

Title (en)  
NOVEL INDOLE DERIVATIVES, METHOD OF PREPARING SAME IN THE FORM OF MEDICAMENTS, PHARMACEUTICAL COMPOSITIONS AND, IN PARTICULAR, KDR INHIBITORS

Title (de)  
NEUE INDOLDERIVATE, VERFAHREN ZU DEREN HERSTELLUNG IN FORM VON MEDIKAMENTEN, PHARMAZEUTISCHE ZUSAMMENSETZUNGEN UND INSBESONDERE KDR-INHIBITOREN

Title (fr)  
NOUVEAUX DERIVES DE L INDOLE, LEUR PREPARATION A TITRE DE ME DICAMENTS, COMPOSITIONS PHARMACEUTIQUES ET NOTAMMENT COMME INHIBITEURS DE KDR

Publication  
**EP 1633738 A2 20060315 (FR)**

Application  
**EP 04742556 A 20040422**

Priority  
• FR 2004000979 W 20040422  
• FR 0305088 A 20030425

Abstract (en)  
[origin: FR2854159A1] 2-(Pyrazolyl or indazolyl)-indole derivatives (I), in all possible racemic, enantiomeric or diastereoisomeric forms, their addition salts with (in)organic acids or inorganic bases are new. 2-(pyrazolyl or indazolyl)-indole derivatives of formula (I), in all possible racemic, enantiomeric or diastereoisomeric forms, their addition salts with (in)organic acids or inorganic bases are new. [Image] R 1>pyrazolyl or indazolyl, optionally substituted by one or more of halo, hydroxy, nitro, cyano, R 4>, OR4, SR 4>, COR 4>, OCOR 4>, COOR 4>, COOH (optionally as salt), NR 5>COR 4>, NR 5>COOR 4>, S(O) nR 4>, S(O) nOR 4>, NR5-SO 2R 4>, OS(O) nR 4>, NY 1>Y 2>, CONY 1>Y 2>, OCONY 1>Y 2>, NR5CONY 1>Y 2>, S(O) nNY 1>Y 2>or optionally substituted thienyl; R 2>, R 3>hydrogen, halo, hydroxy, nitro, cyano, R 4>, OR 4>, COR 4>, OCOR 4>, COOR 4>, COOH, NR 5>COR 4>, NR5COOR 4>, S(O) nR 4>, S(O) nOR 4>, NR 5>-SO 2R 4>, NY 1>Y 2>, CONY 1>Y 2>, NR 5>CONY 1>Y 2>, S(O) nNY 1>Y 2>or OCONY 1>Y 2>; or R 2>+ R 3>optionally substituted 4-6 membered ring optionally containing one or more heteroatoms O,N or S; n : 0-2; R 4>alkyl, alk-NY 1>Y 2>, alk-CONY 1>Y 2>, alkenyl, alkynyl, cycloalkyl, (hetero)aryl, (hetero)cycloalkylalkyl, heteroarylalkyl or aralkyl, all optionally substituted; R 5>hydrogen, alkyl, alkenyl, (hetero)cycloalkyl, (hetero)aryl, aralkyl, (hetero)cycloalkylalkyl, or heteroarylalkyl, all optionally substituted; Y 1>, Y 2>hydrogen, alkyl, alkenyl, (hetero)cycloalkyl, heterocycloalkylalkyl, (hetero)aryl, (hetero)aralkyl or (hetero)arylcarboxy, (all optionally substituted by one or more of halo, hydroxy, alkoxy, alkyl (optionally substituted by hydroxy or carboxy), cyano, nitro, trifluoromethyl, trifluoromethoxy, carboxy (optionally as salt or optionally substituted alkyl ester), Nalk-CO-alk, NHCO-alk, S(O) n-alk, NHS(O) n-alkyl, NHCO-NY 3>Y 4>, CONY 3>Y 4>, S(O) nNY 3>Y 4>, aryl, arylalkoxy, aryloxy, aryloxyalkyl, heteroaryl or heterocycloalkyl (both optionally substituted by one or more T 1>)); or NY 1>Y 2>optionally substituted ring; Y 3>, Y 4>hydrogen, aryl or alkyl (optionally substituted by one or more T 1>); T 1>halo, alkyl, carboxy (optionally as salt or ester) or amino (optionally substituted by 1 or 2 alkyl or by one phenyl (optionally substituted by a dioxol residue)); and alk : 1-6C alkyl. ACTIVITY : Cytostatic; Antiallergic; Antiasthmatic; Anticoagulant; Thrombolytic; Ophthalmological; Antipsoriatic; Antiasthmatic; Antirheumatic; Antidiabetic; Antiarteriosclerotic; Anorectic; Antiparkinsonian; Antidepressant; Neuroleptic; Nootropic; Neuroprotective; Analgesic; Immunomodulator; Antiangiogenic; Vulnerary; Osteopathic. No details of tests for these activities are given. MECHANISM OF ACTION : Inhibition of protein kinases, particularly vascular endothelial growth factor receptor 2 (KDR) and focal adhesion kinase (FAK), and insulin-like growth factor 1 receptor.

IPC 1-7  
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IPC 8 full level  
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CPC (source: EP)  
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