PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 7 : C12P 41/00, 17/10

A1

(11) International Publication Number: **WO 00/20623**

(43) International Publication Date: 13 April 2000 (13.04.00)

(21) International Application Number: PCT/US99/21436

(22) International Filing Date: 1 October 1999 (01.10.99)

(30) Priority Data:
09/165,951 2 October 1998 (02.10.98) US

(71) Applicant: SCHERING CORPORATION [US/US]; 2000 Galloping Hill Road, Kenilworth, NJ 07033–0530 (US).

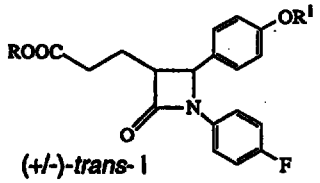
(72) Inventors: HOMANN, Michael, J.; 47 Quarry Ridge, Clinton, NJ 08809 (US). MORGAN, William, Brian; 31 Rolling Hill Drive, Chatham, NJ 07928 (US).

(74) Agents: MAGATTI, Anita, W. et al.; Schering–Plough Corporation, Patent Dept., K–6–1 1990, 2000 Galloping Hill Road, Kenilworth, NJ 07033–0530 (US).

(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, ARIPO patent (GH, GM, KE, LS, MW, 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), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

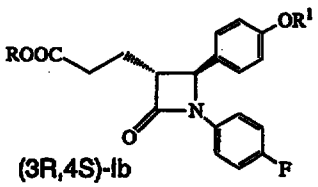
Published
With international search report.
Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: RESOLUTION OF TRANS–2 –(ALKOXYCARBONYLETHYL) –LACTAMS USEFUL IN THE SYNTHESIS OF 1–(4–FLUOROPHENYL) –3(R) –[3(S) –HYDROXY–3 –(4–FLUOROPHENYL) –PROPYL)] –4(S) –(4–HYDROXYPHENYL) –2–AZETIDINONE



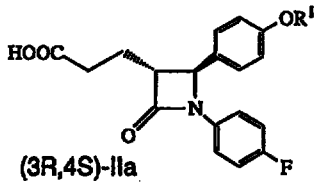
(+/-)-*trans*-I

(I)



(3R,4S)-Ib

(Ib)



(3R,4S)-IIa

(IIa)

(57) Abstract

A process for the microbiological or enzymatic hydrolytic resolution of racemic *trans*–2–(alkoxycarbonylethyl)–lactams of formula (I) wherein R is C_1–C_7 alkyl, 2,2,2–trifluoroethyl or methoxyethoxyethyl and RL is hydrogen or a protecting group is disclosed, whereby an optically enriched compound of formula (Ib) or (IIa) is obtained.