.com

increases less than threefold when the dose is tripled from 300 to 900 mg[1]. The gabapentin bioavailability of a 300 mg dose is approximately 60%, for 600 mg dose is 40% and dose of 1,600 mg three times daily reduces to 35%[1,9]. A volume of distribution of gabapentin is approximately 60 L. Gabapentin is not bound to plasma proteins, not metabolized in the liver; it is eliminated by renal excretion of the unchanged parent compound in urine. Renal clearance of gabapentin is approximately 130 ml/min[10]. An elimination half-life of gabapentin is 5 to 7 hours in healthy subjects[10,11] but it is longer in patients with renal impairment because impaired renal function results in higher gabapentin concentrations[9].

Gabapentin is well tolerated with few serious adverse effects. The most common side effects of gabapentin are somnolence, fatigue, dizziness, and ataxia. As for the most serious adverse effect, it is convulsion[1]. Gabapentin has no significant drug interactions with other antiepileptic drugs and no change detected in its pharmacokinetics by co-administration of other antiepileptic drugs because gabapentin is not protein binding, hepatic metabolism and inhibits or induces hepatic microsomal enzymes[1,3].

It is recommended to initial gabapentin dosage at 300 mg and increase within three days to 900 mg/day. The maintenance dose remains between 900 and 2,400 mg daily, divided by the administrator into three times a day[1,11]. Dosage at 2,400 mg/day are used for the benefit of epilepsy in adults and children > 12 years[1]. In patients with impaired renal function must be reduced dosages of gabapentin because plasma gabapentin concentration is increased and maintained longer than in patients with normal renal function[3].

The absorption of gabapentin is saturable and the drug is not directly proportional between the dose and plasma concentration. Monitoring of gabapentin levels for pharmacokinetic data is essential to support the assignment of therapeutic purposes for patients who have to receive gabapentin 600 mg.

Material and Method

Subjects

The present study was approved by the Ethics Committee of the Faculty of Medicine, Chulalongkorn University with certificate no.312/2009. Twenty-four healthy Thai male subjects aged between 18 and 45 years were recruited into the present study. All subjects have normal body built with BMI between 18 to 25 kg/m^2. All subjects were in good health, as confirmed by physical and clinical laboratory examinations including serology, hematology, and biochemical tests. The examinations were investigated by the Department of Laboratory Medicine, King Chulalongkorn Memorial Hospital, certified by ISO15189. None of them was allergic to gabapentin. All subjects abstained from intake of other drugs and alcoholic preparations two weeks prior to and throughout the present study. Caffeine containing beverage was not allowed for three days prior to and throughout the present study. The methods and condition of the present study were clearly explained to all subjects. Informed consent was obtained from each subject prior to entering the experiment. At least eight weeks before the first treatment, the subjects were not allowed to donate blood or participate in any other clinical trial. The subjects who had cigarette smoking, alcoholic intake, and caffeine intake habit were excluded.

The subjects were requested to report all adverse events at baseline (predose), during and after drug intake, the subjects were inquired about adverse events by the medical staff. All adverse events encountered during the clinical study were reported on the case report form. The severity of the adverse events was graded on a three-point scale (mild, moderate and severe) and reported in details as indicated on the case report form.

Study design

Each subject was prepared in a fasted state approximately eight hours prior to the present study. They received a single oral dose of 600 mg gabapentin tablet (product of Pfizer (Thailand) Limited) with 200 ml of water. On the present study day, a standardized light lunch was consumed after the blood sampling at four hours. Blood samples were collected immediately before and 0.5, 1.0, 1.5, 2.0, 2.5, 3.0, 3.5, 4.0, 5.0, 6.0, 9.0, 12.0, 24.0, 32.0, and 48.0 after the drug intake. The plasma was separated by centrifugation and stored at -70°C until analysis.

Chemicals and reagents

The standard gabapentin and amlodipine (internal standard) were supplied by Biolab Co., Ltd, Thailand; 1-fluoro-2, 4-dinitrobenzene was purchased from Fluka. Acetonitrile was purchased from Lab-Scan. Potassium phosphate monobasic was purchased from Riedel-de Haen. Hydrochloric acid and *o*-phosphoric acid were obtained from Merck. Boric acid was obtained from AnalaR®.

