Date	November 26, 2008	Court	Tokyo District Court, 29th Civil Division
Case number	2007 (Wa) 26761		

– A case wherein, with respect to an invention for high purity acarbose, which is a product invention for which a patent right was granted, the court held that such invention lacked an inventive step by finding that a person ordinarily skilled in the art could have purified the acarbose stated in a document containing no statements on the production process of such invention and that such document thus falls under the "publication" prescribed in Article 29, paragraph (1) , item (iii) of the Patent Act.

In this case, the plaintiff, who holds a patent right for high purity acarbose, alleged that the medicine manufactured and sold by the defendant infringes the plaintiff's patent right and claimed an injunction against the sale, etc. of the abovementioned medicine. In response to this, the defendant argued that the invention for which said patent right was granted is invalid since it is an invention stated in the publication prescribed in the Patent Act and thus lacks an inventive step.

With respect to the document which only states the comparative activity value of acarbose and lacks statements on the purity and production process thereof, the court first found that the acarbose stated in said document has a purity of 100 percent by weight or a similar figure on the grounds that the comparative activity value thereof is extremely similar to the comparative activity value of acarbose having a purity of 100 percent by weight. Based on such finding, with respect to the fact that the relevant document lacks the statement on the production process, the court held that a person ordinarily skilled in the art could have purified acarbose stated in the document for the following reasons: [i] the plaintiff itself has purified acarbose having a purity of 100 percent by weight or a similar figure; [ii] it is general common technical knowledge among persons ordinarily skilled in the art that repeated purification of chemicals on the premise of using a large amount of raw material often enables production of products with higher purity, setting aside the yield thereof; and [iii] in this case, it can be assumed that the purity of acarbose could be increased by the repeated performance of purification using prior arts. Based on such holding, the court found that the relevant document falls under the "publication" prescribed in Article 29, paragraph (1) , item (iii) of the Patent Act and that the invention for which the plaintiff's patent right was granted lacks an inventive step.

Judgment rendered on November 26, 2008, the original of the judgment was received by the court clerk on the same day

2007 (Wa) 26761, Case of Seeking Injunction Against Infringement of Patent Right, etc.

Date of conclusion of oral argument: September 5, 2008

Judgment

Plaintiff: Bayer Aktiengesellschaft

Defendant: Taiyo Pharmaceutical Industry, Co., Ltd.

Main text

1. All of the plaintiff's claims shall be dismissed.

2. The court costs shall be borne by the plaintiff.

Facts and reasons

No. 1 Claims

1. The defendant shall not manufacture and sell the medicines stated in the attached list of articles (hereinafter referred to as the "Defendant's Preparations").

2. The defendant shall dispose of the Defendant's Preparations.

No. 2 Outline of the case

In this case, the plaintiff, who holds a patent right for highly pure acarbose, alleged against the defendant, who is engaged in the manufacture and sale of the Defendant's Preparations, that the Defendant's Preparations fall within the technical scope of the patented invention for which the plaintiff holds a patent right and thus the defendant's acts of manufacturing and selling the Defendant's Preparations constitute infringement of the plaintiff's patent right. Based on these allegations, the plaintiff sought an injunction against the manufacture and sale of the Defendant's Preparations based on Article 100, paragraph (1) of the Patent Act as well as the disposal of the Defendant's Preparations based on paragraph (2) of said Article.

1. Undisputed facts, etc. (Except for the undisputed facts, the evidence, etc. shall be stated at the end of the sentences.)

(1) Parties

A. The plaintiff is a German corporation engaged in the manufacture and sale and otherwise handling of medicines and quasi-drugs, etc., in the course of trade.

B. The defendant is a stock company engaged in the manufacture and sale and otherwise handling of medicines and quasi-drugs, etc., in the course of trade.

(2) The plaintiff's patent right

A. The plaintiff holds a patent right for the following patent (hereinafter referred to as the "Patent Right").

Patent No. 2502551

Title of the invention: Highly pure acarbose

Application No.: Patent Application No. 1986-292667

Application date: December 10, 1986

Priority date: December 13, 1985 (Exhibit Ko 2; hereinafter the statements that read "at the time of filing an application" or "prior to filing an application" shall also refer to "as of the priority date" or "prior to the priority date," respectively)

Registration date: March 13, 1996

Extension period: Two years, five months and five days

B. The statements in Claim 1 contained in the description pertaining to the Patent Right (hereinafter referred to as the "Description") are as follows (hereinafter the invention stated in Claim 1 shall be referred to as the "Patented Invention" and the parts related to the Patented Invention among the patent described in A. above shall be referred to as the "Patent").

