



(51) International Patent Classification:
C07K 7/23 (2006.01)

(21) International Application Number:
PCT/EP2010/002550

(22) International Filing Date:
26 April 2010 (26.04.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0900558-8 24 April 2009 (24.04.2009) SE

(71) Applicant (for all designated States except US):
POLYPEPTIDE LABORATORIES A/S [DK/DK];
Herredssvejen 2, DK-3400 Hillerød (DK).

(72) Inventors; and

(75) Inventors/Applicants (for US only): ZHANG, Haixiang
[FR/FR]; 7 Rue de Boulogne, F-67100 Strasbourg (FR).
FOMSGAARD, Jens [DK/DK]; Vinkelvej 27, DK-3520
Farum (DK). STAERKAER, Gunnar [DK/DK]; J.M.
Thielesvej 3A, 5 Th., DK-1961 Fredriksberg C (DK).

(74) Agent: SCHNEIDERS & BEHRENDT; Huestrasse 23,
44787 Bochum (DE).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,

AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO,
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, LY, MA, MD,
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI,
NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD,
SE, SG, SK, SL, SM, ST, SV, SY, TH, 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, LR, 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, HR, HU, IE, IS, IT, LT, LU, LV,
MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM,
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
ML, MR, NE, SN, TD, TG).

Published:

- with international search report (Art. 21(3))
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments (Rule 48.2(h))
- with sequence listing part of description (Rule 5.2(a))

(54) Title: METHOD FOR THE MANUFACTURE OF DEGARELIX

(57) Abstract: In a step-wise synthesis of degarelix comprising 0.3 % by weight or less of 4-([2-(5-hydantoyl)]acetylamino)-phenylalanine analog on (solid support)-NH₂ a step comprises providing a solution of an amino acid or peptide of which the α-amino group is protected by Fmoc; contacting the support with the solution in the presence of reagent for forming a peptide bond between a carboxyl group of the amino acid or peptide and (solid support)-NH₂; removing Fmoc by contacting the support with an organic base, in particular piperidine, in an organic solvent. Also disclosed is degarelix of high purity prepared by the method of the invention and the use of Fmoc in the synthesis of degarelix.

