

PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau



970 1458-3
1905

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07C 323/41, 323/60, C07H 15/248, 15/244, A61K 31/645, 31/70		A1	(11) International Publication Number: WO 96/11184
			(43) International Publication Date: 18 April 1996 (18.04.96)
(21) International Application Number: PCT/EP95/03823		(81) Designated States: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TT, UA, US, 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), ARIPO patent (KE, MW, SD, SZ, UG).	
(22) International Filing Date: 27 September 1995 (27.09.95)			
(30) Priority Data: MI94A002026 5 October 1994 (05.10.94) IT			
(71) Applicant (for all designated States except US): INDENA S.P.A. [IT/IT]; Via Ripamonti, 99, I-20141 Milano (IT).		Published With international search report.	
(72) Inventors; and (75) Inventors/Applicants (for US only): BOMBARDELLI, Ezio [IT/IT]; Via Ripamonti, 99, I-20141 Milano (IT). GA- BETTA, Bruno [IT/IT]; Via Ripamonti, 99, I-20141 Milano (IT).			
(74) Agent: MINOJA, Fabrizio; Studio Consulenza Brevettuale, Via Rossini, 8, I-20122 Milano (IT).			

(54) Title: COLCHICINE DERIVATIVES AND THE THERAPEUTICAL USE THEREOF

(57) Abstract

The present invention relates to novel colchicine derivatives of formula (1), where Y is a -CH₂-CH-NH-R₃ group or a -CH-CH₂OR₃ group and the other variables are as defined in claim 1, having antiproliferative, antitumoral and anti-inflammatory activities. The novel compounds have a cytotoxicity on human tumoral cell lines comparable with colchicine, but, in comparison with the latter, they are less toxic and more selective, particularly on cells resistant to the usual medicaments. Some compounds have a marked activity on TNF and interleukine 2, and therefore are very potent anti-inflammatory agents. They can be included in pharmaceutical formulations useful for the parenteral, oral and topical administrations.

