

Title (en)
PYRIMIDINONES AS ANTIARTHRITIC AND ANTI-INFLAMMATORIES

Title (de)
PYRIMIDINONEN ALS ANTIARTHRITISCHE UND ENTZÜNDUNGS HEMMENDE MITTEL

Title (fr)
PYRIMIDINONES UTILISEES COMME ANTIARTHRITIQUES ET ANTI-INFLAMMATOIRES

Publication
EP 0724573 A1 19960807 (EN)

Application
EP 94928624 A 19940921

Priority
• US 9410571 W 19940921
• US 13907893 A 19931020
• US 16167693 A 19931203

Abstract (en)
[origin: WO9511235A1] Compounds useful in the treatment of inflammation structurally represented as formula (I) or pharmaceutically acceptable salts thereof, wherein R<2> is a) (CH₂)_n-Y i) where n is 1 then Y is C1-C6 alkoxy, morpholinyl, piperidinyl, pyrrolidinyl, phenoxy, phenylthio, phenylsulfonyl, phenylsulfanyl, -NHC(O)-C1-C6 carboxylic acid, N3, NH₂, diethylamino, hydrogen (provided R<4> is benzyloxy), halogen (provided R<3> is a C1-C6 alkyl) or CH("EWG")₂ where "EWG" is an electron withdrawing group each individually selected from the group consisting of CO₂R<6> or PO(OR<7>)₂, or ii) n is 2 then Y is CH("EWG")₂, or b) a terminal olefin substituted with i) an aryl or heteroaryl, ii) hydroxyl and a C1-C6 alkyl, phenyl or (CH₂)_m-CO₂R<6> where m is 1 to 3, or c) C3-C6 cycloalkyl (optionally substituted with a halogen, (PO(OC₂H₅)₂)₂ or CN); R<3> is hydrogen or C1-C6 alkyl; R<4> is hydrogen, hydroxyl, C1-C6 alkyl, alkoxy, benzyloxy or phenoxy; R<5> is hydrogen, halogen, C1-C6 alkyl, C1-C6 alkoxy, phenoxy, C1-C6 alkylthio, thiophenyl, NH₂, aryl (except that R<5> is other than phenyl when R<4> and Y are both hydrogen) or heteroaryl; R<6> is H, C1-C6 alkyl, benzyl, phenyl, phenyl substituted with one to five F, C1, Br, I, NO₂, OCH₃ or C1-C4 alkyl; and R<7> is H, C1-C6 alkyl, benzyl, phenyl, phenyl substituted with one to five F, C1, Br, I, NO₂, OCH₃ or C1-C4 alkyl, or where both R<7>'s are taken together to form a CH₂-CH₂, CH₂-CH₂-CH₂ or CH₂-C(CH₃)₂-CH₂ whereby a heterocyclic ring containing the bonded P atom and the two O atoms is formed. The compounds are useful as anti-inflammatory and antiarthritic agents.

IPC 1-7
C07D 239/36; **C07D 239/52**; **C07F 9/6512**; **A61K 31/505**

IPC 8 full level
C07D 239/34 (2006.01); **A61K 31/505** (2006.01); **A61P 29/00** (2006.01); **C07D 239/36** (2006.01); **C07D 239/46** (2006.01); **C07D 239/52** (2006.01); **C07D 239/56** (2006.01); **C07F 9/6512** (2006.01)

CPC (source: EP)
A61P 29/00 (2017.12); **C07D 239/36** (2013.01); **C07D 239/47** (2013.01); **C07D 239/52** (2013.01); **C07D 239/56** (2013.01); **C07F 9/6512** (2013.01)

Citation (search report)
See references of WO 9511235A1

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

DOCDB simple family (publication)
WO 9511235 A1 19950427; AU 7798994 A 19950508; EP 0724573 A1 19960807; JP H09504010 A 19970422

DOCDB simple family (application)
US 9410571 W 19940921; AU 7798994 A 19940921; EP 94928624 A 19940921; JP 51106395 A 19940921