

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
24 May 2007 (24.05.2007)

PCT

(10) International Publication Number
WO 2007/057681 A1

(51) International Patent Classification:
C07D 265/30 (2006.01) C07F 3/02 (2006.01)
C07D 498/04 (2006.01) C07D 295/08 (2006.01)

(21) International Application Number:
PCT/GB2006/004293

(22) International Filing Date:
17 November 2006 (17.11.2006)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0523435.6 17 November 2005 (17.11.2005) GB

(71) Applicant (for all designated States except US): SIN-
CLAIR PHARMACEUTICALS LIMITED [GB/GB];
Unit 4, Godalming Business Centre, Woolsack Way,
Godalming, Surrey GU7 1XW (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): ARTUS SUR-
ROCA, Juan Jose [ES/ES]; Marcelina Jacas 26, E-08800
Vilanova i la Geltrú (ES). FERNANDEZ BLEDA, David
[ES/ES]; Esperanto 2, 2-2, E-08860 Castelldefels (ES).
IACOANGELI, Tommaso [IT/IT]; Giuseppe Palombini,
19, I-00165 Roma (IT). LLUIS TOUS, Jordi [ES/ES];
Lleida 9, 3, E-43001 Tarragona (ES).

(74) Agent: GILL JENNINGS & EVERY LLP; Broadgate
House, 7 Eldon Street, London EC2M 7LH (GB).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS,
LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY,
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS,
RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT,
RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

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: PROCESS FOR THE PREPARATION OF DELMOPINOL AND DERIVATIVES THEREOF

(57) Abstract: A process for the preparation of delmopinol (3-(4-propylheptyl)-4-morpholinethanol) or a derivative or a pharma-
ceutically acceptable salt, or a solvate thereof, including an hydrate, comprises reacting oxazolidin [2, 3-c] morpholine and a grignard
reagent, and optionally converting the delmopinol (or derivative) free base into a pharmaceutically acceptable salt. The oxazolidin
[2, 3-c] morpholine and the grignard reagent are useful as intermediates in the production process.

WO 2007/057681 A1