"A purified acarbose composition which, apart from water, has an acarbose content of about 93wt%"

C. The Patented Invention can be decomposed into the following constituent features (hereinafter the constituent features shall be referred to as "Constituent Feature A" and "Constituent Feature B," respectively).

A. Which, apart from water, has an acarbose content of about 93wt%;

B. A purified acarbose composition which has the feature mentioned in A. above.

(3) The Defendant's Preparations

A. On March 15, 2006, the defendant acquired approval for manufacture under the Pharmaceutical Affairs Act for the Defendant's Preparations containing acarbose as generic drugs labeled "Glucobay tablet 50mg" and "Glucobay tablet 100mg" manufactured and sold by the plaintiff. Further, on July 6, 2007, the Defendant's Preparations were listed in the National Health Insurance Drug Pricing List.

The defendant manufactured the Defendant's Preparations and started to sell them in July 2007.

B. The acarbose content of the acarbose composition contained in the Defendant's Preparations is 99.3 to 99.7wt %.

(4) Regarding acarbose

A. Acarbose is produced through the steps of cultivating amino sugar producing bacteria of Actinoplanes and concentrating and purifying the fermentation broth thereof. It is used as a medicine to treat diabetes as it has an activity as an inhibitor of saccharase enzyme complex in the human small intestine. Saccharase inhibiting activity is sometimes expressed as Saccharase Inhibitor Unit (SIU).

B. Acarbose is disclosed in Publication of Unexamined Patent Application No. 1975-53593 (Applicant: the plaintiff; Date of publication: May 12, 1975; hereinafter referred to as "Exhibit

Otsu 1 Document") (Exhibit Otsu 1).

2. Issues

(1) Whether or not the Defendant's Preparations fall within the technical scope of the Patented Invention

(2) Whether or not the Patent should be invalidated in a trial for patent invalidation

A. Whether or not the Patented Invention lacks novelty due to Publication of Unexamined Patent Application No. 1982-185298 (Exhibit Otsu 2; hereinafter referred to as "Exhibit Otsu 2 Document") and Publication of Unexamined Patent Application No. 1982-212196 (Exhibit Otsu 3; hereinafter referred to as "Exhibit Otsu 3 Document")

B. Whether or not the Patent is in violation of Article 36, paragraph (3) of the Patent Act prior to the amendment by Act No. 30 of 1990 (hereinafter referred to as "Former Article 36, Paragraph (3)") or Article 36, paragraph (4) of the Patent Act prior to the amendment by Act No. 27 of 1987 (hereinafter referred to as "Former Article 36, Paragraph (4)")

C. Whether or not the Patented Invention lacks inventive steps.

(omitted)

No. 3 Determination on the issues

In this case, the court will determine the issues in the order of the issue mentioned in (2)A. above and then that mentioned in (2)B. above, in light of the characteristics of the case.

1. Regarding Issue (2)A. (Whether or not the Patented Invention lacks novelty due to Exhibit Otsu 2 Document and Exhibit Otsu 3 Document)

(1) Regarding the Patented Invention

According to the evidence (Exhibit Ko 2 and Exhibit Otsu 5), the abovementioned undisputed facts, etc. and the entire import of the oral argument, the following facts are found.

The Patented Invention is an invention of a product which contains "a purified acarbose composition which, apart from water, has an acarbose content of about 93wt%" in its scope of claims.

In the detailed explanation of the invention contained in the Description, it is stated that "acarbose is an inhibitor of saccharase enzyme complex in the human small intestine and used in medicine for the treatment of diabetes. Acarbose is O-4,6-didesoxy-4-[(1S,4R,5S,6S)-4,5,6-trihydroxy-3-(hydroxymethyl)-2-cyclohexen-1-yl-amino]-α-D-glucopyranosyl-(l→4)-O-α-D-glucopyranosyl(l→4)-glucopyranose. The inhibitor is obtained by fermentation of Actionplanes species […], and must be isolated from the fermentation broth. To this end, the purification processes have been described […]. In these purification processs, the acarbose is bound to a strongly acidic cation exchanger and is eluted

