

# Patents for Pharmaceuticals

Japan Patent Office

Asia-Pacific Industrial Property Center, JIII

©2011

Collaborator: **Tetsuo TSUKANAKA**, Patent Attorney,  
Deputy President  
Sugimura International Patent & Trademark Attorneys

# CONTENTS

	Page
1. Introduction.....	1
2. Industrial Applicability.....	1
(1) Provisions and operation of the Japanese Patent Act .....	1
(2) Conditions in other countries .....	2
3. Usage Invention .....	3
4. Patent for pharmaceuticals in Europe.....	5
5. Patent for pharmaceuticals in the United States .....	6
6. Examination standards for "medicinal invention" .....	6
6-1 Description Requirements of the Description and the Claims .....	7
6-1-1 Claims .....	7
6-1-1-1 Article 36(6) (i) of the Patent Act.....	8
(1) Support requirements.....	8
(2) Concept of the support requirement in a medicinal invention .....	8
(3) Pharmacological test results (Pharmacological data) .....	8
(4) Supplement of pharmacological test results by written argument, etc. ....	9
(5) Incomplete description of pharmacological test results .....	9
(6) When the description of pharmacological test results is not required.....	9
6-1-1-2 Article 36(6) (ii) of the Patent Act.....	10
6-1-2 Detailed Explanation of the Invention .....	11
6-1-2-1 Enablement Requirement .....	11
6-1-3 Judgments .....	12
6-2 Requirements for Patentability .....	14
6-2-1 Industrial Applicability.....	14
6-2-2 Novelty .....	14
6-2-2-1 Basic concept .....	15
6-2-2-2 Methods of Judging Novelty.....	15
(1) Finding of a claimed medicinal invention.....	15

(2) Finding of an invention described in a publication.....	15
(3) Determining whether a claimed medicinal invention is novel.....	15
6-2-3 Inventive Step.....	19
6-2-3-1 Inventive Step regarding Medicinal Invention .....	20
(1) Finding of a claimed medicinal invention.....	20
(2) Finding of an invention described in a publication.....	20
(3) The judgment of the inventive step.....	20
6-2-3-2 Examples of Concrete Practices Regarding Judgment of Inventive Step.....	21
(1) Relationship between the medicinal use and the working mechanism .....	20
(2) Conversion of a medicine for animals other than human beings	
to a medicine for human beings .....	20
(3) Medicine formulated by combining two or more medicinal components.....	20
(4) Medicine characterized in the medicinal use of an application	
to a specific disease with a specific dosage and administration.....	26
6-2-4 Patent Act Article 29(2).....	29
6-2-4-1 Application of Patent Act Article 29-2 .....	30
(1) Finding of a claimed medicinal invention.....	30
(2) Finding of an invention described in an initial description, etc. of another application .	30
(3) The judgment of the requirement of “Patent Act Article 29-2” .....	30
6-2-4-2 Examples of Concrete Practices Regarding Judgment of Patent Act Article 29-2 .....	30
6-2-5 Patent Act Article 39.....	30
6-2-5-1 Application of Patent Act Article 39.....	31
(1) Finding of a claimed medicinal invention.....	31
(2) The judgment of the requirement of “Patent Act Article 39” .....	31
6-2-5-2 Examples of Concrete Practices Regarding Judgment of Patent Act Article 39.....	32
6-2-6 Judgments .....	32
7. System for extending the duration of a patent right .....	35
7-1 Purport of the system .....	37
7-2 Required disposition and effect of the extended patent right .....	37
(1) Approval under the Pharmaceutical Affairs Law .....	38
(2) Examination standards for extending the duration of a patent right.....	38
7-3 Judgments .....	39

## 1. Introduction

In the pharmaceutical industry, patent rights are extremely important. Developing medicines is a high-risk activity requiring huge cost, time, and labor, but once a successful medicine is developed and a patent on its active ingredients has been obtained, the developer can exclusively manufacture and distribute the medicine. For example, if an inventor develops a compound that can cure cancer and obtains a patent right for the invention of the medicinal compound, the inventor can obtain an exclusive right to handle the pharmaceutical through the patent right granted on the medicinal compound.

Accordingly, the pharmaceutical industry is highly interested in the examination of patent applications and wishes the standards for examining pharmaceutical patents to be made easily understandable.

Inventions related to pharmaceuticals are examined according to the published "Examination Guidelines for Patent and Utility Model in Japan", especially the standards concerning the requirements for patentability and descriptions described in "Part I: Description and Claims" and "Part II: Requirements for Patentability". Inventions related to pharmaceuticals are not exceptionally examined by a particular standard different from these examination standards.

However, there is a strong demand for a clear explanation of how judgments will be made when the general standards described in the "Examination Guidelines for Patent and Utility Model in Japan" are applied to pharmaceutical-related inventions. Therefore, to clarify how patent examinations are conducted, focusing on items requiring a specific judgment and the treatment of description requirements, novelty, and inventive step of pharmaceutical-related inventions, in April 2005, the Japan Patent Office newly established examination standards for "medicinal inventions" as Chapter 3, Part VII "Examination Guidelines for Inventions in Specific Fields" of the "Examination Guidelines for Patent and Utility Model in Japan". In October 2009, the examination standards for "medicinal inventions" were revised so that achievements in the development of methods of administering medicine could be widely protected by patents.

This document reviews pharmaceutical-related inventions from the viewpoint of industrial applicability and the use of inventions, in comparison with the systems in Europe and the United States, and then explains the standards for examining "medicinal inventions". The system of patent duration extension is also explained.

## 2. Industrial Applicability

### (1) Provisions and operation of the Japanese Patent Act

The main paragraph of Article 29 (1) of the Japanese Patent Act defines as follows:

"An inventor of an invention that is industrially applicable may be entitled to obtain a patent for the said invention."

In other words, "the invention needs to be industrially applicable" in order to be entitled to obtain a patent for the invention.

In actual Japanese patent examinations, "a method of diagnosing, treating, or conducting surgery on

the human body" is generally interpreted as a method of surgery, therapy, or diagnosis of humans conducted by a doctor, that is, a so-called "medical activity", which does not fall under "an industrially applicable invention". This is clearly defined as a category of inapplicable methods in "2.1.1 Methods of surgery, therapy or diagnosis of humans" of "2.1 List of Industrially Inapplicable Inventions" of Chapter 1 "Industrially Applicable Inventions" in Part II "Requirements for Patentability", Examination Guidelines for Patent and Utility Model in Japan.

Thus, in Japan, in the case of developing a chemical compound having an active ingredient of a medicine and applying for a patent for an invention on the newly developed medicinal compound as a method of treating a disease by administering the medicine, for example, as "a method of treating disease Y by administering chemical compound X", a patent cannot be obtained for this compound because it is industrially inapplicable.

In Japan, when a chemical compound having an active ingredient of a medicine is developed, a patent application is made for the invention for use in medicine, for example "a drug for treating disease Y including an active ingredient X". (Refer to "3. Usage Invention" below.)

The invention of "a drug for treating disease Y" is an invention of an object which is not categorized as a medical activity even though it is used for medical treatment. Thus, this application will not be refused for the reason that it does not fall under an industrially applicable invention.

## (2) Conditions in other countries

### (i) Europe

In the European Patent Convention, as in Japan, an invention should be industrially applicable in order to obtain a patent. Inventions related to medical activities such as treating or diagnosing methods are defined as industrially inapplicable (Article 52 (4) (i)).

The provision that inventions related to medical activities are not industrially applicable was revised in the revision convention in 2000, which came into effect in December 2007, to enhance conformity with the TRIPS Agreement, and was replaced with a new definition that medical activities such as methods of treatment or diagnosis are unpatentable cases (Article 53(c)) (Reference 1). Thus, inventions related to medical activities such as methods of treatment or diagnosis are conventionally unpatentable in Europe as in Japan, though the provision of the Convention has been changed. Therefore, for pharmaceutical-related inventions it is not possible to obtain a patent for an invention as a method of treating a disease by administering pharmaceuticals.

In Europe, when a chemical compound having an active ingredient of medicine is developed, a patent application is generally made for an invention as a chemical compound specifying a medicinal use, for example "compound X for use in treating disease Y".

(Reference 1: EPC Article 53: Exceptions to patentability)

European patents shall not be granted in respect of the following.

(a) and (b) are omitted.

(c) methods for treatment of the human or animal body by surgery or therapy and diagnostic methods practiced on the human or animal body; this provision shall not apply to products, in particular substances or compositions, for use in any of these methods.

(ii) United States

There is no provision about unpatentability in 35 U.S.C. So, an application related to an invention of a medical activity such as methods of treatment or diagnosis is examined according to patent requirements such as novelty, and is granted a patent right if there is no reason for refusal.

In the United States, when a chemical compound having an active ingredient of medicine is developed, a patent application is generally made for an invention as a method of treating a disease by administering medicine, for example "a method for treating disease Y by administering compound X to patients".

In the conventional legal system, the exercise of patent rights, such as the right to request an injunction, was approved for a patent regarding an invention of a medical activity like other cases. But when 35 U.S.C. was revised in 1996, in principle the effect of the patent right does not apply to medical activities conducted by doctors, etc., with some exceptions including biotechnology patents. (Reference 2)

(Reference 2: Summary of the revision of 35 U.S.C. in 1996)

- a. The principle of a patent right that precludes any actions by others who do not obtain a license was not changed. Accordingly, if medical activities conducted by doctors, etc. are included in the coverage of a patent right, then formally it will be judged as an infringement.
- b. It was clearly defined that a practitioner of medical activities shall be excluded from the scope of requesting an injunction or compensation for damage (35 U.S.C. 287 (c)(1)3).
- c. However, in the case of a biotechnology patent, etc., it was defined that the right to request an injunction or compensation for damage shall be applied to such patent if the patent is practiced by the mode of infringement, even if the case is a medical activity by a doctor (35 U.S.C. 287(c)(2)(A) 4).

### 3. Usage Invention

#### Idea of examination standards

In Japan, when a chemical compound having an active ingredient of a medicine is developed, a patent is applied for, for example, as "a drug for treating disease Y comprising the inclusion of active ingredient X".

This type of invention is called a "use invention". The following part explains this "use invention" in Japan.

In general, chemical compound X used to treat disease Y is the same chemical compound X which is used for other purposes. Accordingly, the invention of chemical compound X and the invention of chemical compound X for treating disease Y are determined to be identical. (Reference 3)

Thus, even if the chemical compound X is discovered to be useful for treating disease Y, it is unpatentable as an invention of chemical compound X.

However, in Japan, it is conventionally possible to express such invention as a "use invention" of "a drug for treating disease Y". The invention of "a drug for treating disease Y" is an invention of an object, which does not fall under medical activities and is industrially applicable.

A use invention is construed as an invention based on discovering an unknown attribute of a product and finding that the product is suitable for a new use due to the presence of such attribute. For example, if chemical compound X is discovered to have a medicinal action (newly discovered attribute) selectively destroying cancer cells, the invention is based on the discovery that the chemical compound X is useful as an active ingredient for treating cancer (novel use).

This "use invention" is the invention of an object. Furthermore, the invention of this "use invention", that is, the invention based on discovering an unknown attribute of a product and finding that the product is suitable for a new use due to the presence of such attribute, can have novelty as a "use invention", even though the product itself is well-known. (Reference 4)

In addition, a novel use typically applies when an object is first used to treat a disease or when an object is newly used as a drug for treating a disease for which it has not been used before, though not limited by this. Even if a use invention and a known medicinal invention are the same chemical compound having an active ingredient and a disease to be treated, if a specific usage or dose is discovered to be suitable for a new use based on the attributes of the compound, it can have novelty as an invention based on the discovery of the suitable new use.