Analytical method validation

Analytical method of validation of the pre-study and study phase was modified from the method described by Guidance of industrial: Bioanalytical method of validation (US Department of Health and Human series FDA, CDER, CVM. May 2001, BP)[12].

Sample preparation and HPLC system

All plasma samples were determined by a modification of HPLC assay as described previously by Jalalizadeh H et al[13] 500 µl of plasma was added with 10 µl of 700 µg/ml amlodipine (internal standard). The sample was deproteinized by 1 ml acetonitrile and centrifuged at 4,000 rpm for 10 min; 1 ml supernatant was added with 50 µl of 0.25 M borate buffer (pH 10) and 15 µl of 20 mg/ml 1-fluoro-2, 4-dinitrobenzene. After brief mixing on vortex mixer, the mixture was kept in dark at 65°C for 30 min. After cooling at room temperature, the reaction was stopped by adding 20 µl of 2.6 M HCl and 100 µl mixture was injected into the HPLC system.

The Shimadzu-HPLC system consists of LC-20AB model of liquid chromatography pump, SIL-20 model of an autosampler set at 20°C, CTO-20AC model of column oven set at 40°C, and SPD-20A model of UV/Vis detector set at wavelength 360 nm. The separation of gabapentin and amlodipine were performed on a Phenomenex® Luna C18 100A column (5 µm particle size and 4.6 x 250 mm). The mobile phase and flow rate were gradient programs consisting of 63 to 68% (v/v), acetonitrile, with 20 mM potassium phosphate monobasic (adjusted to pH 3.5 with *o*-phosphoric acid) and 1.0 to 1.5 ml/min, respectively. The analysis was investigated in Chula Pharmacokinetic Research Center, Faculty of Medicine, Chulalongkorn University, certified by ISO17025.

Pharmacokinetic parameter

The pharmacokinetic parameters were determined from individual plasma concentration versus time curve of gabapentin including time to peak plasma concentration (T_{max}), peak plasma concentrations (C_{max}), elimination rate constant (Kel), elimination half-life ($T_{1/2}$), area under the plasma concentration-time curve (AUC_{0-t} and AUC_{0-inf}), clearance (Cl) and volume of distribution (Vd). C_{max} and t_{max} were directly taken from the individual concentration versus time data. $T_{1/2}$ was calculated by the equation of 0.693/Kel. Kel was the slope of elimination phase calculated by the equation lnC_1-lnC_2/t_1-t_2. The area under the concentration versus time curve (AUC_{0-last}) was calculated by the linear trapezoidal rule and extended to infinite time. AUC_{0-inf} was calculated to add c^*/λ ; (c^* = last concentration). The Cl was calculated from the equation of F*dose/AUC_{0-inf} with the bioavailability (F) = 40% as reported by a previous study[1,9]. The Vd was calculated from the equation Cl/Kel.

Results

Twenty-four male subjects were enrolled in the present study followed by inclusion and exclusion criteria of the protocol and judged to be healthy based on physical examination, medical history, vital sign, and clinical laboratory tests. Demographic and clinical laboratory data of all subjects are shown in Table 1 and 2. All subjects completed the present study without any serious adverse event. The results of all parameters were summarized in term of mean ± standard deviation (SD) and range.

Table 1. Demographic data of 24 subjects enrolled in the study

Parameters	Mean ± SD	Range
Age (years)	27.75 ± 8.01	21-43
Body weight (kg)	66.49 ± 6.59	50.3-79.0
Height (cm)	171.80 ± 5.63	161.5-185.0
Body mass index (kg/m^2)	22.50 ± 1.61	18.93-24.97
Systolic blood pressure (mmHg)	114.38 ± 7.42	100-130
Diastolic blood pressure (mmHg)	74.17 ± 6.02	70-90
Heart rate/min	69.88 ± 5.41	64-80

Table 2. Mean clinical laboratory data of 24 subjects

Parameters	Mean ± SD	Normal values
Hemoglobin (g/dl)	14.74 ± 1.04	12.0-18.0
Hematocrit (%)	42.86 ± 2.54	37.0-54.0
FBS (mg/dl)	88.63 ± 8.97	70-110
BUN (mg/dl)	12.63 ± 3.31	10-20
Creatinine (mg/dl)	0.96 ± 0.11	0.5-1.2
SGOT (U/L)	20.21 ± 5.11	0-38
SGPT (U/L)	18.00 ± 7.00	0-38
Alkaline phosphatase (U/L)	64.67 ± 16.98	39-117
Anti HIV	Negative	Negative
Anti HBsAg	Negative	Negative
Urinalysis	Normal	Normal