with salt solutions or, mainly, with dilute acid." (line 13 to line 30 of paragraph 3) With respect to the acarbose obtained by the purification process using a strongly acidic cation exchanger, which is a prior art, it is stated that "the acarbose content is 78 to 88% in the dry matter (the HPLC method). These preparations still contain impurities in the form of about 10 to 15% of secondary component giving coloring reactions for sugar, 1 to 4% of ash and several coloring constituents. Even higher degrees of purity are necessary for use in human medicine." (line 30 to line 35 of paragraph 3) Furthermore, it is stated that by purifying the prepurified substance obtained by such purification process by a one-step purification process using a weakly acidic cation exchanger as stated in the Description, "the content of acarbose is increased to at least 90wt% or preferably 95 to 98wt% or more, the sulfated ash decreases to 0 to 0.5% and the sugar-like secondary component diminishes to less than 10wt%, preferably 2 to 5wt% or less. Hence, this invention relates to acarbose containing 10wt% or less sugar-like secondary component. Acarbose containing 2 to 5wt% of sugar-like secondary component is preferable and this invention particularly preferably relates to acarbose containing 2wt% or less sugar-like secondary component." (line 45 of paragraph 3 to line 5 of paragraph 4)

In addition, setting aside its strict definition, the term "composition" refers to a substance consisting of two or more kinds of components (see Exhibit Otsu 5). In light of the statements in the detailed explanation of the invention contained in the Description as stated above, the components other than acarbose in the case of using the term "acarbose composition" in the Patented Invention refer to the sugar-like secondary component and other impurities (the plaintiff itself has admitted that components other than acarbose are impurities).

(2) Regarding Exhibit Otsu 1 Document, Exhibit Otsu 2 Document, Exhibit Otsu 3 Document and Exhibit Otsu 12 Document

According to the evidence (Exhibits Otsu 1, 2, 3, 12-1 and 12-2), the abovementioned undisputed facts, etc. and the entire import of the oral argument, the following facts are found.

A. With respect to the patented invention stated in Exhibit Otsu 1 Document, which is a publication of unexamined patent applications, the title of the invention is "a manufacturing process, medicines and food and drink with medicines of aminosaccharide compound" and the plaintiff filed as the applicant a patent application for said invention on September 19, 1974, and such application was laid open on May 12, 1975. Exhibit Otsu 1 Document contains a statement that a compound with 68,000SIU/g has been obtained as the working example of the patented invention (line 10 to line 12 of the upper right paragraph of page 22) and such compound is found to be acarbose but its purity is not stated (Exhibit Otsu 1).

B. With respect to the patented invention stated in Exhibit Otsu 2 Document, which is a publication of unexamined patent applications, the title of the invention is "aminocyclitol derivative" and the plaintiff filed as the applicant a patent application for said invention on May

4, 1982 (priority was claimed on May 5, 1981) and such application was laid open on November 15, 1982. Exhibit Otsu 2 Document contains the statements mentioned in (A) and (B) below with respect to the acarbose used as a comparative example but not the statements on the purification process or purity of such acarbose (Exhibit Otsu 2).

(A) "the standard used is a sucrose enzyme inhibitor of acarbose whose chemical formula is $C_{25}H_{43}O_{18}N$ and has a comparative inhibitor activity of 77,700SIU/g" (from the last line of the left upper paragraph to line 3 of the upper right paragraph of page 9)

(B) "SIU/g

Comparison

Substance (acarbose) with the formula n=0 and m=2 (II): 77,700" (Table No. 1 in the left upper paragraph of page 9)

C. With respect to the patented invention stated in Exhibit Otsu 3 Document, which is a publication of unexamined patent application, the title of the invention is "saturated aminocyclitol derivative" and the plaintiff filed as the applicant a patent application for said invention on June 11, 1982 (priority was claimed on June 13, 1981) and such application was laid open on December 27, 1982. Exhibit Otsu 3 Document contains the following statements as the comparative example contained in working example 1 but not the statements on the purification process or purity of such acarbose (Exhibit Otsu 3).

"Working example 1: SIU/g

Substance with the formula m=0, n=2, Y=H and X=OH (I): 59829

Comparison:

Substance (acarbose) with the formula m=0, n=2, Y=H and X=OH (II): 77700" (line 9 to line 14 of the lower right paragraph of page 11)

D. With respect to the patented invention stated in Exhibit Otsu 12 Document, which is a publication of unexamined patent applications, the title of the invention is "new pharmaceutical preparations of glycoside hydrolase inhibitor" and the plaintiff filed as the applicant a patent application for said invention on September 1, 1982 (priority was claimed on September 1, 1981) and such application was examined and published on May 1, 1995. Exhibit Otsu 12 Document contains the following statements with respect to the acarbose related to said invention but not the statements on the purification process, purity and saccharase inhibiting activity of such acarbose (Exhibits Otsu 12-1 and 12-2).

