

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
23 September 2004 (23.09.2004)

PCT

(10) International Publication Number
WO 2004/080934 A3

(51) International Patent Classification⁷: **C07C 213/02**,
217/14

(21) International Application Number:
PCT/US2004/007861

(22) International Filing Date: 9 March 2004 (09.03.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/453,583 11 March 2003 (11.03.2003) US

(71) Applicant (for all designated States except US): **WYETH**
[US/US]; Five Giralda Farms, Madison, NJ 07940 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **KIM, Keun-Sik**
[KR/KR]; Hoban Regency Village 205-1503, 1628
Yunhyang-dong, Suncheon-si, Jeollanam-do 550-726
(KR). **KIM, Kwang-il** [KR/KR]; Jangmi Apt. 210-401,
Hak-dong, Yeosu-si, Jeollanam-do 555-010 (KR). **CHAI,**
Ki-Byung [KR/KR]; Geumho Town Apt. 1-405, 353
Soho-dong, Yeosu-si, Jeollanam-do 555-0600 (KR).

(74) Agent: **BARRETT, Rebecca, R.**; Wyeth, Patent Law De-
partment, Five Giralda Farms, Madison, NJ 07940 (US).

(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, 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, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), Euro-
pean (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR,
GB, GR, HU, IE, IT, LU, 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).

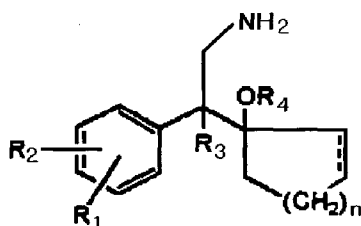
Published:

- 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

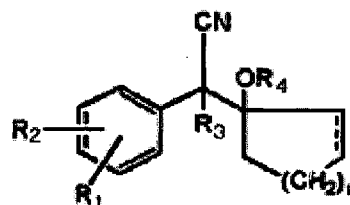
(88) Date of publication of the international search report:
28 October 2004

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 PREPARATION OF PHENETHYLAMINE DERIVATIVES



(I)



(III)

(57) **Abstract:** A process for the preparation of a compound of formula (I), wherein R₁ and R₂ are ortho or para substituents, independently selected from the group consisting of hydrogen, hydroxyl, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₇-C₉ aralkoxy, C₂-C₇ alkanoyloxy, C₁-C₆ alkylmercapto, halo and trifluoromethyl; R₃ is hydrogen or C₁-C₆ alkyl; R₄ is hydrogen, C₁-C₆ alkyl, formyl or C₂-C₇ alkanoyl; n is one of the integers 0, 1, 2, 3 or 4; and the dotted line represents optional olefinic unsaturation; comprising hydrogenating a compound of formula (III), in the presence of a nickel or cobalt catalyst at a temperature of about 5°C to 25°C.