

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
13 October 2005 (13.10.2005)

PCT

(10) International Publication Number
WO 2005/095377 A1

- (51) International Patent Classification⁷: **C07D 317/44**
- (21) International Application Number:
PCT/GB2005/001200
- (22) International Filing Date: 29 March 2005 (29.03.2005)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
0400873-6 31 March 2004 (31.03.2004) SE
- (71) Applicant (for all designated States except MG, US): **ASTRAZENECA AB** [SE/SE]; S-151 85 Sodertälje (SE).
- (71) Applicant (for MG only): **ASTRAZENECA UK LIMITED** [GB/GB]; 15 Stanhope Gate, London, Greater London W1K 1LN (GB).
- (72) Inventor; and
- (75) Inventor/Applicant (for US only): **ABEDI, Vahak** [SE/SE]; AstraZeneca R & D Sodertälje, S-151 85 Sodertälje (SE).
- (74) Agent: **ASTRAZENECA**; Global Intellectual Property, S-151 85 Sodertälje (SE).
- (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, SM, 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, 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, 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
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CHEMICAL PROCESS

(57) **Abstract:** The present invention provides a process for the preparation of a compound of formula (I): wherein R¹ is C₁₋₆ alkyl; R² and R³ are, independently, C₁₋₆ alkyl; and R⁴ is C₁₋₆ alkyl or benzyl (wherein the phenyl ring of benzyl is optionally substituted by nitro, S (O)₂(C₁₋₄ alkyl), cyano, C₁₋₄ alkyl, C₁₋₄ alkoxy, C(O)(C₁₋₄ alkyl), N(C₁₋₆ alkyl)₂, CF₃ or OCF₃); the process comprising reacting a compound of formula (II): wherein R², R³ and R⁴ are as defined above, with a suitable base; and reacting the product so formed with R¹OC(O)CH₂X, wherein R¹ is as defined above and X is chloro, bromo or iodo; wherein the process is carried out in a suitable solvent at a temperature in the range -40°C to -5°C; and wherein at least 0.2 moles of the compound of formula (II) are used in the process.

