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# D E C I S I O N
## of 4 June 2002

**Case Number:** T 1048/98 - 3.3.1

**Application Number:** 94926191.1

**Publication Number:** 0714396

**IPC:** C07D 493/04

**Language of the proceedings:** EN

**Title of invention:**
Vasoconstrictive Dihydrobenzopyran Derivatives

**Applicant:**
JANSSEN PHARMACEUTICA N.V.

**Opponent:**
-

**Headword:**
Vasoconstrictive Dihydrobenzopyran/JANSSEN

**Relevant legal provisions:**
EPC Art. 56, 84

**Keyword:**
"Main request and second auxiliary request - clarity (no) - no clear therapeutic indication"
"First auxiliary request - inventive step (no) - obvious solution"
"Third auxiliary request - inventive step (yes) - non-obvious solution"

**Decisions cited:**
G 0001/83, T 0241/95, T 1129/97

**Catchword:**
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Case Number: T 1048/98 - 3.3.1

# D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 4 June 2002

**Appellant:** JANSSEN PHARMACEUTICA N.V.
Turnhoutseweg 30
B-2340 Beerse (BE)

**Representative:** UEXKÜLL & STOLBERG
Patentanwälte
Beselerstrasse 4
D-22607 Hamburg (DE)

**Decision under appeal:** Decision of the Examining Division of the European Patent Office posted 4 June 1998 refusing European patent application No. 94 926 191.1 pursuant to Article 97(1) EPC.

**Composition of the Board:**

**Chairman:** A. J. Nuss
**Members:** P. F. Ranguis
R. T. Menapace

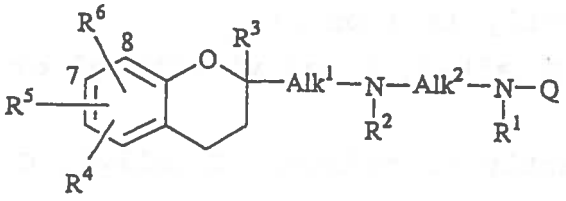
## Summary of Facts and Submissions

I. The present appeal lies from the Examining's Decision to refuse the European patent application No. 94 926 191.1 (Publication No. 0 714 396) on the ground that the then pending request (Claims 1 to 10 filed with letter of 31 October 1997) did not involve an inventive step pursuant to Article 56 EPC in the light of the disclosure of the document:

(1) EP-A- 0 352 613

II. Independent Claims 1 and 8 read as follows:

"1. A compound having the formula



(I),

the pharmaceutically acceptable acid or base addition salts thereof, and the stereochemically isomeric forms thereof, wherein

$R^1$, $R^2$ and $R^3$ each independently are hydrogen or $C_{1-6}$alkyl;

$R^5$ and $R^6$ designate $R^{5a}$ and $R^{6a}$ in which case $R^{5a}$ is hydrogen, halo, $C_{1-6}$alkyl, hydroxy, $C_{1-6}$alkyloxy, aryloxy or arylmethoxy; and

and wherein $R^{5a}$ and $R^{6a}$ are taken together to form a bivalent radical, which is linked to the 7 and 8 position of the dihydrobenzopyran moiety, and has the formula

