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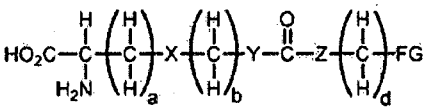
[Continued on next page]

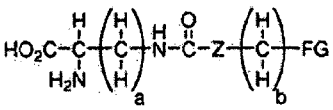
(54) Title: AMINO ACID DERIVATIVES

(57) Abstract: There are provided pyrrolysine analogues of the formulae (X), (I), (II), (V), (VI), (VII) and (VIII), in which the a, b, d, X, Y, Z, FG, R, R_1, R_2 and R_3 are as defined in the claims, which are useful in bioconjugation processes and mutant proteins containing them.

(X)



(I)



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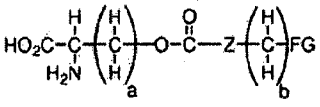

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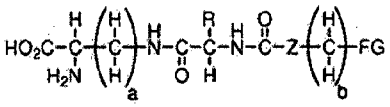
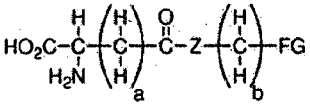
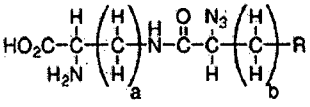


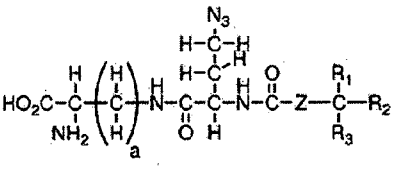
(VI)



(VII)



(VIII)



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AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

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A. CLASSIFICATION OF SUBJECT MATTER
INV. C07C271/12 C07C271/16 C07C271/20 C07C271/22 C07C275/10
C07C275/14 C07C275/16 C07C323/58 C07C235/06 C07C247/04
C07K16/24

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07C C07K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2011/044255 A1 (OHIO STATE UNIVERSITY) 14 April 2011 (2011-04-14) cited in the application claims 7-12, 14	1-30
X	JIE LI, ET AL.: "Ligand-free palladium-mediated site-specific protein labeling inside gram-negative bacterial pathogens", JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 135, no. 19, 3 May 2013 (2013-05-03), pages 7330-7338, XP055170152, American Chemical Society, Washington, DC, US ISSN: 0002-7863, DOI: 10.1021/ja402424j compounds 2, 3	1-30

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[X] Further documents are listed in the continuation of Box C.

[X] See patent family annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

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"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
24 February 2015	07/04/2015

Name and mailing address of the ISA/	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	English, Russell

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Form PCT/ISA/210 (second sheet) (April 2005)

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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X	T. PLASS, ET AL.: "Genetically encoded copper-free click chemistry", ANGEWANDTE CHEMIE, INTERNATIONAL EDITION, vol. 50, no. 17, 18 April 2011 (2011-04-18), pages 3878-3881, XP055122424, Wiley-VCH Verlag, Weinhem, DE ISSN: 1433-7851, DOI: 10.1002/anie.201008178 compound 1	1-30
X	US 3 711 458 A (R. OLOFSON, ET AL.) 16 January 1973 (1973-01-16) example 5	6,8,9
X	US 5 216 023 A (P.N. LITERATI, ET AL.) 1 June 1993 (1993-06-01) examples 18,19,24,25,26	6-8,11
X	R. LEDGER, ET AL.: "The use of sequestering agents in the preparation of [epsilon]-Acyl-L-lysine and [delta]-Acyl-L-ornithine derivatives", AUSTRALIAN JOURNAL OF CHEMISTRY, vol. 18, no. 6, June 1965 (1965-06), pages 933-935, XP055170560, CSIRO Publishing, Clayton, Victoria, AU ISSN: 0004-9425, DOI: 10.1071/ch9650933 table 1, 3rd, 4th, 7th entries	6-9,11
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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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X	K. NODA, ET AL.: "Modified benzyloxycarbonyl groups for protection of [epsilon]-amino group of lysine", BULLETIN OF THE CHEMICAL SOCIETY OF JAPAN, vol. 43, no. 6, June 1970 (1970-06), pages 1883-1885, XP055170572, Japan Publications Trading, Tokyo, JP ISSN: 0009-2673 table 1	6,8,9
X	D. THEODOROPOULOS: "Synthesis of [epsilon]-peptides of lysine", JOURNAL OF ORGANIC CHEMISTRY, vol. 23, no. 1, January 1958 (1958-01), page 140, XP055170575, American Chemical Society, Washington, DC, US ISSN: 0022-3263, DOI: 10.1021/jo01095a636 page 140, right-hand column, lines 33-51	6,8
X	R. POPOVITZ-BIRO, ET AL.: "A new series of amphiphilic molecules forming stable Z-type (polar) Langmuir-Blodgett films", JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 112, no. 7, March 1990 (1990-03), pages 2498-2506, XP055170578, American Chemical Society, Washington, DC, US ISSN: 0002-7863, DOI: 10.1021/ja00163a005 table I; compounds 23, 24	6,7,11
X	US 4 512 979 A (A.A. PATCHETT, ET AL.) 23 April 1985 (1985-04-23) example 11; compound 5	1,4

