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D E C I S I O N
of 26 February 2002

Case Number: T 0812/00 - 3.3.1

Application Number: 94906625.2

Publication Number: 0679157

IPC: C07D 333/18

Language of the proceedings: EN

Title of invention:

Novel 3,4-diaryl thiophenes and analogs thereof having use as antiinflammatory agents

Patentee:

G.D. Searle LLC, et al

Opponent:

Merck & Co. Inc.

Headword:

Thiophenes/SEARLE et al

Relevant legal provisions:

EPC Art. 123(2)

Keyword:

"Main, first and second auxiliary request: amendment - support in the application as filed (no)"

"Third, fourth and fifth auxiliary request - not admissible"

Decisions cited:

T 0907/90, T 0406/86

Catchword:

-



Case Number: T 0812/00 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 26 February 2002

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Decision under appeal: Interlocutory decision of the Opposition Division
of the European Patent Office posted 8 June 2000
concerning maintenance of European patent
No. 0 679 157 in amended form.

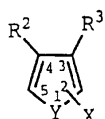
Composition of the Board:

Chairman: A. J. Nuss
Members: P. P. Bracke
S. C. Perryman

Summary of facts and submissions

- I. Appellant I (Opponent) and Appellant II (Proprietor of the patent) lodged an appeal against the Opposition Division's interlocutory decision, dispatched on 8 June 2000, to maintain the European patent No. 0 679 157 in the form as amended pursuant to Article 102(3) EPC.
- II. The claims of the application as filed, which are relevant for the present decision read:

"1. A compound of formula I



I

wherein Y is selected from S, O, and NR¹;
wherein R¹ is selected from hydrido and C₁-C₆ alkyl;
wherein X is one or more substituents selected from

(a) hydrido, halo, cyano, nitro, hydroxy, acyl, lower alkyl substituted at a substitutable position with a substituent selected from halo, hydroxyl, amino, acylamino, lower alkylamino, lower alkyl(acyl)amino, acyl, aryl optionally substituted with hydroxyl, a heterocyclic group, hydroxyimino and lower alkoxyimino, lower alkenyl optionally substituted at a substitutable position with cyano, amino optionally substituted at a substitutable position with a radical selected from acyl and lower alkylsulfonyl, sulfo, sulfamoyl optionally substituted with a substituent selected from the group consisting of lower alkyl, halo(lower)alkyl, aryl, hydroxyl, lower alkylamino(lower)alkyl, a heterocyclic group and (esterified carboxy)lower alkyl, N-containing heterocyclicsulfonyl, a heterocyclic group

optionally substituted at a substitutable position with a substituent selected from the group consisting of hydroxyl, oxo, amino and lower alkylamino, provided that when Y is O or NR¹ then X cannot be hydroxyalkyl,

(b) S(O)_nR⁵, wherein R⁵ is C₁-C₆ alkyl optionally substituted at a substitutable position with fluoro, and n is 0, 1 or 2,

(c) C(R⁶)(OR⁸)(R⁷) wherein R⁶ and R⁷ independently are selected from CF₃, CF₂H, CFCl₂, CF₂Cl, CClFH, CCl₂F, CF₃CF₂ and C₁-C₂ alkyl, and wherein R⁸ is selected from hydrido, C₁-C₄ alkyl, (C₁-C₃ alkyl)C(O) and CO₂R⁹ wherein R⁹ is C₁-C₄ alkyl,

(d) C(O)ZR⁴, wherein Z is O, N or S, and R⁴ is selected from hydrido, C₁-C₆ alkyl and aryl, and when Z is N then R⁴ is independently taken twice,

(e) C(R⁹)(NHR¹¹)(R¹⁰), wherein R⁹ and R¹⁰ are independently selected from CF₃, CF₂H, CFCl₂, CF₂Cl, CClFH and CCl₂H, and R¹¹ is selected from hydrido and C₁-C₃ alkyl, and

(f) Si(R¹²)(R¹³)(R¹⁴), wherein R¹², R¹³ and R¹⁴ are independently selected from hydrido, C₁-C₂ alkoxy, C₁-C₇ optionally substituted at a substitutable position with a radical selected from halo, C₂-C₇ alkenyl, phenyl and benzyl, provided that the sum of the number of carbon atoms in R¹², R¹³ and R¹⁴ must be at least 1 and not greater than 9, and further provided that no more than 2 of R¹², R¹³ and R¹⁴ are alkoxy; and

wherein R² and R³ are independently selected from

(g) aryl or heteroaryl, wherein the aryl or heteroaryl radical is optionally substituted at a substitutable position with a radical selected from halo, lower alkyl, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, nitro, amide, amino, lower alkylamino, sulfamyl and lower alkylsulfonylamino,