(A) Exhibit Otsu 12-1 Document

a. "The appropriate glycoside hydrolase inhibitor that can be used within the scope of this invention is acarbose and the inhibitor related to acarbose." (line 7 to line 9 of the upper right paragraph of page 2)

b. "Working example 1

After mixing 100kg of acarbose with 108.5kg of dry starch, 45kg of microcrystalline cellulose, 0.5kg of colloidal silicon dioxide and 0.5kg of magnesium stearate, the obtained mixture was subjected to dry compression." (line 6 to line 10 of the lower right paragraph of page 4)

c. "Working example 2

100kg of acarbose was granulated together with 94kg of corn starch and 40kg of microcrystalline cellulose using a fluid bed granulator by continuously spraying water while simultaneously introducing hot air" (line 16 of the lower right paragraph of page 4 to line 3 of the upper left paragraph of page 5).

d. "Working example 3

10kg of acarbose was mixed with 70kg of granulated or spray dried mannitol, 19.9kg of sorbitol and 0.1kg of silicon dioxide." (line 9 to line 12 of the upper left paragraph of page 5)

e. "Working example 4

An aqueous solution of 8kg of polivinylpyrrolidone […] was continuously sprayed on a mixture of 100kg of acarbose together with 43.5kg of corn starch and 82kg of microcrystalline cellulose." (line 16 of the upper left paragraph to line 3 of the upper right paragraph of page 5)

(B) Exhibit Otsu 12-2 Document

a. Same as that stated in (A)a. above (line 20 to line 22 of paragraph 3)

b. Same as that stated in (A)b. above (line 42 to line 46 of paragraph 5)

c. Same as that stated in (A)c. above (line 40 to line 43 of paragraph 6)

d. Same as that stated in (A)e. above, except that the relevant working example is stated as the third one (line 48 of paragraph 6 to line 2 of paragraph 7)

(3) Regarding the acarbose stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document

Based on the abovementioned undisputed facts, the facts found in (1) and (2) above and the entire import of the oral argument, this court will examine the acarbose stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document.

A. In light of the fact that the detailed explanation of the invention contained in the Description contains a statement which reads "The inhibitor content was 446,550SIU, corresponding to 5.75g of pure anhydrous acarbose." (Exhibit Ko 2, line 14 to line 15 of paragraph 8), the specific activity of acarbose with 100wt% purity is found to be approximately 77,661SIU/g.

(Calculation formula) 446,550SIU÷5.75g≒77,661SIU/g

B. On the other hand, in light of the fact that the specific activity of the acarbose stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document is 77,700SIU/g, which is a value extremely near to the specific activity of acarbose with 100wt% purity that has been calculated by the abovementioned method, i.e. about 77,661SIU/g, the purity of the first-mentioned acarbose may not be fixed in a strict sense but can be found to be 100wt% or a value extremely

near to it.

Yet, considering that the purity of acarbose is not stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document and there is no sufficient evidence to find that the purity of acarbose could be calculated prior to filing an application for the Patent, it should be said that the purity of the acarbose having a specific activity of 77,700SIU/g stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document remained unclear prior to filing an application for the Patent.

However, in light of the fact that the components other than acarbose contained in the "purified acarbose composition" are impurities, it may be construed that the purity of acarbose will increase in proportion to the elevation of the specific activity value and it can be found that a person ordinarily skilled in the art could have easily assumed of such fact (the plaintiff itself has admitted that the specific activity may serve as a clue to presume the acarbose content). As such, since the acarbose with a specific activity of 77,700SIU/g stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document has a higher specific inhibitory activity in comparison to the acarbose with a specific activity of 68,000SIU/g stated in Exhibit Otsu 1 Document, it is obvious that the first mentioned acarbose would be recognized to have a higher purity. In addition, as long as acarbose with the characteristic feature of having a specific activity of 77,700SIU/g existed prior to filing an application for the Patent, even if it became possible to calculate the purity (purity of 100wt% or a value extremely near to it) of acarbose based on its characteristic feature after filing an application for the Patent (while setting aside the fact that the calculation method thereof has a reasonable technical significance), it should be said that it is unreasonable to deny that the acarbose prescribed by the specific activity and the acarbose with the relevant purity are identical as a substance.