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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	J.K. COWARD, ET AL.: "Analogs of S-adenosylhomocysteine as potential inhibitors of biological transmethylation. Synthesis and biological activity of homocysteine derivatives bridged to adenine", JOURNAL OF MEDICINAL CHEMISTRY, vol. 15, no. 4, April 1972 (1972-04), pages 381-384, XP055170583, ISSN: 0022-2623, DOI: 10.1021/jm00274a013 compounds 9a, 9b, 9c	1,2
X	A.M. KIMBONGUILA, ET AL.: "Allylic protection of thiols and cysteine: I: The allyloxycarbonylaminomethyl group", TETRAHEDRON, vol. 55, no. 22, 28 May 1999 (1999-05-28), pages 6931-6944, XP004165601, Elsevier Science Publishers, Amsterdam, NL ISSN: 0040-4020, DOI: 10.1016/s0040-4020(99)00322-1 compound 1	1,2
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X	H.Q. ZHANG, ET AL.: "Mechanism of inactivation of neuronal nitric oxide synthase by N[omega]-allyl-L-arginine", JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 119, no. 45, 12 November 1997 (1997-11-12), pages 10888-10902, XP055170600, American Chemical Society, Washington, DC, US ISSN: 0002-7863, DOI: 10.1021/ja964160f compound 2	1-30
X	H LINDLEY: "The preparation of compounds related to S-2-aminoethyl-L-cysteine", AUSTRALIAN JOURNAL OF CHEMISTRY, vol. 12, no. 2, February 1959 (1959-02), pages 296-298, XP055170696, CSIRO Publishing, Clayton, Victoria, AU ISSN: 0004-9425, DOI: 10.1071/ch9590296 page 297, lines 18-38	1,2

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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	M.A. JERMYN: "Carbobenzoxy derivatives of S-aminoalkyl-L-cysteines", AUSTRALIAN JOURNAL OF CHEMISTRY, vol. 19, no. 10, October 1966 (1966-10), pages 1999-2000, XP055170698, CSIRO Publishing, Clayton, Victoria, AU ISSN: 0004-9425, DOI: 10.1071/ch9661999 page 2000, lines 16-19	1,2
X	S.G. SPANTON, ET AL.: "Chemical defence and self-defence: Biochemical transformations of contact insecticides produced by soldier termites", TETRAHEDRON, vol. 38, no. 13, June 1982 (1982-06), pages 1921-1930, XP055170714, Elsevier Science Publishers, Amsterdam, NL ISSN: 0040-4020, DOI: 10.1016/0040-4020(82)80041-0 compound 16a	1,2
X	N. NISHINO, ET AL.: "TANDEM ENZYMATIC RESOLUTION YIELDING L-ALPHA-AMINOALKANEDIOIC ACID OMEJGA-ESTERS", CHEMICAL AND PHARMACEUTICAL BULLETIN, vol. 44, no. 1, January 1996 (1996-01), pages 212-214, XP002299809, Pharmaceutical Society of Japan, Tokyo, JP ISSN: 0009-2363 compounds 2d, 2f	17
X,P	WO 2014/036492 A1 (SUTRO BIOPHARMA) 6 March 2014 (2014-03-06) claims 1, 14, 15; example 5; compound 40	6,8,11, 23,24,30

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/IB2014/002505

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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