

Title (en)  
NOVEL BENZOTHIENYL OR INDOLE DERIVATIVES, PREPARATION AND USE THEREOF AS INHIBITORS OF PRENYL TRANSFERASE PROTEINS

Title (de)  
BENZOTHIENYL- ODER INDOLDERIVATE UND DEREN VERWENDUNG ALS PROTEIN PRENYL TRANSFERASE INHIBITOREN

Title (fr)  
DERIVES DE BENZOTHIENYLE OU D'INDOLE ET LEUR UTILISATION COMME INHIBITEURS DE PROTEINES PRENYL TRANSFERASE

Publication  
**EP 1395581 A2 20040310 (FR)**

Application  
**EP 02745484 A 20020605**

Priority  
• FR 0201905 W 20020605  
• FR 0107384 A 20010606

Abstract (en)  
[origin: FR2825706A1] Benzothienyl and indole derivatives (I), their stereoisomeric and optical isomers, racemic mixtures, salts, and solvates are new. Benzothienyl and indole derivatives of formula (I), their stereoisomeric and optical isomers, racemic mixtures, salts, and solvates. W = H, SO<sub>2</sub>R<sub>5</sub>, CO(CH<sub>2</sub>)<sub>n</sub>-R<sub>5</sub>, (CH<sub>2</sub>)<sub>n</sub>-R<sub>6</sub>, or CS(CH<sub>2</sub>)<sub>n</sub>-R<sub>5</sub>; X = S or NH; when W is H or (CH<sub>2</sub>)<sub>n</sub>-R<sub>6</sub> then Y = (CH<sub>2</sub>)<sub>p</sub>, CO, (CH<sub>2</sub>)<sub>p</sub>CO, or CH=CH-CO and when X is S then Y = CO; Z = imidazole, benzimidazole, isoxazole, tetrazole, oxadiazole, thiadiazole, pyridine, quinazoline, quinoxaline, quinoline, or thiophene, all optionally substituted by a group as defined in Definitions below, and when Z is pyridine, then X may only be S; R<sub>1</sub> = COOR<sub>6</sub>, CONR<sub>6</sub>R<sub>7</sub>, CONH-CH(R<sub>6</sub>)-COOR<sub>7</sub>, CH<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, CH<sub>2</sub>OR<sub>6</sub>, (CH<sub>2</sub>)<sub>p</sub>-R<sub>6</sub>, or CH=CHR<sub>6</sub>; R<sub>2</sub> = H, 1-10C alkyl, cycloalkyl, 3-30C alkenyl, 3-20C alkynyl, or phenyl optionally substituted by a group as defined in Definitions below; R<sub>3</sub> = 1-6C alkyl, halogens, methoxy, CN, NO<sub>2</sub>, OH, CF<sub>3</sub>, OCF<sub>3</sub>, OCH<sub>2</sub>Ph, thiomethoxy, COOMe, COOEt, COOH, CONHOH, SO<sub>2</sub>NH<sub>2</sub>, or CONH<sub>2</sub>; R<sub>4</sub> = H, 1-6C alkyl optionally substituted by a group as defined in Definitions below, aryl or heterocycle; R<sub>5</sub> = phenyl or naphthyl optionally substituted by a group as defined under Definitions below, 1-15C alkyl, 3-30C alkenyl, 3-20 C alkynyl all optionally substituted as defined under Definitions below, heterocycle or NR<sub>6</sub>R<sub>7</sub>; R<sub>6</sub> and R<sub>7</sub> = H, 1-15C alkyl, 3-30C alkenyl, 3-20C alkynyl, all optionally substituted by a group as defined in Definitions below, cycloalkyl optionally substituted by a group as defined in Definitions below, alkylcycloalkyl optionally substituted by a group as defined in Definitions below, heterocycle, alkyl heterocycle, aryl, alkylaryl, alkylidaryl, or when R<sub>6</sub> and R<sub>7</sub> may form with the N atom a 4 - 6 membered ring which may contain other heteroatoms (O, N, S) and may be substituted by 1-15C alkyl, aryl or alkylaryl; n = 0-10; p = 1-6.

