Appeal Decision

Appeal No. 2014-20471

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The case of appeal against an Examiner's Decision of refusal for Japanese Patent application No.2010-536354 "ORAL DISPERSABLE TABLET" [International Publication on June 11, 2009 as WO2009/071219, National Publication on March 3,

2011 as National Publication of International Patent Application No.2011-506279] has resulted in the following appeal decision.

Conclusion

The demand for the appeal of the case was groundless.

Reason

No. 1 History of the procedures

The application is an application with an international filing date of November 25, 2008 (priority claim under the Paris Convention receipt date of December 8, 2007 in European Patent Office (EP)), a notice of reasons for refusal was issued on June 21, 2013, and despite submission of the written opinion and the written amendment on October 15, 2013, the examiner's decision of refusal was issued on June 4, 2014. In response, notice of appeal against the examiner's decision was requested on October 9, 2014.

No. 2 The Invention

Accordingly, it is recognized that the inventions relating to Claims 1 to 7 of the present application should be specified in the matters recited in Claims 1 to 7 of the Claims that have been amended by the written amendment on October 15, 2013. The invention relating to Claim 1 (hereinafter referred to as "the Invention") is as follows.

"[Claim 1]
A non-effervescent tablet for oral administration, comprising an effective amount of at least one pharmaceutically active substance, water insoluble parts, a surfactant, and a disintegrant, such that the total water insoluble parts consisting of a pharmaceutically active substance, the surfactant, and the disintegrant constitutes at least 50% (w/w) of the total weight of the tablet, and such that said tablet is orally disintegretable or dispersable, wherein said pharmaceutically active substances are selected from acarbose, miglitol, and voglibose in the form of crystals or agglomerates, and have a particle size of 125μm-800μm."

No. 3 Cited Document, well-known example, and matters thereof

1 National Publication of International Patent Application No.2006-524650, which was distributed on November 2, 2006, before the priority date of the present application, and was cited in reasons for refusal stated in the examiner's decision (Cited Document 5 of the Examiner's decision, hereinafter referred to as "Cited Document 1"), discloses the following matters: It should further be noted that the body provided the underlines hereinafter.

(1a) "[Claim 27]

A pharmaceutical orally disintegratable tablet which consists essentially of 50% to 90% silicified microcrystalline cellulose, 0% to 20% of low-substituted hydroxypropyl cellulose, a lubricant, and an effective amount of a pharmaceutically active substance, wherein said tablet exhibits disintegration within 1 to 15 seconds when tested in an in vitro disintegration test."

(1b) "[0010]

Separate from oral disintegration concerns, microcrystalline cellulose has been used as a binder especially in direct compression tablet formulations. A modified form of microcrystalline cellulose is taught in U.S. Patent No. 5,585,115 wherein the microcrystalline cellulose is coprocessed with silicon dioxide to form an intimate mixture. Such a modified cellulose is referred to as silicified microcrystalline cellulose. According to U.S. Patent No. 5,585,115 silicified microcrystalline cellulose has enhanced compressibility properties, especially in wet granulation conditions, thereby making it more attractive as a binder or diluent in a greater variety of tablet forming processes. Silicified microcrystalline cellulose is commercially available from Penwest under the trade name PROSOLV."

(1c) "[0017]

The Invention relates to the surprising discovery that silicified microcrystalline cellulose can be used to provide an orally disintegrable tablet. This ability was not known from the above-recited prior patent disclosures. Indeed, because silicified microcrystalline cellulose is a water insoluble tablet matrix-forming excipient, the use thereof in providing oral disintegration is contrary to the conventional approach in the art for oral disintegration tablets. The orally disintegrable tablets of the Invention include silicified microcrystalline cellulose as a matrix-forming excipient, typically in an amount of at least 30%, more typically 50% to 90%, more typically 60% to 80%."

(1d) "[0020]

The silicified microcrystalline cellulose (...) is ... For example, ProSolv 50 and ProSolv 90 (Penwest) are commercially available silicified (2% SiO_2) microcrystalline celluloses having a median particle size of 50 and 90 microns, respectively, and are conveniently used in the Invention. Surprisingly, ProSolv 50 generally has an inferior taste/feeling in the mouth in comparison to ProSolv 90. Thus, silicified microcrystalline cellulose having a median particle size in the range of 75 to 125, especially about 90 microns, are likely preferred from this perspective."