In this case, the invention of chemical compound X and the invention of chemical compound X for treating disease Y are determined to be identical, as mentioned above. The use invention of medicine is generally described in claims in the following formats such as:

"A drug for treating cancer comprising chemical compound X as an active ingredient";

"A hypotensive drug comprising chemical compound Y"; and

"An antiallergenic drug comprising composition Z"

(Reference 3: Interpretation of a claim "chemical compound X for treating disease Y")

In general, a chemical compound with a limited use of "for ... ing" merely indicates its usefulness, and is interpreted as the chemical compound itself without any limited use (Reference judgment: Tokyo High Court, July 8, 1997 (1995 (Gyo-Ke) No. 27)). Thus, chemical compound X for treating disease Y is interpreted as chemical compound X itself.

(Reference 4: Provisions of the Patent Act and judgments about use invention)

The term "use invention" is not used in the Patent Act. In the provisions before revision, there was the phrase "an invention of an object whose specific characteristics are exclusively used", and this "invention" can be considered to be equivalent to "use invention".

In addition, the opinion adopted in the examination standards that "an invention based on discovering an unknown attribute of a product and finding that the product is suitable for a new use due to the presence of such attribute" can have novelty as a use invention even though the object itself

is well-known, was made in the following judgments.

Reference judgments: Tokyo High Court, April 25, 2001 (1998 (Gyo-Ke) No. 401), Tokyo District Court, October 23, 1992 (1990 (Wa) No. 12094), Tokyo High Court, July 13, 2000 (1998 (Gyo-Ke) No. 308), Tokyo High Court, February 10, 2000 (1998 (Gyo-Ke) No. 364)

#### 4. Patent for pharmaceuticals in Europe

In Europe, the invention of a treatment method is unpatentable. When a chemical compound having an active ingredient of medicine is developed, typically a patent application is made for an invention as a chemical compound specifying a medicinal use, for example, "compound X for use in treating disease Y".

Moreover, in Europe, the object specifying a use is generally determined to be undistinguishable from the object itself. However, in a medicinal invention, the invention of a material or composition specified by a medicinal use is treated as an exception in that it has novelty, even though the material or composition is well-known. This exception applies not only when chemical compound X is first used as a medicine (primary use of medicine), but also when the compound is used for other medicinal uses (secondary use of medicine) from the revision of the convention in 2000 which came into effect in December 2007 (EPC Article 54 (4) and (5)). (Reference 5)

In other words, in Europe, when a compound having an active ingredient of medicine is developed, it is patentable as an invention of an object for both primary and secondary uses of medicine as in Japan.

(Reference 5: EPC Article 54 Novelty)

- (1) An invention shall be considered to be new if it does not form part of the state of the art.
- (2) The state of the art shall be held to comprise everything made available to the public by means of a written or oral description, by use, or in any other way, before the date of filing of the European patent application.
- (3) Additionally, the content of European patent applications as filed, the dates of filing of which are prior to the date referred to in [paragraph 2](#) and which were published on or after that date, shall be considered as comprised in the state of the art.
- (4) [Paragraphs 2](#) and [3](#) shall not exclude the patentability of any substance or composition, comprised in the state of the art, for use in a method referred to in [Article 53\(c\)](#), provided that its use for any such method is not comprised in the state of the art.
- (5) [Paragraphs 2](#) and [3](#) shall also not exclude the patentability of any substance or composition referred to in [paragraph 4](#) for any specific use in a method referred to in [Article 53\(c\)](#), provided that such use is not comprised in the state of the art.



(Note: Methods in Article 53 (c))

Methods for treatment of the human or animal body by surgery or therapy and diagnostic methods practiced on the human or animal body

(Reference 6: Swiss-type claim)

A "Swiss-type claim" is a type of claim that is described as "use of compound X in the manufacture of a medicament for the treatment of disease Y".

Such claims were the general type of claim in medicinal use inventions in the EPO because EPC Article 53(c) defines treatment methods themselves as unpatentable.

However, the Enlarged Board of Appeals in the EPO announced that they will no longer admit Swiss-type claims. The claim type should be changed to a "purpose-related product claim" such as "Compound X for use in the treatment of disease Y". This new rule is not retroactive.

#### 5. Patent for pharmaceuticals in the United States

In the US, an invention of a treatment method is patentable. When a chemical compound having an active ingredient of medicine is developed, a patent application is generally made for an invention of a method treating a disease by administering medicine, for example "a method for treating disease Y by administering compound X to patients".

In the invention of an object, "compound X for use in treating disease Y", the description of "for use in treating disease Y" is interpreted not to limit compound X. Thus, in the case that compound X is well-known, it does not have novelty.

Similar to this, the invention of an object, "a drug for treating disease Y comprising an active ingredient X" may be substantially interpreted as the invention of compound X, and novelty may not be admitted if compound X is well-known.

#### 6. Examination standards for "medicinal invention"

The content of "Examination Guidelines for Patent and Utility Model in Japan, Part VII Examination Guidelines for Inventions in Specific Fields, Chapter 3 Medicinal inventions" is explained below.

The examination guidelines for "medicinal invention" clearly define the operation of patent examinations including description requirements, novelty, and inventive step for medicinal inventions, focusing on items for which specific judgments or handling are necessary.

The examination guidelines for "medicinal inventions" define the "use invention" of medicine as a medicinal invention and summarize the operation of the patent examination for use invention of medicine. Therefore, they do not cover all inventions related to pharmaceuticals. For example, inventions related to formulations such as granules or capsules using known active ingredients and inventions related to release control of active ingredients such as controlled-release preparations are

not specifically mentioned. In the following sections, the term "medicinal invention" is used as having the same meaning as "use invention of medicine" defined in the examination guidelines for "medicinal invention".

In addition, the examination guidelines for medicinal inventions summarize the operation of patent examinations focusing on the items for which specific judgment or treatment is necessary. As for other items that were not explained in the guidelines, it is necessary to refer to "Part I Description and Claims" and "Part II Requirements for Patentability" in "Examination Guidelines for Patent and Utility Model in Japan". In other words, in order to understand the operation of patent examinations for pharmaceutical-related inventions, it is necessary first to understand the general examination standards concerning description requirements, novelty, and inventive step described in Part I and II of "Examination Guidelines for Patent and Utility Model".

## 6-1 Description Requirements of the Description and the Claims

(Meaning of descriptions and the claims)

The purpose of this Act is, by promoting the protection and utilization of inventions, to encourage inventions, and thereby to contribute to the development of industry (Article 1).

In other words, the patent system protects an invention by granting an exclusive patent right for a certain term under fixed conditions to an inventor who develops and discloses a new technique. It also provides an opportunity to third parties to learn about the technical content of the invention through the publication and to utilize the invention.

Such protection and utilization of the invention is made through specifications, claims, and drawings that serve as technical documents to reveal the technical content of the invention to the public and as a title deed for specifying the technical scope of the patent invention.

Article 36 (4) defines the description requirements for the detailed description of the invention in specifications and Article 36 (5) and (6) do so for the claims. The objective as a technical document and title deed is achieved only when the specifications are prepared to satisfy the prescribed requirements.

### 6-1-1 Claims

Article 36(6) of the Patent Act

(6) The statement of the scope of claims as provided in paragraph (2) shall comply with each of the following items:

- (i) the invention for which a patent is sought is stated in the detailed explanation of the invention;
- (ii) the invention for which a patent is sought is clear;
- (iii) the statement for each claim is concise; and
- (iv) the statement is composed in accordance with Ordinance of the Ministry of Economy, Trade and Industry.

## 6-1-1-1 Article 36(6) (i) of the Patent Act

### (1) Support requirements

The provision of the Patent Act Article 36 (6)(i) defines a requirement that the invention to be patented should be stated in the detailed explanation of the invention. This requirement is referred to as "support requirement".

Thus, the claimed invention should not exceed the scope described in the detailed explanation of the invention. Therefore, the judgment as to whether the invention conforms to the provision of Patent Act Article 36 (6)(i) or not is made by comparing and examining the substantial correspondence between the claimed invention and the invention described in the detailed explanation of the invention.

In the case of a medicinal invention, regarding the existence of pharmacological test results and their contents, many examinations consider whether the support requirement is satisfied or not. This point is explained below.

### (2) Concept of the support requirement in a medicinal invention

In a medicinal invention, in order to prove that the medicinal invention to be patented is described in the detailed explanation of the invention, it is necessary to show materials demonstrating that a chemical compound of interest is suitable for treating a specific disease (a new use) by a pharmacological action of the compound having an active ingredient (newly discovered attribute) in the detailed explanation of the invention.

If these materials are not described in the detailed explanation of the invention, the medicinal invention of interest will not be deemed to be described in the detailed explanation of the invention. Thus, the medicinal invention to be patented by the application cannot be deemed to be described in the detailed explanation of the invention, and accordingly, the application does not satisfy the requirement (support requirement) defined in Patent Act Article 36 (6)(i).

In addition, if these concrete data are not described in the detailed explanation of the invention, the application does not satisfy the requirement (enablement requirement) defined in Patent Act Article 36 (4)(i), either. (Refer to the following 6-1-2 Patent Act Article 36 (4)(i).)

### (3) Pharmacological test results (Pharmacological data)

In general, it is difficult to speculate the practicability of a chemical compound for a specific medicinal use only from the structure and name of the compound. So, if there are no pharmacological test results in the specifications, it is difficult for a person skilled in the art to speculate whether the compound can actually be used for the medicinal use, even if the effective dose, administering method, or formulation process are described in the original specifications.

Thus, it is necessary to show pharmacological test results for the compound having an active ingredient to demonstrate the pharmacological action of the compound of an active ingredient and the suitability of the compound to treat the specific disease.

Since pharmacological test results are shown to confirm the pharmacological action of the chemical compound of an active ingredient, in principle, all of the following items should be clarified: (a)

which chemical compounds are applied (b) to what kind of pharmacological test system, and (c) what results were obtained, and also (d) how the pharmacological test system is related to the medicinal use of the claimed medicinal invention.

Basically, pharmacological test results are described as numerical data. But if the results cannot be described as numerical data due to the characteristics of the pharmacological test system, an objective description considered to be equivalent to numerical data, such as objective findings observed by a doctor, may be approved. Additionally, clinical tests, animal tests or in-vitro tests are also used for the pharmacological test system.

(4) Supplement of pharmacological test results by written argument, etc.

If pharmacological tests were not described in the detailed explanation of the invention in the original specifications and hence the support requirement was not satisfied, it is not allowed to satisfy the support requirement by submitting pharmacological test data after filing to supplement the content of the detailed explanation of the invention separately from it, because this would violate the principle of the patent system that a patent is granted on the premise of the disclosure of the invention.

(5) Incomplete description of pharmacological test results

(a) No description of pharmacological test results

For example, in the case that there is no description about pharmacological test results, but only effective dose, administering method, and formulation process are described.

(b) No specification of chemical compounds, etc. used for pharmacological testing

For example, in the case that chemical compounds used for the pharmacological test system described in the original specifications are just indicated as "either one of a plurality of chemical compounds" and are not specified as concrete ones, it falls under the case of unclear description of "(a) which chemical compound" regarding the format for describing pharmacological test results in the above-mentioned "(3) Pharmacological test results". In this case, most of the pharmacological actions in the chemical compound of the claimed medicinal invention cannot be confirmed.

(6) When the description of pharmacological test results is not required

For an invention of medicine in which the pharmacological action is already known, it is not always necessary to show pharmacological test results.

For example, in the invention of a formulation enabling long-term preservation by improving the stability of an active ingredient of a known antipyretic, it is generally sufficient to show test data to prove the improved stability of the active ingredient.

Moreover, in the invention of a controlled-release preparation to decrease the dose frequency by slowing the release of the active ingredient from the preparation of a known hypotensive drug, it is generally sufficient to prove the release profile of the active ingredient from the preparation.

