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Taiwan

Non-obviousness Judgment on Pharmaceutical Inventions: An Analysis of Taiwan Intellectual Property Court Decision (2016 Xingzhuansuzi No. 19 Administrative Decision)

It is important to present sufficient embodiments in a patent specification to illustrate unexpected effects of a pharmaceutical invention. However, the patent examiner may determine an invention is obvious if the patent specification contains unnecessary descriptions that lead a skilled person to achieve the claimed invention by routine experimentation. Therefore, the contents in specification should be carefully disclosed. In *2016 Xingzhuansuzi No. 19 Administrative Decision*, the Taiwan Intellectual Property Court specifically recognized the principle of judgment on the relation between the disclosure of the specification of pharmaceutical inventions and non-obviousness.

Case Fact

G.D. Searle, LLC (Patentee/Plaintiff) filed a patent application “Celecoxib compositions” with the Taiwan Intellectual Property Office (IPO) (Defendant) on 25 January, 2000, and the patent was granted as invention patent No. 579295 (the ‘295 patent/patent at issue). However, an anonymous person filed an invalidation request with the IPO and challenged the patentability of the ‘295 patent under Article 20 (non-obviousness) of the Taiwan Patent Act at the time the patent was granted. The ‘295 patent was declared invalid in the invalidation decision issued by the IPO. The Patentee then filed an administrative litigation before the Intellectual Property Court, but the court rejected and maintained the decision.

Technical features of the ‘295 patent

Technical features of Claim 1 of the ‘295 patent:

A pharmaceutical composition comprising one or more orally deliverable dose units, each comprising particulate celecoxib in an amount of about 10 mg to about 1000 mg in intimate mixture with one or more pharmaceutically acceptable excipients, and having a distribution of

celecoxib particle sizes such that D_{90} of the particles is less than 200 μm ; said composition exhibiting upon oral administration a relative bioavailability not less than about 50% by comparison with an orally delivered solution containing celecoxib at the same dosage rate.

Main issue of this case

Are Claims 1-7, 10-13 of the '295 patent obvious over the combination of Citations 2 and 4?

Patentee's arguments

The Patentee claimed:

(1) The technical features of the '295 patent emphasized on "the selection of excipients" and "celecoxib particle sizes $D_{90} < 200 \mu\text{m}$ ", which enhanced the bioavailability of celecoxib in human body. Although Citations 2 and 4 disclosed the prior art techniques which were generic to Claim 1, a person having ordinary skill in the field could not arrive at the technical features of the '295 patent from Citation 2 or 4.

(2) Citation 2 related to the preparation of a series of compounds similar to the structure of celecoxib. Citation 2 did not mention the physical and chemical properties of celecoxib. Moreover, Citation 2 ignored the difficulties encountered during the preparation of solid orally deliverable celecoxib compositions due to celecoxib's specific physical and chemical properties.

(3) Citation 4 only disclosed the general knowledge in pharmacy that drug bioavailability can be improved by reducing the particle size of drug to increase its surface area. It did not investigate into the properties of celecoxib.

Intellectual Property Court's Opinion

The court deemed that the combination of Citations 2 and 4 can prove Claims 1 to 7, and 10 to 13 of the '295 patent were obvious. The reasons are provided below:

(1) We have summarized the IP court opinion on technical features of Claim 1 and Citations 2, 4:

Claim 1 in '295 patent	Citation 2 (invention of a pharmaceutical composition comprising celecoxib)	Citation 4 (Pharmacy textbook)
A pharmaceutical composition which enhances bioavailability	In Example 2 of Citation 2, celecoxib is produced through recrystallization from	✗

Claim 1 in '295 patent	Citation 2 (invention of a pharmaceutical composition comprising celecoxib)	Citation 4 (Pharmacy textbook)
	dichloromethane/hexane solvent to obtain a further solid product, which can be regarded as granules essentially in the form of particles with a specific particle size distribution.	
comprising one or more orally deliverable dose units	Citation 2 also disclosed a pharmaceutical composition comprising celecoxib, which could be made into tablets or capsules for oral administration. Such articles comprises one or more solid orally deliverable dose units	×
each comprising particulate celecoxib in an amount of about 10 mg to about 1000 mg	The bioactive ingredient in the pharmaceutical composition is about 0.1 mg to 2000 mg; ideally about 0.5 mg to 500 mg; and most ideally between about 1 mg and 100 mg.	×
(particulate celecoxib) in intimate mixture with one or more pharmaceutically acceptable excipients	The bioactive ingredient can be combined with one or more types of adjuvants suitable for a particular route of administration.	×
having a distribution of celecoxib particle sizes	×	Citation 4 disclosed the general knowledge in pharmacy that drug bioavailability can be improved by reducing the particle size of drug to increase its surface area. Therefore, it is deemed obvious to a skilled person in the art who intends to improve bioavailability of a known drug. The skilled person also expects the drug bioavailability will be increased by this method.
such that D_{90} of the particles is less than 200 μm	×	Claim 1 of the '295 patent disclosed a distribution of celecoxib particle sizes " $D_{90} < 200 \mu\text{m}$ ". It was obvious for a skilled person to make D_{90} of celecoxib particle sizes lower than 200 μm by using normal means in the art, such as grinding and sieving.
pharmaceutical composition exhibiting upon oral administration a relative bioavailability not less than about 50% by comparison with an orally delivered solution containing celecoxib at the same dosage rate	×	Accordingly, the technical features of Claim 1 of the '295 patent were merely combination of prior art.

