

**Internal distribution code:**

- (A)  Publication in OJ  
(B)  To Chairmen and Members  
(C)  To Chairmen  
(D)  No distribution

**Datasheet for the decision  
of 13 August 2013**

**Case Number:** T 1518/11 - 3.3.01

**Application Number:** 03767106.2

**Publication Number:** 1532114

**IPC:** C07D 215/56

**Language of the proceedings:** EN

**Title of invention:**

Process for preparing quinolone antibiotic intermediates

**Applicant:**

TaiGen Biotechnology Co., Ltd.

**Headword:**

Enamine cyclisation/TAIGEN

**Relevant legal provisions:**

EPC Art. 123(2)

**Keyword:**

"Main and auxiliary request: amendments (not allowable) -  
intermediate generalisation"

**Decisions cited:**

T 0843/91, T 1033/04

**Catchword:**

-



Case Number: T 1518/11 - 3.3.01

**D E C I S I O N**  
of the Technical Board of Appeal 3.3.01  
of 13 August 2013

**Appellant:**  
(Applicant)

TaiGen Biotechnology Co., Ltd.  
7F  
138 Shin Ming Road  
Neihu Dist. Taipei  
Taiwan 114 (TW)

**Representative:**

O'Connell, Maura  
FRKelly  
27 Clyde Road  
Ballsbridge  
Dublin 4 (IE)

**Decision under appeal:**

Decision of the Examining Division of the  
European Patent Office posted 9 November 2010  
refusing European patent application  
No. 03767106.2 pursuant to Article 97(2) EPC.

**Composition of the Board:**

**Chairman:** A. Lindner  
**Members:** G. Seufert  
W. Sekretaruk

## Summary of Facts and Submissions

I. The appellant (applicant) lodged an appeal against the decision of the examining division refusing European patent application 03767106.2.

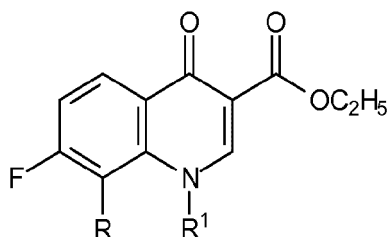
II. The decision under appeal was based on the set of claims filed with letter of 24 February 2006. The examining division held that the amendments made in this set of claims did not comply with Article 123(2) EPC and refused the application for this reason. It also indicated that the claimed subject-matter was neither novel nor inventive in view of the following documents:

- (1) WO 02/48113
- (2) US 5,703,231
- (4) US 6,329,391

III. With the statement of grounds of appeal the appellant filed a new main request replacing the sole request underlying the decision under appeal. An auxiliary request with hand-written amendments to the set of claims as originally filed was also submitted, in case inconsistencies existed between the newly submitted main request and the set of claims as originally filed. Under cover of a letter dated 25 March 2011, the appellant, having realised that formula b) in the auxiliary request contained an error, filed an amended page 21, that is the first page, of the auxiliary request.

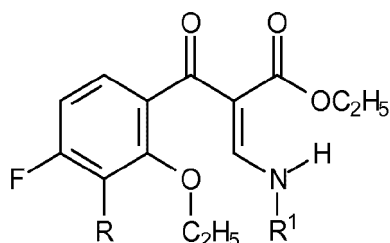
Independent claim 1 of the new main request reads as follows:

"1. A process for preparing a quinolone antibiotic intermediate having the formula:



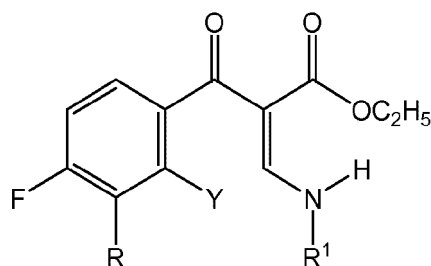
wherein R is C<sub>1</sub>-C<sub>2</sub> alkyl, C<sub>1</sub>-C<sub>2</sub> fluoroalkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, methoxy, chloro, or bromo; R<sup>1</sup> is a unit selected from C<sub>1</sub>-C<sub>2</sub> alkyl, C<sub>2</sub>-C<sub>3</sub> alkenyl, C<sub>3</sub>-C<sub>5</sub> cycloalkyl, and phenyl, each of which can be substituted by one or more fluorine atoms; said process comprising the step of cyclising, in the presence of a silylating agent, an admixture of quinolone precursors, said admixture comprising:

a) a 2-ethoxy substituted intermediate having the formula:



; and

b) a 2-substituted intermediate having the formula:



wherein Y is F."

Claim 1 of the auxiliary request is identical to claim 1 of the main request with the exception of minor clerical differences (i.e. the expression "in the presence of a silylating agent" is present twice and the expression "a 2-substituted intermediate having the formula" before formula b) has been omitted).

IV. In a communication dated 29 May 2013 accompanying the summons to oral proceedings the board expressed its preliminary opinion. In particular, it considered that claim 1 and, due to its unclear wording, claim 8 of the main request did not comply with Article 123(2) EPC and that the claimed subject-matter did not involve an inventive step in view of the teaching of document (2) in combination with document (1) or document (4), cited in the application, in combination with document (2). The same objections were valid for the auxiliary request.

V. By letter dated 9 July 2013 the appellant withdrew its request for oral proceedings and requested a decision according to the state of the file. No further comments or observations in substance were submitted on the issues indicated in the Board's communication.