The method demonstrated high selectivity, which had no interference from endogenous or solvent. It can detect the lowest concentration at 50 ng/ml with accuracy and precision not exceed 20% deviation. Accuracy was presented within the acceptance range (85 to 115%). The percentages of coefficient of variation in intra-day and inter-day assay were also within the acceptance range (% CV < 15). That showed good valid in accuracy and precision. The standard curve covered the range of human plasma concentration of gabapentin dosage 600 mg following good linearity with the coefficient of determination (R^2) closed to 1. Standard gabapentin spiked in plasma had been well stable within 12 weeks long-term interval or even short-term stability, post-preparative stability and three cycles of freeze and thaw.

The plasma gabapentin concentrations at each sampling timed up to 48 hours following a single oral dose of 600 mg were determined. The graphic profile curve of mean plasma gabapentin concentration vs. time is shown in Fig. 1. The pharmacokinetic parameters including time-to-peak plasma concentration (T_{max}), peak plasma concentrations (C_{max}), elimination rate constant (Kel), elimination half-life ($T_{1/2}$), area under the plasma concentration-time curve (AUC_{0-t} and AUC_{0-inf}), clearance (Cl) and volume of distribution (Vd) were estimated. The mean values of those parameters (mean ± SD) are shown in Table 3.

Table 3. Comparison of pharmacokinetic parameters (mean ± SD) of gabapentin 600 and 300 mg in healthy Thai subjects

Parameters	Gabapentin 600 mg* (n = 24)	Gabapentin 300 mg[16]** (n = 20)
T_{max} (hr)	3.17 ± 0.80	3.18 ± 0.8
C_{max} (□g/ml)	4.85 ± 1.37	3.26 ± 0.62
Kel (hr^{-1})	0.11± 0.02	0.13± 0.02
$T_{1/2}$ (hr)	6.62 ± 1.87	5.34 ± 0.78
AUC_{0-t} (□g.hr/ml)	47.71 ± 12.85	27.63 ± 6.45
AUC_{0-inf} (□g.hr/ml)	48.71 ± 12.91	29.81 ± 6.33
CL (L/hr)	5.24 ± 1.32	6.04 ± 1.30
Vd (L)	49.28 ± 15.98	45.57 ± 10.46

* The product was Neurontin 600 mg tablet (Pfizer (Thailand) Limited)

** The product was Neurontin 300 mg capsule (Pfizer (Thailand) Limited)

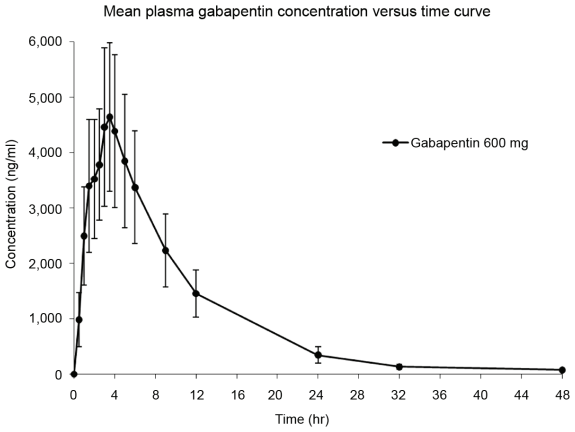

Fig. 1 Mean (± SD) plasma gabapentin concentration-time curve after a 600 mg single oral dose (n = 24)

Discussion

Gabapentin is an antiepileptic drug, structurally related to γ-aminobutyric acid (GABA) which crosses the blood-brain barrier. Gabapentin is approved for treatment of partial seizures with or without secondary generalization[2,5] by increasing the GABA concentration in the brain[4,6]. Gabapentin is absorbed by the L-amino acid transport system from the small intestine into the blood[2,7], and the drug is widely distributed throughout the body[3]. Gabapentin is not metabolized in the human body, and it is eliminated unchanged by renal excretion into the urine[1].

