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**D E C I S I O N**  
of 23 May 2002

**Case Number:** T 0316/97 - 3.3.2

**Application Number:** 91920634.2

**Publication Number:** 0560816

**IPC:** A61K 9/18

**Language of the proceedings:** EN

**Title of invention:**

New pharmaceutical formulations containing a pharmacologically active ionizable substance as well as process for the preparation thereof

**Applicant:**

AstraZeneca AB

**Opponent:**

-

**Headword:**

Pharmaceutically active ionizable substance/ASTRA

**Relevant legal provisions:**

EPC Art. 84, 123(2)

**Keyword:**

"Amendments to main request - not allowable - lack of disclosure for a functional feature"

"First auxiliary request - clear and supported by the description - remittal to the first instance"

**Decisions cited:**

-

**Catchword:**

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Boards of Appeal

Chambres de recours

Case Number: T 0316/97 - 3.3.2

**D E C I S I O N**  
of the Technical Board of Appeal 3.3.2  
of 23 May 2002

**Appellant:** AstraZeneca AB  
SE-151 85 Södertälje (SE)

**Representative:** Linderoth, Margareta  
AstraZeneca AB  
Global Intellectual Property  
SE-151 85 Södertälje (SE)

**Decision under appeal:** Decision of the Examining Division of the  
European Patent Office posted 25 October 1996  
refusing European patent application  
No. 91 920 634.2 pursuant to Article 97(1) EPC.

**Composition of the Board:**

**Chairman:** P. A. M. Lançon  
**Members:** U. Oswald  
S. U. Hoffman

## Summary of Facts and Submissions

- I. European patent application No. 91 920 634.2 (publication No. 0 560 816) based on international application No. PCT/SE91/00814 and international publication No. WO 92/10171 was refused under Article 97(1) EPC by a decision of the Examining Division for failure to comply with Article 84 EPC.

Claim 1 for the contracting states other than ES and GR, refused by the Examining Division, reads as follows:

"A compressed oral pharmaceutical preparation for extended release of a pharmaceutically active ionizable substance comprising:

- (1) an ionizable active substance ionically complexed with an ion-exchange resin, and
- (2) a hydrophilic eroding matrix, in which the complex (1) is embedded,

the ratio between the complex (1) and the eroding matrix is thus that an even release of active substance with high solubility in water is obtained."

The grounds for refusal were that the term "even release" is a relative term not allowing a reliable evaluation of the scope of protection conferred by the claim.

Moreover, the Examining Division pointed out that the wording of claim 1 "...the ratio between the complex (1) and the eroding matrix is thus that an even

release of active substance with high solubility in water is obtained" was not allowable since such formulations merely defined the invention by the result to be achieved.

- II. The Appellant lodged an appeal against the said decision and, with the grounds of appeal dated 6 February 1997, received on 11 February 1997, filed a new set of claims 1 to 11.

The Appellant argued inter alia by reference to a dictionary that the term "even" was described as meaning without break or irregularity and accordingly the term even release in the present claims referred to a uniform and continuous release of the active substance from the preparation at a constant rate, ie a linear release profile.

- IV. In a communication of the Board of Appeal dated 5 February 2001, the Appellant was informed that claim 1 of the set of claims filed on 11 February 1997 appeared not to fulfil the requirements of Article 123 (2) EPC as regards the feature ... "the ratio between the complex (1) and the eroding matrix is such that the release.....of active substance....is characterized in that n of said function is close to 1".

- V. On 21 March 2001, the Appellant filed a new main request and, on 2 April 2001, a first auxiliary request.

Claim 1 of the new main request for contracting states other than ES and GR corresponded to claim 1 as refused by the Examining Division (see paragraph I above).

Claim 1 of the first auxiliary request for contracting states other than ES and GR differs from claim 1 of the main request by deletion of the formulation "...the ratio between the complex (1) and the eroding matrix is thus that an even release... is obtained" and reads "...whereby an even release...is obtained.

VI. In a fax dated 18 January 2002, the Board informed the Appellant that the application as originally filed appeared not to disclose a generalisation of the results of the worked examples forming a basis for the feature of claim 1 of the main request filed on 21 March 2001 which reads:

"...the ratio between the complex (1) and the eroding matrix is thus that an even release of active substance with high solubility in water is obtained".

VII. As regards these amendments the Appellant argued that support for the term "...the ratio...is thus..." was provided by claim 1 as filed, together with the examples, since claim 1 as originally filed referred to "...embedding the complex in a hydrophilic eroding matrix ..." and all of the examples illustrated the process for preparing the preparation wherein the weight ratio of complex to hydrophilic eroding matrix is 1:3.

It was clear to a skilled person reading the present application that it is the embedding of the complex in the hydrophilic matrix which controls the release rate of the active substance from the preparation. Such a person saw (from the examples in particular) that an appropriate weight ratio of complex to hydrophilic matrix must be selected to provide the claimed even release of active substance.