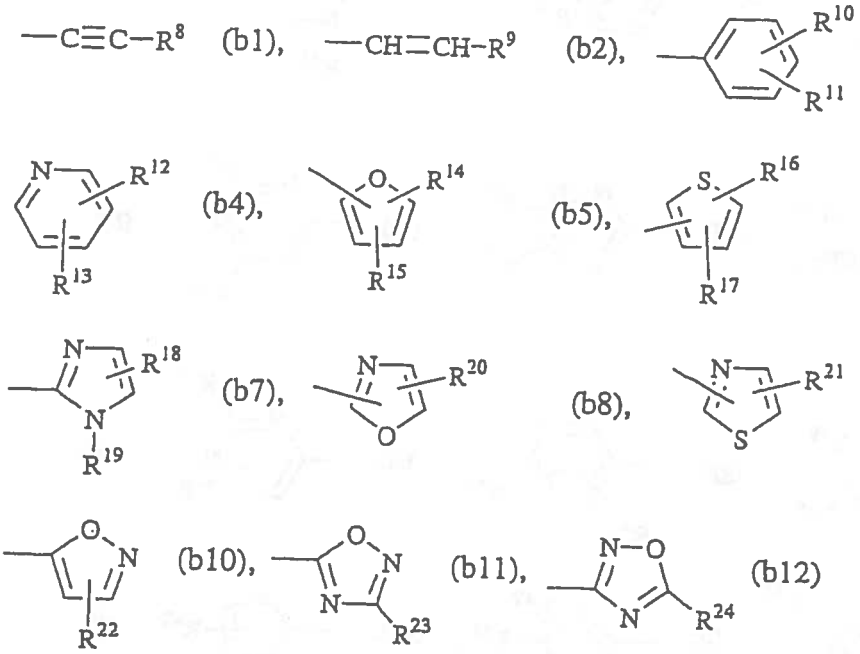
-CH=CH-CH=CH- (a1), -$(CH_2)_t$-Z- (a9),

-$(CH_2)_n$- (a2), -Z-$(CH_2)_t$- (a10),

| | | | |
|---|---|---|---|
| $-(CH_2)_m-X-$ | (a3), | -CH=CH-Z- | (a11), |
| $-X-(CH_2)_m-$ | (a4), | -Z-CH=CH- | (a12), |
| -CH=CH-X | (a5), | -NH-C(A)=N- | (a13), |
| -X-CH=CH- | (a6), | -O-C(A)=N- | (a14), |
| $-O-(CH_2)_2-Y-$ | (a7), | -N=C(A)-O- | (a15); |
| $-Y-(CH_2)_2-O-$ | (a8), | | |

in these bivalent radicals one or two hydrogen atoms may be substituted with $C_{1-6}$alkyl, $C_{1-6}$alkylcarbonyl or $C_{1-6}$alkyl-S(O)-
n is 3 or 4;
each X independently is -O-, -S-, -S(O)-, $-S(O)_2-$, -C(O)-, $-NR^7-$;
each m independently is 2 or 3;
each Y independently is -O-, -S-, -S(O)-, $-S(O)_2-$, -C(O)-, $-NR^7-$;
Z is -O-C(O), -C(O)-O-, -NH-C(O)-, -C(O)-NH-;
each t independently is 1 or 2;
$R^7$ is hydrogen, $C_{1-6}$alkyl, $C_{1-6}$alkylcarbonyl or $C_{1-6}$alkyl-S(O)-,
each A independently is hydroxy, $C_{1-6}$alkyl, $C_{1-6}$alkyloxy;

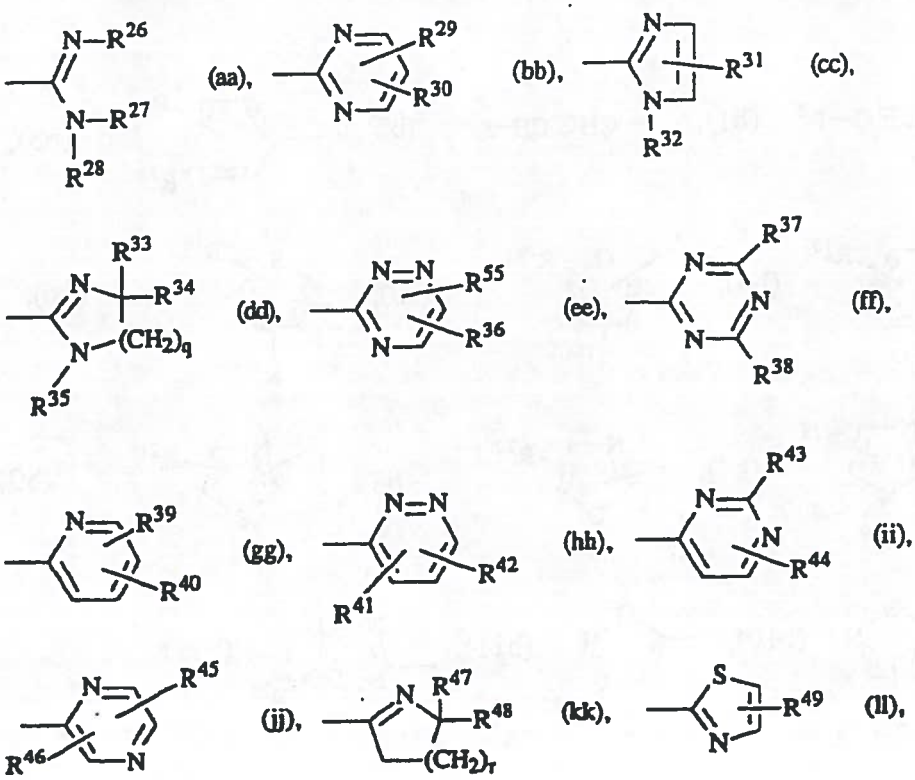
or $R^5$ and $R^6$ designate $R^{5b}$ and $R^{6b}$, in which case $R^4$ is hydrogen, halo, $C_{1-6}$alkyl; and
and wherein $R^{5b}$ is hydrogen and
$R^{6b}$ is hydroxy$C_{1-6}$alkyl, carboxyl$C_{1-6}$alkyl, $C_{1-6}$alkyloxycarbonyl$C_{1-6}$alkyl, trihalomethyl, $C_{1-6}$alkylcarbonyl, or $R^{6b}$ is a radical of formula



