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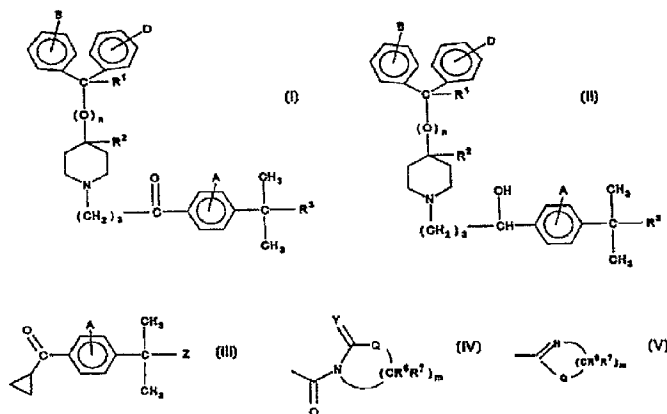
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(12) **BREVET CANADIEN
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(54) Titre : PROCESSUS DE PRODUCTION DE DERIVES DE PIPERIDINE
(54) Title: PROCESS FOR PRODUCTION OF PIPERIDINE DERIVATIVES



(57) Abrégé/Abstract:

The present invention relates to a process for preparing piperidine derivative compounds of formulae (I, II), wherein n is 0 or 1; R¹ is hydrogen or hydroxy; R² is hydrogen; or, when n is 1, R¹ and R² taken together form a second bond between the carbon atoms bearing R¹ and R², provided that when n is 1, R¹ and R² are each hydrogen, R² is -COOH or -COOR⁴, R⁴ is an alkyl or aryl moiety, A, B, and D are the substituents of their rings, each of which may be different or the same, and are selected from the group consisting of hydrogen, halogens, alkyl, hydroxy, alkoxy, and other substituents. The process comprises providing a regioisomer of formula (III), wherein Z is -CG¹G²G³ (IV) or (V), m is an integer from 1 to 6; Q and Y are the same or different and are selected from the group consisting of O, S and NR⁵, G¹, G², and G³ are the same or different and are selected from the group consisting of OR⁶, SR⁶, and NR⁶R⁷, R⁶ and R⁷ are the same or different and are selected from the group consisting of hydrogen, an alkyl moiety, an aryl moiety, OR⁸, SR⁸, and NR⁸R⁹, and R⁸, R⁹, and R⁹ are the same or different and are selected from the group consisting of hydrogen, an alkyl moiety, and an aryl moiety and converting the regioisomer to the piperidine derivative compound with a piperidine compound.



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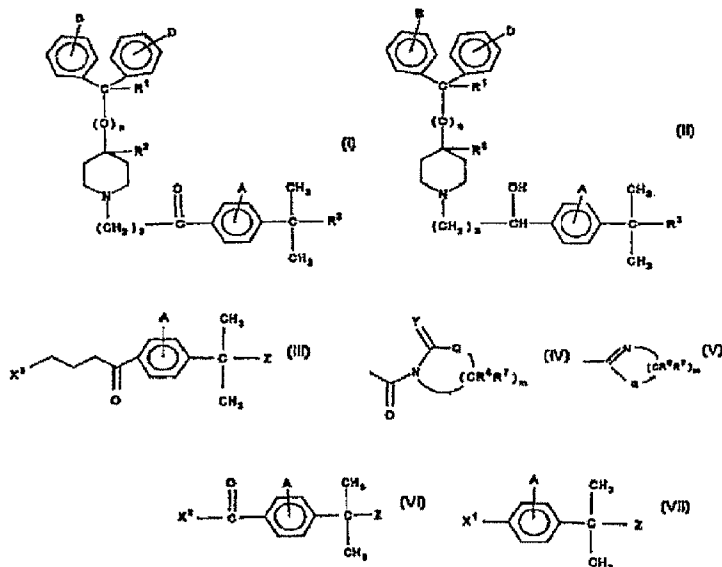
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(54) Titre : PROCÉDE DE PREPARATION DE DERIVES DE PIPERIDINE
(54) Title: PROCESS FOR PRODUCTION OF PIPERIDINE DERIVATIVES



(57) Abrégé/Abstract:

The present invention discloses processes for preparing piperidine derivative compounds of formulae (I) or (II) wherein n is 0 or 1; R¹ is hydrogen or hydroxy, R² is hydrogen; or, when n is 0, R¹ and R² taken together form a second bond between the carbon

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(57) **Abrégé(suite)/Abstract(continued):**

atoms bearing R^1 and R^2 , provided that when n is 1, R^1 and R^2 are each hydrogen; R^3 is $-COOH$ or $-COOR^4$; R^4 is an alkyl or aryl moiety; A, B, and D are the substituents of their rings, each of which may be different or the same, and are selected from the group consisting of hydrogen, halogens, alkyl, hydroxy, alkoxy, and other substituents. One process comprises providing a regioisomer of formula (III) wherein Z is $-CG^1G^2G^3$, (IV) or (V), m is an integer from 1 to 6; Q and Y are the same or different and are selected from the group consisting of O, S, and NR^5 ; G^1 , G^2 , and G^3 are the same or different and are selected from the group consisting of OR^6 , SR^6 , and NR^6R^9 ; X^3 is halogen, OR^{15} , SR^{15} , $NR^{15}R^{16}$, OSO_2R^{15} , or $NHSO_2R^{15}$; R^6 and R^7 are the same or different and are selected from the group consisting of hydrogen, an alkyl moiety, an aryl moiety, OR^8 , SR^8 , and NR^8R^9 ; and R^5 , R^8 , R^9 , R^{15} , and R^{16} are the same or different and are selected from the group consisting of hydrogen, an alkyl moiety, and an aryl moiety; and converting the regioisomer to the piperidine derivative compound with a piperidine compound. Another process for producing piperidine derivative compounds comprises providing an α,α -disubstituted-methylbenzene derivative having formula (VI) wherein X^1 is a halogen, trialkyl or triaryl tin, trialkyl or triaryl borate trialkyl silicon, alkylhalo silicon, a substituted sulfonic ester, or substituents useful in organometallic coupling reactions and converting the α,α -disubstituted-methylbenzene derivative to the piperidine derivative compound with a piperidine compound. In yet another process, a 4- (α,α -disubstituted)-toluic acid derivative having formula (VII) wherein X^2 is a halogen; an alkali metal oxide; a moiety having formula $-OR^{10}$; a moiety having formula $-SR^{10}$; or an amine; and R^{10} is selected from the group consisting of hydrogen, an alkyl moiety, and an aryl moiety, is provided and converted to the piperidine derivative compound with a piperidine compound.



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