It is already well known that time-to-peak plasma concentration (T_{max}) and peak plasma concentration (C_{max}) show evidences that involve the rate of drug absorption and area under the plasma concentrations (AUC_{0-t} and AUC_{0-inf}) are prominent parameters that indicate whole drug existing in the body or the extent of drug absorption into the systemic circulation[17]. The present study shows rapid absorption with time-to-peak plasma concentration (T_{max}) of 3.17 ± 0.80 hr after 600 mg single oral dose of gabapentin and peak plasma concentrations (C_{max}) was 4,853.58 ± 1,369.67 ng/ml. The comparative data of T_{max} and C_{max} with those of the Caucasians are shown in Table 4. The study in Thailand has a slightly slower time-to-peak plasma concentration than the study in Canada, but the peak plasma concentration was found to be slightly higher than the studies in Canada[15] and United Kingdom[14]. AUC_{0-t} and AUC_{0-inf} meaning the extent of drug absorbed into the systemic circulation found higher than the present study in the United

Table 4. Comparison of pharmacokinetic parameters (mean ± SD) of gabapentin 600 mg tablet in Thai, United Kingdom and Canada subjects

Parameters	Thailand (n = 24)*	United Kingdom[14]**	Canada (n = 30)[15]***
T_{max} (hr)	3.17 ± 0.80	-	3.32 ± 1.17
C_{max} (ng/ml)	4,853.58 ± 1,369.67	4,384.92 ± 858.53	4,462.40 ± 1,253.73
$T_{1/2}$ (hr)	6.62 ± 1.87	-	7.84 ± 2.07
AUC_{0-t}(ng.hr/ml)	47,712.88 ± 12,853.61	42,617.78 ± 7,950.87	47,578.31 ± 4,738.15
AUC_{0-inf}(ng.hr/ml)	48,713.20 ± 12,909.78	45,440.49 ± 1,483.91	48,623.75 ± 4,675.08

* The product was Neurontin 600 mg tablet (Pfizer (Thailand) Limited)
** The product was Neurontin 600 mg Film-Coated Tablet (Pfizer, Germany)
*** The product was Neurontin™ 600 mg tablet manufactured by Parke-Davis, Division of Warner-Lambert Canada Inc., and purchased in Canada

Kingdom but almost equal to the present study done in Canada.

The authors' previous study in Thailand showed the rate and extent of absorption after 300 mg single oral dose of gabapentin, as presented in Table 3. The results of plasma gabapentin concentration after single oral dose between 300 and 600 mg were not directly proportional to the administrated doses. Possibly, it resulted from the saturation of the carrier transporting gabapentin into the blood. Because the drug is directly absorbed into the blood stream through the small intestine by the L-amino acid transport system which has limited capacity[2]. The transport system is reduced and saturable at higher doses, therefore plasma concentrations of gabapentin are non-linear relationship between dose and plasma concentration[2,3]. They depend on the saturation of the carrier transporting gabapentin, and have the effect of reducing bioavailability with increasing doses[8,9]. The bioavailability of 300 mg dose is approximately 60%, that of 600 mg dose is 40%; and, the dose of 1,600 mg three times daily is reduced to 35%[1,9]. Gabapentin is proposed at 5 g per day as the maximum dose that could be absorbed[2].

Gabapentin is non-protein binding, it is not metabolized in human; it is excreted unchanged in the urine through the kidneys[2,18]. The elimination half-life ($T_{1/2}$), clearance (Cl) and volume of distribution (Vd) of gabapentin in the present study were found as 6.62 ± 1.87 hour, 5.24 ± 1.32 L/hour and 49.28 ± 15.98 L, respectively. A previous study reported 5 to 7 hour for $T_{1/2}$, 7.8 L/hour for Cl and 60 L for Vd[10].

Pharmacokinetic parameters of 600 mg single oral dose of gabapentin were characterized in healthy Thai male subjects. Some of the parameters in the present study were different from the previous reports and the rate and extent of absorption after single oral dose of gabapentin showed non-linear relationship between the dose and plasma concentration. These data may support the assignment of therapeutic purposes. Therefore, the data should be useful in Thai patients who have to receive gabapentin 600 mg.

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Potential conflicts of interest

Funding from Biolab Co., Ltd.