#### 6-1-1-2 Article 36(6) (ii) of the Patent Act

As Article 36(6) (ii) of the Patent Act requires that an invention for which a patent is sought is clear, a claim shall be stated in such a manner that an invention for which a patent is sought can be clearly identified from a single claim.

Considering the purport of Article 36(5) of the Patent Act, various forms of expression can be used in the claim by the applicant to define an invention for which a patent is sought. For example, in the case of "an invention of a product", various forms of expression such as operation, function, property, characteristics, method, usage and others can be used as matters to define an invention in addition to the forms of expression such as combination of products or the structure of products. As for a medicinal invention, various forms of expression can be used as well.

On the other hand, since a claim should be stated in such a manner that an invention for which a patent is sought can be clearly identified from a single claim according to the provision of Article 36(6)(ii), it should therefore be noted that such definition of an invention by applicant using the various forms expression is allowed as far as the claimed invention can be clearly identified.

A medicinal invention can be described as "an invention of an object" in claims as shown by the following examples.

[Example 1: An active ingredient is a chemical compound]

[Claim 1]

A pharmaceutical composition for treatment of Alzheimer's disease comprising compound A as an active ingredient.

[Example 2: A cell having an active ingredient whose manufacturing method is specified]

[Claim 1]

An anticancer agent comprising the cells as an active ingredient obtained by the following process consisting of the steps of;

- (1) culturing W-cells obtained from a human body in medium A containing 0.1~0.2 weight % of protein X for 5 to 10 hours and collecting them, and
- (2) disseminating the collected cells in step (1) on extracellular matrix Y, culturing them in medium B containing 0.1~0.2 weight % of protein Z for 24 to 48 hours, and collecting them.

[Example 3: A product applied to a specific disease with a specific usage or dose (administering intervals)]

[Claim 1]

A therapeutic agent for asthma containing compound A wherein 30~40 µg/kg of compound A is orally administered to humans once per 3 months.

[Example 4: A product applied to a specific disease with a specific usage or dose (a specific site)]

[Claim 1]

A therapeutic agent for ovary cancer containing compound A as an active ingredient wherein 100~120 µg/kg of compound A is administered to the particular site Z in human brain.

[Example 5: Combination of active ingredients]

[Claim 1]

An antidiabetic composition containing compound A and compound B at a ratio by weight 5:1 to 4:1.

(Reference 7: Provision and scope of Patent Act Article 36 (5))

[Patent Act Article 36 (5)]

The scope of claims as provided in paragraph (2) shall state a claim or claims and state for each claim all matters necessary to specify the invention for which the applicant requests the grant of a patent. In such case, an invention specified by a statement in one claim may be the same invention specified by a statement in another claim.

(Purport)

The former part of this clause states that an applicant should describe all items necessary to specify the invention to be patented in the claims without omitting necessary items or, on the contrary, without adding unnecessary items to specify the invention to be patented.

It is the applicant who determines what kind of invention is to be patented, so the applicant should describe all the items that the applicant himself/herself considers to be necessary for specifying the invention to be patented.

As confirmation to prevent misunderstanding, the later part of this clause defines that one invention should be described in only one claim.

#### 6-1-2 Detailed Explanation of the Invention

[Patent Act Article 36 (4)(i)]

The statement of the detailed explanation of the invention as provided in item (iii) of the preceding Paragraph shall comply with each of the following items:

- (i) in accordance with Ordinance of the Ministry of Economy, Trade and Industry, the statement shall be clear and sufficient as to enable any person ordinarily skilled in the art to which the invention pertains to work the invention;

[Enforcement regulations of Patent Act Article 24-2 (Ministerial Ordinance)]

A statement as defined in the Ordinance of the Ministry of Economy, Trade, and Industry, Patent Act Article 36 (4)(i) shall state the problem to be solved by the invention, a means to solve the problem, and other matters necessary for persons having ordinary skills in the art to understand the technical meaning of the invention.

#### 6-1-2-1 Enablement Requirement

Since medicinal inventions in general belong to a technical field where it is relatively difficult to understand the manufacturing process and usage of the invention based on the structure and the name, it is necessary to state one or more representative embodied examples to explain the detailed description of the invention so that a person skilled in the art can practice the invention. Generally,

pharmacological test results should be described as embodied examples to support medicinal use.

Regarding the description of pharmacological test results sufficient to prove pharmacological action, refer to the above-mentioned "6-1-1-1 (3) Pharmacological test results".

### 6-1-3 Judgments

Many judgments so far have affirmed the operation of patent examinations that generally require the description of pharmacological test results (pharmacological data) related to the chemical compound of an active ingredient, etc. as materials to prove the pharmacological action of the active ingredient of the chemical compound and suitability of the chemical compound in treating a specific disease, in order to obtain a patent for a medicinal invention which provides a novel medicinal use. (Reference 8)

(a) "Medicine against vomiting" (Tokyo High Court 1996 (Gyo-Ke) No. 201 (October 30, 1998))

- A case in which no pharmacological data is described

Judgment of the court:

In the specifications, the specific effects of the invention, as well as the purpose and configuration of the invention, shall be described to such a degree that a person skilled in the art can easily practice the invention, because they have the characteristic of a technical document (under Patent Act Article 36(3), now Article 36 (4)(i)). In a use invention of medicine in general, it is difficult to speculate its practicability just from the substance name and chemical structure. Even though some items such as effective dose, administering method, and formulation process are described to some degree in the specifications, a person skilled in the art cannot judge whether the medicine has practicability in actual use just from such information. Therefore, it is necessary to describe pharmacological data or the equivalent in the specifications to support the practicability in medicinal use, and therefore, a detailed description of the invention without these data shall be determined to be in violation of the Patent Act Article 36 (3).

In the present specifications, there is no description to clarify the grounds of the above-mentioned dosage and administration frequency, that is, to what degree nausea and vomiting can be treated by the above-mentioned dosage and administration frequency, or to what degree the treating effect has been improved by blending medicinal ginger root with specially manufactured bifid or folded dried ginkgo, compared with the case in which these constituents alone are used. Moreover, there is no test data supporting another effect of this invention, that is, "no side effect or unsound damage is shown".

Therefore, it cannot be stated that a person skilled in the art who has read the present specifications can easily understand the practicability in actual use of the invented medicine.

(b) "Medical novel use of tachykinin antagonist" (Tokyo High Court 2003 (Gyo-Ke) No. 104 (December 10, 2003))

- A case of no pharmacological data described except a few chemical compounds included in the claims

Judgment of the court:

It is considered that the detailed description of the invention of the applied specifications lacks

descriptions supporting effectiveness as an anti-vomiting drug of NK1 receptor antagonist except (2S,3S)-3-(2-methoxybenzylamino)-2-phenylpiperazine, and hence the detailed description of the invention of the applied specifications regarding amended invention 1-7, and 9 cannot be considered to be sufficient for a person skilled in the art to easily practice the invention. Therefore, it is deemed that the present specifications do not satisfy the requirements defined in Patent Act Article 36 (4) before revision (now Patent Act Article 36 (4)(i)).

Moreover, for the same reason, the description of claims 1-7, and 9 in the present specifications is deemed to describe more than the scope described in the detailed description of the invention, in other words, it cannot be said to describe the same invention described in the detailed explanation of the invention, nor that it describes only items indispensable to the configuration of the invention to be patented. Therefore, it is deemed that the present specifications do not satisfy the requirements defined in Patent Act Article 36 (5)(i) and (ii) before revision (now Patent Act Article 36 (6)(i)).

(c) "Carcinostatic having Taxol as an active ingredient" (Intellectual Property High Court 2005 (Gyo-Ke) No. 10818 (March 1, 2007))

- A case of no data described for confirming effectiveness and safety

Judgment of the court:

In a use invention of medicine in general, it is difficult to speculate the practicability just from the substance name and chemical structure. Even though some items of effective dose, administering method, and formulation process are described to some degree in the specifications, a person skilled in the art cannot judge whether the medicine has practicability in actual use just from such information or determine whether the invention can solve the problems. Thus, it is necessary to describe pharmacological data or the equivalent in the specifications to support the practicability in medicinal use. On the contrary, if the description in claims exceeds the scope of the description in the detailed explanation of the invention, the description of the claims violates the so-called support requirements defined in Patent Act Article 36 (5)(i) (now Patent Act Article 36 (6)(i)).

Considering these viewpoints of this case, although the detailed description of the invention states the effectiveness and safety for patients with ovarian cancer when administering a 3- hour dose of Taxol in the range of 135 mg/m to 175 mg/m, there is no description about a 3-hour dose exceeding 175 mg/m to support its effectiveness and safety, as stated in the above (3). Therefore, it is deemed that there is no concrete data to confirm its effectiveness and safety of patent inventions 2 and 3 in the detailed description of the invention. In addition, there is no description to support the effectiveness and safety for patients with leukemia or solid cancer without ovarian cancer. Thus, this patent invention 2 is deemed to have no concrete data to prove its effectiveness and safety in the detailed description of the invention.

Therefore, patent inventions 2 and 3 cannot be said to be the invention described in the detailed description of the invention.



(Reference 8: Judgment admitting the satisfaction of support requirements without pharmacological test results)

In 2010, a court judged that it should be examined as an issue of the enablement requirement to reject an application for the reason that pharmacological test results satisfying the support requirements are not described, unless there are special circumstances to be considered. (Intellectual Property High Court 2009 (Gyo-Ke) No. 10033 (January 28, 2010))

This judgment does not mean that a patent can be obtained for a medicinal invention even if pharmacological test results are not described. The judgment mentions that in a use invention of medicine, many cases in which pharmacological test results are not described may be determined as lacking a clear and sufficient description that a person skilled in the art could practice the invention.

This judgment did not cause a revision of the examination standards or change in patent examination practice.

## 6-2 Requirements for Patentability

### 6-2-1 Industrial Applicability

As a medicinal invention is "an invention of an object", it does not fall under "a method of diagnosing, treating, or conducting surgery on the human body" but "an industrially applicable invention", even if it is designed for administration or application to humans. A medicinal invention specified by a combination of two or more medicines, uses, or dosages is also "an invention of an object" and "industrial applicable". (Refer to the above-mentioned "2. Industrial applicability".)

### 6-2-2 Novelty

[Patent Act Article 29 (1)]

An inventor of an invention that is industrially applicable may be entitled to obtain a patent for the said invention, except for the following:

- (i) inventions that were publicly known in Japan or a foreign country, prior to the filing of the patent application;
- (ii) inventions that were publicly worked in Japan or a foreign country, prior to the filing of the patent application; or
- (iii) inventions that were described in a distributed publication, or inventions that were made publicly available through an electric telecommunication line in Japan or a foreign country, prior to the filing of the patent application.

(Purport)

Since the purpose of the patent system is to grant an exclusive right in return for disclosure of an invention, the invention to be patented must be novel. The provision of each clause in Article 29 (1) clearly defines the scope of inventions which lack novelty and categorizes them.

#### 6-2-2-1 Basic concept

A medicinal invention means “an invention of a product” based on discovering an unknown attribute of compounds, etc. and finding that compounds, etc. are suitable for a new medicinal use due to the presence of such attribute, and its novelty is judged from two points of view; (i) compounds, etc. having a specific attribute and (ii) a medicinal use based on the attribute.

#### 6-2-2-2 Methods of Judging Novelty

##### (1) Finding of a claimed medicinal invention

The finding of a claimed invention should be made on the basis of the statements in the claim. Matters (terms) stated in the claim defining the claimed invention should be construed in the light of the statements in the description, the drawings and the common general technical knowledge as of the filing.