Based on the abovementioned findings, it is reasonable to find that acarbose with a purity of 100wt% or a value extremely near to it was stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document.

The defendant alleges that the acarbose stated in Exhibit Otsu 12 Document also has a 100wt% purity. It is true that, since the invention stated in Exhibit Otsu 12 Document is a "new pharmaceutical preparation" using acarbose, it can be presumed that the acarbose used in said invention had a purity high enough "for use in human medicine." However, as the contents of the statements in Exhibit Otsu 12 Document are as stated in (2)D. above, and the specific activity of acarbose: not to mention its purity, is not stated, the purity of the acarbose stated therein cannot be identified based on the contents of statements in Exhibit Otsu 12 Document nor is there any other evidence sufficient enough to identify its purity. Therefore, the purity of the acarbose stated in Exhibit Otsu 12 Document remains unclear and it cannot be found that it was 100wt%.

C. In addition, in light of the fact that acarbose is produced through the steps of cultivating

amino sugar producing bacteria of Actinoplanes and concentrating and purifying the fermentation broth thereof, the acarbose stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document can be found to be a purified acarbose (the plaintiff itself has admitted that the acarbose stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document is presumed to have been prepared by purifying the fermentation broth of amino sugar producing bacteria of Actinoplanes).

D. As found above, as long as a purified acarbose with a purity of 100wt% or a value extremely near to it was disclosed in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document, it can be found that a purified acarbose composition with a purity of 93wt% or higher that is covered by the Patent was stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document prior to filing a patent application for the Patented Invention.

E. In regard to this, the plaintiff alleges as follows: the specific activity of the acarbose stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document is higher than that of acarbose with 100wt% purity and impurities with high activity could have been mixed in the first-mentioned acarbose. In addition, the term "anhydrous" has not been used and thus, the first-mentioned acarbose can be construed to be referring to a substance containing a certain amount of water. Accordingly, the specific activity of the first mentioned acarbose will be further higher in an anhydrous state, and therefore, the acarbose stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document should be construed to be a composition containing other substances instead of acarbose with 100wt% purity.

However, the difference between the specific activity of acarbose with 100wt% purity, i.e. 77,661SIU/g, and that of the acarbose stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document, i.e. 77,700SIU/g, is merely 39SIU/g, and this level of difference is presumed to be within the range of measurement error and cannot be found to be significant. In addition, it was a publicly known technical problem prior to filing an application for the Patent that the purity of acarbose, which is produced through the steps of cultivating amino sugar producing bacteria of Actinoplanes and concentrating and purifying the fermentation broth thereof, must be increased in order to be used for human medicine, and thus it is unnatural to regard that impurities with high activity were mixed in the acarbose stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document and it would also be inconsistent with the technical problem of the Patent, i.e. to improve the activity of acarbose by increasing its purity. Moreover, it can be found that the purity of acarbose increases in proportion to the elevation of the specific activity as stated in B. above (the plaintiff itself has admitted that the specific activity may serve as a clue to presume the acarbose content).

Based on the abovementioned findings, the plaintiff's allegations mentioned above lack reasonableness and thus cannot be instantly accepted.

(4) Whether or not Exhibit Otsu 2 Document and Exhibit Otsu 3 Document can be regarded as describing cited inventions.

According to the evidence (Exhibits Otsu 2 and 3), the abovementioned undisputed facts, etc., the facts found in (1) through (3) above and the entire import of the oral argument, the following facts are found.

A. Article 29, paragraph (1), item (iii) of the Patent Act prior to the amendment by Act No. 41 of 1999 (hereinafter referred to as "Former Article 29, Paragraph (1), Item (iii)") provides that "inventions described in a distributed publication in Japan or a foreign country prior to the filing of the patent application" cannot be patented. The plaintiff alleges that, since Exhibit Otsu 2 Document and Exhibit Otsu 3 Document contain no statements on the purification process of the acarbose stated therein, they cannot fall under the "inventions described in a distributed publication" as prescribed in Former Article 29, Paragraph (1), Item (iii).

B. Indeed, it is construed that, in order to find that the relevant invention falls under the "invention[s] described in a distributed publication […] prior to the filing of the patent application" as prescribed in said item, the content of the invention must be disclosed to enable a person ordinarily skilled in the art who reads the publication to work the invention based on the state of the art at the time of the filing of the patent application.

