

Title (en)  
METHOD FOR RACEMIC BIOCHEMICAL RESOLUTION OF CIS- AND TRANS- PYRROLOPIPERIDINE

Title (de)  
VERFAHREN ZUR RACEMATSPALTUNG VON CIS- UND TRANS- PYRROLOPIPERIDIN

Title (fr)  
PROCEDE POUR LE DEDOUBLEMENT RACEMIQUE DE CIS- ET TRANS- PYRROLOPIPERIDINE

Publication  
**EP 1003902 A1 20000531 (DE)**

Application  
**EP 98943821 A 19980801**

Priority  
• DE 19735198 A 19970814  
• EP 9804820 W 19980801

Abstract (en)  
[origin: US6153414A] PCT No. PCT/EP98/04820 Sec. 371 Date Feb. 3, 2000 Sec. 102(e) Date Feb. 3, 2000 PCT Filed Aug. 1, 1998 PCT Pub. No. WO99/09200 PCT Pub. Date Feb. 25, 1999 Cis- and trans-pyrrolipiperidines are advantageously separated into their optical isomers when monoacylating by enzymatic process a mixture containing (R,R)- and (S,S)-pyrrolipiperidine or (S,R)- and (R,S)-pyrrolipiperidine, thereby obtaining a mixture (I) containing (R,R)- and (S,S)-6-acyl-pyrrolipiperidine or (S,R)- and (R,S)-6-acyl-pyrrolipiperidine. Said mixture (I) is then again acylated by enzymatic process, thereby obtaining a mixture (II) containing (S,S)-1,6-diacyl- and (R,R)-6-acyl-pyrrolipiperidine or (S,R)-1,6-diacyl- and (R,S)-6-acyl-pyrrolipiperidine; the enzyme and optionally the solvent and the excess acylating agent are separated from the mixture (II), and the rest is treated with aqueous acid, and the (S,S)-1,6-diacyl-pyrrolipiperidine or the (S,R)-1,6-diacyl-pyrrolipiperidine is separated by extraction and the extraction residue is alkalized, and the (R,R)-6-acyl-pyrrolipiperidine or the (R,S)-6-acyl-pyrrolipiperidine is separated by extraction. From these acylated isomer-free derivatives, the free base can optionally be prepared according to the usual methods for separating acylated groups.

IPC 1-7  
**C12P 41/00**; **C12P 17/18**; **C07D 471/04**

IPC 8 full level  
**C07D 471/04** (2006.01); **C12P 17/18** (2006.01); **C12P 41/00** (2006.01)

CPC (source: EP KR US)  
**C07D 471/04** (2013.01 - EP US); **C12P 17/182** (2013.01 - EP US); **C12P 41/00** (2013.01 - KR); **C12P 41/007** (2013.01 - EP US)

Cited by  
WO2010100215A1

Designated contracting state (EPC)  
AT BE CH DE ES FI FR GB IE IT LI NL PT SE

DOCDB simple family (publication)  
**US 6153414 A 20001128**; AU 9158098 A 19990308; CA 2300119 A1 19990225; CN 1267334 A 20000920; DE 19735198 A1 19990218; EP 1003902 A1 20000531; HU P0004660 A2 20010428; HU P0004660 A3 20040428; IL 134169 A0 20010430; JP 2001514901 A 20010918; KR 20010022843 A 20010326; WO 9909200 A1 19990225

DOCDB simple family (application)  
**US 48508300 A 20000203**; AU 9158098 A 19980801; CA 2300119 A 19980801; CN 98808155 A 19980801; DE 19735198 A 19970814; EP 9804820 W 19980801; EP 98943821 A 19980801; HU P0004660 A 19980801; IL 13416998 A 19980801; JP 2000509862 A 19980801; KR 20007001445 A 20000212