$R^8$ and $R^9$ each independently are hydrogen, carboxyl, $C_{1-6}$alkyloxycarbonyl, aminocarbonyl, mon- or di($C_{1-6}$alkyl)aminocarbonyl;
$R^{10}$, $R^{11}$, $R^{12}$, $R^{13}$, $R^{14}$, $R^{15}$, $R^{16}$ and $R^{17}$ each independently are hydrogen, halo or $C_{1-6}$alkyl;
$R^{18}$, $R^{19}$, $R^{20}$, $R^{21}$, $R^{22}$, $R^{23}$ and $R^{24}$ each independently are hydrogen or $C_{1-6}$alkyl;

or $R^5$ and $R^6$ designate $R^{5c}$ and $R^{6c}$, in which case $R^4$ can only mean hydrogen;
and $R^{5c}$ and $R^{6c}$ each independently are hydrogen, halo, $C_{1-6}$alkyl, $C_{3-6}$alkenyl, $C_{3-6}$alkynyl, hydroxy, $C_{1-6}$alkyloxy, cyano, amino$C_{1-6}$alkyl, carboxyl, $C_{1-6}$alkyloxycarbonyl, nitro, amino, aminocarbonyl, $C_{1-6}$alkylcarbonylamino, or mono- or di($C_{1-6}$alkyl)amino;

$Alk^1$ is $C_{1-5}$alkanediyl;
$Alk^2$ is $C_{2-15}$alkanediyl;
Q is a radical of formula



wherein

$R^{26}$ is hydrogen, cyano, aminocarbonyl or $C_{1-6}$alkyl;

$R^{27}$ is hydrogen, $C_{1-6}$alkyl, $C_{3-6}$alkenyl, $C_{3-6}$alkynyl;

$R^{28}$ is hydrogen or $C_{1-6}$alkyl;

$R^{27}$ and $R^{28}$ taken together form a bivalent radical of formula $-(CH_2)_4-$ or $-(CH_2)_5-$;

$R^{29}$, $R^{30}$, $R^{31}$, $R^{36}$, $R^{37}$, $R^{38}$, $R^{39}$, $R^{40}$, $R^{41}$ , $R^{42}$, $R^{43}$, $R^{44}$ , $R^{45}$ and $R^{46}$ each independently are hydrogen, hydroxy, halo, $C_{1-6}$alkyl, $C_{1-6}$alkyloxy, aryloxy, $C_{1-6}$alkylthio, cyano, amino, mono- or di($C_1$-$C_6$alkyl)amino, mono- or di($C_{3-6}$cycloalkyl)amino, aminocarbonyl, $C_{1-6}$alkyloxycarbonylamino, $C_{1-6}$alkylaminocarbonylamino, piperidinyl, pyrrolidinyl;