(1e) "[0022]

An example of the disintegrant is a hydroxypropyl cellulose (HPC), especially low-substituted hydroxypropyl cellulose (L-HPC) as defined in United States Pharmacopeia (USP). Other suitable disintegrants include sodium starch glycollate, carboxymethyl cellulose, crosscarmelose sodium, crosspovidone, and starch. The disintegrant may be water soluble or insoluble, but is typically water swellable, which accounts for its disintegrating ability. The disintegrant may be non-hygroscopic. Preferably the disintegrant is not water soluble."

(1f) "[0023]

Another excipient that can affect the oral disintegration is a lubricant. A preferred lubricant that tends to facilitate faster disintegration rates is sodium stearyl fumarate, although other lubricants such as magnesium stearate can be used as well. In general, the lubricant should be hydrophilic."

(1g) "[0027]

Additional auxiliary excipients, which may have no or almost no influence on the disintegration properties, may be present in the tablet composition. Examples of auxiliary excipients include taste masking agents, stabilizers, natural or artificial sweeteners (e.g. aspartame), flavors (e.g. mint flavor), preservatives, and pH adjustors. Other auxiliary excipients may be used in accordance with needs. Water-soluble fillers and binders, commonly used in other orally disintegrating tablets, such as sugars, sugar alcohols, or polyols (e.g. mannitol), are not required to be present and are preferably excluded. They may be present in small amounts, e.g. generally less than 5%, preferably less than 1%, and most preferably 0%. Indeed, in a preferred embodiment, water soluble excipients of any kind are limited to be not more than 10%, more preferably not more than 5%, more typically not more than 3%, and in some embodiments are 0%, of the total mass of the tablet."

(1h)"[0028]

Similarly, effervescent excipients such as calcium carbonates are not required to be present in the inventive composition and are preferably excluded therefrom."

(1i) "[0034]

Illustrative and non-limiting examples of pharmaceutical active ingredients that can be formulated into tablets of the invention, alone or in a combination, include: ibuprofen, acetominophen, piroxicam (anti-inflammatory), leflunomide (antirheumatics), ondansetron, granisetron (antiemetics), paracetamol (analgetic), carbamazepin, lamotrigine (antiepileptic), clozapine, olanzapine, risperidone, citalopram, paroxetine, sertraline, fluoxetine, fluvoxamine (antipsychotics/antidepressants), zopiclon, zolpidem (hypnotics), cimetidine, ranitidine, omeprazole (antiulceric), metoclopramide, cisapride, domperidon (prokinetic), zafirlukast, montelukast (antiasthmatics), pramipexol, selegiline (anti-parkinsonics), zolpidem, zopiclon (hypnotics), doxazosin, terazosin, atenolol, bisoprolol, amlodipine, nifedipine, diltiazem, enalapril, captopril, ramipril, losartan (cardiovasculars), glyceroltrinitrate (vasodilantant), alfuzosin, finasteride (urologic), pravastatin, atorvastatin, simvastatin, gemfibrozil (hypolipidemics), metformin (antidiabetic), terfenadine, loratadine (antihistaminic), celecoxib, rifecoxib, and rivastigmine.

[0035]

Olanzapine, Paroxetine, Zolpidem, Montelukast, Pioglitazon, Donepezil, Amlodipine, Anastrozole, and Pioglitazon are examples of active substances, to which the pre-treatment by coating may be applied for masking their unpleasant taste."

2 National Publication of International Patent Application No.2005-517690, which was distributed on June 16, 2005, before the priority date of the present application, and cited in reasons for refusal stated in the examiner's decision (Cited Document 6 in the Examiner's decision, hereinafter referred to as "Cited Document 2"), discloses the following matters:

(2a) "[Claim 1]