(h) para-phenylene-Q wherein Q is C₁-C₂ alkyl or NR¹⁵R¹⁶, wherein R¹⁵ and R¹⁶ are independently C₁-C₂ alkyl,

(i) p-Q¹(m-Q²)phenylene, wherein Q¹ is selected from hydrido, fluoro, chloro, bromo, nitro, C₁-C₂ alkyl, C₁-C₂ alkoxy, di(C₁-C₂ alkyl)amino and S(O)_nR¹⁷, wherein R¹⁷ is CH₃ or C₂H₅; and wherein Q² is selected from hydrido, fluoro and chloro, and n is 0, 1 or 2; provided that both Q¹ and Q² cannot both be hydrido at the same time, and

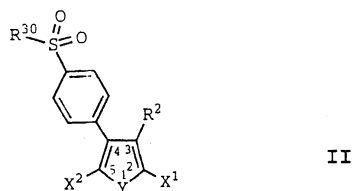
(j) phenylene-W wherein W is alkylamino;

provided that

R² and R³ cannot both be phenyl; further provided that when Y is S, then R² and R³ cannot both be 3,5-dihalophenyl; further provided that if X is hydrido, then R² and R³ are not both p-methoxyphenyl, p-chlorophenyl, p-methylphenyl, p-bromophenyl, or 2-naphthyl; further provided that if X is hydrido, nitro, bromo, CO₂-alkyl, benzoyl or CO₂H, then R² and R³ are not both p-methoxyphenyl; and further provided that when Y is NR¹ and R² and R³ are independently aryl optionally substituted at a substitutable position with

C₁-C₄ alkyl, halo, nitro or C₁-C₄ alkoxy, then X cannot be hydrido, -CO₂H or CO₂-alkyl of from one to four carbons; or a pharmaceutically-acceptable salt thereof." (emphasis added)

"12. A compound of formula II



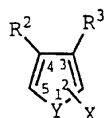
wherein Y is selected from O, S and NR¹;
wherein R¹ is selected from hydrido and lower alkyl;
wherein X¹ and X² are independently selected from hydrido, halo, lower alkoxy carbonyl and carboxyl;
wherein R² is selected from aryl and heteroaryl; wherein R² is optionally substituted at a substitutable position with a radical selected from halo, lower alkoxy and lower alkyl; and
wherein R³⁰ is selected from amino and lower alkyl;
or a pharmaceutically-acceptable salt thereof."

"16. A pharmaceutical composition comprising a therapeutically-effective amount of an antiinflammatory compound, said compound selected from a compound of Claim 1; or a pharmaceutically-acceptable salt thereof."

III. The Opposition Division was of the opinion that the set of 31 claims of the patent as granted (main request) did meet the requirement of Article 123(2) EPC, but did not meet the requirement of novelty. Of those claims only Claims 1, 9 and 13 are relevant for the present decision.

Claim 1, wherein the emphasised part of the wording of Claim 1 as originally filed (see point II) has been deleted and a proviso has been added, read:

"1. A compound of formula I



I

<A.A.A>, and

wherein R² and R³ are independently selected from aryl or heteroaryl, wherein the aryl or heteroaryl radical is optionally substituted at a substitutable position with a radical selected from halo, lower alkyl, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, nitro, amide, amino, lower alkylamino, sulfamyl and lower alkylsulfonylamino, **provided that at least one of R² and R³ is substituted with methylsulfonyl or sulfamyl**; or a pharmaceutically-acceptable salt thereof." (emphasis added), whereby <A.A.A> reads

"wherein Y is selected from S, O, and NR¹;
wherein R¹ is selected from hydrido and C₁-C₆ alkyl;
wherein X is one or more substituents selected from