$R^{32}$ and $R^{35}$ each independently are hydrogen, $C_{1-6}$alkyl, $C_{1-6}$alkylcarbonyl, or aryl $C_{1-6}$alkyl;

q is 1 or 2;

$R^{33}$ and $R^{34}$ are each hydrogen or taken together with the carbon atom to which they are connected they can form C(O);

r is 1 or 2;
$R^{47}$ and $R^{48}$ are each hydrogen or taken together with the carbon atom to which they are connected they can form C(O);
$R^{49}$ is hydrogen, halo or $C_{1-6}$alkyl;
$R^{55}$ is hydrogen;
aryl is phenyl optionally substituted with hydroxy, halo, $C_{1-6}$alkyl, $C_{1-6}$alkyloxy;

with the proviso that when $R^4$ is hydrogen and $R^5$ and $R^6$ designate $R^{5c}$ and $R^{6c}$ then Q must be a radical of formula (gg); (hh); (ii); (jj); (kk); (ll); a radical of formula (bb) wherein $R^{29}$ is hydroxy on a carbon atom adjacent to a nitrogen atom; and $R^{30}$ is hydrogen, halo or $C_{1-6}$alkyl; a radical of formula (dd) wherein $R^{35}$ is hydrogen and $R^{33}$ and $R^{34}$ taken together with the carbon atom to which they are attached can form C(O)."

"8. Use of a compound as claimed in claim 1 in the manufacture of a medicament for treating conditions which are related to vasodilatation."

III. In the reasons for the decision, the Examining Division held that, although there was an overlapping zone between the compounds defined according to the then pending request (cf. point II above) and the compounds defined in the generic formula of document (1) above cited (cf. point I), novelty could be acknowledged since no example disclosed in document (1) fell within the overlapping zone. Furthermore, document

(2) WO-A-9317017

which was prior art only under Article 54(3)(4) EPC was not novelty destroying. However, in absence of any unexpected advantage over the teaching of document (1) which related to therapeutical agents useful in the

treatment of migraine, the claimed subject-matter could only be seen as an obvious alternative and, therefore, the requirement of Article 56 EPC was not met.

IV. In the statement setting out the grounds of appeal the Appellant contested the decision of the Examining Division and submitted the following arguments:

The present invention provided a group of non-tryptamine compounds which had vasoconstrictor activity mediated by 5-$HT_1$-like receptors. Document (1) disclosed compounds which had high affinity for the 5-$HT_{1A}$ receptor which did not mediate vascular constriction. 5-$HT_{1A}$ and 5-$HT_1$-like receptors were distinct entities which could be clearly distinguished and mediated different functional responses (neuronal hyperpolarisation, hypotension, smooth muscle contraction, respectively) as confirmed by documents

(3) Hoyer et al., Pharmacological Reviews **46** (1994) 157-174, and

(4) Hamel and Bouchard, Br. J. Pharmacol. **102** (1991) 227-233,

filed with the statements of grounds of appeal. A person skilled in the art looking for compounds having vasoconstrictor activity would not have been motivated by reading document (1) to further explore the derivatives disclosed therein and to select the claimed compounds.

V. In a communication accompanying the summons to oral proceedings, the Board raised an objection under Article 84 EPC against Claim 8 (cf. point II above) since its wording did not seem to specify the treatment of a particular disease. Furthermore, since the

technical problem to be solved might be seen in the provision of further compounds for treating disorders of the nervous system such as migraines, it seemed that the claimed subject matter was obvious in view of the disclosure of document (1).

VI. With letter dated 21 May 2002, the Appellant abandoned its request and filed in lieu thereof, a set of two claims as new main request and a set of three claims as new first auxiliary request.

