

Title (en)  
HIV PROTEASE INHIBITORS

Publication  
**EP 0594586 A4 19940817 (EN)**

Application  
**EP 91903689 A 19910109**

Priority  
• US 9100178 W 19910109  
• US 46266990 A 19900109  
• US 46989190 A 19900123

Abstract (en)  
[origin: WO9110442A1] Compounds of the formula A-(C)b-(D)c-M-(X)e-Y-Z wherein: A is BocNH, CbzNH, H, R'R''N, R''CONR', or if a, b and c are 0 and Y is a covalent bond, then A is H, Boc, Cbz, R' or R''CO; C and D are the same or different and are Ala, beta -Ala, D-Ala, Phe, Phg or Val; X is Ala, Ile, Leu, Val; Y is Ala, Ile, Leu, Val or is a covalent bond; Z is CO2R''', CONR'R'''' COR', CH2OR''', CH2OC(O)R'' or H, or if e is 0 and Y is a covalent bond, Z is OR'''' or NR'R''''; b, c and e are each independently 0 or 1, provided that c and e are not simultaneously 0; M is (  $\alpha$  ), wherein: R1 is independently, C1-5Alk, C3-5alkenyl or benzyl; R' and R'' are H or C1-5Alk; R''' is H, C1-5Alk, C3-6cycloalkyl, (CH2)nC6H5, (CH2)nC5H4N, (CH2)nOH, (CH2)nNH2, or (CH2)nNHC(NH)NH2; inhibit the HIV-1 protease and are useful in the treatment of AIDS.

IPC 1-7  
**C07K 5/02**

IPC 8 full level  
**A61K 31/16** (2006.01); **A61K 31/195** (2006.01); **A61K 31/22** (2006.01); **A61K 38/00** (2006.01); **A61P 31/12** (2006.01); **C07C 237/22** (2006.01); **C07C 271/22** (2006.01); **C07C 279/08** (2006.01); **C07C 279/12** (2006.01); **C07F 7/18** (2006.01); **C07K 5/02** (2006.01); **C07K 5/06** (2006.01); **C07K 7/02** (2006.01); **C07K 14/81** (2006.01)

CPC (source: EP)  
**A61P 31/12** (2017.12); **C07C 271/22** (2013.01); **C07F 7/1804** (2013.01); **C07K 5/021** (2013.01); **C07K 7/02** (2013.01); **A61K 38/00** (2013.01)

Citation (search report)  
• [XY] EP 0337714 A2 19891018 - MERCK & CO INC [US]  
• [DPX] EP 0352000 A2 19900124 - SMITHKLINE BECKMAN CORP [US]  
• [PX] EP 0386611 A2 19900912 - HOFFMANN LA ROCHE [CH]  
• [Y] G.B.DREYER ET AL: "Inhibition of HIV 1 protease in vitro; Rational design of substrate analogue inhibitors", PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES OF USA., vol. 86, December 1989 (1989-12-01), WASHINGTON US, pages 9752 - 9756, XP000151090, DOI: doi:10.1073/pnas.86.24.9752  
• See references of WO 9110442A1

Designated contracting state (EPC)  
AT BE CH DE DK ES FR GB IT LI LU NL SE

DOCDB simple family (publication)  
**WO 9110442 A1 19910725**; EP 0594586 A1 19940504; EP 0594586 A4 19940817; JP H05503703 A 19930617

DOCDB simple family (application)  
**US 9100178 W 19910109**; EP 91903689 A 19910109; JP 50387291 A 19910109