(a) hydrido, halo, cyano, nitro, hydroxy, acyl, lower alkyl substituted at a substitutable position with a substituent selected from halo, hydroxyl, amino, acylamino, lower alkylamino, lower alkyl(acyl)amino, acyl, aryl optionally substituted with hydroxyl, a heterocyclic group, hydroxyimine and lower alkoxyimine, lower alkonyl optionally substituted at a substitutable position with cyano, amino optionally substituted at a substitutable position with a radical selected from

acyl and lower alkylsulfonyl, sulfo, sulfamoyl optionally substituted with a substituent selected from the group consisting of lower alkyl, halo(lower)alkyl, aryl, hydroxyl, lower alkylamino(lower)alkyl, a heterocyclic group and (esterified carboxy)lower alkyl, N-containing heterocyclicsulfonyl, a heterocyclic group optionally substituted at a substitutable position with a substituent selected from the group consisting of hydroxyl, oxo, amino and lower alkylamino, provided that when Y is O or NR¹ then X cannot be hydroxyalkyl,

(b) S(O)_nR⁵, wherein R⁵ is C₁-C₆ alkyl optionally substituted at a substitutable position with fluoro, and n is 0, 1 or 2,

(c) C(R⁶)(OR⁸)(R⁷) wherein R⁶ and R⁷ independently are selected from CF₃, CF₂H, CFCl₂, CF₂Cl, CClFH, CCl₂F, CF₃CF₂ and C₁-C₂ alkyl, and wherein R⁸ is selected from hydrido, C₁-C₄ alkyl, (C₁-C₃ alkyl)C(O) and CO₂R⁹ wherein R⁹ is C₁-C₄ alkyl,

(d) C(O)ZR⁴, wherein Z is O, N or S, and R⁴ is selected from hydrido, C₁-C₆ alkyl and aryl, and when Z is N then R⁴ is independently taken twice, and

(e) C(R⁹)(NHR¹¹)(R¹⁰), wherein R⁹ and R¹⁰ are independently selected from CF₃, CF₂H, CFCl₂, CF₂Cl, CClFH and CCl₂H, and R¹¹ is selected from hydrido and C₁-C₃ alkyl, and"

Claims 9 and 13 corresponded to Claim 12 respectively Claim 16 as filed.

IV. The Opposition Division was of the opinion that the set of 31 claims according to the "new auxiliary request I" met the requirements of the EPC. Claim 1 according to

that new auxiliary request read:

"1. A compound of formula I



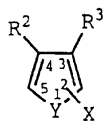
<A.A.A> (same meaning as in point III above)

wherein R² and R³ are independently selected from aryl, wherein the aryl radical is optionally substituted at a suitable position with a radical selected from halo, lower alkyl, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, nitro, amide, amino, lower alkylamino, sulfamyl and lower alkylsulfonylamino, **provided that at least one of R² and R³ is substituted with methylsulfonyl**; or a pharmaceutically-acceptable salt thereof." (emphasis added)

In particular, the Opposition Division was of the opinion that this set of claims, and especially its Claim 1, met the requirement of Article 123(2) EPC, since Claims 12 and 13 as filed provided a fair support, all the working and tested examples falling within the scope of Claim 1 possessed the required characteristic of the proviso and many of the preferred embodiments referred to that category of compounds (see point 4.3 of the contested decision).

V. The second auxiliary request immediately following the one held to meet the requirements of the EPC also consisted of 31 claims. Those claims were filed as auxiliary request II with letter dated 21 December 1999. Claim 1 read:

"1. A compound of formula I



I

<A.A.A> (same meaning as in point III above)

wherein R² and R³ are independently selected from phenyl optionally substituted at a substitutable position with a radical selected from halo, lower alkyl, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, nitro, amide, amino, lower alkylamino, sulfamyl and lower alkylsulfonylamino, **provided that at least one of R² and R³ is 4-methylsulfonylphenyl**; or a pharmaceutically-acceptable salt thereof." (emphasis added)

- VI. As far as the requirement of Article 123(2) EPC was concerned, the Appellant I submitted *inter alia* that with the provisos in Claim 1 of any of the requests filed before the Opposition Division (see the emphasised parts under points II, IV and V above) and in particular those underlying the contested decision, subject-matter was added extending beyond the content of the application as filed.
- VII. The Appellant II contested that with the provisos in Claim 1 of any of the requests underlying the contested decision or additionally filed before the Opposition Division subject-matter was added extending beyond the content of the application as filed.

Moreover, during the oral proceedings before the Board, which took place on 26 February 2002, the Appellant II filed three more sets of claims as auxiliary

requests III, IV and V.

