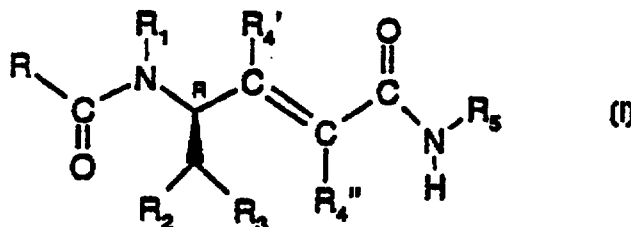




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 209/20, 403/12, 223/10, C07C 237/22, A61K 31/40, 31/55, 31/165		A1	(11) International Publication Number: WO 98/07694
			(43) International Publication Date: 26 February 1998 (26.02.98)
(21) International Application Number: PCT/EP97/04436		(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, KE, LS, MW, SD, SZ, UG, ZW), 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).	
(22) International Filing Date: 13 August 1997 (13.08.97)		Published <i>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.</i>	
(30) Priority Data: 2061/96 22 August 1996 (22.08.96) CH			
(71) Applicant (for all designated States except US): NOVARTIS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).			
(72) Inventors; and (75) Inventors/Applicants (for US only): GERSPACHER, Marc [CH/CH]; Ebnetweg 6, CH-5073 Gipf-Oberfrick (CH). VON SPRECHER, Andreas [CH/CH]; Nelkenweg 1, CH-4104 Oberwil (CH). MAH, Robert [CA/CH]; Baslerstrasse 258, CH-4123 Allschwil (CH). ROGGO, Silvio [CH/CH]; Bahnhofstrasse 10 A/I, CH-4132 Muttenz (CH). STUTZ, Stefan [CH/CH]; Reichensteinerstrasse 19, CH-4053 Basel (CH).			
(74) Agent: ROTH, Bernhard, M.; Novartis AG, Patent- und Markenabteilung, Lichtstrasse 35, CH-4002 Basel (CH).			

(54) Title: ACYLAMINOALKENYLENE-AMIDE DERIVATIVES AS NK1 AND NK2 ANTAGONISTS



(57) Abstract

Compounds of formula (I) wherein R is phenyl that is unsubstituted or is substituted by 1, 2 or 3 substituents selected from the group halogen, lower alkyl, trifluoromethyl, hydroxy and lower alkoxy; R₁ is hydrogen or lower alkyl, R₂ is hydrogen, lower alkyl or phenyl that is unsubstituted or is substituted by 1, 2 or 3 substituents selected from the group halogen, lower alkyl, trifluoromethyl, hydroxy and lower alkoxy, R₃ is phenyl that is unsubstituted or is substituted by 1, 2 or 3 substituents selected from the group halogen, lower alkyl, trifluoromethyl, hydroxy and lower alkoxy; or is naphthyl, 1H-indol-3-yl or 1-lower alkyl-indol-3-yl, R₄' and R₄'' are each independently of the other hydrogen or lower alkyl, at least one of the radicals R₄' and R₄'' being hydrogen, and R₅ is C₃-C₈cycloalkyl, D-azacycloheptan-2-on-3-yl or L-azacycloheptan-2-on-3-yl; or a salt thereof, have valuable pharmaceutical properties and are effective especially as NK1 and NK2 antagonists.