PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

9805564-3
1805.

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :
C07D 277/28, 257/04, 213/42, 333/20, 241/12, A61K 31/425, 31/44, 31/395, 31/495, C07C 271/22, C07D 303/36

A1

(11) International Publication Number: WO 97/40029

(43) International Publication Date: 30 October 1997 (30.10.97)

(21) International Application Number: PCT/EP97/01860

(22) International Filing Date: 14 April 1997 (14.04.97)

(30) Priority Data:

1018/96	22 April 1996 (22.04.96)	CH
29/97	8 January 1997 (08.01.97)	CH
223/97	31 January 1997 (31.01.97)	CH

(81) Designated States: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, 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, 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 international search report.

(71) Applicant: NOVARTIS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).

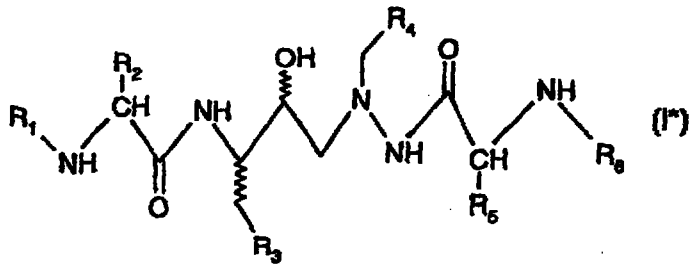
(72) Inventors: FÄSSLER, Alexander; 2 Telford Close, Macclesfield, Cheshire SK10 2QH (GB). BOLD, Guido; Bleumatthöhe 16, CH-5073 Gipf-Oberfrick (CH). CAPRARO, Hans-Georg; Habsburgerstrasse 60, CH-4310 Rheinfelden (CH). LANG, Marc; 24, rue de Valdoie, F-68200 Mulhouse (FR). KHANNA, Satish, Chandra; Spitzackerstrasse 6, CH-4103 Bottmingen (CH).

(74) Agent: ROTH, Bernhard, M.; Novartis AG, Patent- und Markenabteilung, Klybeckstrasse 141, CH-4002 Basel (CH).

(54) Title: ANTIVIRALLY ACTIVE HETEROCYCLIC AZAHEXANE DERIVATIVES

(57) Abstract

There are described compounds of formula (I*) wherein R_1 is lower alkoxycarbonyl, R_2 is secondary or tertiary lower alkyl or lower alkylthio-lower alkyl, R_3 is phenyl that is unsubsituted or substituted by one or more lower alkoxy radicals, or C_4-C_8cycloalkyl, R_4 is phenyl or cyclohexyl each substituted in the 4-position by unsaturated heterocyclyl that is bonded by way of a ring carbon atom, has from 5 to 8 ring atoms, contains from 1 to 4 hetero atoms selected from nitrogen, oxygen, sulfur, sulfinyl (-SO-) and sulfonyl ($-SO_2-$) and is unsubstituted or substituted by lower alkyl or by phenyl-lower alkyl, R_5, independently of R_2, has one of the meanings mentioned for R_2, and R_6, independently of R_1, is lower alkoxycarbonyl, or salts thereof, provided that at least one salt-forming group is present. The compounds are inhibitors of retroviral aspartate protease and can be used, for example, in the treatment of AIDS. They exhibit outstanding pharmacodynamic properties.



(I*)