(2) The Patentee argued the technical features of the '295 patent are "the selection of excipients" and "celecoxib particle sizes $D_{90} < 200 \mu\text{m}$ ".

For the first technical feature **"the selection of excipients"**, Claim 1 only mentioned **"one or more pharmaceutically acceptable excipients"** and did not disclose any specific technical mean of **"the selection of excipients"**. In addition, Citation 2 has disclosed the techniques of combining celecoxib with "one or more pharmaceutically acceptable excipients" to produce solid orally delivered drugs. Accordingly, the technical features of Claim 1 of the '295 patent **"particulate celecoxib in intimate mixture with one or more pharmaceutically acceptable excipients"** were known prior art techniques disclosed in Citation 2, and showed no differences between the claimed invention and the prior art.

Moreover, "Example 18: Pharmacokinetics of suspension versus capsule formulation" of the '295 patent **did not reveal the average distribution of celecoxib particle sizes in two types of**

oral capsules. Therefore, it is difficult to prove the technical feature “ D_{90} of the particles is less than $200\ \mu\text{m}$ ” in Claim 1 of the ‘295 patent according to the results of Example 18.

(3) The Patentee argued the difficulties encountered during the preparation of solid orally deliverable celecoxib compositions.

Example 2 of Citation 2 depicted a production of solid crystalline celecoxib granules which could be made into orally delivered pharmaceutical compositions as solid dosage forms such as tablets and capsules. **In view of distinct physical and chemical properties of celecoxib, the Patentee did not rebut that a person having ordinary skill in the art was not able to produce solid orally delivered celecoxib compositions by referencing to Citation 2.**

(4) The Patentee argued that reducing the particle size of a drug was not a solution that a skilled person in the art would necessarily adopt. Besides, Citation 4 did not reveal how to apply the technical ideas of “reducing the particle size” to celecoxib.

However, based on the general knowledge revealed in Citation 4 (improvement of drug bioavailability through increasing the surface area by reducing the particle size of drugs), **a skilled person would reduce the particle size first when trying to enhance the bioavailability of pharmaceuticals (especially those in solid dosage forms).** Moreover, it is also described in the specification of ‘295 patent: **“As described above, a decrease in particle size of celecoxib generally improves the bioavailability of celecoxib” (Lines 20 to 21, Page 10).** As far as celecoxib was concerned, there was no contradiction to the general knowledge of pharmacy revealed in Citation 4.

Collectively, the combination of Citations 2 and 4 proved Claim 1 of the ‘295 patent was obvious.

Wisdom Suggested Strategies

The critical reason why the Patentee lost the appeal was that the ingredient “celecoxib” in the invention was a known compound, and the Patentee did not include enough embodiments in the specification to prove the technical features of the ‘295 patent, “the selection of excipients” and the selected parameter “celecoxib particle sizes $D_{90} < 200\ \mu\text{m}$ ”, could show unexpected results.

According to the Taiwan Patent Examination Guidelines, the combination of ingredients in pharmaceutical compositions must be novel and have unexpected results. If a skilled person could find the best combination of two or more components to achieve the claimed invention through

routine testing, the claimed invention is obvious.

In this case, since “pharmaceutical compositions containing celecoxib” and the “mixture of celecoxib and excipients” have been disclosed by Citation 2, the compositions of the ‘295 patent were not novel. Regarding the feature “ $D_{90} < 200 \mu\text{m}$ ”, the specification of the ‘295 patent describes the said feature is one of the normal means in the art, and the Patentee did not provide enough embodiments to prove the selected parameter has critical point effects which could serve as an evidence to show unexpected results. The court considered such feature was obvious.

In addition, the main cause for the failure of the Patentee’s suit was that “ $D_{90} < 200 \mu\text{m}$ ” was described in the specification of the ‘295 patent as a normal means. When drafting the patent applications, applicants and inventors shall not overly describe unnecessary technological information or prior art. Such excessive disclosure may prevent the applicant from getting a patent due to obviousness.

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