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Datasheet for the decision of 6 November 2007

Case Number: T 0376/05 - 3.3.01

Application Number: 00967134.8

Publication Number: 1218379

IPC: C07D 487/00

Language of the proceedings: EN

Title of invention:
Certain alkylene diamine-substituted pyrazolo[1,5,-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines

Applicant:
NEUROGEN CORPORATION, et al

Opponent:
-

Headword:
Pyrazolopyrimidines/NEUROGEN

Relevant legal provisions:
EPC Art. 123(2), 113(2)
EPC R. 71(2)

Keyword:
"Non appearance at oral proceedings"
"No comments on the objections raised in the Board's communication"
"Amendments (not allowable)"

Decisions cited:
-

Catchword:
-

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Beschwerdekammern | Boards of Appeal | Chambres de recours

Case Number: T 0376/05 - 3.3.01

D E C I S I O N
of the Technical Board of Appeal 3.3.01
of 6 November 2007

Appellants: NEUROGEN CORPORATION
35 N.E. Industrial Road
Branford, CT 06405 (US)

PFIZER INC.
235 East 42nd Street
New York NY 10017 (US)

Representative: Atkinson, Jonathan David Mark
Urquhart-Dykes & Lord LLP
Tower North Central
Merrion Way
Leeds LS2 8PA (GB)

Decision under appeal: **Decision of the Examining Division of the European Patent Office posted 2 November 2004 refusing European application No. 00967134.8 pursuant to Article 97(1) EPC.**

Composition of the Board:

Chairman: A. J. Nuss
Members: C. M. Radke
D. S. Rogers

Summary of Facts and Submissions

I. The appeal lies from the decision of the examining division refusing the present application.

The examining division considered document (D2) to represent the closest prior art, particularly its examples 26 and 48. The problem to be solved was the provision of selective modulators of NPY_1 (i.e. neuropeptide Y_1 antagonists). The examining division decided it was obvious from documents (D4) and (D5) to replace a bridging carbon in the bicyclic ring system by a bridging nitrogen atom, and hence to yield the compounds defined in the claims.

II. The following documents were *inter alia* cited during the examination and/or appeal proceedings:

(D2) WO-A-99 40 091

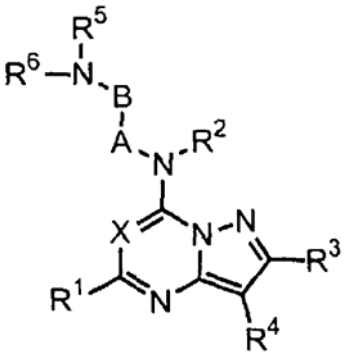
(D4) A. Gringauz, "Introduction to Medicinal Chemistry", 1997, Wiley-VCH, New York, 141-189

(D5) A. Korolkovas, "Essentials of Medicinal Chemistry", 1988, John Wiley & Sons, New York, 579-869

III. The claims on file are those enclosed with the written statement setting out the ground of appeal dated 9 February 2005, namely claims 1 to 42 of the Main Request and claims 1 to 35 of the Auxiliary Request.

The wording of claim 1 of the Main Request that is relevant to this decision reads as follows:

"1. A compound of the formula



or a pharmaceutically acceptable salt, hydrate, or acylated prodrug thereof, wherein:

X is N or CR^{14};

R^1 is selected from H, C_1-C_6 alkyl, C_3-C_{10} cycloalkyl, (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, cyano, halo, C_1-C_6 haloalkyl, OR^7, C_1-C_6 alkyl-OR^7; C_1-C_6 cyanoalkyl, NR^8R^9, C_1-C_6 alkyl-NR^8R^9;

R^2 is H, C_1-C_6 alkyl which optionally forms a C_3-C_6 aminocarbocycle or a C_2-C_5 aminoheterocycle with A or B, each of which is optionally substituted with R^7, C_3-C_{10} cycloalkyl, or (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl; or

R^2 and R^6 jointly with the 2 nitrogen atoms to which they are bound, form a C_2-C_5 aminoheterocycle optionally substituted with R^7, or

R^2 and A jointly form a C_3-C_6 aminocarbocycle or a C_2-C_5 amino heterocycle optionally substituted at with R^7;

A represents an alkyl chain of 1,2, or 3 carbon atoms which is optionally mono- or di-substituted at each

carbon with substituents independently selected from C_1-C_6 alkyl, C_3-C_{10} cycloalkyl, (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl, C_1-C_6 alkenyl, C_2-C_6 alkynyl, cyano, halo, C_1-C_6 haloalkyl, OR^7, C_1-C_6 alkyl-OR^7; C_1-C_6 cyanoalkyl, NR^8R^9, and C_1-C_6 alkyl-NR^8R^9, or

A and B jointly form a C_3-C_6 carbocycle, optionally substituted at each atom with R^7;

B represents an alkyl chain of 1,2 or 3 carbons atoms, which is optionally mono- or di-substituted at each carbon with substituents independently selected from C_1-C_6 alkyl, C_3-C_{10} cycloalkyl, (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, cyano, halo, C_1-C_6 haloalkyl, OR^7, C_1-C_6 alkyl-OR^7; C_1-C_6 cyanoalkyl, NR^8R^9, and C_1-C_6 alkyl-NR^8R^9, or