Exhibit Otsu 2 Document and Exhibit Otsu 3 Document do not contain statements on the purification process of the acarbose respectively stated therein ((2)B. and C. above).

However, as described in (3) above, at the time when Exhibit Otsu 2 Document and Exhibit Otsu 3 Document were published, the purities of the acarboses stated therein remained unclear, but their purities could be virtually found to be 100wt% or a value near to it.

In addition, Exhibit Otsu 1 Document has disclosed acarbose with a specific activity of 68,000SIU/g while Exhibit Otsu 2 Document and Exhibit Otsu 3 Document have disclosed acarbose with a specific activity of 77,700SIU/g. The applicant who filed a patent application in relation to Exhibit Otsu 1 Document, Exhibit Otsu 2 Document and Exhibit Otsu 3 Document is the plaintiff itself. Thus, the plaintiff can be found to have purified acarbose with a specific activity higher than that of the acarbose disclosed in Exhibit Otsu 1 Document, in other words, this would mean that acarbose with a higher purity existed before a patent application was filed with respect to Exhibit Otsu 2 Document and Exhibit Otsu 3 Document. The plaintiff has also stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document that a comparative experiment has been carried out by using the obtained acarbose as a comparative example. In addition, it is common general technical knowledge for a person ordinarily skilled in the art that it is often the case that chemical substances with higher purity can be obtained by repeating the process of purification based on the premise of using a large amount of raw materials and setting aside the yield. Thus, in this case, where the method to separate and purify acarbose with the use of

column chromatography using a strongly acidic cation exchanger has been conventionally known, it is presumed that the purity of acarbose could have been increased by deliberately repeating the steps of separation and speciation of acarbose using said method (the plaintiff itself has admitted that the purification in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document is highly likely to have been conducted based on prior art while alleging that such purification process remains unclear).

Based on the abovementioned findings, it is found that a person ordinarily skilled in the art could have purified the acarbose stated in Exhibit Otsu 2 Document and Exhibit Otsu 3 Document by using said prior art.

C. Accordingly, Exhibit Otsu 2 Document and Exhibit Otsu 3 Document are found eligible to fall under the "publication" prescribed in Former Article 29, Paragraph (1), Item (iii).

(5) As stated in (1) above, the Patented Invention is not related to the purification process of acarbose or the calculation method of the purity thereof but instead is aimed at creating a product which is "a purified acarbose composition which has an acarbose content of about 93wt% or more." Thus, as long as "a purified acarbose composition which has an acarbose content of 93wt% or more," which is the subject matter of the Patented Invention, is "described in a […] publication," the Patented Invention is found to lack novelty.

Accordingly, it is found that the Patent should be invalidated in a trial for patent invalidation pursuant to Article 3, paragraph (1) of the Supplementary Provisions of Act No. 27 of 1987, Article 123, paragraph (1), item (i) of the Patent Act prior to the amendment by said Act, Article 2, paragraph (12) of the Supplementary Provisions of Act No. 41 of 1999 and Former Article 29, Paragraph (1), Item (iii).

2. Regarding issue (2)B. (whether or not the Patent is in violation of Former Article 36, Paragraph (3) or Former Article 36, Paragraph (4))

In this case, in light of the characteristics of the case, this court will examine the following allegations that are among the grounds alleged by the defendant for finding the Patent to be in violation of Former Article 36, Paragraph (3) or Former Article 36, Paragraph (4): Although Constituent Feature A of the Patented Invention is a statement describing that the Patented Invention includes acarbose composition with a purity exceeding 98wt% by using the term "93wt% or more," the detailed explanation of the invention contained in the Description contains no statements on acarbose with a purity exceeding 98wt% and such acarbose cannot be purified by the manufacturing process disclosed in the detailed explanation of the invention contained in the Description and thus it is impossible for a person ordinarily skilled in the art to work such invention (Part 3(3) (The defendant's allegation) B. of No. 2 above).

The defendant's allegation in this regard is construed to be alleging that the Patent is in violation of Former Article 36, Paragraph (3) (which provided that "in the detailed explanation

of the invention as referred to in item (iii) of the preceding paragraph, the object, structure and effect of the invention must be stated in a manner to enable a person ordinarily skilled in the art to which the invention pertains to easily work the invention"). This provision is construed to be a requirement for the applicant to state the detailed explanation of the invention contained in the description in a manner to enable a person ordinarily skilled in the art to produce and use in a specific manner the product covered by the invention, in the case of an invention of a product as the Invention.