##### (2) Finding of an invention described in a publication

Since a medicinal invention consists of compounds, etc. having a specific attribute and a medicinal use based on the attribute, it is necessary that both compounds, etc. and the medicinal use are described in a publication (or essentially described, though not literary, in the publication) in order to find that the medicinal invention is described in the publication.

Unless it is clear that an invention is described in a publication in such a manner that a person skilled in the art can make or acquire compounds, etc. of claimed medicinal invention based on the description of the publication and common general technical knowledge as of the filing, the medicinal invention shall not be deemed to be described in the publication.

Furthermore, if it is unclear that the invention is described in the publication in such a manner that a person skilled in the art can use the compounds, etc. for a medicinal use based on the description of the publication or common general technical knowledge as of the filing, the medicinal invention also shall not be deemed to be described in the publication.

For example, in the case where a medicinal use is merely listed without any support in the publication, it cannot be considered that the invention is described in the publication in such a manner that it is clear that a person skilled in the art can use the compounds, etc. for the medicinal use, and the medicinal invention shall not be deemed to be described in the publication.

##### (3) Determining whether a claimed medicinal invention is novel

Guidelines for determining whether a claimed medicinal invention is novel are stated below in sections (3-1) to (3-2), based on “Determining whether a Claimed Invention is Novel” in Examination Guidelines Part II, Chapter 2, 1.5.5 and “Method of Determining whether a Claimed Medicinal Invention is Novel” of this Chapter 2.2.1.

Hereinafter, “a cited invention” means a cited invention as provided in Patent Act Article 29(1)(i)-(iii).

(3-1) Regarding the compounds, etc. having a specific attribute

When the compounds, etc. having a specific attribute of the claimed medicinal invention differs from the compounds, etc. of a cited invention, the novelty of the claimed medicinal invention is not denied.

In other words, when an active ingredient in a claimed medicinal invention differs from that in a cited invention, the claimed invention is novel.

(3-2) Regarding the medicinal use based on a specific attribute

(3-2-1) Application to a specific disease

Even if the compounds, etc. of the claimed medicinal invention do not differ from the compounds, etc. of the cited invention, the novelty of the claimed medicinal invention is not denied when the claimed medicinal invention and the cited invention differ in medicinal use of applying to a specific disease based on the attribute of such compounds, etc.

In other words, even if the active ingredient is identical, the invention becomes different as a use invention if the disease to be treated is different.

For example, when a claimed invention is "a medicine for disease Z comprising an active ingredient A," and a cited invention is "a medicine for disease X comprising active ingredient A," the novelty of the claimed medicinal invention is not denied, in the case that it is clear that disease X and the disease Z are different diseases in the light of the common general technical knowledge as of filing.

The following sections explain the patterns in which medicinal use is not determined to be different.

"Use invention" is construed as an invention based on discovering an unknown attribute of a product and finding that the product is suitable for a new use due to the presence of such attribute. For example, if chemical compound X is discovered to have a medicinal action (newly discovered attribute) selectively destroying cancer cells, the invention is based on the discovery that chemical compound X is useful as an active ingredient for treating cancer (novel use).

Therefore, in the case of newly discovering scientific findings, for example, when a mechanism of pharmacological action is solved, the discovery is not considered to be a novel invention unless it provides a novel use.

For example, in the above-mentioned example, the mechanism of selectively destroying cancer cells is supposed to be solved in chemical compound X. The achievement is extremely valuable in scientific terms as it greatly contributes to progress in cancer research. However, elucidation of the mechanism itself does not provide a novel use because the compound is already known as a cancer-treating medicine. Therefore, elucidation of the mechanism does not mean a novel invention.

Including this case, the following are examples of patent examination practice whose novelty is denied, but the descriptions of medicinal use of the claimed invention and the cited inventions are different.

(a) Cases that are only different in expression

Even if the medicinal use of the claimed medicinal invention and the medicinal use of the cited invention are different in expression, the novelty of the claimed medicinal invention is denied when the medicinal uses are judged to come under (i) or (ii) described hereunder taking into consideration the common general technical knowledge as of the filing.

(i) In the case that the medicinal use is conceived from a working mechanism thereof.

(ii) In the case that the medicinal use inevitably results from closely related pharmacological effect.

[Example of (i) above]

(Cited invention) Bronchodilator → (Claimed medicinal invention) Therapeutic agent for asthma

(Cited invention) Vasodilator → (Claimed medicinal invention) Hypotensive agent

(Cited invention) Coronary vessel dilator → (Claimed medicinal invention) Therapeutic agent for angina

(Cited invention) Histamine release inhibitor → (Claimed medicinal invention) Anti-allergy drug

(Cited invention) Histamine H-2 receptor inhibitor → (Claimed medicinal invention) Therapeutic agent for gastric ulcer

[Example of (ii) above]

(Cited invention) Cardiotoxic agent → (Claimed medicinal invention) Diuretic agent

(Cited invention) Anti-inflammatory agent → (Claimed medicinal invention) Painkiller

(Note) It is known in the field of medical treatment that there are certain compounds, etc. inevitably having two or more medicinal uses. However, in the examples listed under (ii) above, it is also well known that all the compounds, etc. having a first medicinal use coming under (ii) above do not necessarily have a second medicinal use. Accordingly, when the novelty of the claimed medicinal invention in such a case is considered, it is necessary to consider the common general technical knowledge as of the filing regarding the structure-activity correlation or the like of the compounds, etc.

(b) Cases where the medicinal use of the claimed invention is broader than that of the cited invention

When the medicinal use of the cited invention is expressed in a more specific concept of the medicinal use of the claimed medicinal invention, the novelty of the claimed medicinal invention is denied.

[Example]

(Cited invention) Antipsychotic agent → (Claimed medicinal invention) Agent acting on central nervous system

(Cited invention) Therapeutic agent for Lung cancer → (Claimed medicinal invention) Anticancer agent

(c) The claim is expressed as a narrower concept derived from the medicinal use of the medical invention

When the medicinal use of the cited invention is expressed as a generic concept of the medicinal use of the claimed medicinal invention and the medicinal use of the claimed medicinal invention is expressed as a more specific concept which can be conceived from the medicinal use of the cited invention based on the common general technical knowledge as of the filing, the novelty of the claimed medicinal invention is denied.

(Note) It should be noted that a medicinal use expressed as a more specific concept cannot be conceived only because the medicinal use expressed as a more specific concept is conceptually included in the medicinal use expressed in a generic concept or the medicinal use expressed in a more specific concept can be listed from the medicinal use expressed in a generic concept.

(d) Only the action mechanism is expressed

When the medicinal use of the claimed medicinal invention is only expressed as a newly found working mechanism in place of the medicinal use of the cited invention and both uses cannot be substantially distinguished from each other, the novelty of the claimed medicinal invention is denied.

[Example]

(Cited invention) Antibacterial agent → (Claimed medicinal invention) Bacterial cell membrane formation inhibitor

(e) Action mechanism is expressed only as a use of one component of the cited invention

When there is no difference in the component compositions and the medicinal uses of the claimed medicinal invention and the cited invention, and the component contained in the claimed medicinal invention is merely expressed in a manner that the working mechanism of a part of the component of the cited invention is defined as if it is a use, the novelty of the claimed medicinal invention is denied.

[Example]

(Cited invention) Skin anti-inflammatory agent containing indomethacin and capsicum extract  
→ (Claimed medicinal invention) Skin anti-inflammatory agent containing indomethacin and long-term stability improving agent for indomethacin composed of capsicum extract

(Note) As the component constitutions of the composition are the same, it is obvious that the components contained in the skin anti-inflammatory agent of both inventions perform the same working effect despite the subjective object for adding. Accordingly, even if the capsicum extract is defined as a stabilizer for improving long-term stability of the indomethacin, this cannot make the invention different from the invention described in the publication.

(3-2-2) Application to a specific disease in which dosage and administration is specified

The following is the clause revised in October 2009 to allow broader patent protection for achievements in the development of methods of administering medicine.

Even if compounds, etc. of a claimed medicinal invention do not differ from those of a cited invention and there is no difference in the applied disease, the novelty of the claimed invention is not denied when there is a difference between the claimed medicinal invention and the cited invention in medicinal use of applying to a specific disease with a specific dosage and administration based on the attribute of compounds, etc. thereof.

A case in which novelty is not denied

[Example 1]

[Claim 1]

A therapeutic agent for asthma containing compound A wherein 30~40 µg/kg of compound A is orally administered to humans once per 3 months.

[Known technique]

It is well-known that oral administration of 1 µg/kg weight of chemical compound A every day alleviates asthma symptoms but generates side effect B with high frequency.

[Explanation]

Regarding dosage and administration of compound A for asthma treatment, dosage and administration of this invention is different from the already known dosage and administration. Therefore, the medicinal invention of claim 1 is novel.

[Example 2]

[Claim 1]

A therapeutic agent for ovary cancer containing compound A as an active ingredient wherein 100~120 µg/kg of compound A is administered to the particular site Z in human brain.

[Known technique]

It is publicly known that compound A exhibits a growth-inhibitory effect against ovary cancer by intravenous administration to humans and hepatotoxicity as a side effect.

[Explanation]

Regarding dosage and administration of compound A for ovary cancer treatment, dosage and administration (administration to the particular site Z in the human brain) of this invention is different from the already known dosage and administration (intravenous administration). Therefore, the medicinal invention of claim 1 is novel.

### 6-2-3 Inventive Step

[Patent Act Article 29(2)]

Where, prior to the filing of the patent application, a person ordinarily skilled in the art of the invention would have been able to easily make the invention based on an invention prescribed in any of the items of the preceding paragraph, a patent shall not be granted for such an invention notwithstanding the preceding paragraph.

(Purport)

The purpose of the provision of Patent Act Article 29(2) is not to grant a patent to such inventions that could easily have been made by a person skilled in the art, since granting a patent to such inventions would not contribute to, and could even hamper, the progress of technology.

### 6-2-3-1 Inventive Step regarding Medicinal Invention

#### (1) Finding of a claimed medicinal invention

The finding of a claimed invention is handled as described in "6.2.2.2(1)."

#### (2) Finding of an invention described in a publication

The finding of a invention described in a publication is handled as described in "6.2.2.2(2)."

#### (3) The judgment of the inventive step

The judgment of an inventive step in a medicinal invention is made according to the process described in "Examination Guidelines for Patent and Utility Model in Japan, Part II Chapter 2-2 Inventive step".

In particular, the judgment of an inventive step is made by determining whether a person skilled in the art could easily arrive at a claimed invention based on cited inventions, while constantly considering what a person skilled in the art would do after precisely comprehending the state of the art in the field of the present invention at the time of filing.

Concretely, the steps of the process are as follows.

##### (a) Determination of identicalness and difference

After finding a claimed invention and one or more cited inventions, select one cited invention most suitable for the reasoning, compare the cited invention and the claimed invention, and clarify the identicalness and the difference in matters defining the inventions.

##### (b) Attempt to determine the reasoning for denying the presence of an inventive step

From the content of the selected cited invention, other cited inventions (including well-known or commonly used art), and common general knowledge, an attempt is made to determine the reasoning for denying the presence of an inventive step in the claimed invention.

The reasoning can be made from various broad aspects. For example, the examiner evaluates whether a claimed invention falls under a selection of an optimal material, a modification of design, a mere juxtaposition of features on the basis of cited inventions, or whether the contents of cited inventions disclose a motivation for a person skilled in the art to arrive at the claimed invention.

##### (c) Consideration of the effects

If advantageous effects can be clearly found in the description in the specification, etc. compared with a cited invention, these are considered as facts supporting the involvement of an inventive step.

The effects claimed in written arguments after filing are treated as follows.