B and R^2 jointly form a C_3-C_6 aminocarbocycle, which is optionally substituted at each atom with R^7, or

B and R^6 jointly form a C_3-C_6 aminocarbocycle, which is optionally substituted at each atom with R^7;

R^3 is selected from H, C_1-C_6 alkyl, C_3-C_{10} cycloalkyl, (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, cyano, halo, C_1-C_6 haloalkyl, OR^7, C_1-C_6 alkyl-OR^7, C_1-C_6 cyanoalkyl, NR^8R^9, C_1-C_6 alkyl-NR^8R^9;

R^4 is selected from aryl or heteroaryl, each of which is substituted with 1 to 5 substituents independently selected from C_1-C_6 alkyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkenyl, (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl, C_1-C_6 alkenyl, C_1-C_6 alkynyl, halogen, C_1-C_6 haloalkyl, OR^7, C_1-C_6 alkyl-OR^7, NR^8R^9, C_1-C_6 alkyl-NR^8R^9, $CONR^8R^9$, C_1-C_6

alkyl-$CONR^8R^9$, $COOR^7$, C_1-C_6 alkyl-$COOR^7$, CN, C_1-C_6 alkyl-CN, $SO_2NR^8R^9$, SO_2R^7, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted;

R^5 is selected from: C_1-C_6 alkyl, (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, each of which is substituted with 1 to 5 groups independently selected at each occurrence from

...

R^{14} is H, C_l-C_6 alkyl, C_3-C_{10} cycloalkyl, (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl, C_2-C_4 alkenyl, C_2-C_4 alkynyl, halo, or CN."

The claims of the Auxiliary Request differ from those of the Main Request in that the following parts were deleted

- claims 3 to 6 and 11 to 13 in total;

- in claim 1 some of the meanings given for the radicals R^1, R^6 and R^{14};

- in claim 26, the first, eighth and 26th compounds mentioned therein.

IV. As an annex to the summons to oral proceeding dated 31 July 2007, the Board issued a communication summarising its preliminary and non-binding opinion on

the case and raised, inter alia, under point 6 the following objections under Article 123 (2) EPC:

"Claim 12 of the Main Request is based on claim 24 as originally filed. The information contained in claim 12 of the Main Request in the four last lines on page 14 of the claims is taken from claim 1 as originally filed. Although this information was present in claim 1 as originally filed, the special combination of meanings of the radicals R^4 and R^5 have not been disclosed in the application as filed in combination with the limitations of present claim 12. Therefore, this amendment contravenes the requirements of Article 123 (2) EPC.

6.2 The combination of features of claim 23 of the Main Request is only disclosed in the application as originally filed with the definition of X limited to "N or CH" (see original claim 34). The amendment in claim 23 which now allows X also to mean CR^{14} where R^{14} is not hydrogen thus contravenes the requirements of Article 123 (2) EPC.

6.3 Present claims 27 to 29 of the Main Request and claims 20-22 of the Auxiliary Request refer to compounds, "wherein in an assay of NPY binding the compound exhibits an K_i " of up to certain concentrations. The application as originally filed only discloses such concentrations in connection with the "human NPY_1 binding assay" (see page 15, lines 6-9). The claims mentioned above also cover concentration determined by non human assays and the binding to receptors NPY receptors other than NPY_1 and thus contravene the requirements of Article 123 (2) EPC.

6.4 Claim 30 of the Main Request and of claim 23 of the Auxiliary Request are directed to the use of the compounds "for production of a medicament for treating ... cardiovascular diseases." This added claim has no basis in the application as originally filed which only discloses the treatment of "certain cardiovascular diseases, for example hypertension." (see the first paragraph on page 4; emphasis added)."

V. The Appellant did not comment on the objections raised in this communication. In his telefax of 5 November 2007 he notified the Board that he did not intend to appear at the oral proceedings.

VI. The Appellant requested that the decision under appeal be set aside and a patent be granted based on the claims of the Main Request or of the Auxiliary Request.

VII. The oral proceedings took place on 6 November 2007 in the absence of the Appellant. At the end of the proceedings, the decision of the Board was announced.

Reasons for the Decision

1. The appeal is admissible.

2. The Appellant has had, in accordance with Article 113 (1) EPC, an opportunity to present his comments on the detailed objections raised in the Board's communication of 31 July 2007, but has not availed himself of this opportunity.

3. On considering the case at the oral proceedings, duly held persuant to Rule 71 (2) EPC despite the absence of the Appellant, the Board sees no reason to depart from the preliminary opinion expressed in the communication and comes to the conclusion that amended claims 12, 23 and 27 to 30 of the Main Request and amended claims 20 to 23 of the Auxiliary Request contain subject-matter which extends beyond the content of the application as filed.

4. Hence the amended claims of both the Main Request and the Auxiliary Request do not comply with the requirements of Articles 123 (2) EPC, so that the appeal must be dismissed.

Order

For these reasons it is decided that:

The appeal is dismissed.

The Registrar: The Chairman:

N. Maslin A. J. Nuss