A high loading immediate release dosage form comprising: (a) at least 30 wt% of a solid dispersion formed by spray-drying, said dispersion comprising a low solubility drug and a concentration-enhancing polymer, said polymer being present in

said dispersion in an amount sufficient to provide enhancement of the concentration of said drug in a use environment relative to a control composition consisting essentially of an equivalent amount of said drug alone;
(b) at least 5 weight% of disintegrant; and
(c) porosigen
wherein said dosage form disintegrates in 10 minutes or less following introduction to a disintegration medium.
...
[Claim 12]
The dosage form of any of claims 1-4, wherein said drug is selected from the group consisting of antihypertensives, antianxiety agents, anticlotting agents, anticonvulsants, blood glucose-lowering agents, decongestants, antihistamines, antitussives, antineoplastics, beta blockers, anti-inflammatories, antipsychotic agents, cognitive enhancers, anti-atherosclerotic agents, cholesterol-reducing agents, antiobesity agents, autoimmune disorder agents, anti-impotence agents, antibacterial and antifungal agents, hypnotic agents, anti-Parkinsonism agents, anti-Alzheimer's disease agents, antibiotics, anti-depressants, antiviral agents, glycogen phosphorylase inhibitors, and cholesterol ester transfer protein inhibitors.

(2b) "[0006]
For the ideal immediate release dosage form, the dosage form should have high strength and durability in the solid state, but when ingested, the tablet should rapidly disintegrate and disperse the drug...."

(2c) "[0108]
The dosage form of the Invention also includes a porosigen. A "porosigen" is a material that, when present in the formulation containing the solid amorphous dispersion, leads to high porosity and high strength following compression of the blend into a tablet. ... Examples of porosigens include ... microcrystalline cellulose. Of these, microcrystalline cellulose, both forms of dibasic calcium phosphate (anhydrous and dihydrate), and mixtures thereof are preferred. ..."

(2d) "[0117]
In a preferred embodiment, the immediate release dosage form comprises a solid amorphous dispersion, a disintegrant, and a porosigen, the disintegrant being selected from crospovidone, croscarmellose sodium, lower alkyl-substituted hydroxypropyl cellulose and mixtures thereof, and the porosigen being selected from microcrystalline cellulose, dibasic calcium phosphate (anhydrous and/or dihydrate), and mixtures thereof. ...
[0118]
Other conventional formulation excipients may be employed in the dosage forms of the invention, including those excipients well known in the art, e.g. as described in Remington's Pharmaceutical Sciences (18th ed 1990). Generally, excipients such as surfactants, pH modifiers, fillers, matrix materials, complexing agents, solubilizers, pigments, lubricants, glidants, flavorants, and so forth may be used for customary purposes and in typical amounts without adversely affecting the properties of the compositions.

[0119]
One very useful class of excipients is surfactants, preferably present from 0 to 10 wt%. Suitable surfactants include ... commercial surfactants such as ... polyoxyethylene sorbitan fatty acid esters (... TWEEN (Trademark)...); ... Such materials can advantageously be employed to increase the rate of dissolution by, for example, facilitating wetting, or otherwise increase the rate of drug release from the dosage form.
...
[0122]
Examples of surface active agents include sodium lauryl sulfate and polysorbate 80.
...
[0123]
Examples of lubricants include ... sodium stearyl fumarate ..."

(2e) "[0149]
[Examples 7-9]
... The dispersion of Example 1 was formulated with 20% extragranular microcrystalline cellulose (AVICEL PH102), 20% of a mixture of microcrystalline cellulose and colloidal silicon dioxide (PROSOLV 90), or 20% anhydrous dibasic calcium phosphate (EMCOMPRESS), all as dry granulated blends with no lubricant. ...
...
[Example 8]
[0151]
For Example 8, immediate release tablets were made as in Example 7 containing 70 wt% of the dispersion of Example 1, 20.0 wt% of the Prosolv 90, and 10.0 wt% crospovidone.
...
[0155]
As is apparent from the values reported in Table 3, all of the tablets of Examples 7-9 disintegrated rapidly in the aqueous use environment. ..."

3 National Publication of International Patent Application No.2006-528243, which shows well-known matters known prior to the priority date of the present application (Cited Document 4 in the Examiner's decision, hereinafter referred to as "well-known example A"), discloses the following matters:

(A1) "[Claim 1]
A tablet capable of melting rapidly in the buccal cavity, which tablet comprises a plurality of highly plastic granules, said granules comprising a porous, plastic substance, a water penetration enhancer, and a binder.
...
[Claim 21]
The tablet of claim 1, which further comprises at least one additional ingredient selected from the group consisting of surfactants, superdisintegrants, superporous hydrogel particles, effervescent agents, lubricants, flavoring agents, and coloring agents."