- When advantageous effects compared with a cited invention are described in the specification, and when a person skilled in the art could predict the advantageous effects compared with a cited invention from the description of the specification or drawings even though the advantageous effects compared with a cited invention are not clearly described, the effects claimed or proved (for example, testing results) in written arguments, etc. are taken into consideration.
- However, effects that are not described in specifications and that a person skilled in the art could not predict from the specification or drawings but claimed or proved in written arguments, etc. should not be taken into consideration (Reference: Tokyo High Court 1997 (Gyo-Ke) No. 198 (October 27, 1998)).

(d) Conclusion

The inventive step of the claimed invention will be denied if such reasoning can be made, but otherwise it will not be denied.

6-2-3-2 Examples of Concrete Practices Regarding Judgment of Inventive Step

As mentioned above, the inventive step in a medicinal invention is examined by the process described in "Examination Guidelines for Patent and Utility Model in Japan, Part II Chapter 2-2 Inventive step". The aspects specific to a medicinal invention are explained below.

In the aspects described below, if plural aspects can be applied, each of them is separately examined, and if an inventive step of at least one of them is denied, a patent cannot be obtained for the invention.

(1) Relationship between the medicinal use and the working mechanism

Even if the medicinal use of the claimed medicinal invention differs from the medicinal use of the cited invention, when the relevance of the working mechanism between both has been derived from the state of the art as of the filing, the inventive step of the claimed medicinal invention is usually denied, unless there is another ground for inferring inventive step such as advantageous effect or the like.

(2) Conversion of a medicine for animals other than human beings to a medicine for human beings

A claimed medicinal invention, derived by merely converting compounds, etc. of a cited invention used for the same or a similar kind of diseases of animals other than human beings into a medicine for human beings, usually does not involve an inventive step even if there is no suggestion in the contents of the cited invention about the pertinent conversion, unless there is another ground for inferring inventive step such as advantageous effect or the like.

The situation is the same with the conversion of a medicine for human beings to into a medicine for animals other than human beings.

(3) Medicine formulated by combining two or more medicinal components

In order to solve a problem well known to a person skilled in the art such as the increase in a medicinal effect, or the reduction of a side effect, optimization of the combination of two or more medicinal components is among exercise of ordinary creativity of a person skilled in the art. When the difference between the claimed medicinal invention and the cited invention falls only on these points, ordinarily, the inventive step of the claimed medicinal invention is denied.

Although the medicine formulated by combining two or more medicinal components can be assumed to be claimed in such a manner as "combination drug for the treatment of ... ," "composition for the treatment of ... ," " ... medicine characterized in that ... and ... are combined," there is no fundamental difference in any of the cases as the method of judgment.

For example, if the pertinent combination corresponds to the following, in most cases, it is reasoned that a person skilled in the art would have easily arrived at the claimed medicinal invention and the inventive step is usually denied:



- (a) combination of publicly known components of which major effects are the same,
- (b) combination of a major component having a publicly known problems related to the efficacy thereof with a subordinate component having publicly known ability to eliminate the problem (for example, in case of the combination of the major component having a publicly known side effect and a subordinate component having a publicly known ability of reducing the side effect), and
- (c) combination of publicly known components having respective curative effects for a variety of symptoms arising from a major disease, and the like.

However, in the case where there is another ground for inferring the inventive step such that an advantageous effect compared with the cited invention cannot be foreseen by a person skilled in the art from the state of the art, the claimed medicinal invention is considered to involve an inventive step.

[Example 1: Combination of a component with another component having the same major effect which is publicly known]

[Claim 1]

A liquid antifatulent containing 1 to 30g of dietary fiber and  $1 \times 10^6$  to  $1 \times 10^8$  cells of the YY bacterium.

[Outline of Detailed Explanation of the Invention]

In this invention, an antifatulent, which fortifies the intestine regulating function, is formulated by combining the dietary fiber and the YY bacterium, both affecting the functions of the intestines. Furthermore, in the description, the result of the pharmacological test of an antifatulent having this combination is shown. However, the result of the pharmacological test in case that using the dietary fiber and the YY bacterium respectively is not described.

[Result of Prior Art Search]

It is publicly known that there is an intestine regulating function when 1 to 30g of the dietary fiber is taken or when  $1 \times 10^6$  to  $1 \times 10^8$  cells of the YY bacterium are taken. And it is also publicly known to make the bacterium and the dietary fiber co-exist in order to maintain the activity of the bacterium having the intestine regulating function and fortify intestine regulating function.

[Reason for denying the inventive step]

It is publicly known that there is an intestine regulating function when 1 to 30g of the dietary fiber is taken or when  $1 \times 10^6$  to  $1 \times 10^8$  cells of the YY bacterium are taken. Furthermore, it is publicly known to make the bacterium and the dietary fiber co-exist, in order to maintain the activity of the bacterium having the intestine regulating function and to fortify the intestine regulating function, it would have been easily arrived at by a person skilled in the art to formulate medicine for intestinal disorder by combining  $1 \times 10^6$  to  $1 \times 10^8$  cells of the YY bacteria having the intestine regulating function with 1 to 30g of the dietary fiber also having the intestine regulating function. Furthermore, it is considered as a mere exercise of ordinary creativity of a person skilled in the art to formulate a liquid medicine in view of the ease of taking medicine or the like, and in addition, the effect thereof cannot be found to be remarkable one.

[Remarks]

In the detailed explanation of the invention in this example, the result of the pharmacological test on the antifatulent of this invention formulated by combining the dietary fiber and the YY bacterium is shown, and a fortification of the intestine regulating function is also described. Therefore, in a written opinion, etc., it is possible to insist and demonstrate that there is the advantageous effect of the antifatulent composed of the combination of the dietary fiber and the YY bacterium compared to a cited invention, with showing the experimental result in case of the administration of the dietary fiber and the YY bacterium respectively. However, reasons for refusal should be sustained if the effect does not exceed beyond the scope expected from the state of the art as of the filing.

[Example 2: Combination of a publicly known main component having a side effect with a publicly known sub-component having the ability to reduce the side effect]

[Claim 1]

Therapeutic agent for a paclitaxel responsive tumor formulated by combining paclitaxel with a compound X in an effective dose for suppressing a vomiting caused by administration of paclitaxel.

[Outline of Detailed Explanation of the Invention]

In this invention, it is found that the paclitaxel responsive tumor can be cured while suppressing the vomiting that is a side effect caused at the time of administering the paclitaxel by using the paclitaxel together with compound X at the same time.

In the example, the result of the pharmacological test is described which shows the reduction of the side effect by using the paclitaxel together with compound X at the same time.

[Result of Prior Art Search]

Although the paclitaxel is an excellent anti-tumor agent, it is publicly known that vomiting is a side effect caused by the paclitaxel at the time of administration, and using the paclitaxel together with sub-component reduces vomiting. On the other hand, it is publicly known that compound X generally weakens the vomiting. Furthermore, the effect of reducing the vomiting disclosed in the detailed explanation of the invention falls under the predictable range from the state of the art as of the filing.

[Reason for denying the inventive step]

Since it is known that paclitaxel is used together, at the same time, with the sub-component for weakening the vomiting which is a side effect of the administration of paclitaxel, and furthermore compound X is well known as a compound for generally weakening the vomiting, the combined use of paclitaxel with compound X can be easily made by a person skilled in the art, in order to weaken the vomiting which is a side effect of the administration of paclitaxel. Furthermore, there is no remarkable effect that cannot be foreseen as a result of the combined use as described.

[Remarks]

Ordinarily, the above-described reason for refusal is not overcome.

[Example 3: Combination with a publicly known sub-component having the ability to eliminate a problem related to the efficacy of a publicly known main component]

[Claim 1]

A combination drug for anti-inflammation formulated by compounding 1 to 100 weight parts of compound X and 0.2 to 20 weight parts of compound Y for the total 100 weights parts of diclofenac or its salts and acetaminophen.

[Outline of Detailed Explanation of the Invention]

In this invention, it is shown that the pain threshold value can be increased and the duration time of the function can be extended in a test for painkiller functions by adding compound X and compound Y in the anti-inflammatory drug formulated by combining diclofenac or its salts with acetaminophen. In the embodiment, the result of the pharmacological test is described, which shows the said effects by adding compound X and compound Y at a specific ratio to the combination of the diclofenac or its salts and acetaminophen.

[Result of Prior Art Search]

A combination drug for anti-inflammation formulated by combining diclofenac or its salts with acetaminophen is publicly known, and it is also known that there is a so-called ceiling effect in which the anti-inflammatory and painkiller effect does not increase while only the side effect increases, even if the dose thereof is increased by more than a certain dose, generally, in the non-steroidal type anti-inflammatory drug.

In general, it is publicly known that, by adding compound X and compound Y to the non-steroidal type anti-inflammation drugs, the pain threshold value can be increased to the same degree as the invention of the present application and the duration time of the effect can also be extended to the same degree as the invention of the present application in a test for painkiller functions.

[Reason for denying the inventive step]

A non-steroidal type anti-inflammation drugs formulated by combining diclofenac or its salts with acetaminophen is publicly known, and it is known that the pain threshold value can be increased and the duration time of the effect can be extended in the analgesic effect test by adding compound X and compound Y to the non-steroidal type anti-inflammation drugs. Accordingly, adding compound X and compound Y to the non-steroidal type anti-inflammation drugs formulated by combining the diclofenac or its salts with acetaminophen in order to increase the pain threshold value and extend the duration time of the function would have been easily arrived at by a person skilled in the art, and it is considered that the range of the compounding ratio of the components would have been experimentally optimized by a person skilled in the art. In addition, the effect thereof cannot be found to be remarkable one.

[Remarks]

Ordinarily, the above-described reason for refusal is not deemed overcome.

[Example 4: Combination of publicly known components having respective efficacy for various symptoms caused by major disease]

[Claim 1]

Therapeutic agent for AIDS formulated by combining azidothymidine (AZT), an anti-HIV medicine, with compound Z.

[Outline of Detailed Explanation of the Invention]

In this invention, it is shown that, in order to cure a patient with AIDS which appears after the patient has been infected by HIV, the combination of the anti-HIV medicine AZT and compound Z which is effective in curing pneumonia caused as a symptom of the AIDS inhibits the proliferation of the HIV and cures pneumonia.

[Result of Prior Art Search]

It is publicly known that azidothymidine (AZT) can be used as therapeutic agent for AIDS. It is also publicly known that the pneumonia is caused as one mode of the AIDS. Furthermore, the inhibitory effect of the proliferation of the HIV and curing effect of pneumonia disclosed in the detailed explanation of the invention falls under the predictable range from the state of the art as of the filing.

[Reason for denying the inventive step]

It is known that the azidothymidine (AZT) is effective as therapeutic agent for AIDS, and also known that the pneumonia is easily caused as a symptom of the AIDS. Furthermore, curing the pneumonia by use of compound Z is widely practiced.

Accordingly, it is among exercises of ordinary creativity of a person skilled in the art to use a combination of the anti-HIV medicine AZT with compound Z when medicinally treating AIDS patients for the purpose of suppressing the proliferation of the HIV which causes the AIDS while curing also the pneumonia which is caused as a symptom of the AIDS. Furthermore, remarkable effects that cannot be foreseen are not shown by the combined use.

[Remarks]

Ordinarily, the above-described reason for refusal is not overcome.

However, in the case where there is another ground for inferring the inventive step such that an advantageous effect compared with the cited invention cannot be foreseen by a person skilled in the art from the state of the art, the claimed medicinal invention is considered to involve an inventive step.

[Example 5: A medicinal drug performing remarkable effect by combination of active ingredients]

[Claim 1]

An antidiabetic composition containing compound A and compound B at a ratio by weight 5:1 to 4:1.