As such, this court will examine in the following parts whether or not such statements are made in the detailed explanation of the invention contained in the Description.

(1) According to the evidence (Exhibits Ko 1, 2, 10 and 11), the abovementioned undisputed facts, etc. and the entire import of the oral argument, the following facts are found.

A. The subject matter of the Patented Invention is "a purified acarbose composition which, apart from water, has an acarbose content of about 93wt%" and covers every acarbose with a purity that falls within the range of 93wt% to 100wt%.

In this regard, concerning the product obtained by purifying with a weakly acidic cation exchanger a prepurified substance which has been purified using a strongly acidic exchanger, the detailed explanation of the invention contained the Description contains a statement, "the content of acarbose is increased to at least 90wt% or preferably 95 to 98wt% or more, the sulfated ash decreases to 0 to 0.5% and the sugar-like secondary component diminish to less than 10wt%, preferably 2 to 5wt% or less. Hence, this invention relates to acarbose containing 10wt% or less sugar-like secondary component. Acarbose containing 2 to 5wt% of sugar-like secondary component is preferable and this invention particularly preferably relates to acarbose containing 2wt% or less sugar-like secondary component." (line 45 of paragraph 3 to line 5 of paragraph 4) (see 1(1) above), and the following statements are made as the specific purification process.

"For the preparation of the acarbose according to the invention using this specific type of chromatography, use is made of a solution of prepurified acarbose obtained by, for example, the process which has been described in German Patent 2,719,912. This solution is applied to a column in a concentration of 1 to 20% and at a pH of 3.5 to 6.5, preferably 4.0 to 5.5. Suitable as packing material are weakly acidic cation exchangers which have carboxyl groups and are based on dextran, agarose and cellulose, or exchangers derived from these components with the addition of polyacrylamides, such as, for example, the commercially available types CM-Sephadex®, CM-Sepharose®, CM-Cellulose®, CM-Cellufine®, inter alia. Remarkably, the commercially available weakly acidic exchangers which contain carboxyl groups and are based on polystyrene, polyacrylic acid or polymethacrylic acid cannot be used for this purification.

Accordingly, the invention furthermore relates to a process for the preparation of acarbose which contains, apart from water, less than 10wt% of sugar-like secondary component, which is characterized by the following: 1 to 20wt% aqueous solution of prepurified acarbose at a pH of 4 to 7 is applied to a column, which contains, as packing material, weakly acidic cation exchangers which have carboxyl groups and are based on dextran, agarose and cellulose or exchangers which are derived from the latter with the addition of polyamide; the column is eluted exclusively with degassed, distilled water; and, where appropriate, the acarbose is isolated from the eluate in customary manner.

The volume of the aqueous solution of prepurified acarbose which is applied to the column is restricted. The maximum volume which can be applied corresponds to the filling volume of the column, and preferably less than 60% of the column volume is applied. For this reason, in order to purify a production volume of acarbose, the concentrations used are not too low. The concentrations are limited in the upward direction by the fact that the ion exchangers best suited for the purification are prone to shrinkage. Concentrations of 7-20% are preferred.

After the application, the column is eluted exclusively with degassed, distilled water. During this, salts, neutral sugars and coloring concomitants are first eluted, and subsequently, the acarbose is more slowly eluted in a relatively broad peak. The sugar-like basic secondary component remains in the column and is not removed until it is regenerated. Thus the acarbose is in the form of a purely aqueous solution at a pH of 6-7 and can be concentrated in a customary manner and dried in a highly pure form.

The behavior of acarbose in the column depends on several factors of which, surprisingly, those crucial for the practical procedure are the equilibrium pH of the column packing material and the temperature during the chromatography.

Alteration of the pH of the column packing material alters the capacity and the elution behavior of acarbose. At neutral pH values, the slowness of elution of acarbose compared with that of the salts is insufficient, and separation is inadequate. At acid pH values around 3.5-4, acarbose concentration comes down very slowly and is only incompletely eluted with water. Carrying out the process in practice requires an optimization of the pH for each particular exchanger. In general, pH values between 4.3 and 5.0 are suitable. The pH values which are to be preferred are around 4.6 in the case of high loading and around 4.9 in the case of low loading and achieving maximum yield.