(A2) "[0067]
Active pharmaceutical ingredients
The Invention can be employed with a wide range of active pharmaceutical ingredients, far too numerous to mention individually here. For example, representative classes of drugs that can be formulated into the fast melting tablets of the Invention include:
...
[0071]
...;
Calcium-channel blocking agents such as ... amlodipine, ...;
...
[0072]
Antidepressants such as ... paroxetine ...;
Antipsychotic drugs such as ... olanzapine ... risperidone ...;
Anxiolytics, sedatives, and hypnotics such as ... zolpidem ...;
[0073]
Neurodegenerative disease drugs such as ... donepezil ... pramipexole;
Antiemetics such as ... ondansetron ...;
[0074]
...
Antidiabetic drugs such as acarbose, ... metformin ...;
[0076]
...
Antiasthmatics such as ... montelukast sodium ...;
..."

4 Japanese Unexamined Patent Application Publication No. 2004-2326, which discloses well-known matters known prior to the priority date of the present application (reference document for showing well-known matters in the Examiner's decision, hereinafter referred to as "well-known example B"), discloses the following matters:

(B1) "[Claim 1]
A rapidly disintegrating solid preparation comprising an alpha-glucosidase inhibitor, saccharides, hydroxypropylcellulose, a disintegrant, and crystalline cellulose.
...
[Claim 3]
The preparation of Claim 1, which is a tablet.
...
[Claim 8]
The preparation of Claim 1, wherein alpha-glucosidase inhibitor is voglibose."

(B2) "[0004]
[Problem to be solved by the Invention]
In connection with rapid disintegrating solid preparation containing an alpha-glucosidase inhibitor useful for the treatment of antidiabetes, it is required to provide an excellent rapid disintegrating solid preparation with appropriate hardness and rapid disintegrating properties as well as less damage of alpha-glucosidase inhibitor in the

production process."

(B3) "[0006]
... alpha-glucosidase inhibitor includes voglibose, acarbose, miglitol and emiglitate. Among them, voglibose is preferred. ..."

(B4) "[0012]
The rapid disintegrating solid preparation of the Invention may contain an appropriate amount of conventional additives in the technical field of formulation as long as it does not compromise the effect of the invention. Such additives include, e.g., excipients, acidulants, effervescent agents, sweeteners, flavors, lubricants, coloring agents, stabilizing agents, pH adjusting agents, and surfactants.
...
Surfactants may include, e.g., sodium lauryl sulfate, polysorbate 80, and polyoxyethylene (160) polyoxypropylene (30) glycol.
The particle size of the aforesaid additives is preferably 500μm or less, in that textured feeling in the mouth may be suppressed. ... "

5 National Publication of International Patent Application No.2002-505269, which shows well-known matters known prior to the priority date of the present application (hereinafter referred to as "well-known example C"), discloses the following matters:

(C1) "[Claim 3] A tablet for rapid disintegration in the mouth comprising a drug in multiparticulate form, one or more water insoluble inorganic excipients; one or more disintegrants; and optionally one or more substantially water soluble excipients, the amount of said ingredients and the physical resistance (hardness or tensile strength) of the tablet being such that the tablet has a friability value less than 2%, preferably less than 1.5%, most preferably about 1% or less and is adapted to disintegrate in the mouth in less than about 75 seconds.
...
[Claim 27] A formulation or tablet relating to any one of claims 1 to 26 in which a substantially water soluble component when present is one or more of the following: a compression sugar or soluble filler (e.g. ...), flavouring agents, sweeteners (e.g. aspartame, saccharine etc.), a pH adjusting agent (e.g. ...), a binder (e.g. ...), a surfactant (e.g. sorbitan esters, sodium docusate, sodium lauryl sulphate, cetrimide etc.), and a soluble inorganic salt (e.g. ...)."

(C2) "[0027]
... The coated or uncoated microparticles of the drug may typically have a particle size distribution ranging from approximately 20 to about 1000 microns. Average particle size can be, for example, 120 to 150 microns or more, e.g. 200 microns. In order to produce a palatable mouth feel without grittiness, microparticles with a maximum particle size lower than 700 microns are preferred. ..."