IPC 1-7  
**C07D 409/12**; **A61K 31/33**; **A61P 43/00**; **C07D 409/14**; **C07D 403/12**; **C07D 417/14**

IPC 8 full level  
**C07D 401/14** (2006.01); **A61K 31/138** (2006.01); **A61K 31/17** (2006.01); **A61K 31/22** (2006.01); **A61K 31/337** (2006.01); **A61K 31/366** (2006.01); **A61K 31/40** (2006.01); **A61K 31/404** (2006.01); **A61K 31/4178** (2006.01); **A61K 31/4184** (2006.01); **A61K 31/422** (2006.01); **A61K 31/427** (2006.01); **A61K 31/428** (2006.01); **A61K 31/437** (2006.01); **A61K 31/4418** (2006.01); **A61K 31/4436** (2006.01); **A61K 31/4439** (2006.01); **A61K 31/454** (2006.01); **A61K 31/4709** (2006.01); **A61K 31/4745** (2006.01); **A61K 31/475** (2006.01); **A61K 31/496** (2006.01); **A61K 31/513** (2006.01); **A61K 31/519** (2006.01); **A61K 31/5377** (2006.01); **A61K 31/541** (2006.01); **A61K 31/573** (2006.01); **A61K 31/704** (2006.01); **A61K 31/7048** (2006.01); **A61K 31/7068** (2006.01); **A61K 33/24** (2006.01); **A61P 1/00** (2006.01); **A61P 1/16** (2006.01); **A61P 1/18** (2006.01); **A61P 5/00** (2006.01); **A61P 9/08** (2006.01); **A61P 9/10** (2006.01); **A61P 11/00** (2006.01); **A61P 13/08** (2006.01); **A61P 13/10** (2006.01); **A61P 13/12** (2006.01); **A61P 15/00** (2006.01); **A61P 17/00** (2006.01); **A61P 29/00** (2006.01); **A61P 35/00** (2006.01); **A61P 35/02** (2006.01); **A61P 43/00** (2006.01); **C07D 403/12** (2006.01); **C07D 409/12** (2006.01); **C07D 409/14** (2006.01); **C07D 413/14** (2006.01); **C07D 521/00** (2006.01)

CPC (source: EP US)  
**A61P 1/00** (2017.12 - EP); **A61P 1/16** (2017.12 - EP); **A61P 1/18** (2017.12 - EP); **A61P 5/00** (2017.12 - EP); **A61P 9/08** (2017.12 - EP); **A61P 9/10** (2017.12 - EP); **A61P 11/00** (2017.12 - EP); **A61P 13/08** (2017.12 - EP); **A61P 13/10** (2017.12 - EP); **A61P 13/12** (2017.12 - EP); **A61P 15/00** (2017.12 - EP); **A61P 17/00** (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **A61P 35/00** (2017.12 - EP); **A61P 35/02** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07D 231/12** (2013.01 - EP US); **C07D 233/56** (2013.01 - EP US); **C07D 249/08** (2013.01 - EP US); **C07D 403/12** (2013.01 - EP US); **C07D 409/12** (2013.01 - EP US); **C07D 409/14** (2013.01 - EP US); **C07D 413/14** (2013.01 - EP US)

Citation (search report)  
See references of WO 02098852A2

Designated contracting state (EPC)  
AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE TR

DOCDB simple family (publication)  
**FR 2825706 A1 20021213**; **FR 2825706 B1 20031212**; BR 0210214 A 20040629; CA 2449771 A1 20021212; CN 1538969 A 20041020; EP 1395581 A2 20040310; JP 2004532274 A 20041021; MX PA03011324 A 20040505; US 2004204417 A1 20041014; WO 02098852 A2 20021212; WO 02098852 A3 20030417; WO 02098852 A8 20040401; ZA 200309460 B 20040901

DOCDB simple family (application)  
**FR 0107384 A 20010606**; BR 0210214 A 20020605; CA 2449771 A 20020605; CN 02815384 A 20020605; EP 02745484 A 20020605; FR 0201905 W 20020605; JP 2003501841 A 20020605; MX PA03011324 A 20020605; US 48009804 A 20040520; ZA 200309460 A 20031205