

(19) World Intellectual Property Organization
International Bureau



G00002

(43) International Publication Date
11 April 2002 (11.04.2002)

PCT

(10) International Publication Number
WO 02/28847 A1

(51) International Patent Classification⁷: **C07D 285/135**,
271/113, 417/04, 417/10, 417/12, 417/14, 453/02, A61K
31/433, 31/55, 31/439, 31/4245, 31/4439, 31/4709,
31/497, A61P 29/00, 37/00

(21) International Application Number: PCT/EP01/11330

(22) International Filing Date: 1 October 2001 (01.10.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
00402710.8 2 October 2000 (02.10.2000) EP

(71) Applicant (for all designated States except US):
WARNER-LAMBERT COMPANY [US/US]; 201
Tabor Road, Morris Plains, NJ 07950 (US).

(72) Inventors; and

¹⁵ (75) Inventors/Applicants (for US only): **VERGNE, Fabrice**
[FR/FR]; 1, allée du champ de la mare, F-91190 Gif sur
Yvette (FR). **DUCROT, Pierre** [FR/FR]; 6 résidence
d'Amblainvilliers, F-91370 Verrieres le Buisson (FR).
ANDRIANJARA, Charles [FR/FR]; 3 rue Auguste
Daix, F-94260 Fresnes (FR). **BERARDELLI, Patrick**
[FR/FR]; 146 rue Boucicaut, F-92260 Fontenay aux
Roses (FR). **LORTHOIS, Edwige** [FR/FR]; 6 rue de la
Briquetterie, F-75014 Paris (FR).

4) Agent: **HIRSCH, Denise**; Warner-Lambert Company,
c/o Parke-Davis, Pfizer Global Research & Development,
Fresnes Laboratories, 3-9 rue de la Loge, F-94265 Fresnes
(FR).

(81) Designated States (national): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
ZA, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian
patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European
patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE,
IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG).

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted
a patent (Rule 4.17(ii)) for the following designations AE,
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL,
SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ,
MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR),
OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
ML, MR, NE, SN, TD, TG)
- as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii)) for the following design-
ation US
- as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii)) for the following design-
ation US
- as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii)) for the following design-
ation US
- as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii)) for the following design-
ation US
- of inventorship (Rule 4.17(iv)) for US only

Published:

- with international search report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: NEW THIADIAZOLES AND OXADIAZOLES AND THEIR USE AS PHOSPHODIESTERASE-7 INHIBITORS

(57) Abstract: The invention provides 1,3,4-thiadiazoles and 1,3,4-oxadiazoles having the following formula (I): in which, Y is S or O, R₁ is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, cycloalkenyl, aryl, heteroaryl or a polycyclic group, optionally substituted, R₂ is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, cycloalkenyl or aryl optionally substituted, R₃ is X₂-R'₃, in which X₂ is a binding group and R'₃ is cycloalkyl, heterocycloalkyl, cycloalkenyl, aryl, heteroaryl, or a polycyclic group; optionally substituted, or their pharmaceutically acceptable derivatives, the process for their preparation and their use for the manufacture of a medicament for the treatment of disorders for which a treatment by a PDE7 inhibitor is relevant.

WO 02/28847 A1

162162