The formulation in claim 1 that "...the ratio between the complex (1) and the eroding matrix is thus..." therefore merely further clarified how the even release profile was obtained.

- VIII. The Appellant requested that the decision of the Examining Division be set aside and that the application be remitted to the Examining Division with the claims of the first auxiliary request in the event that the Board found the main request contravened Article 123(2) EPC.

### Reasons for the Decision

1. The appeal is admissible.
2. All of the worked examples of the application as originally filed indeed disclose, as argued by the Appellant, a compressed oral pharmaceutical preparation with a ratio of 1 : 3 between the so-called complex (1) and the eroding matrix.

Moreover, the application document as originally filed discloses a plurality of other parameters such as the matrix and ion-exchange resin composition and corresponding material properties possibly influencing the even release of active substance.

However, there is a lack of disclosure that out of these group of parameters it is the ratio between the so-called complex (1) and the eroding matrix which in reality represents the parameter which effectively influences the release rate of the active substance such as to achieve the required even release rate.

Accordingly, the application as originally filed does not disclose the feature that "...the ratio between the complex 1) and the eroding matrix is thus that an even release of active substance with high solubility in water is obtained", and claim 1 of the main request does not fulfil the requirements of Article 123(2) EPC and therefore the main request cannot be allowed.

3. Claim 1 of the first auxiliary request is based on claim 1 as originally filed in combination with page 3, lines 36/37, and page 5, lines 26 to 28. Independent claim 2 of the first auxiliary request corresponds to claim 1 but further specifies that the ratio between the complex and the eroding matrix is 1:3, as supported by each of the worked examples of the application as originally filed.

Claims 3 to 12 of the first auxiliary request correspond to claims 3 to 12 as originally filed.

Accordingly, there are no objections under Article 123 (2) EPC against the set of claims 1 to 12 of the first auxiliary request.

4. Claim 1 of the first auxiliary request relates to a product *per se*, in fact a compressed oral pharmaceutical preparation for extended release of a pharmaceutically active ionisable substance defined by structural features and further characterised by the functional feature "*whereby an even release of active substance with high solubility in water is obtained*". This formulation of claim 1 of the first auxiliary request makes clear that the characteristic of an even release of the active substance is a function of the sum of all structural features and is not a function of one specific ratio of components of the preparation.

According to the description of the application as originally filed on page 1, lines 19 to 31, the release of the active substance may be described by the simple exponential function  $M(t)/M(\infty) = k \cdot t^n$ . It is subsequently indicated that *"the most beneficial situation is when the release rate is totally independent of the fraction substance remaining in the formulation that is  $n=1$ "*.

Each worked example 1 to 8 of the application as originally filed shows discrete values of the exponent  $n$  describing the release kinetics for a tablet containing the complexed drug according to the invention and discrete values of the exponent  $n$  for a reference preparation. Finally Figures 1 to 4 on sheets 1/4 to 4/4 of the application as originally filed show examples of release profiles according to the invention.

In the light of this disclosure of the application as originally filed, describing the release kinetics and showing release rates and release profiles for compressed oral pharmaceutical preparations falling within the scope of claim 1 of the auxiliary request, the Board is convinced that a person skilled in the field of drug release kinetics is left in no doubt as to what is meant by the functional feature *"an even release of active substance with high solubility in water is obtained"*.

Accordingly, having regard to the facts on file, the Board can only conclude that the said functional feature, in combination with the structural features, meets the requirements that claim 1 shall define the matter for which protection is sought and shall be clear and concise and be supported by the description, as provided for in Article 84 EPC.



5. The Board agrees to the Examining Division's statement that the term "even release" as such is a relative term and indeed is broad, but before any comparison with the state of the art is done, disagrees that this relative term does not allow *"to reliably evaluate the scope of protection conferred by the claim"* relating to a compressed oral pharmaceutical preparation, ie a product per se.

The said claim covers in fact each compressed oral pharmaceutical preparation showing the structural features as required by the claim except a product showing a discontinuous release of active substance.

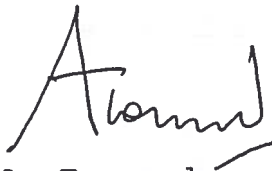
6. Since the Appellant has requested that the case be remitted to the first instance and since no decision was taken by the Examining Division on the issue of novelty and the other aspects of substantive examination except for the requirements of Article 84 EPC, the Board has decided to follow the Appellant's request and refers the case back to the first instance for it to carry out a full examination.

**Order**

**For these reasons it is decided that:**

1. The decision under appeal is set aside
2. The case is remitted to the first instance for further prosecution.

The Registrar:



A. Townend

The Chairman:



P. A. M. Lançon