6 International Publication No.WO00/48575, which shows well-known matters known prior to the priority date of the present application (hereinafter referred to as "well-known example D"), discloses the following matters:

(D1) "[Claim 1] A tablet obtainable by: binding a powdered mixture including at least a principal agent, a saccharide with high wettability against water, and a disintegrant with a binder including a saccharide with high wettability against water to thereby obtain a granulated material, and compressing the granulated material.
...
[Claim 6] The tablet as set forth in any one of claims 1 to 5, wherein said binder further includes a surface active agent."

(D2) "Technical Field: The Invention relates to a tablet and a tablet production method, and relates particularly to an intrabuccaly rapidly disintegrable tablet and to a method of manufacturing such tablet." (page 4, lines 2 to 4)

(D3) "(6) The Invention relates to a tablet wherein a surface active agent is further included in a binder used in the tablet relating to any one of Claims 1 to 5.

Anionic surface-active agents, cationic surfactants, nonionic surfactants, and amphoteric surfactants may be used as a surface active agents, as well as high molecular surface active agents other than those agents, such as Pluron or Poloxamer.

More concretely, preferable examples of anionic surface-active agents used relating to the Invention are ... sodium lauryl sulfate.

Preferable examples of nonionic surfactants are ... polysorbate 80 is a more preferable example.

...

Therefore, when the tablet is inserted in the mouth, the binder is easily moistened by water because the surface active agent contained in the binder decreases the surface tension of the water in the saliva." (page 16, lines 1 to 21)

(D4) "The principal agent (particle) 2 relating to the Invention may be constituted of a particle or a granule including an active agent, a granule having medicinal properties coated with a functional coating, a granule comprising an active agent dispersed in a wax matrix construction, or a solid dispersion granule.

The particle diameter of the principal agent (particle) 2 is preferably greater than or equal to 10μm and less than or equal to 500μm, more preferably greater than or equal to 20μm and less than or equal to 300μm, still more preferably greater than or equal to 20μm and less than or equal to 200μm.

A granulated material 1a using a principal agent particle (particle) 2 within the above-mentioned range is easily tabletted and the tablet (...) produced by compressing such granulated material 1a disintegrates well in the mouth." (page 20, lines 3 to 11)

7 International Publication No.WO2007/119792, which shows well-known matters known prior to the priority date of the present application (subjected to international publication on October 25, 2007, hereinafter referred to as "well-known example E"), discloses the following matters:

(E1) "[Claim 1]

A dry direct compression rapid disintegrating tablet by use of pellet obtained by mixing at least one flavoring substance selected from the group consisting of erythlitol,

xylitol, mannitol, lactose, and sucrose with at least one binder powder selected from the group consisting of crystalline cellulose, crystalline cellulose carmellose sodium, and carmellose sodium; and spraying water solution of reduced maltose syrup."

(E2) "[0026]

Pharmaceutical ingredients used for the dry direct compression rapid disintegrating tablet of the Invention are not particularly limited. Examples include ... diabetes drugs, hyperlipidemia drugs ... analgesics, hypnotic drugs ... gastritis drugs, antiemetics ...

...

[0032]

...

Diabetes drugs include for example tolbutamide, acetohexamide, chloropropamide, glyclopyramide, glybuzole, glibenclamide, glimepiride, buformin hydrochloride, metformin hydrochloride, nateglinide, acarbose, voglibose, pioglitazone hydrochloride, and epalrestat.

[0033]

Hyperlipidemia drugs include for example ... simvastatin.

...

analgesics and hypnotic drugs may include for example ... zolpidem tartrate.

...

[0037]

...

Gastritis drugs may include for example ... ondansetron hydrochloride.

Antiemetics may include for example ... ondansetron hydrochloride."

8 International Publication No.WO2007/026864, which shows well-known matters known prior to the priority date of the present application (subjected to international publication on March 8, 2007, hereinafter referred to as "well-known example F"), discloses the following matters:

(F1) "[0001]

The Invention relates to a method for producing a rapidly disintegrating pharmaceutical composition with improved ability to disintegrate by mixing a disintegrant, a water-soluble salt, specifically a disintegrant and a water-soluble inorganic salt with a pH of 2.5% conc. water solution of 3 to 9. In particular, the Invention relates to a method for improving ability of pharmaceutical product to disintegrate by mixing low-substituted hydroxypropyl cellulose and water-soluble salts. ..."