Claims 1 and 2 of the main request read as follows:

"1. A compound with the following name:

N-[(2,3,4,7,8,9-hexahydrobenzo[2,1-b:3,4-b']dipyran-2-yl)methyl]-N'-2-pyrimidinyl-1,3-propanediamine;
N-[(2,3,4,7,8,9-hexahydrocyclopenta[h]1-benzopyran-2-yl)methyl]-N'-2-pyrimidinyl-1,3-propanediamine;
(±)-N-[(2,3,4,8,9,10-hexahydrobenzo[2,1-b:3,4-b']dipyran-2-yl)methyl]-N'-2-pyrimidinyl-1,3-propanediamine;
N-[(3,4,7,8,9,10-hexahydro-2H-naphtho[1,2-b]pyran-2-yl)methyl]-N'-2-pyrimidinyl-1,3-propanediamine;
N-(4,5-dihydro-1H-imidazol-2-yl)-N'-[(2,3,4,7,8,9-hexahydrocyclopenta[h]-1-benzopyran-2-yl)methyl]-1,3-propanediamine;
N-[(2,3,4,7,8,9-hexahydrobenzo[2,1-b:3,4-b']dipyran-2-yl)methyl]-N'-(1,4,5,6-tetrahydro-2-pyrimidinyl)-1,3-propanediamine;
N-[(2,3,4,7,8,9-hexahydrocyclopenta[h]-1-benzopyran-2-yl)methyl]-N'-(1,4,5,6-tetrahydro-2-pyrimidinyl)-1,3-propanediamine;
N-[(2,3,7,8,-tetrahydro-9H-pyrano[2,3-f]-1,4-benzodioxin-9-yl)methyl]-N'-(1,4,5,6-tetrahydro-2-pyrimidinyl)-1,3-propanediamine;
N-[(3,4,7,8,9,10-hexahydro-2H-naphthol[1,2-b]pyran-2-yl)methyl]-N'-(1,4,5,6-tetrahydro-2-pyrimidinyl)-1,3-propanediamine;
methyl-3-[6-fluoro-3,4-dihydro-2-[[[3-(2-pyrimidinylamino)propyl]amino]methyl]-2H-1-benzopyran-8-yl]-2-propenoate;
N-[[6-fluoro-8-(2-furanyl)-3,4-dihydro-2H-1-benzopyran-2-yl]methyl]-N'-2-pyrimidinyl-1,3-propanediamine;
N-[[6-fluoro-3,4-dihydro-8-(2-thienyl)-2H-1-benzopyran-2-yl]methyl]-N'-(1,4,5,6-tetrahydro-2-pyrimidinyl)-1,3-propanediamine;
N-[(3,4-dihydro-2H-1-benzopyran-2-yl)methyl]-N'-(3,4,5,6-tetrahydro-2-pyridinyl-1,3-propanediamine;
$N^4$-[3-[[(3,4-dihydro-2H-1-benzopyran-2-yl]methyl]amino-]propyl]-$N^2$-methyl-2,4-pyrimidinediamine;

a pharmaceutically acceptable acid addition salt or stereochemically isomeric form thereof".

"2. Use of a compound as claimed in claim 1 in the manufacture of a medicament for treating conditions which are related to vasodilatation."

Claim 1 of the first auxiliary request was identical to that of the main request and Claims 2 and 3 read as follows:

"2. Use of a compound as claimed in Claim 1 for the manufacture of a medicament for treating cephalic pain."

"3. Use according to Claim 2 for treating migraine."

VII. In response to a second communication of the Board, pointing out that among the fourteen compounds now claimed in both the main and auxiliary request, only the compound N-[(3,4,7,8,9,10-hexahydro-2H-naphtho[1,2-b]pyran-2-yl)methyl]-N'-2-pyrimidinyl-1,3-propanediamine (compound 5-a) seemed to fall within the definition of the formula (I) of document (1), the Appellant, by letter dated 31 May 2002, filed two additional sets of claims as second and third auxiliary request.

The set of claims of the second auxiliary request differed from the set of claims of the main request by the deletion in Claim 1 of the compound N-[(3,4,7,8,9,10-hexahydro-2H-naphtho[1,2-b]pyran-2-yl)methyl]-N'-2-pyrimidinyl-1,3-propanediamine (compound 5-a), Claim 2 remaining unchanged.

The set of claims of the third auxiliary request differed from the set of claims of the first auxiliary request by the deletion in Claim 1 of the compound N-[(3,4,7,8,9,10-hexahydro-2H-naphtho[1,2-b]pyran-2-yl)methyl]-N'-2-pyrimidinyl-1,3-propanediamine (compound 5-a), Claims 2 and 3 remaining unchanged.