[Outline of Detailed Explanation of the Invention]

In this invention, reduction of the side effects such as a weight gain or the like, which have conventionally been observed when compound A is independently used, is found to be the result of combining and using of compound A and compound B at a ratio by weight 5:1 to 4:1.

In the example the result of the pharmacological test is described, which shows the reduction of the side effects in case that using a combination of compound A and compound B at a specific ratio.

[Result of Prior Art Search]

Although it is publicly known that compound A and compound B are respectively used as antidiabetic agents, the prior art documents do not describe the antidiabetic agent composition by combining and using compound A and compound B. Furthermore, decrease in the side effects such as a weight gain or the like by combining and using compound A and compound B at the specific ratio cannot be foreseen from the state of the art as of the filing.

[Reason for affirming the inventive step]

As the result of the pharmacological test or the like shows a remarkable effect of reducing the side effects that cannot be foreseen by a person skilled in the art from the state of the art as of the filing by combining and using of compound A and compound B at the specific ratio, the invention involves an inventive step.

(4) Medicine characterized in the medicinal use of an application to a specific disease with a specific dosage and administration

As for a specific disease, in order to solve a problem well known to a person skilled in the art such as the increase of a medicinal effect, the reduction of an adverse effect or the improvement in drug compliance, the optimization of dosage and administration of a medicine is among exercise of ordinary creativity of a person skilled in the art. Accordingly, in the case where the advantageous effect compared with the cited invention can be foreseen by a person skilled in the art, the inventive step is usually denied, even if the claimed medicinal invention is novel compared with the cited invention in that applied disease does not differ but dosage and administration differ from each other.

[Example 6: Medicine characterized in an application to a specific disease in which dosage and administration are specified]

[Claim 1]

An antitussive agent containing compound A wherein 400~450  $\mu\text{g}/\text{kg}$  per dose of compound A is orally administered to humans once per day.

[Outline of Detailed Explanation of the Invention]

Although it has been known that orally administering 160  $\mu\text{g}/\text{kg}$  per dose of compound A to humans three times a day has the antitussive effect, it was found in this invention that the antitussive effect improves compared to before by oral administration of 400~450  $\mu\text{g}/\text{kg}$  per dose of compound A to humans.

It is described in the example with the result of the pharmacological test that oral administration of 400  $\mu\text{g}/\text{kg}$  per dose of compound A to a patient once per day improves the antitussive effect compared to the oral administration of 160  $\mu\text{g}/\text{kg}$  per dose of compound A to a patient three times per day. Furthermore, it is also described that drug compliance improves because the number of doses per day decreases.

[Result of Prior Art Search]

It is publicly known that the antitussive effect is obtained by oral administration of 160 µg/kg per dose of compound A three times per day. Furthermore, the degree of the antitussive effect and improvement of drug compliance disclosed in the detailed explanation of the invention falls under the predictable range in the light of the state of the art as of the filing.

[Reason for denying the inventive step]

It is publicly known that an antitussive agent including compound A as an active ingredient is orally administered. In general, in order to solve a problem well known to a person skilled in the art, such as an increase in a medicinal effect and improvement of drug compliance, optimization of dosage and administration of a medicine is among exercise of ordinary creativity of a person skilled in the art. Therefore, it would have been easily arrived at by a person skilled in the art to experimentally decide appropriate dosage and administration of compound A.

[Remarks]

Ordinarily, the above-described reason for refusal is not overcome.

However, in the case where there is another ground for inferring the inventive step such that an advantageous effect compared with the cited invention cannot be foreseen by a person skilled in the art from the state of the art, the claimed medicinal invention is considered to involve an inventive step.

[Example 7: Medicine performing remarkable effect by an application to a specific disease in which dosage and administration are specified]

[Claim 1]

A therapeutic agent for asthma containing compound A wherein 30~40 µg/kg of compound A is orally administered to humans once per 3 months.

[Outline of Detailed Explanation of the Invention]

Although it has been publicly known that the symptom of asthma is reduced by daily oral administration of 1 µg/kg/day of compound A to asthma patients, the reduction of the symptom is only during the administration period of compound A. It was necessary thus to continue to administer compound A daily, because the symptom relapses if the administration is stopped. In addition, in case of the daily oral administration of 1 µg/kg/day of compound A, it has been pointed out that side effect B arises with high frequency.

It was found in this invention that the symptom of asthma is improved for a long term and the incidence of side effect B is reduced compared to before, by orally administering 30~40 µg/kg of compound A to asthma patients once per 3 months.

It is described in the example with the result of the pharmacological test that the symptom of asthma was reduced at least for 3 months by every single oral administration of 30~40 µg/kg of compound A to a group of asthma patients (weighing 30 kg to 90 kg), that body weights didn't bring clear difference in pharmacological efficacy, and that the incidence of side effect B significantly decreased from the case of daily oral administration of 1 µg/kg/day of compound A.

[Result of Prior Art Search]

It is publicly known that the symptom of asthma is reduced by daily oral administration of 1 µg/kg/day of compound A and that side effect B arises with high frequency in that case. However, administering 30~40 µg/kg of compound A once per 3 months is not described in the prior art documents.

Furthermore, from the state of the art as of the filing, it is not possible to predict that the symptom of asthma decreases at least for 3 months by a single oral administration of 30~40 µg/kg of compound A and that the incidence of side effect B decreases compared to the prior art.

[Reason for affirming the inventive step]

Regarding dosage and administration of compound A for asthma treatment, dosage and administration of this invention is different from the already known dosage and administration. Therefore, the medicinal invention of claim 1 is novel.

Furthermore, by a single administration of 30~40 µg/kg of compound A, the symptom of asthma is reduced at least for 3 months and the incidence of side effect B significantly decreases compared to the case of the daily oral administration of 1 µg/kg/day of compound A. As they are remarkable effects which cannot be foreseen from the state of the art as of the filing, the medicinal invention of claim 1 involves an inventive step.

[Example 8: Medicine performing remarkable effect by an application to a specific disease in which dosage and administration is specified]

[Claim 1]

A therapeutic agent for ovary cancer containing compound A as an active ingredient wherein 100~120 µg/kg of compound A is administered to the particular site Z in human brain.

[Outline of Detailed Explanation of the Invention]

It has been known that compound A exhibits growth-inhibitory effect against ovary cancer by intravenous administration to humans but arises hepatotoxicity as a side effect at the same time.

In this invention, it is found that the blood level of hormone Y secreted from the pituitary gland changes by administration of compound A to the particular site Z in the human brain, and consequently ovary cancer significantly diminishes compared to the conventional treatment by intravenous administration.

It is described in the example with the result of the pharmacological test that the blood level of hormone Y secreted by the pituitary gland changes by administration of compound A to the particular site Z in the human brain, and that as a result ovary cancer diminishes more compared to the conventional treatment by intravenous administration. It is also described in the example with the result of the pharmacological test that compound A is not delivered to the liver and does not show hepatotoxicity when it is administered to the particular site Z in the brain.

[Result of Prior Art Search]

It is publicly known that compound A exhibits growth-inhibitory effect against ovary cancer by intravenous administration to humans and hepatotoxicity as a side effect. However, it is not described in the prior art documents that the intravenously administered compound A is delivered to the brain

through the blood brain barrier, or the administration of compound A to the particular site Z in the human brain results in more shrinking of ovary cancer than the prior art.

Furthermore, from the state of the art as of the filing, it is not possible to predict that ovary cancer diminishes without causing a side effect of hepatotoxicity by administering compound A to the particular site Z in the human brain.

[Reason for affirming the inventive step]

Regarding the dosage and administration of compound A for ovary cancer treatment, the dosage and administration (administration to the particular site Z in the human brain) of this invention are different from the already known dosage and administration (intravenous administration). Therefore, the medicinal invention of claim 1 is novel.

Furthermore, from the state of the art as of the filing, it is not possible to predict the remarkable effect that compound A does not cause a side effect of hepatotoxicity by administration to the particular site Z in the brain, or that ovary cancer diminishes more compared to treatment by intravenous administration, thus the medicinal invention of claim 1 has an inventive step.

6-2-4 Patent Act Article 29(2)

[Patent Act Article 29(2)]

Where an invention claimed in a patent application is identical to an invention or device (excluding an invention or device made by the inventor of the invention claimed in the said patent application) disclosed in the description, scope of claims or drawings (in the case of the foreign language written application under Article 36-2(2), foreign language documents as provided in Article 36-2(1)) originally attached to the written application of another application for a patent or for a registration of a utility model which has been filed prior to the date of filing of the said patent application and published after the filing of the said patent application in the patent gazette under Article 66(3) of the Patent Act (hereinafter referred to as "gazette containing the patent") or in the utility model bulletin under Article 14(3) of the utility Model Act (Act No. 123 of 1959) (hereinafter referred to as "utility model bulletin") describing matters provided for in each of the paragraphs of the respective Article or for which the publication of the patent application has been effected, a patent shall not be granted for such an invention notwithstanding Article 29(1); provided, however, that this shall not apply where, at the time of the filing of the said patent application, the applicant of the said patent application and the applicant of the other application for a patent or for registration of a utility model are the same person.

(Purport)

An invention disclosed in a specification or drawings, if not in claims, is usually laid open to the public in a publication of an examined or unexamined application. A claimed invention of subsequent applications which is identical to an invention disclosed in the specification or drawings of a precedent application, even if the subsequent application is filed prior to the publication of a precedent application examined or unexamined, cannot be an invention of an application filed first to disclose a new technology in its publication to the public. Granting a patent to such an invention is inappropriate and to be rejected in that it is inconsistent with the role of the Patent Act to protect an invention as a reward for the disclosure of a new invention.



#### 6-2-4-1 Application of Patent Act Article 29-2

##### (1) Finding of a claimed medicinal invention

The finding of a claimed invention is handled as described in “6.2.2.2(1).”

##### (2) Finding of an invention described in an initial description, etc. of another application

The finding of an invention described in an initial description, etc. of another application is handled as described in “6.2.2.2(2).”

##### (3) The judgment of the requirement of “Patent Act Article 29-2”

The judgment regarding Patent Act Article 29(2) for a medicinal invention is made according to the process described in "Examination Guidelines for Patent and Utility Model in Japan, Part II Chapter 3 Patent Act Article 29(2)".

“A claimed invention identical to an invention disclosed in an initial specification, etc. of another application” includes a case that there is no difference between matters to define an invention for which a patent is sought and matters to define an invention disclosed in an initial specification, etc. of another application (as referred to “cited invention” hereinafter), and a case that there is a very minor difference in an embodied means to solve a problem (substantially identical).

The finding of the identicalness and difference between a claimed invention and a cited invention is conducted by comparing the matters defining the claimed invention and the matters defining the cited invention.

Where there is found no difference between the matters defining the claimed invention and the matters defining the cited inventions as a result of the comparison, the claimed and cited inventions are identical. Even where there is a difference between the two, they are deemed to be identical if the difference is considered as a very minor difference (addition, deletion, or replacing of well-known or commonly used art, generating no new effects) in embodied means to solve a problem (i.e. substantially identical).

#### 6-2-4-2 Examples of Concrete Practices Regarding Judgment of Patent Act Article 29-2

A claimed medicinal invention and an invention described in a prior application are deemed to be substantively identical if the difference between them is considered to be a very minor difference (e.g. addition, deletion, or replacing of well-known or commonly used art, generating no new effects) in an embodied means to solve a problem.

#### 6-2-5 Patent Act Article 39

[Patent Act Article 39]

- (1) Where two or more patent applications claiming identical inventions have been filed on different dates, only the applicant who filed the patent application on the earliest date shall be entitled to obtain a patent for the invention claimed.
- (2) Where two or more patent applications claiming identical inventions have been filed on the same date, only one applicant, who was selected by consultations between the applicants who filed the said applications, shall be entitled to obtain a patent for the invention claimed. Where no agreement is reached by consultations or consultations are unable to be held, none of the applicants shall be entitled to obtain a patent for the invention claimed.
- (3)-(8) omitted.