(F2) "[0024]

(Pharmaceutically active ingredient)

The pharmaceutically active ingredient of the Invention is not particularly limited as long as it causes therapeutic effects through biological absorption, but it is preferable if a pharmaceutically active ingredient in formulation is electrically neutral or positively charged.

[0025]

Specific examples of pharmaceutically-active ingredients for the Invention may include for example antidementia drugs such as donepezil hydrochloride ..., diabetes drugs such as nateglinide, metformin and alpha-glucosidase inhibitor (e.g. voglibose) ..."

9 Japanese Unexamined Patent Application Publication No..2007-51109, which shows well-known matters known prior to the priority date of the present application (subjected to laid-open publication on March 1, 2007, hereinafter referred to as "well-known example G"), discloses the following matters:

(G1) "[0001]
The Invention relates to a compression formation formulation, more specifically, a compression formation formulation designed to rapidly disintegrate with a small amount of and simply processed additives. In particular, taking advantage of this technique, the Invention relates to a compression formation formulation used as an orally rapid disintegrating tablet or the like which can rapidly disintegrate in the oral cavity."

(G2) "[0027]
In the compression formation formulation of the Invention, the pharmaceutically active ingredient to be mixed is not particularly limited, but various ingredients may be used. For example, a pharmaceutically active ingredient known as effective ingredient such as ... a psychoneurotic agent ... antihyperlipidemic drug ... hormonal agent ... diabetes drug ... etc. may be compounded.
[0028]
Among them ... a pharmaceutically active ingredient for psychoneurotic may include for example, ... olanzapine ... risperidone.
[0029]
Further ... antihyperlipidemic drug include for example ... simvastatin.
[0030]
...
[0031]
Furthermore, hormonal agent includes for example ... tamsulosin ... diabetes drug include for example ... metformin, acarbose and voglibose.

No. 4 The Invention described in Cited Document
It can be recognized from the above item (1a) of Cited Document 1 that Cited Document 1 describes the following invention (hereinafter referred to as "the invention of Cited Document 1".).

"A pharmaceutical orally disintegratable tablet which consists essentially of 50% to 90% silicified microcrystalline cellulose, 0% to 20% of low-substituted hydroxypropyl cellulose, a lubricant, and an effective amount of a pharmaceutically active substance, wherein said tablet exhibits disintegration within 1 to 15 seconds when tested in an in vitro disintegration test."

No. 5 Comparison

The Invention and the invention of Cited Document 1 are hereinafter compared to each other.

1 "silicified microcrystalline cellulose" of the invention of Cited Document 1 is a water-insoluble tablet matrix forming excipient commercially available with a trademark of PROSOLV, as is described in the above items (1b) and (1c) of Cited Document 1.

On the other hand, it can be seen from the description of "the insoluble parts are selected from silicified crystal cellulose" of Claim 3 of the present specification and the description of "Prosolv (SMCC90)" of the example that "insoluble parts" of the Invention may be a silicified crystalline cellulose (Prosolv).

Accordingly, "silicified microcrystalline cellulose" of the invention of Cited Document 1 corresponds to "water insoluble parts" of the Invention.

Further, "silicified microcrystalline cellulose" of the invention of Cited Document 1 is incorporated into "a pharmaceutical orally disintegratable tablet" substantially at a ratio of "50% to 90%". Thus "insoluble parts" in the context of the Invention substantially constitute 50% to 90% of total tablet weight.

Accordingly, "essentially of 50% to 90% silicified microcrystalline cellulose" of the invention of Cited Document 1 corresponds to " the total water insoluble parts consisting of a pharmaceutically active substance, the surfactant, and the disintegrant constitutes at least 50% (w/w) of the total weight of the tablet" in the Invention.

2 "Low-substituted hydroxypropyl cellulose" of the invention of Cited Document 1 is a disintegrant, as is described in the above item (1e) of Cited Document 1. It is identical to a disintegrant as recited in Claim 6 of the present application: "The tablet of Claim 1, wherein the disintegrant is selected from the group consisting of low-substituted hydroxypropyl cellulose ...".

Accordingly, "low-substituted hydroxypropyl cellulose" of the invention of Cited Document 1 corresponds to "disintegrant" of the Invention.

3 As is evident from the disclosure of the above item (1h) of Cited Document 1 that effervescent excipients are preferably excluded, the invention of Cited Document 1 does not include effervescent excipients.