The Appellant contested the preliminary opinion of the Board regarding the lack of clarity of the wording "in the manufacture of a medicament for treating conditions which are related to vasodilatation." (now present in Claim 2 of the main request and second auxiliary request). He argued that vasodilatators represented a well known group of pharmaceutically active substances which have well defined indications as shown by document

(5) Pschyrembel, Klinisches Wörterbuch, 256. Auflage, Walter de Gruyter, Berlin, New York 1990, "Vasodilatanzien".

Regarding inventive step the Appellant referred to the arguments already submitted in respect of the set of claims rejected by the Examining Division (cf. point IV above).

VIII. Oral proceedings took place on 4 June 2002. The Appellant informed the Board that it would not be represented at these oral proceedings and requested that a decision be taken on the basis of its written submissions. These Oral proceedings thus took place in the absence of the Appellant (Rule 71(2) EPC).

IX. The Appellant requested that the decision under appeal be set aside and the case be remitted to the first instance with the order to grant a patent on the basis of the main request or the first auxiliary request filed with letter received on 21 May 2002 or on the basis of the second or third auxiliary request filed with letter received on 31 May 2002.

X. At the end of the oral proceedings the decision of the Board was announced orally.

## Reasons for the Decision

1. The appeal is admissible.

*Main request and second auxiliary request*

2. *Article 84 EPC*

2.1 Claim 2 of the main and of the second auxiliary request aims at claiming a therapeutic application in the format as admitted by the Enlarged Board of Appeal (cf. G 1/83, OJ EPO 1985, 60), i.e. "Use of a compound ... in the manufacture of a medicament for treating ...".

2.2 The clarity requirement of Article 84 EPC relates to all types of claims and demands that these be **clear per se** without the need to refer to the description (cf. T 1129/97, OJ EPO 2001, 273, point 2.1.2 of the reasons).

2.3 The Board observes that the expression "treating conditions which are related to vasodilatation" is silent as to whether the vasodilatation is increased or decreased. Already that gives rise to an ambiguity which is incompatible with the requirement of clarity of Article 84 EPC.

2.4 The Appellant argued that the term vasodilatation was clear as shown by document (5). This medical dictionary, on page 1760, refers to vasodilatators, namely compounds which increase the caliber of the vessels with subsequent decrease of the blood pressure. While not contesting that this document (5) is part of common technical knowledge, the mentioned definition above is in complete contradiction with the application as filed where the claimed compounds are disclosed as vasoconstrictors. This contradiction between the

description and Claim 2 also renders the latter unclear since from the expression "treating conditions which are related to vasodilatation" it is not clear whether Claim 2 relates to vasoconstriction or vasodilatation. This also shows that the said expression is ambiguous contrary to the requirement of Article 84 EPC.

2.5 Furthermore, by the expression "treating conditions which are related to vasodilatation", Claim 2 of each request is not directed to the use of a substance or composition for the manufacture of a medicament for a **specified** new and inventive therapeutic application as required by the Enlarged Board of Appeal (cf. G 1/83, Order 2. loc.cit). Indeed, although the influence of a compound on the caliber of a blood vessel is indisputably a pharmacological effect, it cannot in itself be considered a therapeutic application. There are an undefined number of diseases which might be related to this pharmacological effect. In other terms, it still needs to find a practical application in the form of a defined treatment of a specified pathological condition, this being an essential technical feature, in order to render Claim 2 clear. For this reason, Claim 2 of both the main and second auxiliary request do not comply with the requirement of clarity pursuant to Article 84 EPC and both these requests must therefore be rejected.

*First auxiliary request*

3. *Article 123(2) EPC - Amendments*

The fourteen compounds listed in Claim 1 are individually cited in the application as filed (cf. page 10, lines 4 to 26). The subject matter of Claims 2 and 3 finds support in the application as filed on page 15, lines 20 to 22. There is thus no objection

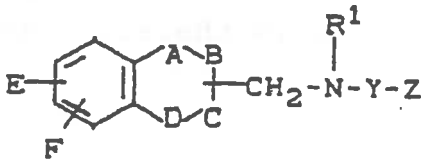
under Article 123(2) EPC.

