Royal Society of Chemistry, Law Group
Recent Case Law Relevant to Chemistry

Generics UK Limited & Others
V
H. Lundbeck a/s

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Citalopram

- SSRI anti-depressant invented by Lundbeck
- Patent expired in 1997
- Generic competitors selling citalopram since then
- Lundbeck resolved citalopram into (+) S and (–) R enantiomers in 1987

EP 0 347 066

- 'New Enantiomers and their Isolation'
- Priority date 14 June 1988
- Claim 1: S-citalopram ('escitalopram')
- Claim 3: Pharmaceutical composition comprising escitalopram
- Claim 6: A method for the preparation of escitalopram

EP 0 347 066

Claim 6: A method for the preparation of escitalopram

- Resolve the immediate precursor of citalopram (the 'diol') in the known 'diol route'
- Convert each enantiomer of the diol to citalopram by ring closure without loss of stereochemistry by S_N2 reaction rather than S_N1 reaction

Patents Court

Claimants claimed:

- Lack of novelty
- Lack of inventive step
- Insufficiency

Patents Court

Novelty

Kitchin J held:

- The scope of claims 1 and 3 do not extend to the single enantiomer when present as a 50:50 mixture with the other
- Applying *Kirin-Amgen,* the skilled person would not understand the racemate to fall within claim 1

Patents Court

Inventive step

Kitchin J held:

- It was obvious in 1988 to separate the individual enantiomers and to use escitalopram so generated
- The numerous failed attempts at separation meant that the means of preparation of escitalopram was not obvious
- Method of claim 6 would not be expected to work on the basis of the Baldwin Rules

Patents Court

Sufficiency
Kitchin J held:

- The inventive step was not in deciding to separate the enantiomers, but in finding a way it could be done
- The patent does not teach any general method but only the method described in claim 6. 'The first person to find a way of achieving an obviously desirable goal is not permitted to monopolise every other way of doing so.'
- Claim 6 is valid, but claims 1 and 3 are too broad, and thus invalid for '*Biogen* Insufficiency'

Court of Appeal

Novelty

CA held:

- The skilled person would not have understood claim1 to encompass the unresolved half of the racemate
- Therefore the patent was not anticipated

Court of Appeal

Inventive step

CA held:

- The skilled person would have had no expectation that the method of resolution ultimately successful would have worked (Jacob LJ)
- Although the diol was prior art, as was its conversion to citalopram, there was no known teaching to separate its enantiomers, nor any known way to convert them with preservation of stereochemistry (Lord Hoffmann)
- The new test in *Saint-Gobain* applied

Court of Appeal

Sufficiency

CA held:

- *Biogen* insufficiency does not have general applicability – a product claim is enabled so long as one method of making it is disclosed (Lord Hoffmann)
- A patentee with a product claim gets more than he invented
  - all methods of making it (including those inventive over his), and
  - all uses (including those he had never thought of) (Jacob LJ)

House of Lords

Sufficiency

The question, according to Lord Mance:

'where a patent claim relates to a product…….is the patent liable to revocation on the ground of insufficiency ……if the only inventive step involved in the product consists in the method by which it is made available and if its description and specification disclose only that inventive method and superior methods are found by others which owe nothing to the method? Can such a claim be said to have been supported in its full width by the description given, in the sense identified as necessary by Lord Hoffmann in *Biogen*?'

House of Lords

Sufficiency

Once novelty and inventive step were no longer in issue, the question for their Lordships came down to nothing more than whether the claimed product complied with ss. 1(1) and 14(3) of the Patents Act 1977

House of Lords

Sufficiency

Held

- The extent of the patent monopoly should correspond to the technical contribution to the art for it to be supported (*Exxon*).
- Technical contribution to the art is not necessarily the same as the inventive concept underlying the patent.
- When a product claim satisfies the requirements of s.1 of the 1977 Act, the technical contribution to the art is the product and not the process by which it is made, even if that process was the only inventive step.
- A claim to a single enantiomer of a known compound is valid even if the patent discloses only a single method of preparation of the enantiomer.

What is left of *Biogen* Insufficiency?

- Never a free-standing reason for revocation (*Kirin-Amgen*)
- Sole ground for revocation for insufficiency is if the specification does not disclose the invention clearly enough and completely enough for it to be performed by a person skilled in the art. (s.72(1)(c)
- *Biogen* insufficiency applied by Kitchin J in *Novartis v J&J* to revoke a patent claiming a type of extended wear contact lens

Is *Biogen* Insufficiency Dead?

- Still alive, but severely circumscribed: it only applies to claims in which a product is identified partly by the way in which it is made, and partly by what it does.
- Does not apply to a straightforward product claim, even to an obviously desirable product that is a 'known desideratum'.
- Unlikely ever to be applied again except in the case of a hybrid claim specifying both physical characteristics and desired results.

The Decision on Escitalopram in the District Court of the Hague

- Product claims held novel

- All product claims held invalid for lack of inventive step.
- Agreed with Kitchin J that a person skilled in the art would have had motivation to try to resolve citalopram, but found that such a person would have been able to do so on the basis of c.g.k. without inventive intellectual effort.
- Found: 'Lunbeck did less than the average skilled person would have done by application of c.g.k. when searching for the enantiomers of citalopram.'
- This was sufficient to decide that the product claims were invalid

The Decision on Escitalopram in the District Court of the Hague

Claim 6 (process claim) also found invalid.

- The Judges 'respectfully disagreed' with the English judgment.
- Several references in the c.g.k. confirm that the Baldwin Rules would be expected to apply to the diol.
- Alleged that Prof. Davies created an incorrect impression with a diagram , and held: 'In the opinion of this court, the conclusion which Kitchin J attached to that inaccurate assumption – induced by Davies – cannot be maintained.'
- Accordingly product claims also invalid for obviousness

The Decision on Escitalopram in the Bundespatentgericht

- Claims 1-5 invalid for lack of novelty
- Claim 6 invalid for lack of inventive step
- Patent revoked in its entirety