Further, "a pharmaceutical orally disintegratable tablet wherein said tablet exhibits disintegration within 1 to 15 seconds when tested in an in vitro disintegration test" of the invention of Cited Document 1 obviously means that the tablet comprises silicified microcrystalline cellulose, low-substituted hydroxypropyl cellulose and the like such that they are disintegratable in the mouth.

Accordingly, "a pharmaceutical orally disintegratable tablet wherein said tablet exhibits disintegration within 1 to 15 seconds when tested in an in vitro disintegration test" comprising silicified microcrystalline cellulose, low-substituted hydroxypropyl cellulose, and the like in the invention of the Cited Document 1 corresponds to "A non-effervescent tablet for oral administration, comprising" insoluble parts and disintegrant "such that said tablet is orally disintegretable or dispersable" in the Invention.

4 "An effective amount of pharmaceutically active substance" of the invention of Cited Document 1 has a corresponding feature to "an effective amount of at least one pharmaceutically active substance" in the Invention, "wherein the pharmaceutically

active substance is selected from acarbose, miglitol and voglibose in the form of crystals and agglomerates with a particle size of 125μm to 800μm".

5 The invention of Cited Document 1 includes "lubricant", and in the above item (1f) of Cited Document 1, "sodium stearylfumarate" is exemplified as a specific example.

Further, the tablet of the Invention may comprise other components, and as is described in [0032] of the examples of the Invention, "Tablet may be obtained by mixing a prescribed component (excluding a lubricant of sodium stearylfumarate as). ... In the case of active agent of acarbose, acarbose is mixed in advance by 0.5% (w/w) sodium stearylfumarate and compressed." a lubricant of sodium stearylfumarate is mixed.

Accordingly, the recitation of "lubricant" in the invention of Cited Document 1 does not show any difference from the Invention.

6 The inventions have the following corresponding features and different features 1 to 2:

Corresponding features:

"A non-effervescent tablet for oral administration, comprising an effective amount of at least one pharmaceutically active substance, water insoluble parts, and a disintegrant, such that the total water insoluble parts consisting of a pharmaceutically active substance, and the disintegrant constitutes at least 50% (w/w) of the total weight of the tablet, and such that said tablet is orally disintegretable ".

The different feature 1

The Invention further comprises a "surfactant", whereas the invention of Cited Document 1 does not comprise the same.

The different feature 2

In connection with "an effective amount of at least one pharmaceutically active substance", the Invention limits to the one "is selected from acarbose, miglitol and voglibose in the form of crystals or agglomerates, and have a particle size of 125μm-800μm", whereas the invention of Cited Document 1 does not have such limitation.

No. 6 Judgment

Accordingly, the above different features 1 to 2 are considered in the following.

1 Regarding the different feature 1

As is described in the item (1g) of Cited Document 1, "Additional auxiliary excipients, which may have no or almost no influence on the disintegration properties, may be present in the tablet. Examples of auxiliary excipients include taste masking agents, stabilizers, natural or artificial sweeteners (e.g. aspartame), flavors (e.g. mint flavor), preservatives, and pH adjustors. Other auxiliary excipients may be used in case of need". Therefore, the invention of Cited Document 1 discloses that well-known excipients may be further added.

As Cited document 1, Cited Document 2 (the above items (2a), (2b), (2c), (2e)), which relates to a disintegrating tablet comprising a pharmaceutically active substance,

a disintegrant, and silicified microcrystalline cellulose, also discloses that the other conventional excipients may be used (the above (2d)).

Further, Cited Document 2 shows that excipients such as surfactants, pH adjustors, solubilizers, lubricant, and fragrance may not impose disadvantageous effects on properties of disintegrating tablet; useful excipients may include surfactants such as polyoxyethylenesorbitan fatty acid esters; and such materials can advantageously be employed to increase the rate of dissolution by facilitating wetting, or otherwise increase the rate of drug release from the dosage form (the above item (2d)).

Further, as is shown in well-known examples A to D (the above items (A1), (B4), (C1), (D1) to (D3)), it was a well-known matter before the priority date of the present application for a person skilled in the art to adopt a surfactant as an excipient in a disintegrating tablet.

Accordingly, a person skilled person in the art could have easily conceived of further including a surfactant in the invention of Cited Document 1 on the basis of Cited Document 2 and well-known matters.