(Purport)

The patent system is one to grant an exclusive right to a patentee for a limited term as a reward for disclosure to the public of an invention which is a creation of technical ideas.

Therefore, two or more such rights shall not be granted for one invention. The provision of Patent Act Article 39 makes the principle "one patent for one invention" clear so as to exclude such double patenting, and also makes it clear that, where two or more applications relating to one and the same invention are filed, only the first applicant may obtain a patent for the invention.

#### 6-2-5-1 Application of Patent Act Article 39

##### (1) Finding of a claimed medicinal invention

The finding of a claimed invention is handled as described in "6.2.2.2(1)."

##### (2) The judgment of the requirement of "Patent Act Article 39"

The judgment regarding Patent Act Article 39 for a medicinal invention is made according to the process described in "Examination Guidelines for Patent and Utility Model in Japan, Part II Chapter 4 Patent Act Article 39".

The finding of the identicalness and difference between a claimed invention of one application and a claimed invention of the other application is conducted by comparing between the matters defining the claimed inventions.

##### (2-1) Method of Determining the Identity of Claimed Inventions of Two or More Patent Applications Filed on Different Dates

(I) Where there is found no difference in matters defining an invention between an invention claimed in a later filed application (hereinafter referred to as "later invention") and an invention claimed in an earlier filed application (hereinafter referred to as "earlier invention"), the two inventions are identical.

(II) Even where there is a difference between the matters defining the later invention and the matters defining the earlier invention, the two inventions are deemed identical (substantially identical) in the following cases:

① in the case where the later invention can be induced from the earlier invention by such a minor change as an addition of well-known or commonly used art (Note1) to the matters defining earlier invention, a deletion of well-known or commonly used art from the matters defining earlier invention, or a replacement of any of the matters defining earlier invention with well-known or commonly used art, and where those changes generate no new effects;

② in the case where a difference between the two inventions resides only in that the later invention is expressed in more generic concept (Note2) which encompasses the matters defining earlier invention of a specific concept; and

③ in the case where a difference between the two inventions is mere difference in category expressed.

## (2-2) Method of Determining the Identity of Claimed Inventions of Two or More Applications Filed on the Same Date

(i) Only if invention B is deemed "identical" with invention A (within the meaning of "identical" under the above-mentioned practice in 3.3(2) concerning applications filed on different dates) on the assumption of invention A being an earlier invention and invention B being a later invention, and invention A is deemed identical to invention B on the assumption of invention B being an earlier invention and invention A being a later invention, then, the two inventions filed on the same date should be considered identical

(ii) Even where invention B is identical to invention A on the assumption of invention A being an earlier invention and invention B being a later invention, the two inventions filed on the same date should not be considered identical if invention A is not identical to invention B on the assumption that invention B being an earlier invention and invention A being a later invention.

### 6-2-5-2 Examples of Concrete Practices Regarding Judgment of Patent Act Article 39

In a case in which the invention of a prior application having a generic concept has a relationship with the invention of subsequent application having a more specific concept, and in a case in which the matters necessary for defining the subsequent application are disclosed in the prior application and the invention of the prior application having the generic concept is deemed to have de facto choices in the range of the disclosed matters, the invention of the subsequent application is the same as the invention of the prior application.

The same method is practiced in judging an identity between each claimed invention of two applications filed on the same day.

### 6-2-6 Judgments

The judgment of affirming the decision denying an inventive step in a medicinal invention providing a novel medicinal use is described below.

(a) "Treatment of diabetes using thiazolidinedione and sulfonylurea"

(Intellectual Property High Court 2008 (Gyo-Ke) No. 10353 (April 27, 2009))

Points:

- Suggestion of a combination of two medicines — Number of potential medicines
- Determination of blending amount — Evaluation of huge cost, labor, and time necessary for clinical testing
- Overlooking of the effects — Effects not described in the original specifications

#### Summary of the judgment

[The present invention]

In a medicinal composition used for treating diabetes and diabetes-related symptoms, the medicinal composition comprising 2 to 8 mg of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione (chemical compound I), or medicinally acceptable salt; an insulin secretion enhancer selected from glibenclamide, glipizide, gliclazide, glimepiride, tolazamide, tributamide, or repaglinide, and medicinally acceptable carrier."

[Cited example]

Cited example (Japanese Laid-open Publication No. Hei 9-67271)

(A) "[Claim 1] Medicine comprising a combination of at least one of insulin sensitivity enhancer,  $\alpha$ -glucosidase inhibitor, aldose reductase inhibitor, biguanide agent, statin system compounds, squalene synthesis inhibitor, fibrate system compounds, LDL catabolism accelerator, and angiotensin converting enzyme inhibitor. ([Claims])

...

(D) "As the insulin sensitivity enhancement used in the present invention, pioglitazone ... 5-[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]-methyl]-2,4-thiazolidione (BRL-49653), etc. can be mentioned." ([0029])

...

(H) Test example 2

Effect of combined use of insulin secretion enhancer and pioglitazone hydrochloride in Wistar fatty rat with hereditary obesity and diabetes

[ Pioglitazone hydrochloride (3 mg/kg/day, oral administration) insulin sensitivity enhancer  
Glibenclamide (3 mg/kg/day, oral administration) insulin secretion enhancer ]

[Comparison]

(Cited invention)

"Medicine for suppressing increase of blood sugar in diabetes comprising pioglitazone and glibenclamide"

Comparing the claimed invention and the cited invention, the identicalness and difference between them are as follows.

(Identicalness)

"Medicinal composition used to treat diabetes and diabetes-related symptoms, comprising glibenclamide as an insulin secretion enhancer and insulin sensitivity enhancer."

(Difference)

- Difference 1

While the present invention includes a medically acceptable carrier, the cited invention does not specify any medically acceptable carrier.

- Difference 2

In the present invention, the insulin sensitivity enhancer is 2 or 8 mg of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione (compound I), while in the cited invention, it is pioglitazone whose dose is not specified.

[Decision]

- Concerning difference 1 (omitted)

- Concerning difference 2

In the cited example, 5-[[4-[2-(methyl-2-pyridylamino)ethoxy]phenyl]-methyl]-2,4-thiazolidione (BRL-49653), which is the same compound I in the present invention, is mentioned as another insulin sensitivity enhancer (extracted item (D)). This compound is equivalent to the compound in general formula (II) included in general formula (I) where: R' is a heterocyclic group; Y is a group expressed by  $-NR^{(3)}$ ; m is 1; n is 2; X is CH; A is a bond; Q is a sulfur atom; R<sup>(1)</sup> is a hydrogen atom; and L and M respectively express hydrogen atoms.

Because the cited invention of medicine includes glibenclamide as an insulin secretion enhancer and pioglitazone as an insulin sensitivity enhancer, a person skilled in the art could easily arrive at the notion of adopting 5-[[4-[2-(methyl-2-pyridylamino)ethoxy]phenyl]-methyl]-2,4-thiazolidione as the insulin sensitivity enhancer, which is one of the compounds expressed by general formula (I) and (II) also described in the cited example, and the dose range within 2 to 8 mg in the medicinal composition can also be appropriately designated by a person skilled in the art.

Judgment of the court:

[Reason for revocation 1] (Combination of two medicines)

According to the description of above-mentioned Otsu 1 and 2, because it is mentioned that thiazolidinedione derivatives represent improved blood sugar decreasing activity and rosiglitazone is the most effective medicine among them ... , it is considered that the notion of using rosiglitazone, which is described in the cited example as an insulin sensitivity enhancer as well as pioglitazone, can easily be achieved.

The rosiglitazone used in the present invention is one of the dozen compounds exemplified as suitable insulin sensitivity enhancers in the description of the cited example, ... and no specific difficulty can be found in combining with glibenclamide above.

[Reason for revocation 2] (Blending amount)

Even though much labor, cost, and time are required to determine the appropriate dosage of rosiglitazone, such a matter can usually be assumed. Therefore, it cannot be said that a person skilled in the art would require specific inventiveness to determine the dosage of rosiglitazone. Moreover, the dose of 2 to 8 mg is also ... the usual range which a person skilled in the art could assume and accordingly, could easily achieve.

[Reason for revocation 3] Overlooking of effects

Based on the cited invention, the effect of suppressing blood sugar in the present invention cannot be said to be a remarkable effect that could not be predicted.

As for the effect of moderately depressing blood pressure ... , it is not appropriate to assert the prominence of the effect of the present invention from the above-mentioned action effect, because there is no description in the present specifications.

(b) "Highly selective norepinephrine re-uptake inhibitor and its usage"  
(Intellectual High Court 2007 (Gyo-Ke) No. 10377 (July 30, 2008))

Point:

- Test report submitted after filing

Judgment of the court:

The plaintiff also claims that the effect of the amended invention 1 can be confirmed in the affidavit of Ko 17 and Ko 18.

However, both affidavit Ko 17 and Ko 18 were drafted after filing (Ko 17 was drafted on March 22, 2002, and Ko 18 was drafted on September 23, 2005), and thus they cannot be instantly considered as the effect of the amended invention 1.

Moreover, examining the description of effects that the plaintiff pointed out, ... there is no more information than that described in the specifications.

In addition, regarding affidavit Ko 18, ... it is not considered that the amended invention 1 has the effect of not generating major serotonin syndrome and is sufficiently remarkable that it could not be predicted by a person skilled in the art, just from the results of the (S, S)-reboxetine administration test.

## 7. System for extending the duration of a patent right

(Duration of patent rights)

[Patent Act Article 67]

- (1) The duration of a patent right shall expire after a period of 20 years from the filing date of the patent application.
- (2) Where there is a period during which the patented invention is unable to be worked because approvals prescribed by relevant Acts that are intended to ensure the safety, etc. or any other disposition designated by Cabinet Order as requiring considerable time for the proper execution of the disposition in light of the purpose, procedures, etc., of such a disposition is necessary to obtain for the working of the patented invention, the duration of the patent right may be extended, upon the filing of a request for the registration of extension of the duration, by a period not exceeding 5 years.

[Patent Law Enforcement Order]

Article 3 Proceedings defined in the government ordinance of Patent Act Article 67(2) are as follows.

- (1) Agricultural Chemical Regulation Law (Act No. 82 of 1948): Registration of Article 2(1) (excluding re-registration of Article 2(5)); alteration and registration of Article 6-2(1) (including the case of corresponding application in Article 15-2(6)); registration of Article 15-2(1) (excluding re-registration of Article 2(5) correspondingly applied in Article 15-2(6))
- (2) Pharmaceutical Affairs Law (Act No. 145 of 1960); Approval of Article 14(1) related to pharmaceuticals defined in the same clause; approval of Article 14(9) (including the case of corresponding application in Article 19-2(5)) and Article 19-2(1); certification of Article 23-2(1) related to in-vitro diagnostics defined in the same clause and Article 23-2(4)

(Registration of extension of duration)

[Patent Act Article 67-2]

- (1) A person(s) filing a request for the registration of extension of the duration of a patent right shall submit a written application to the Commissioner of the Patent Office stating the following:
- Omitted.
  - (2) and (3) omitted.
  - (4) Where a patent right is jointly owned, none of the joint owners may file an application for the registration of extension of the duration of a patent right unless jointly with all the other joint owners.
  - (5) and (6) omitted.

[Patent Act Article 67-2(2)]

Omitted.

