960879-9 IPOS

PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 5 : C07K 7/06, A61K 37/02 — A1

(11) International Publication Number: WO 94/14842

(43) International Publication Date: 7 July 1994 (07.07.94)

(21) International Application Number: PCT/US93/12349

(22) International Filing Date: 16 December 1993 (16.12.93)

(30) Priority Data:
07/995,217 22 December 1992 (22.12.92) US

(71) Applicant: THE PROCTER & GAMBLE COMPANY [US/US]; One Procter & Gamble Plaza, Cincinnati, OH 45202 (US).

(72) Inventor: MCIVER, John, McMillan; 832 Cedarhill Drive, Cincinnati, OH 45240 (US).

(74) Agents: REED, T., David et al.; The Procter & Gamble Company, 5299 Spring Grove Avenue, Cincinnati, OH 45217.(US).

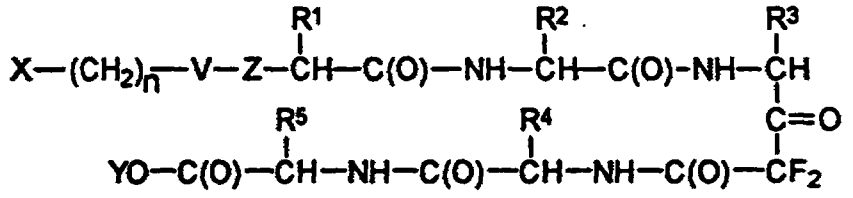
(81) Designated States: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

Published
With international search report.
Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: DIFLUORO-PENTAPEPTIDE DERIVATIVE ANTI-INFLAMMATORY AGENTS

(57) Abstract

The subject invention involves anti-inflammatory compounds having structure (I), wherein: (a) -X is cyclic alkyl, having from 4 to 15 carbon atoms; branched alkyl, having from 6 to 15 carbon atoms; or aryl having from 6 to 15 carbon atoms; (b) n is an integer from 0 to 2; (c) -V- is -OC(O)-, -N(Q)C(O)-, -N(Q)C(S)-, -C(O)-, -SO2- or -P(O)(OH)-; (d) -Q is hydrogen; or straight or branched chain alkyl, saturated or unsaturated with 1 or 2 double bonds, having from 1 to 6 carbon atoms; or -Q and -X are covalently linked forming a cyclic moiety which includes the nitrogen to which -Q is bonded and from 5 to 20 carbon atoms; (e) Z is -O- or -NH-; when V is -OC(O)-, -Z- is -NH-; (f) -R1 is a hydrophobic moiety; (g) -R2 is a hydrophobic moiety; (h) -R3 is -(CH2)m-A-NH2 or -(CH2)m-A-B-C(NH2)=NH wherein m is an integer from 1 to about 6, -A- is a covalent bond or p-phenyl or p-cyclohexyl, and -B- is a covalent bond or -NH-; (i) -R4 is a hydrophobic moiety; (j) -R5 is -(CH2)m-A-NH2 or -(CH2)m-A-B-C(NH2)=NH wherein m is an integer from 1 to about 6, -A- is a covalent bond or p-phenyl or p-cyclohexyl, and -B- is a covalent bond or -NH-; and (k) -Y is hydrogen or methyl. The subject invention also involves pharmaceutical compositions comprising the above compounds, and methods for treating inflammation or pain using such compounds and compositions.



(I)

REPLACED SHEETS SG

☑ Description : paged ...1.... to paged ...28.....
☑ Claim(s) : paged ...29.... to paged ...33.....
☐ Drawing(s) : paged ..... to paged .....
☑ Abstract : paged ...N/A.. to paged .....
DATE OF RECEIPT .....

This Sheet Has Been Replaced

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

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

This Sheet Has Been Replaced