Claim 1 according to auxiliary request III read as Claim 1 as granted, with the exception that the feature "provided that at least one of R² and R³ is substituted with methylsulfonyl or sulfamyl" was deleted and that the compounds were defined as "antiinflammatory" compounds.

Claim 1 in auxiliary request IV corresponded with Claim 9 as granted and Claim 5 was directed to a pharmaceutical composition comprising a therapeutically-effective amount of an antiinflammatory compound, said compound being selected from a compound of formula I as defined in Claim 1 as granted, with the exception that the feature "provided that at least one of R² and R³ is substituted with methylsulfonyl or sulfamyl" was deleted.

Claim 1 in auxiliary request V was directed to a compound of formula I **having a selectivity ratio of cyclooxygenase-2 inhibition over cyclooxygenase-1 inhibition of at least 50** and further defined as in Claim 1 as granted with the exception that the feature "provided that at least one of R² and R³ is substituted with methylsulfonyl or sulfamyl" was deleted.

VIII. The Appellant I requested that the decision under appeal be set aside and that the European patent No. 0 679 157 be revoked.

The Appellant II requested as main request that the decision under appeal be set aside and the patent be maintained as granted, or as first auxiliary request that the appeal of the opponent be dismissed, or as

further auxiliary requests that the decision under appeal be set aside and that the patent be maintained on the basis of auxiliary request II filed with letter dated 21 December 1999 or of one of auxiliary requests III, IV or V filed at the oral proceedings on 26 February 2002.

Reasons for the decision

1. The appeal is admissible.
2. *Main request*
 - 2.1 Article 123(2) EPC
 - 2.1.1 Both Parties agreed that the relevant question to be decided in assessing whether by an amendment subject-matter was added extending beyond the content of the application as filed, is whether the proposed amendment was **directly and unambiguously** derivable from the application as filed.
 - 2.1.2 It was also not contested that the feature "provided that at least one of R² and R³ is substituted with methylsulfonyl or sulfamyl" appeared explicitly neither in the claims nor in the description of the application as filed.
 - 2.1.3 The Appellant II submitted that it follows from page 6, lines 4 to 12, page 9, lines 23 to 30, page 10, lines 19 to 26, the paragraph bridging pages 19 and 20, and the formula II described on pages 17 and 18 and in Claim 12 of the application as filed that R² and R³ may

independently be selected from aryl substituted with methylsulfonyl or sulfamyl. Moreover, the Appellant II submitted that all compounds listed as a family of specific compounds of particular interest within formula I on pages 11 to 17, except 3,4-bis(4-methoxyphenyl)thiophene and all examples, except example 4, were compounds of formula I bearing as R² a 4-methylsulfonylphenyl group or a 4-sulfamylphenyl group. Since no reason was derivable from the application as filed, why a skilled person should have believed that the information concerning the methylsulfonyl or sulfamyl moiety was restricted to each individual compound in the list of specific compounds of particular interest within formula I or to each individual compound of the worked examples, the Appellant II was of the opinion that compounds of formula I wherein at least one of R² and R³ is substituted with methylsulfonyl or sulfamyl were directly and unambiguously derivable from the application as filed. Furthermore, as support of his argument that the information content of the application should not be interpreted in a narrow and literal interpretation of the information, which would ignore the skilled man's ability for abstract thought, the Appellant II referred to point 2.2 of decision T 907/90.

- 2.1.4 However, in assessing whether by the feature "provided that at least one of R² and R³ is substituted with methylsulfonyl or sulfamyl" Claim 1 is amended in such a way that it contains subject-matter extending beyond the content of the application as filed, this feature may not be considered in isolation, but in the context of the complete wording of Claim 1. Therefore, the relevant question is not whether it might be derived

from the application as filed that in the claimed compounds at least one of R² and R³ may be substituted with methylsulfonyl or sulfamyl, but whether it might be **directly and unambiguously** derived from the application as filed that a particular subclass within Claim 1 can be **directly and unambiguously** derived from the application as filed characterised by **all the compounds** having at least one of R² and R³ substituted with methylsulfonyl or sulfamyl, but not limited to the other features of Claim 12 as filed.