4. *Article 54 EPC - Novelty*

4.1 The Board is satisfied that pursuant to Article 89 EPC, 19 August 1993 counts as the date of filing of the now claimed invention. Indeed, the fourteen compounds now claimed are individualized in the three priority applications filed on said date. This finding also applies to Claims 2 and 3. Therefore, document (2) is only prior art under Article 54(3) and (4) EPC for all the designated Contracting States.

4.2 The disclosure of document (2) does not point to any of the claimed compounds. The subject matter of claim 1 is therefore novel over this document.

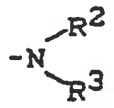
4.3 Document (1) relates to compounds of the formula



(I)

wherein, in particular,

- A may be $-CH_2-$,
- B may be $-CH_2-$,
- C may be $-CH<$,
- D may be -O-,
- $R^1$ may be -H,
- Y may be a straight alkylene chain having up to six carbon atoms,
- Z is a group of formula



wherein $R^2$ and $R^3$ may be H, an heteroaryl group such as pyrimidyl,

- E and F together may form a saturated carbocycle with six carbon atoms (it is clearly apparent from the examples that two adjacent carbon atoms of the aromatic ring form part of the carbocycle with six carbon atoms),

useful for treating diseases of the central nervous system or migraines (cf. page 1 to page 6, line 1; page 30, lines 15 to 25).

The disclosure of document (1) does not point unambiguously to any of the claimed compounds. The subject matter of claim 1 is therefore novel over this document.

5. *Article 56 - Inventive step*

5.1 The patent in suit in the form of the first auxiliary request relates to fourteen compounds useful as medicaments for treating cephalic pain such as migraine. The Appellant pointed out that those compounds had vasoconstrictor activity mediated by 5-$HT_1$-like receptors. However, in line with the Examining Division, the Board cannot accept that such an activity be considered for the assessment of inventive step. Although the discovery of an interaction between a receptor and a chemical entity leading to a biological effect (here: vasoconstrictivity) may be an important piece of scientific knowledge, it cannot be considered as a technical contribution to the art since it still

needs to be turned into a practical application in the form of a specified actual treatment of a pathological condition. In this context, documents (3) and (4) cannot rebut this finding. Document (3) is an attempt to classify the numerous 5-HT receptors by the functional response they mediate. One can derive from that document that the 5-$HT_1$-like receptors mediate a number of functional responses which include smooth muscle contraction, a decrease on noradrenaline release from sympathetic nerves, and certain central effects (left-hand column, page 172, paragraph 1). The fact that document (4) discloses that Sumatriptan$^R$, a medicament beneficial in the treatment of acute migraine headache, is an agonist of the 5-$HT_1$-like receptors does not mean that all the agonists of the 5-$HT_1$-like receptors become for that reason medicaments useful against a particular disease. Consequently, whatever the merit of the scientifical teaching provided by the description of the application regarding the interaction between the claimed compounds and the 5-$HT_1$-like receptors is, it is only the therapeutic effect of the medicament, i.e. treating cephalic pain, which is relevant for the assessment of inventive step within the meaning of Article 56 EPC.

5.2 The disclosure of document (1) relates to a class of compounds useful as medicaments, in particular for treating migraine (cf. point 4.3 above). In the absence of any evidence or even indication that the claimed compounds have a different or improved effect vis-à-vis the compounds of document (1), the technical problem to be solved by the present invention can only be seen in the provision of further compounds useful in the treatment of cephalic pain such as migraine.

