

Title (en)

PROCESS FOR PREPARING ARYLPIPERIDINE CARBINOL INTERMEDIATES AND DERIVATIVES

Title (de)

VERFAHREN ZUR HERSTELLUNG VON ARYLPIPERIDINCARBINOL-ZWISCHENPRODUKTEN UND DERIVATEN

Title (fr)

PROCEDE DE PREPARATION D'INTERMEDIAIRES ET DE DERIVES DE ARYLPIPERIDINE CARBINOL

Publication

**EP 1140832 A4 20041103 (EN)**

Application

**EP 99966475 A 19991217**

Priority

- US 9930365 W 19991217
- US 21839398 A 19981222

Abstract (en)

[origin: WO0037443A1] A process for the synthesis of arylpiperidine carbinol intermediates and derivatives is disclosed. A preferred process embodiment provides the synthesis of intermediate compounds of structural formula (I) and structural formula (II), where X is halogen, C1-C10 alkyl, C1-C10 alkoxy, C1-C10 haloalkyl, hydroxy, or hydrogen; and R2 and R3 each is C1-C4 alkyl and R2 and R3 are the same. The compound of structural formula (I) is made by condensing a corresponding cinnamitrile with a corresponding diester malonate. The compound of structural formula (II) in the (+/-)-trans configuration is obtained by hydrogenating the compound of structural formula (I). The compounds of structural formula (I) and structural formula (II) are useful chemical intermediates for synthesizing 4-aryl piperidine-3-carbinols and their derivatives in (-)-trans configuration.

IPC 1-7

**C07D 211/22**; **C07D 211/78**; **C07C 255/41**

IPC 8 full level

**C07C 253/30** (2006.01); **C07C 255/41** (2006.01); **C07D 211/78** (2006.01)

CPC (source: EP)

**C07C 255/41** (2013.01); **C07D 211/78** (2013.01); **C07B 2200/07** (2013.01)

Citation (search report)

- [PX] ES 2137131 A1 19991201 - VITA INVEST SA [ES]
- [E] WO 0026187 A1 20000511 - SYNTHON BV [NL]
- [X] REED, PETER E. ET AL., J. MED. CHEM., vol. 34, 1991, pages 1162 - 1176, XP002285820
- [X] MEGLIO DE P G ET AL: "DERIVATI PIRROLIDONICI E PIPERIDONICI AD ATTIVITA' ANTIISTAMINICA ED ANTIANAFILATTICA. SINTESI E STUDIO FARMACOLOGICO", IL FARMACO, ROME, IT, vol. 42, no. 5, 1987, pages 359 - 382, XP009014555, ISSN: 0014-827X
- [X] DATABASE HCAPLUS ACS; 12 May 1984 (1984-05-12), XP002285821, retrieved from STN Database accession no. 80:95438/DN & POPANDOVA, K. ET AL., GODISHNIK NA SOFIISKIYA UNIVERSITET SV. KLIMENT OKHRIDSKI, KHIMICHESKI FAKULTET, vol. 65, 1970 - 1971, pages 251 - 260
- [PX] DATABASE HCAPLUS ACS; 9 September 1999 (1999-09-09), XP002285822, retrieved from STN Database accession no. 131:184870/DN & JP H11240869 A 19990907 - SUMIKA FINE CHEMICALS CO LTD
- See also references of WO 0037443A1

Designated contracting state (EPC)

AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE

DOCDB simple family (publication)

**WO 0037443 A1 20000629**; AU 2200400 A 20000712; EP 1140832 A1 20011010; EP 1140832 A4 20041103; HU P0104036 A2 20020228; HU P0104036 A3 20030428; JP 2002533325 A 20021008

DOCDB simple family (application)

**US 9930365 W 19991217**; AU 2200400 A 19991217; EP 99966475 A 19991217; HU P0104036 A 19991217; JP 2000589515 A 19991217