PCT WORLD ORGANISATION FOR INTELLECTUAL PROPERTY
International Office
INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International patent classification[6] : C07D 305/14, A61K 31/335 — A1

(11) International publication number: WO 97/32869

(43) International publication date: 12 September 1997 (12.09.97)

(21) International application number: PCT/FR97/00386

(22) International filing date: 5 March 1997 (05.03.97)

(30) Data relating to the priority: 96/02.804 6 March 1996 (06.03.96) FR

(71) Applicant (for all designated States except US): RHONE-POULENC RORER S.A. [FR/FR]; 20, avenue Raymond-Aron, F-92160 Antony (FR).

(72) Inventors; and
(75) Inventors/Applicants (US only): Hervé BOUCHARD [FR/FR]; 7, allée de la Prévôté, F-94320 Thiais (FR). Jean-Dominique BOURZAT [FR/FR]; 36, boulevard de la Libération, F-94300 Vincennes (FR). Alain COMMERCON [FR/FR]; 1 bis, rue Charles-Floquet, F-94400 Vitry-sur-Seine (FR).

(74) Representative: Magali LE PENNEC; Rhône-Poulenc Rorer S.A., Patents Directorate, 20, avenue Raymond-Aron, F-92165 Antony Cédex (FR).

(81) Designated States: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ARIPO Patent (GH, KE, LS, MW, SD, SZ, UG), Eurasian Patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European Patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI Patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

Published
With the International Search Report.

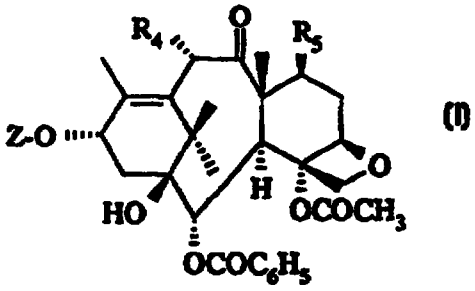
As printed

(54) Title: TAXOIDS, PREPARATION THEREOF AND PHARMACEUTICAL COMPOSITIONS CONTAINING SAME

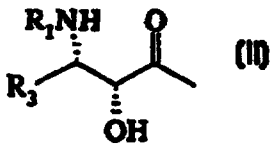
(54) Titre: TAXOIDES, LEUR PREPARATION ET LES COMPOSITIONS PHARMACEUTIQUES QUI LES CONTIENNENT

(57) Abstract

Novel taxoids of general formula (I), the preparation thereof and pharmaceutical compositions containing same, are disclosed. In general formula (I), Z is a hydrogen atom or a radical of general formula (II), wherein R_1 is an optionally substituted benzoyl radical or a radical R_2-O-CO-, where R_2 is an alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, bicycloalkyl, optionally substituted phenyl or heterocyclyl radical; R_3 is an alkyl, alkenyl, alkynyl, cycloalkyl, phenyl, naphthyl or aromatic heterocyclic radical; R_4 is a hydroxy radical or an alkoxy, alkenyloxy, optionally substituted alkynyloxy, alkanoyloxy, alkenoyloxy, alkynyloxy, alkoxyacetyl or alkyloxycarbonyloxy radical, or a cycloalkyloxy, cycloalkenyloxy, arylcarbonyloxy or heterocyclylcarbonyloxy radical; and R_5 is an optionally substituted alkoxy radical or a cycloalkyloxy or cycloalkenyloxy radical. The novel compounds of general formula (I), wherein Z is a radical of general formula (II), have remarkable antitumoral and antineoplastic properties.



(I)



(II)

(57) Abrégé

Nouveaux taxoïdes de formule générale (I) leur préparation et les compositions pharmaceutiques qui les contiennent. Dans la formule générale (I): Z représente un atome d'hydrogène ou un radical de formule générale (II) dans laquelle R_1 représente un radical benzoyle éventuellement substitué ou un radical R_2-O-CO- dans lequel R_2 représente un radical alcoyle, alcényle, alcynyle, cycloalcoyle, cycloalcényle, bicycloalcoyle, phényle éventuellement substitué ou hétérocyclyle, R_3 représente un radical alcoyle, alcényle, alcynyle, cycloalcoyle, phényle, naphtyle ou hétérocyclique aromatique, R_4 représente un radical hydroxy ou un radical acoxy, alcényloxy, alcynyloxy éventuellement substitué, alcanoyloxy, alcénoyloxy, alcynoyloxy, alcoxyacétyle, alcoyloxycarbonyloxy, ou un radical cycloalcoyloxy ou cycloalcényloxy ou arylcarbonyloxy ou hétérocyclylcarbonyloxy, et R_5 représente un radical alcoxy éventuellement substitué ou un radical cycloalcoyloxy ou cycloalcényloxy. Les nouveaux produits de formule générale (I) dans laquelle Z représente un radical de formule générale (II) présentent des propriétés antitumorales et antileucémiques remarquables.

ONLY FOR INFORMATION

Codes used to identify the PCT member States on the flyleaves of the brochures in which international applications made under the PCT are published.

AT	Armenia
AT	Austria
AU	Australia
BB	Barbados
BE	Belgium
BF	Burkina Fasso
BG	Bulgaria
BJ	Benin
BR	Brazil
BY	Belarus
CA	Canada
CF	Central African Republic
CG	Congo
CH	Switzerland
CI	Ivory Coast
CM	Cameroon
CN	China
CS	Czechoslovakia
CZ	Czech Republic
DE	Germany
DK	Denmark
EE	Estonia
ES	Spain
FI	Finland
FR	France
GA	Gabon
GB	United Kingdom
GE	Georgia
GN	Guinea
GR	Greece
HU	Hungary
IE	Ireland
IT	Italy
JP	Japan
KE	Kenya
KG	Kyrghyzstan
KP	Democratic People's Republic of Korea
KR	Republic of Korea
KZ	Kazakhstan
LI	Liechtenstein
LK	Sri Lanka
LR	Liberia
LT	Lithuania
LU	Luxembourg
LV	Latvia
MC	Monaco
MD	Republic of Moldova
MG	Madagascar
ML	Mali
MN	Mongolia
MR	Mauritania
MW	Malawi
MX	Mexico
NE	Niger
NL	Netherlands
NO	Norway
NZ	New Zealand
PL	Poland
PT	Portugal
RO	Romania
RU	Russian Federation
SD	Sudan
SE	Sweden
SG	Singapore
SI	Slovenia
SK	Slovakia
SN	Senegal
SZ	Swaziland
TD	Chad
TG	Togo
TJ	Tajikistan
TT	Trinidad and Tobago
UA	Ukraine
UG	Uganda
US	United States of America
UZ	Uzbekistan
VN	Vietnam