2 Regarding the different feature 2

(1) Cited Document 1 discloses various drugs as non-limiting examples of pharmaceutically active substance capable of being formulated into a tablet. Among them, metformin (antidiabetic drug) is also described (the above item (1i)).

Further, it was a well-known matter for a person skilled person in the art before priority date of the present application as is described in the well-known examples A, E to G (the above items (A2), (E1), (E2), (F1), (F2), (G1) and (G2)) that acarbose may be used as an antidiabetic drug other than metformin in a similar manner to the drug exemplified in Cited Document 1 as a pharmaceutically active substance capable of formulating into a disintegrating tablet, which includes antiemetics, antipsychotics/antidepressants, hypnogenics and hyperlipidemia drugs. Additionally, an orally disintegrating tablet comprising voglibose as an active ingredient is also specifically described in the above well-known example B (the above items (B1) to (B3)).

(2) Cited Document 1 does not disclose any specific particle size range of pharmaceutically active substances, however, it discloses in connection with silicified microcrystalline cellulose that silicified microcrystalline cellulose with a particle size range of 75 to 125 microns, in particular with an average particle size of about 90 microns, is preferable (the above item (1d)) in terms of taste or texture in the mouth.

Further, regarding disintegretable tablets, it was a well-known matter before priority date of the present application as is described in the well-known examples B to D (the above items (B4), (C2) and (D4)) that a preferable particle size is considered in terms of tabletting, disintegrating ability, or taste or texture in the mouth with respect to pharmaceutically active substances as well as binders and excipients for all constituents of the tablet, and the particle size is in a range of 125μm to 800μm specified in the Invention.

(3) It is obvious to those skilled in the art that, in formulating an antidiabetic drug such as acarbose into a tablet, these are compounded so as to have an appropriate diameter in the form of crystals or agglomerates.

Accordingly, in the invention of Cited Document 1, those skilled in the art could have easily selected as a pharmaceutically active substance acarbose, miglitol and voglibose in the form of crystals or agglomerates and adopted one with a particle size of 125μm to 800μm on the basis of a well-known matter.

3 Regarding the effect of the Invention

The specification of the present application fails to describe the effects of the Invention definitely; however, it discloses that (1) total water-insoluble parts is at least 50% (w/w) of total tablet; (2) the tablet comprises surfactant; (3) a pharmaceutically active substance is selected from acarbose, miglitol and voglibose in the form of crystals or agglomerates and has a particle size of 125μm to 800μm, thereby (1) obtaining a disintegrating tablet without an effervescent agent or a special tablet adjuvant that is rapidly soluble ([0003],[0006]), (2) reducing viscosity of aqueous solvent in the mouth ([0005], [0010]), and (3) providing an advantage on disintegrating time of soluble drug substances, homogeneity of contents, and hardness of tablet ([0031]).

Consequently, these are considered hereinafter. As for (1), Cited Document 1 obtains a disintegrating tablet without an effervescent agent or a special tablet adjuvant that is rapidly soluble. As for (2), it is an expected effect caused by mixing a surfactant, since well-known example D discloses that a surfactant reduces surface tension of water in saliva, and a binder tends to be wet (the above (D3)). As for (3), particle size of pharmaceutically active substances might be considered as a matter of course in disintegrating tablet regardless of whether it is a soluble drug. The particle size is commonly adopted.

Further, it cannot be seen from the example of the specification of the present application and other descriptions that the matters for specifying the invention of the specification of the present application cause effects unexpected by those skilled in the art.

4 Summary

Accordingly, the Invention was easily conceivable for those skilled in the art on the basis of the invention of Cited Documents 1 and 2 in view of well-known matters.

No. 7 Conclusion

For the above reasons, a person ordinarily skilled in the art could have easily conceived of the invention relating to Claim 1 of the present application on the basis of the descriptions of Cited Document 1 and Cited Document 2 and in view of well-known matters, and thus the appellant should not be granted a patent for the invention under the provisions of Article 29(2) of the Patent Act.

Accordingly, the present application should be rejected without examining other claims.

Therefore, the appeal decision shall be made as described in the conclusion.

January 18, 2016

Chief administrative judge: OGUMA, Koji
Administrative judge: SAITO, Mitsuko

Administrative judge: SEKI, Mihogi