

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
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Application
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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.

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