- 2.1.5 It is not contested that from page 6, lines 4 to 12, from page 9, lines 23 to 30, and from page 10, lines 19 to 26, it may be derived that R² and R³ may independently be selected from aryl optionally substituted with a radical selected from *inter alia* lower alkylsulfonyl and sulfamyl and thus that compounds of formula I wherein at least one of R² and R³ is substituted with methylsulfonyl or sulfamyl are embraced within the scope of Claim 1. From those passages, however, it may not be derived that it is a binding and characterising feature of Claim 1 in the sense of a compulsory requirement in respect of the substitution pattern that at least one of R² and R³ is substituted with methylsulfonyl or sulfamyl in all compounds embraced within Claim 1. As those passages thus do not point the reader to this subclass, the requirement of a direct and unambiguous disclosure is not fulfilled.

It is also not contested that from the paragraph bridging pages 17 and 18 it clearly follows that in the subclass of compounds of high interest within formula I and represented by formula II, it is a binding feature that the compounds of formula II contain in the

4-position of the 5-membered ring a **phenyl** ring substituted in para position by a group of formula SO_2R^{30} , wherein R^{30} is amino or lower alkyl. However, formula II is restricted to compounds wherein X^1 and X^2 are independently selected exclusively from hydrido, halo, lower alkoxy carbonyl and carboxyl.

2.1.6 Additionally, when questioned by the Board the Appellant II did not deny that all compounds listed as a family of specific compounds of particular interest within formula I on pages 11 to 17 and all compounds described in the worked examples of the application as filed having as R^2 or R^3 a methylsulfonyl**phenyl** group or a sulfamyl**phenyl** group (ie with the exception of the one not falling under the claim) were compounds within the subclass represented by formula II. As none of those compounds is embraced within the definition of formula I without also being embraced within the much narrower definition of the subclass of formula II, a skilled person could only directly and unambiguously derive from the list of specific compounds of particular interest within the definition of formula I that the requirement in respect of the substitution pattern that at least one of R^2 and R^3 is substituted with methylsulfonyl or sulfamyl was only a binding and characteristic feature for the "compounds of high interest within formula I", ie those embraced within the definition of formula II.

2.1.7 In this respect it is to be noted that in assessing whether something is directly and unambiguously derivable from the application as filed, it is not the number of specifically cited compounds which is relevant, but the information which may be derived therefrom. As set out above, in the present case the

relevant fact is that the application as filed contains no disclosure that the compulsory requirement in respect of the substitution pattern that at least one of R^2 and R^3 is substituted with methylsulfonyl or sulfamyl may be extended to compounds other than those listed as a family of specific compounds of particular interest within formula I on pages 11 to 17, those described in the worked examples of the application as filed and those embraced within the definition of formula II.

2.1.8 This finding is not in contradiction with the principle described in point 2.2 of decision T 907/90, saying that in answering the question whether an amendment has any basis in the application as filed a skilled person would take the complete application into consideration with a view to obtaining further information, inclusive the worked examples and a list of preferred examples. It is precisely by considering the worked examples and a list of specific compounds of particular interest within formula I that the Board comes to the conclusion that the amendment in Claim 1 was not directly and unambiguously derivable from the application as filed.

2.1.9 To put the situation as the Board sees it in a nutshell: in response to the opposition grounds, the Patentee basically wishes to continue to defend the invention in terms broader than defined by the compounds in Claim 9 as granted, which is the same as Claim 12 as filed and which includes all the examples.

2.1.10 The attempts of the Patentee at any stage of the proceedings before the EPO to reformulate the claims all amount to generalizing the definition of his invention compared to Claim 12 as filed, while making

it narrower than Claim 1 originally filed, a situation referred to as an "intermediate generalization". As set out above, the examples do not assist the Patentee, because they have already been generalized in the definition of Claim 12 as filed. The patentee wants more, he wants to generalize the definition given in Claim 12 as filed in some respects but not in all. For such an intermediate generalization to be acceptable under the EPC, its limits, in the claimed combination, must themselves be directly and unambiguously derivable from the application as filed in the same way as any other amendment of the European patent (application).

2.1.11 The boards of appeal take a strict view here, because to do otherwise would be to encourage applications being filed with broad speculative claims, and the identification of the really significant features only being introduced by later amendments. To allow such amendment to features not originally disclosed, would give someone who was merely the first to file a broad speculative claim an unwarranted advantage over competitors who actually were the first to identify the significant features. It is of course different if the first filer can defend his original broad claim, but he cannot be allowed to defend his patent on the basis of a selection of significant features only made subsequent to the original filing.

2.1.12 Consequently, as Claim 1 is amended in such a way that it contains subject-matter which extends beyond the content of the application as filed, contrary to the requirement of Article 123(2) EPC. The main request must thus be refused.

