<110> Protagonist Therapeutics, Inc.
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Bhandari, Ashok
Mattheakis, Larry C.

<120> NOVEL a4B7 PEPTIDE DIMER ANTAGONISTS

<130> 18595.9

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<141> 2013-10-11

<150> US 14/050,349
<151> 2013-10-10

<150> US 61/807,714
<151> 2012-10-11

<150> US 61/712,722
<151> 2013-04-02

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<170> PatentIn for Windows Version 3.5

<210> 1
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<221> VARIANT
<222> (1)...(1)
<223> Xaa = absent, hydrogen, Ac, Gln, Asn, Asp, Pro, Gly, His, Ala, Ile, Phe, Lys, Arg, Asn, Glu, Leu, Val, Tye, Trp, Met, Thr, suitable isosters, and corresponding D-amino acids at position 1

<221> VARIANT
<222> (1)...(1)
<223> Xaa = a linker moiety selected from the group consisting of DIG, DIG-OH, PEG13, PEG25, PEG1K, PEG2K, PEG3.4K, PEG4K, PEG5K, IDA, IDA-Palm, IDA-Boc, IDA-Isovaleric acid, Triazine, Triazine-Boc, and Isophthalic acid at position 1

<221> VARIANT
<222> (1)...(1)
<223> Xaa = a linker moiety selected from the group consisting of 1,3-phenylenediacetic acid, 1,4-phenylenediacetic acid, glutaric acid, Azelaic acid, Pimelic acid, Dodecanedioic acid, suitable aliphatics, and suitable aromatics at position 1

<221> VARIANT
<222> (1)...(1)
<223> Xaa = a linker moiety selected from the group consisting of heteroaromatics, and polyethylene glycols having with a molecular weight from approximately 400Da to approximately 40,000Da at position 1

<221> VARIANT
<222> (2)...(2)
<223> Xaa = absent, Ac, Xaa2 is NH2, or Xaa2 is selected from the group consisting of Gln, Asn, Asp, Pro, Gly, His, Ala, Ile, Phe, Lys, Arg, Asn, Glu, Leu, Val, Tye, Trp, Met, Thr, and corresponding D-amino acids at position 2

<221> VARIANT
<222> (2)...(2)
<223> Xaa = a linker moiety selected from the group consisting of DIG, DIG-OH, PEG13, PEG25, PEG1K, PEG2K, PEG3.4K, PEG4K, PEG5K, IDA, IDA-Palm, IDA-Boc, IDA-Isovaleric acid, Triazine, Triazine-Boc, and Isophthalic acid at position 2

<221> VARIANT
<222> (2)...(2)
<223> Xaa = a linker moiety selected from the group consisting of 1,3-phenylenediacetic acid, 1,4-phenylenediacetic acid, glutaric acid, Azelaic acid, Pimelic acid, Dodecanedioic acid, suitable aliphatics, and suitable aromatics at position 2

<221> VARIANT
<222> (2)...(2)
<223> Xaa = a linker moiety selected from the group consisting of heteroaromatics, and polyethylene glycols having with a molecular weight from approximately 400Da to approximately 40,000kDa at position 2

<221> VARIANT
<222> (3)...(3)
<223> Xaa = absent, Xaa3 is Ac-, Xaa3 is NH2, or Xaa3 is selected from the group consisting of an Gln, Asn, Asp, Pro, Gly, His, Ala, Ile, Phe, Lys, Arg, Asn, Glu, Leu, Val, Tye, Trp, Met and Thr, and corresponding D-amino acids at position 3

<221> VARIANT
<222> (3)...(3)
<223> Xaa = a linker moiety selected from the group consisting of DIG, DIG-OH, PEG13, PEG25, PEG1K, PEG2K, PEG3.4K, PEG4K, PEG5K, IDA, IDA-Palm, IDA-Boc, IDA-Isovaleric acid, Triazine, Triazine-Boc, and Isophthalic acid at position 3

<221> VARIANT
<222> (3)...(3)
<223> Xaa = a linker moiety selected from the group consisting of 1,3-phenylenediacetic acid, 1,4-phenylenediacetic acid, glutaric acid, Azelaic acid, Pimelic acid, Dodecanedioic acid, suitable aliphatics, and suitable aromatics at position 3

<221> VARIANT
<222> (3)...(3)
<223> Xaa = a linker moiety selected from the group consisting of heteroaromatics, and polyethylene glycols having with a molecular weight from approximately 400Da to approximately 40,000kDa at position 3

<221> VARIANT
<222> (4)...(4)

<223> Xaa = Cys, Pen, Asp, Glu, hGlu, Asp, Glu, Lys, homo-Lys, Orn, Dap, Dab, and suitable isosteres at position 4

<221> VARIANT
<222> (5)...(5)
<223> Xaa = Gln, Asn, Asp, Pro, Gly, His, Ala, Ile, Phe, Lys, Arg, Asn, Glu, Leu, Val, Tye, Trp, Met, Thr, homo-Arg, Dap, Dab, N-Me-Arg, Arg-(Me)sym, Arg-(me)asym, 4-Guan, Cit, Cav, and suitable isosteres at position 5