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เภสัชจลนศาสตร์ของยาเม็ดกาบาเพนติน 600 มิลลิกรัม ในอาสาสมัครไทยสุขภาพดี

สุพีชา วิทยเลิศปัญญา, สุมนา ชมพูทวีป, นงนุช ถาวร, วันดี เข็มศรี, นันทพร พรมพิลา, นนลนีย์ สายัณห์กุลดิลก, วสันต์ ปัญญาแสง

ภูมิหลัง: *กาบาเพนตินเป็นยากันชักที่มีสูตรโครงสร้างคล้ายสารกาบาและผ่านเข้าสู่สมองได้ กาบาเพนตินถูกดูดซึมเข้าร่างกายด้วยการขนส่งตามระบบของกรดอะมิโน ค่าชีวประสิทธิผลเมื่อให้ยาในรูปรับประทานแปรผันตามขนาดยาที่ให้ ส่วนค่าระดับยาในร่างกายไม่แปรตามขนาดยาที่ให้ ดังนั้นการศึกษาเภสัชจลนศาสตร์ของยานี้ในขนาด 600 มิลลิกรัม ซึ่งเป็นขนาดที่ใช้กันทั่วไปจึงมีความสำคัญ*

วัตถุประสงค์: *เพื่อหาค่าทางเภสัชจลนศาสตร์ของยากาบาเพนตินขนาด 600 มิลลิกรัมในอาสาสมัครไทยสุขภาพดี*

วัสดุและวิธีการ: *ทำการศึกษาในอาสาสมัครชายไทยสุขภาพดี 24 ราย แต่ละรายจะได้รับยาเม็ดรูปรับประทานกาบาเพนตินขนาด 600 มิลลิกรัม อาสาสมัครจะถูกเจาะเลือดเป็นระยะ ๆ ที่เวลาก่อนและหลังรับประทานยาจนถึง 48 ชั่วโมง วัดระดับยาในตัวอย่างพลาสมาด้วยวิธีเอชพีแอลซีโดยใช้อัลตราไวโอเลต ตรวจวัดหลังจากสกัดยาด้วยอะซีโตรไนไตรและเติมสาร 1-ฟลูโอโร-2, 4-ไดไนโตรเบนซิน เพื่อให้สารสามารถตรวจวัดได้ และวิเคราะห์หาค่าทางเภสัชจลนศาสตร์โดยวิธี non compartment model*

ผลการศึกษา: *ผลค่าทางเภสัชจลนศาสตร์ ได้แก่ เวลาที่ระดับยาสูงสุดในเลือด (T_{max}) เท่ากับ 3.17 ± 0.80 ชั่วโมง (1.5-5.0 ชั่วโมง) ระดับยาสูงสุดในเลือด (C_{max}) เท่ากับ 4,853.58 ± 1,369.67 นาโนกรัมต่อมิลลิลิตร ค่าคงที่ในการกำจัดยา (Kel) เท่ากับ 0.11 ± 0.02 ชั่วโมง$^{-1}$ ค่าครึ่งชีวิตของยา ($T_{1/2}$) เท่ากับ 6.62 ± 1.87 ชั่วโมง (4.89-11.41 ชั่วโมง) ค่าพื้นที่ใต้กราฟตั้งแต่เวลา 0-48 ชั่วโมง (AUC_{0-t}) เท่ากับ 47,712.88 ± 12,853.61 นาโนกรัม.ชั่วโมงต่อมิลลิลิตร และค่าพื้นที่ใต้กราฟตั้งแต่เวลา 0-อินฟินิตี เท่ากับ 48,713.20 ± 12,909.78 นาโนกรัม.ชั่วโมงต่อมิลลิลิตร ค่าเคลียลานซ์ (Cl) ของยาเท่ากับ 5.24 ± 1.32 ลิตรต่อชั่วโมง และปริมาตรการกระจายตัว (Vd) เท่ากับ 49.28 ± 15.98 ลิตร*

สรุป: *พารามิเตอร์ทางเภสัชจลนศาสตร์ของยากาบาเพนตินในอาสาสมัครไทยที่ได้รายงานนี้สามารถใช้เป็นข้อมูลในการพิจารณาการให้ยาในขนาดที่เหมาะสมแก่ผู้ป่วยที่ได้รับยานี้*