

Title (en)

CONTRACEPTIVE COMPOSITIONS CONTAINING 3,3-SUBSTITUTED INDOLINE DERIVATIVES AND USES THEREOF

Title (de)

EMPFÄGNISVERHÜTENDE ZUSAMMENSETZUNGEN, WELCHE 3,3-SUBSTITUIERTE INDOLINE DERIVATEN ENTHALTEN

Title (fr)

COMPOSITIONS CONTRACEPTIVES RENFERMANT DES DERIVES D'INDOLINE 3,3-SUBSTITUES, ET UTILISATIONS CORRESPONDANTES

Publication

**EP 1173211 A1 20020123 (EN)**

Application

**EP 00928667 A 20000501**

Priority

- US 0011748 W 20000501
- US 18305799 P 19990504
- US 55263100 A 20000419

Abstract (en)

[origin: CA2372591A1] This invention relates to cyclic combination therapies and regimens utilizing substituted indoline derivative compounds that are antagonists of the progesterone receptor having general structure (I) wherein: R<sub>1</sub>, and R<sub>2</sub> are chosen independently from each other from H, OH; OAc; alkylaryl; alkylheteroaryl; 1-propynyl; 3-propynyl; and optionally substituted alkyl, O(alkyl); aryl; or heteroaryl groups; or R<sub>1</sub> and R<sub>2</sub> are joined to form a ring comprising -CH<sub>2</sub>(CH<sub>2</sub>)<sub>n</sub>CH<sub>2</sub>- where n = 0-5; -CH<sub>2</sub>CH<sub>2</sub>CMe<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-; -O(CH<sub>2</sub>)<sub>m</sub>CH<sub>2</sub>- where m = 1-4; O(CH<sub>2</sub>)<sub>p</sub>O- where p = 1-4; -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-; -CH<sub>2</sub>CH<sub>2</sub>N(H or alkyl)CH<sub>2</sub>CH<sub>2</sub>-; or R<sub>1</sub> and R<sub>2</sub> together comprise a double bond to CMe<sub>2</sub>; C(cycloalkyl), O, or C(cycloether); R<sub>3</sub> is H, OH, NH<sub>2</sub>, CORA; or optionally substituted alkenyl or alkyndyl groups; RA = H or optionally substituted alkyl, alkoxy, or aminoalkyl groups; R<sub>4</sub> = H, halo, CN, NH<sub>2</sub>, or optionally substituted alkyl, alkoxy, or aminoalkyl; R<sub>5</sub> is selected from optionally substituted benzene ring; a five or six membered heterocyclic ring; a 4 or 7-substituted indole or a substituted benzothiophene; or pharmaceutically acceptable salt thereof. These methods of treatment may be used for contraception or for the treatment and/or prevention of secondary amenorrhea, dysfunctional bleeding, uterine leiomyomata, endometriosis; polycystic ovary syndrome, carcinomas and adenocarcinomas of the endometrium, ovary, breast, colon, prostate, or minimization of side effects or cyclic menstrual bleeding. Additional uses of the invention include stimulation of food intake.

IPC 1-7

**A61K 45/06; A61P 15/18**

IPC 8 full level

**A61K 31/567** (2006.01); **A61K 31/403** (2006.01); **A61K 31/404** (2006.01); **A61K 31/565** (2006.01); **A61K 31/57** (2006.01); **A61K 45/06** (2006.01); **A61P 5/24** (2006.01); **A61P 15/18** (2006.01); **C07D 209/08** (2006.01); **C07D 209/86** (2006.01)

CPC (source: EP)

**A61K 31/57** (2013.01); **A61K 45/06** (2013.01)

Citation (search report)

See references of WO 0066166A1

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)

AU 4686700 A 20001117; CA 2372591 A1 20001109; CN 1349417 A 20020515; EP 1173211 A1 20020123; JP 2002543157 A 20021217; MX PA01011297 A 20030714

DOCDB simple family (application)

AU 4686700 A 20000501; CA 2372591 A 20000501; CN 00807136 A 20000501; EP 00928667 A 20000501; JP 2000615050 A 20000501; MX PA01011297 A 20000501