3. *First and second auxiliary request*

As Claim 1 of the first auxiliary request contains the feature "provided that at least one of R² and R³ is substituted with methylsulfonyl" and Claim 1 of the second auxiliary request contains the feature "provided that at least one of R² and R³ is 4-methylsulfonyl-phenyl" in combination with the broad definition of *inter alia* the substituent X, for the reasons given for Claim 1 of the main request, both request must be refused too as contravening Article 123(2) EPC.

4. *Third, fourth and fifth auxiliary request*

4.1 Admissibility

4.1.1 The Appellant submitted that the three sets of claims were attempts to overcome a ground of opposition, in particular that based on Article 100(c) EPC and, consequently, that these should be admitted in the proceedings according to Rule 57a EPC.

All that Rule 57a EPC allows is that the ground of opposition need not be one relied on by an opponent, but the amendments must also be appropriate and necessary (see T 406/86, OJ EPO 1989, 302). Reference to a ground of opposition cannot justify an amendment that violates the requirements of the EPC, or that does not remove the objection under the ground of opposition relied on.

In the present case, the wording of the amended claims gives cause to call into question compliance of the above-mentioned, late-filed requests with all of the requirements of the EPC and thus their validity for forming the basis of an allowable patent.

4.1.2 In particular, by the deletion of the feature "provided that at least one of R^2 and R^3 is substituted with methylsulfonyl or sulfamyl" in Claim 1 according to the third auxiliary request the protection conferred by the claim has been extended, contrary to the requirement of Article 123(3) EPC.

The Appellant II argued that by reference in the specification that the claimed compounds are antiinflammatory compounds the extent of protection conferred by the claim was restricted in a functional way instead of achieving this in a structural way and that, therefore, the protection conferred had not been extended.

The Board cannot, however, follow this argument, because in the application as filed it is stated that the compounds of formula I are useful for the treatment of inflammation (see, for example, page 7, lines 11 to 16). As, thus, also compounds not having at least one of R^2 and R^3 substituted with methylsulfonyl or sulfamyl are embraced within Claim 1 of the third auxiliary request, the protection conferred by Claim 1 has been extended.

4.1.3 According to Claim 13 of the patent as granted the claimed pharmaceutical compositions were restricted to those comprising a therapeutically-effective amount of a compound of granted Claim 1, from which the compounds not containing at least one of R^2 and R^3 substituted with methylsulfonyl or sulfamyl were excluded. Contrary thereto, by deletion of the feature "provided that at least one of R^2 and R^3 is substituted with methylsulfonyl or sulfamyl" in the wording of what is presented as Claim 5 of the fourth auxiliary request,

pharmaceutical compositions containing compounds wherein none of R² and R³ is substituted with methylsulfonyl or sulfamyl are embraced within the wording of Claim 5. The protection conferred by Claim 5 of the fourth auxiliary request has thus been extended in comparison to the corresponding Claim 13 as granted.

- 4.1.4 Claim 1 according to the fifth auxiliary request differs from Claim 1 as granted by the deletion of the feature "provided that at least one of R² and R³ is substituted with methylsulfonyl or sulfamyl" and the insertion of the specification that the claimed compounds have a selectivity ratio of cyclooxygenase-2 inhibition over cyclooxygenase-1 inhibition of at least 50.

As such a selectivity ratio is only mentioned on page 8 of the application as filed and as it may not be derived therefrom which compounds have such a selectivity ratio, this amendment arises not only new objections under Article 123(2) EPC but also introduces a feature which renders the claim obscure, contrary to the requirement of clarity according to Article 84 EPC. Moreover, the application as filed contains no information that such selectivity ratio would be equivalent with the feature "provided that at least one of R² and R³ is substituted with methylsulfonyl or sulfamyl", a fact which renders doubtful that the amendment meets the requirement of Article 123(3) EPC.

- 4.1.5 As thus none of the third, fourth and fifth auxiliary requests *prima facie* complies with all the requirements of the EPC, none of them is appropriate in the present case to meet the grounds of opposition, and in particular not the one based on Article 100(c) EPC.

Therefore, the third, fourth and fifth auxiliary requests submitted at the oral proceedings are not admitted in the proceedings.

Order

For these reasons it is decided that:

1. The decision under appeal is set aside.
2. The patent is revoked.

The Registrar:

The Chairman:

N. Maslin

A. Nuss