5.3 The relevant question is, therefore, whether the person skilled in the art aware of document (1) and guided by the technical problem defined above would have been

directed to the selected compounds for designing medicaments for treating cephalic pain such as migraine. In that context, it is not disputed that the claimed compound N-[(3,4,7,8,9,10-hexahydro-2H-naphtho[1,2-b]pyran-2-yl)methyl]-N'-2-pyrimidinyl-1,3-propanediamine (compound 5-a, page 20, lines 22 and 23 and Table 2-a, page 21) falls within the general disclosure of document (1) as it is apparent from the formula (I) set out above (cf. point 4.3). Document (1) teaches that the compounds of formula (I) are useful for treating diseases of the central nervous system or migraines. The presumption prevails, therefore, that the compound N-[(3,4,7,8,9,10-hexahydro-2H-naphtho[1,2-b]pyran-2-yl)methyl]-N'-2-pyrimidinyl-1,3-propanediamine *a priori* will have the valuable therapeutical properties taught in document (1). In the absence of evidence refuting this assumption, the Board concludes that, faced with the technical problem defined above, it would have been obvious for the person skilled in the art to select the compound N-[(3,4,7,8,9,10-hexahydro-2H-naphtho[1,2-b]pyran-2-yl)methyl]-N'-2-pyrimidinyl-1,3-propanediamine as medicament for treating migraine.

5.4 For this reason the subject matter of Claim 1 of the first auxiliary request does not involve an inventive step.

*Third auxiliary request*

6. *Article 123(2) EPC - Amendments*

Claim 1 of this request differs from Claim 1 of the first auxiliary request in that the compound N-[(3,4,7,8,9,10-hexahydro-2H-naphtho[1,2-b]pyran-2-yl)methyl]-N'-2-pyrimidinyl-1,3-propanediamine was deleted. The thirteen remaining compounds listed in Claim 1 are individually cited in the application as

filed (cf. page 10, lines 4 to 26). The subject matter of Claims 2 and 3 finds support in the application as filed on page 15, lines 20 to 22. There are thus no objections under Article 123(2) EPC.

7. *Article 54 EPC - Novelty*

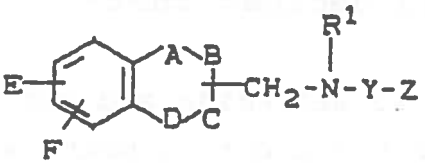
For the reasons set out in point 4 above, the subject-matter of Claim 1 is novel over documents (1) and (2).

8. *Article 56 EPC - Inventive step*

8.1 For the reasons stated in the reasoning regarding the first auxiliary request (cf. point 5 above), the technical problem to be solved is only to be seen in the provision of further compounds useful in the treatment of cephalic pain such as migraine.

8.2 The relevant question is, therefore, whether the person skilled in the art aware of document (1) and trying to solve the above-stated technical problem would have been directed to the claimed compounds for preparing medicaments for the treatment of cephalic pain such as migraine.

8.3 None of the claimed compounds falls within the general disclosure of document (1). Although document (1) teaches that compounds such as generically defined by formula




(I)

(cf. point 4.3 above) will be useful in the treatment of migraine, the person skilled in the art would have

found no relevant information in the cited prior art to modify the structure of the compounds defined in formula (I) of document (1) so that it would have been prompted to conceive, as compounds having the same activity, the now claimed compounds.

8.4 It follows from the above that the subject-matter of Claim 1 is not rendered obvious by the cited prior art. The same applies to Claims 2 and 3 relating to the use of compounds of Claim 1 for the manufacture of a medicament for treating cephalic pain and migraine, respectively.

9. *Remittal to the first instance - Article 111(1) EPC*

Although the Board has come to the conclusion that the invention according the third auxiliary request complies with the requirements of Article 52(1) EPC, the description has still to be put into conformity with the subject-matter claimed. Therefore, having regard to the fact that the function of the Boards of Appeal is primarily to give a judicial decision upon the correctness of the earlier decision taken by the first instance, the Board exercises its discretion under Article 111(1) EPC to remit the case to the first instance.

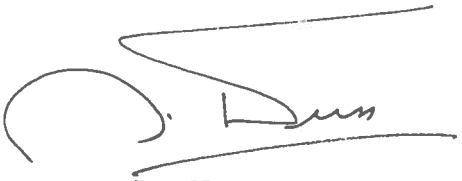
## Order

## For these reasons it is decided that:

The decision under appeal is set aside and the case is remitted to the first instance with the order to grant a patent on the basis of the set of three claims filed as third auxiliary request with the letter of 31 May 2002 and a description yet to be adapted.

The Registrar: The Chairman:

N. Maslin



A. Nuss