[Patent Act Article 67-3]

- (1) Where an application for the registration of extension of the duration of a patent right falls under any of the following items, the examiner shall render the examiner's decision to the effect that the application is to be refused:
- (i) where the disposition designated by Cabinet Order under Article 67(2) is not deemed to have been necessary to obtain for the working of the patented invention;
  - (ii) where the patentee, or the exclusive licensee(s) or registered non-exclusive licensee(s) of the patent have not obtained the disposition designated by Cabinet Order under Article 67(2);
  - (iii) where the period for which the extension is requested exceeds the period during which the patented invention was unable to be worked;
  - (iv) where the person filing the application is not the patentee; and
  - (v) where the request does not meet the requirements under Article 67-2(4).
- (2) Where no reasons for refusal are found for the application for the registration of extension of the duration of a patent right, the examiner shall render an examiner's decision to the effect that the extension is to be registered. (3) and (4) omitted.

[Patent Act Article 67-4]

Articles 47(1), 48, 50 and 52 shall apply mutatis mutandis to the examination of the application for registration of extension of the duration of a patent right.

(Effect of patent right)

[Patent Act Article 68]

A patentee shall have the exclusive right to work the patented invention as a business; provided, however, that where an exclusive license regarding the patent right is granted to a licensee, this shall not apply to the extent that the exclusive licensee is licensed to exclusively work the patented invention.

(Effect of patent right in the case of duration extension)

[Patent Act Article 68-2]

Where the duration of a patent right is extended (including the case where the duration is deemed to have been extended under Article 67-2(5)), such patent right shall not be effective against any act other than the working of the patented invention for the product which was the subject of the disposition designated by Cabinet Order under Article 67(2) which constituted the reason for the registration of extension (where the specific usage of the product is prescribed by the disposition, the product used for that usage).

#### 7-1 Purport of the system

One of the purposes of the patent system is to protect and encourage inventions by granting an exclusive right to an inventor for a fixed term in return for disclosing the techniques related to the invention, thus contributing to the development of industry.

However, in order to practice an invention of pharmaceuticals, it is necessary to obtain regulatory approval under laws intended to ensure their safety, and a long time is required for predetermined experiments and examinations to obtain approval. As a result, the inventor cannot make a profit by the exclusive right until obtaining approval, even if the duration of the patent right remains.

The case of obtaining a patent right for a medicinal invention, for example "a drug for treating disease Y comprising an active ingredient X", is explained below.

By this patent right, the patentee possesses the exclusive right to manufacture and distribute the drug for treating disease Y comprising active ingredient X. However, in order to manufacture and distribute the pharmaceuticals, it is necessary to obtain approval under the Pharmaceutical Affairs Law. In order to obtain the approval, the applicant must conduct tests on safety and efficacy as a medicine through clinical tests which require a considerable time, and then apply for approval together with submitting test results to be examined by the authority. While the various tests and examinations are being conducted, the patentee cannot manufacture and distribute the drug for treating disease Y comprising active ingredient X.

Therefore, a system for extending the duration of the patent right by registering an extension of up to five years is provided for the patentee, if the patented invention cannot be practiced because the patentee has to obtain permission defined in the law intended for ensuring safety or has to receive a disposition designated by other cabinet orders.

Currently, agricultural chemicals (the approval defined in the Agricultural Chemical Regulation Law is required) and pharmaceuticals or in-vitro diagnostics (the approval defined in the Pharmaceutical Affairs Law is required) are covered by the extension system for the duration of the patent right.

#### 7-2 Required disposition and effect of the extended patent right

In the system regarding the duration of a patent right, the duration can be extended if there is a period during which the patented invention cannot be practiced, because the disposition designated by



a Cabinet Order regarding the practice of the patented invention is necessary during the duration of the patent right (Patent Act Article 67(2)). The extended patent right does not apply to any act other than the practice of the patented invention for a product which is the subject of a disposition designated by the Cabinet Order which causes the registration of the extension (Patent Act Article 68-2).

The kinds of disposition necessary for practicing a patented invention and the scope of applicability of the extended patent right for pharmaceuticals are described below.

#### (1) Approval under the Pharmaceutical Affairs Law

The manufacture and distribution of pharmaceuticals under the Pharmaceutical Affairs Law require approval for each item (product). The matters to be examined are the "name, composition, dosage, structure, usage, dose, method of use, effect, efficacy, performance, side effect and other qualities, and matters related to effectiveness and safety".

Approval for manufacturing and distribution is not granted for the concept of "a drug for treating disease Y comprising active ingredient X", but to the item (product) which specifies in detail X (active ingredient) and a drug for treating disease Y (effect/efficacy) as well as formulation (tablets, injection, etc.), amount of active ingredient, and the type, amount, usage, and dose of other compositions.

#### (2) Examination standards for extending the duration of a patent right

The "Examination Guidelines for Patent and Utility Model in Japan, Part VI Patent term extension" explains that the active ingredient (an object) and the effect/efficacy (use) are examined when conducting a patent examination.

In the following, the practice of patent examinations is explained with reference to examples.

##### (2-1) Patent right

Suppose that a novel compound X was discovered to be effective as a drug for treating disease Y as a result of research and development of a drug for treating disease Y. Then, the compound was also discovered to be effective as a drug for treating disease Z through further research.

Then, the product was granted a patent for the invention of "novel compound X" (hereinafter referred to as "compound X patent"), that of "a drug for treating disease Y comprising active ingredient X" (hereinafter referred to as "Y treating drug patent"), and that of "a drug for treating disease Z comprising active ingredient X" (hereinafter referred to as "Z treating drug patent").

##### (2-2) The first approval as a treating drug Y

In this case, if the approval for manufacturing and distribution was first obtained for a tablet of Y treating drug having active ingredient X, extension of the duration of patent rights for "compound X patent" and "Y treating drug patent" is allowed based on the approval (disposition).

As for the coverage of the effect of the extended patent right, the practice of using compound X as the active ingredient of Y treating drug is valid in the "compound X patent", while the practice of using it for uses other than Y treating drug is not valid under the extended patent right.

As for the "Y treating drug patent", the extended patent right is effective for the whole patented invention. If the active ingredient is X and its effect/efficacy is to treat disease Y, the extended patent right is effective for the act of manufacturing and distributing preparations having different items from those for which the disposition was obtained, such as in formulations, effective dosages, other compositions, etc.

#### (2-3) Change in formulation of Y treating drug

Next, suppose that approval for manufacturing and distribution was obtained for injections. The active ingredient X (object) and its effect/efficacy for treating disease Y (use) were already approved for manufacturing and distribution, and so the invention can be practiced, though as a tablet. Therefore, extension of the duration of the patent right cannot be obtained for either "compound X patent" or "Y treating drug patent".

#### (2-4) The first approval as Z treating drug

Next, suppose that approval for the manufacture and distribution of a capsule of Z treating drug having active ingredient X was obtained. Based on this approval (disposition), extension of the duration of patent rights for "compound X patent" and "Z treating drug patent" can be obtained.

As for the coverage of the effect of the extended patent right, the practice of using compound X as the active ingredient of Z treating drug is valid in the "compound X patent", while the practice of using it for uses other than Z treating drug is not valid under the extended patent right.

In the "Z treating drug patent", the extended patent right is effective for the whole patented invention. If the active ingredient is X and its effect/efficacy is to treat disease Z, the extended patent right is effective for the act of manufacturing and distributing preparations having different items from those for which the disposition was obtained, such as in formulations, effective dosages, other compositions, etc.

### 7-3 Judgments

The operation of patent examinations in the above-mentioned "Examination Guidelines for Patent and Utility Model in Japan Part VI Patent Term Extension", that extension is determined by an active ingredient (object) and effect/efficacy (use), has been affirmed in many High Court judgments since the system was established. Other than the two examples described below, it has been affirmed in each judgment of Tokyo High Court 1998 (Gyo-Ke) No. 361 to No. 364, Intellectual Property High Court 2005 (Gyo-Ke) No. 10012, 2007 (Gyo-Ke) No. 10345, 2007 (Gyo-Ke) No. 10184, 2009 (Gyo-Ke) No. 10016, 2009 (Gyo-Ke) No. 10017, and each judgment for infringement cases of Tokyo High Court 2001 (Ne) No. 959 and 1997 (Ne) No. 3894. (Reference 9)

(a) "Long-term controlled-release microcapsule" (Intellectual Property High Court 2006 (Gyo-Ke) No. 10311 judgment (July 19, 2007)

(The High Court already rejected the appeal and decided not to accept the petition for acceptance of final appeal.)

Judgment of the court:

In the case that the disposition designated in the Cabinet Order of Patent Act Article 67(2) was first conducted, the first disposition was deemed necessary to remove the prohibition of manufacturing and distributing the object (in the case a specific use was specified for the object in the disposition, the object used for the use), and thus the registration of the extension of the patent right term can be applied based on the disposition. However, regarding the dispositions made secondly and thereafter, they fall under the case "where the disposition designated by Cabinet Order under Article 67(2) is not deemed to have been necessary to obtain for practicing the patented invention" prescribed in Patent Act Article 67-3(1), so it is decided to reject the application for registering the extension of patent right term.

As mentioned above, a collective interpretation for the overall system of extending the duration of patent right should be enabled by considering the provision of Patent Act Article 68(2) which defines the effect of the patent right after extension, when determining whether to approve an application for registering the extension of patent right term according to Patent Act Article 67-3.

...

It shall be clear that "an object" and "use" respectively mean "an active ingredient" and "effect/efficacy" in Patent Act Article 68-2, when they were enacted. The reason for this is that the system for extending the duration of the patent right was established from the viewpoints of "an object" and "use" of the invention because patents for new medicines are mostly granted for "an active ingredient" or "effect/efficacy", and also, both are the characteristic elements of the new medicine to be specified as items of pharmaceuticals required by the Pharmaceutical Affairs Law.

(b) "Soluble polypeptide microcapsule" (Intellectual Property High Court 2005 (Gyo-Ke) No. 10345 (October 11, 2005))

Judgment of the court:

In extending the patent right term, the requirements for approval, causes for rejection, and effect of the extended patent right should naturally be consistent as a whole.

The requirement of "the disposition designated by the Cabinet Order regarding the practice of the patented invention is necessary", which is considered to be necessary for registering the extension, is assumed for the general cases of "an object which is the subject of the disposition designated in the Cabinet Order of Patent Act Article 67(2)", as mentioned above. The provision of Article 68-2 defines a specific case of, in parentheses, "where the specific usage of the product is prescribed by the disposition" in "the object which is the subject of the disposition designated in the Cabinet Order of Patent Act Article 67(2)". This means that the Patent Act tries to define the concept of disposition by the concept of "an object (an active ingredient)" and "use (effect/efficacy)" in the case of pharmaceuticals, separately from the provisions of the Pharmaceutical Affairs Law. Thus, the requirement of "the disposition designated by the Cabinet Order is necessary" prescribed in Article 67(2) and 67-3(1)(i), which is the requirement of "the disposition designated by the Cabinet Order is necessary to practice the patented invention" in the precedent legal opinion, should be understood as "the disposition is necessary from the viewpoints of an object (an active ingredient) and use

(effect/efficacy)" for the pharmaceuticals that are the subject of the approval defined in the Pharmaceutical Affairs Law Article 14(1), and thus a consistent interpretation can be obtained as a whole.

(Reference 9: Judgment denying precedent judgments)

In May 2010, a judgment was made denying the precedent judgments of the Tokyo High Court and Intellectual Property High Court (Intellectual Property High Court 2009 (Gyo-Ke) No. 10458-10460 (May 29, 2010)).

The judgment denied the criteria for determining an active ingredient (object) and effect/efficacy (use). Roughly speaking, it stated that the necessity of the disposition for practicing the patented invention, as well as the coverage of the effect of extended patent right, should be determined by the respective item for which approval was obtained.

The Patent Office petitioned the Supreme Court to accept a final appeal because it was against precedent judgments of the High Court. Currently (January 2011), the Supreme Court has not made any judgment.