VI. The appellant's arguments, to the extent that they are relevant for the present decision, are summarised as follows:

- Article 123(2) EPC

Claim 1 has been amended to recite the admixture of quinolone precursors as comprising the 2-ethoxy

- substituted compound a) and the 2-fluoro substituted compound b). Support for this amendment could be found in steps c) and d) on page 4 of the application as filed.
- VII. The appellant requested that the decision under appeal be set aside and that a patent be granted on the basis of the main request filed with the statement of grounds of appeal or, alternatively, on the basis of the auxiliary request filed with letter of 25 March 2011.
- VIII. At the end of the oral proceedings, which took place as scheduled on 13 August 2013, the decision of the Board was announced.
- IX. With letter of 23 August 2013 the appellant informed the board that it "wished to withdraw the Appeal on the above Application with immediate effect".

### **Reasons for the Decision**

1. The appeal is admissible.
2. Procedural matters
  - 2.1 After the board had announced its decision to dismiss the appeal against the refusal of the application in suit and closed the oral proceedings, the appellant stated by letter dated 23 August 2013 that the appeal was withdrawn. However, since the decision had been announced at the oral proceedings held on 13 August 2013 and thereby became effective on that day, the appeal proceedings are terminated (T 843/91 of 17 March

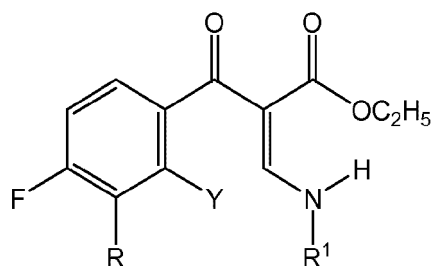
1993, OJ EPO, 1994, 818, point 10 of the reasons). Given that by virtue of the board's decision the refusal became final and no appeal lies from decisions of the boards, the appellant's submission made after the announcement of the board's decision is without any legal effect.

- 2.2 Furthermore, a statement of withdrawal of appeal made by the (sole) appellant after the final decision of the board has been announced at oral proceedings does not relieve the board of its duty to issue and notify to the appellant the decision in writing setting out the reasons for the decision (T 1033/04, not published, point 3 of the reasons).

*Main request*

3. Amendments (Article 123(2) EPC)

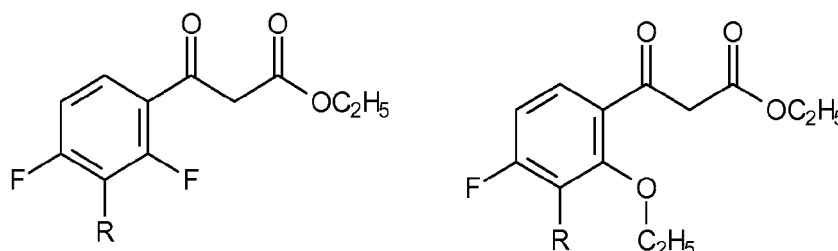
- 3.1 Claim 1 of the main request differs from claim 1 as originally filed mainly in that compound b) having the general formula



with Y equal to fluorine was introduced as a further component of the quinolone precursor admixture. Original claim 1 merely referred to an admixture of quinolone precursors comprising compound a). The nature of the other components of the quinolone precursor admixture was undefined.

3.2 According to the appellant the introduction of compound b) finds support in step c) on page 4 of the application as filed, which recites the admixture of quinolone intermediates that are formed and subsequently cyclised under step d) immediately thereunder.

3.3 However, steps c) and d) on page 4 are not isolated steps, but form part of a specific reaction sequence starting on page 2 with step a), namely the reaction of an **acetophenone** having a substituent R in position 3 and fluorine in positions 2 and 4 of the benzene ring with **diethylcarbonate**. This particular reaction yields an admixture of  $\beta$ -ketoesters of the following formulae



which is transformed via a Knoevenagel reaction to an admixture of enamines. The latter is then reacted with an amine R<sup>1</sup>NH<sub>2</sub> resulting in an admixture of the quinolone precursors having the formula a) and the formula b). In other words, as a result of the particular starting materials and the reaction sequence, a quinolone precursor admixture with only compounds a) and b) is obtained.

3.4 Claim 1 of the main request is not limited with respect to a particular process for the preparation of the specific admixture of the compounds a) and b). It includes the cyclisation of quinolone precursor



admixtures wherein each of the compounds a) and b) could have been prepared independently via known processes that differ from the process described in steps a) to c) (see for example document (2)) and subsequently mixed. Furthermore, in view of the expression "said admixture comprising", the admixture to be cyclised in claim 1 may also include further quinolone precursors, that is precursors with other suitable leaving groups attached in position 2. Thus, step c) on page 4, which structurally and functionally links the specific quinolone precursor admixture consisting of compound a) and b) with particular starting materials and a particular reaction sequence, cannot support the amendments in claim 1. In other words the isolation of compound b) from the specific admixture described in step c) on page 4 and its incorporation into the general process of original claim 1 represents an intermediate disclosure of subject-matter which is not clearly and unambiguously derivable from the application as originally filed, contrary to the requirement of Article 123(2) EPC.

The appellant provided no reference to other parts of the application as originally filed, which disclose the amendments in claim 1 of the main request. Nor have such parts been identified by the board.

- 3.5 The board therefore concludes that the main request is not allowable.

*First auxiliary request*

4. Since the subject-matter of claim 1 of the first auxiliary request is identical to the subject-matter

claim 1 of the main request (see point III above), the same observations and conclusion as in points 3.3 to 3.5 above apply, with the consequence that the auxiliary request is not allowable either.

**Order**

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

The appeal is dismissed.

The Registrar:

The Chairman:

M. Schalow

A. Lindner