The second important factor is the temperature. The lower the temperature the more strongly acarbose is held back by the ion exchanger, but the greater the capacity of the column the slower the elution of acarbose. This means that an asymmetric peak is obtained and the volume of the acarbose fraction is very large. Hence it is expedient to apply the substance at, or even below, room temperature, and, after the elution of the salts and coloring constituents, to heat the

column to about 25° to 90° C, preferably to 40°-70° C. This results in rapid elution of acarbose with good yields." (line 6 of paragraph 4 to line 17 of paragraph 5)

In addition, while 10 working examples are stated, among them, the maximum value of the purity of acarbose is "98% in a dry matter" in working examples 8 and 10. (line 29 of paragraph 9 to line 1 of paragraph 10 and line 23 to line 24 of paragraph 10)

Accordingly, it is found that it remains unclear from the statements per se in the detailed explanation of the invention contained in the Description as to whether or not a person ordinarily skilled in the art could have easily obtained a purified acarbose composition with a purity exceeding 98wt% by using the purification process described in the detailed explanation of the invention contained in the Description.

B. In this regard, the plaintiff has alleged that a person ordinarily skilled in the art could have easily obtained a purified acarbose composition with a purity exceeding 98wt% and has submitted as evidence the results of Exhibit Ko 10 experiment where acarbose with a purity of 99.4wt% is obtained by the purification process described in the detailed explanation of the invention contained in the Description (which is almost the same process used in working example 1 contained in the detailed explanation of the invention contained in the Description (hereinafter referred to as "Working Example 1") except for changing the elution temperature to 50° C) (Exhibits Ko 10 and 11).

However, conducting the purification in accordance with Working Example 1 except for changing the elution temperature to 50° C is described as working example 3 contained in the detailed explanation of the invention contained in the Description (hereinafter referred to as "Working Example 3") and the purity of acarbose in that case is described to be 91wt%. (line 25 to line 27 and Table 1 below line 33 of paragraph 8) Thus, unlike the abovementioned case, the grounds or reasons why acarbose with 99.4wt% purity could be obtained in Exhibit Ko 10 experiment remain unclear in light of the evidence submitted in this case ("Evidence").

In addition, the purification process described in the detailed explanation of the invention contained in the Description is one wherein the prepurified substance obtained by the purification process of using strongly acidic cation exchangers, which is a prior art, is purified using weakly acidic cation exchangers. Thus, it may be presumed that if such prepurified substance is highly pure, acarbose with a purity higher than that described in the working examples contained in the Descritpion can be obtained by purifying such prepurified substance by the process described in Working Example 1 or Working Example 3. On the other hand, the purity of the prepurified substance used in Exhibit Ko 10 experiment remains unclear in light of the Evidence and it cannot be denied that the purity of the prepurified substance may be higher than that of the abovementioned prepurified substance used in the Patented Invention (in light of the statements in the Description, the latter purity is presumed to be 88wt% at best, which is a

maximum purity based on prior art).

As such, even if a purified acarbose composition with 99.4wt% purity could be obtained in Exhibit Ko 10 experiment, it cannot be found that a person ordinarily skilled in the art could have easily obtained a purified acarbose composition with a purity exceeding 98wt% by the purification process described in the detailed explanation of the invention contained in the Description at the time of filing a patent application for the Patent.

(2) Accordingly, since the Description has failed to meet the requirement that "in the detailed explanation of the invention [...], the object, structure and effect of the invention must be stated in a manner to enable a person ordinarily skilled in the art to which the invention pertains to easily work the invention," it is found that the Patent should be invalidated in a trial for patent invalidation pursuant to Article 3, paragraph (1) of the Supplementary Provisions of Act No. 27 of 1987, Article 123, paragraph (1), item (iii) of the Patent Act prior to the amendment by said Act, Article 2, paragraph (1) of the Supplementary Provisions of the Order for Enforcement of the Act on Special Provisions of Procedures, etc. Concerning Industrial Property Rights, and Former Article 36, Paragraph (3).

3. As found above, without the need to make determinations on other points, all of the plaintiff's claims are groundless and thus will be dismissed, and the judgment shall be rendered in the form of the main text.

Tokyo District Court, 29th Civil Division

Presiding judge: SHIMIZU Misao

Judge: SAKAMOTO Saburo

Judge: KOKUBU Takafumi

(Attachment)

List of articles

Medicines with the following product name

1. Acarbose tablet 50mg "Taiyō"
2. Acarbose tablet 100mg "Taiyō"