<221> VARIANT
<222> (6)...(6)
<223> Xaa = Ser, Gln, Asn, Asp, Pro, Gly, His, Ala, Ile, Phe , Lys, Arg, Asn, Glu, Leu, Val, Tye, Trp, Met, and suitable isosteres at position 6

<221> VARIANT
<222> (7)...(7)
<223> Xaa = Asp, N-Me-Asp, and suitable isosteres at position 7

<221> VARIANT
<222> (8)...(8)
<223> Xaa = Thr, Gln, Ser, Asn, Asp, Pro, Gly, His, Ala, Ile, Phe, Lys, Arg, Asn, Glu, Val, Tye, Trp, Met, and N-Methyl amino acids including N-Me-Thr at position 8

<221> VARIANT
<222> (9)...(9)
<223> Xaa = Gln, Asn, Asp, Pro, Gly, Ala, Phe, Leu, Asn, Glu, Val, homo-Leu, n-Butyl Ala, n-Pentyl Ala, n-Hexyl Ala, N-Me-Leu, and suitable isostere at position 9

<221> VARIANT
<222> (10)...(10)
<223> Xaa = Cys, Asp, Pen, Lys, homo-Lys, Orn, Glu, Asp, Glu, Dap, and Dab at position 10

<221> VARIANT
<222> (11)...(11)
<223> Xaa = Gly, Gln, Asn, Asp, Ala, Ile, Leu, Val, Met, Thr, Lys, Trp, Tyr, CONH2, His, Glu, Ser, Arg, Pro, Phe, Sar, 1Nal, 2Nal, hPhe, Phe(4-F), O-Me-Tyr, dihydro-Trp, Dap, Dab, Dab(Ac), Orn, D-Orn, N-Me-Orn, N-Me-Dap, D-Dap, and D-Dab Bip at position 11

<221> VARIANT
<222> (11)...(11)
<223> Xaa = Ala(3,3diphenyl), Biphenyl-Ala, aromatic ring substituted Phe, aromatic ring substituted Trp, aromatic ring substituted His, hetero aromatic amino acids, N-Me-Lys, N-Me-Lys(Ac), and 4-Me-Phe at position 11

<221> VARIANT
<222> (11)...(11)
<223> Xaa = suitable isosteres, and corresponding D-amino acids at position 11

<221> VARIANT
<222> (12)...(12)

<223> Xaa = absent, Glu, Amide, Lys, COOH, CONH2, Gln, Pro, Gly, His, Ala, Ile, Phe, Lys, Arg, Leu, Val, Tye, Trp, Met, Gla, Ser, Asn, Dap, Dab, Orn, D-Orn, and N-Me-Orn at position 12

<221> VARIANT
<222> (12)...(12)
<223> Xaa = N-Me-Dap, N-Me-Dab, N-Me Lys, D-Dap, D-Dab, suitable isosteres, and corresponding D-amino acids at postion 12

<221> VARIANT
<222> (13)...(13)
<223> Xaa = absent, Xaa13 is Ac, or Xaa13 is selected from the group consisting of Gln, Pro, Gly, His, Ala, Ile, Phe, Lys, Arg, Leu, Val, Tye, Trp, Met, Glu, Gla, Ser, Asn, Dap, Dab, Orn, D-Orn, N-Me-Orn, N-Me-Dap, and N-Me-Dab at position 13

<221> VARIANT
<222> (13)...(13)
<223> Xaa = N-Me Lys, D-Dap, D-Dab, COOH, CONH2, suitable isosteres, and corresponding D-amino acids at position 13

<221> VARIANT
<222> (13)...(13)
<223> Xaa = a linker moiety selected from the group consisting of DIG, DIG-OH, PEG13, PEG25, PEG1K, PEG2K, PEG3.4K, PEG4K, PEG5K, IDA, IDA-Palm, IDA-Boc, IDA-Isovaleric acid, Triazine, Triazine-Boc, and Isophthalic acid at position 13

<221> VARIANT
<222> (13)...(13)
<223> Xaa = a linker moiety selected from the group consisting of 1,3-phenylenediacetic acid, 1,4-phenylenediacetic acid, glutaric acid, Azelaic acid, Pimelic acid, Dodecanedioic acid, suitable aliphatics, and suitable aromatics at position 13

<221> VARIANT
<222> (13)...(13)
<223> Xaa = a linker moiety selected from the group consisting of heteroaromatics, and polyethylene glycols having with a molecular weight from approximately 400Da to approximately 40,000kDa at position 13

<221> VARIANT
<222> (14)...(14)
<223> Xaa = absent, a natural amino acid, COOH, CONH2, suitable isosteres, corresponding D-amino acids, and corresponding N-Methyl amino acids at position 14

<221> VARIANT
<222> (14)...(14)
<223> Xaa = a linker moiety selected from the group consisting of DIG, DIG-OH, PEG13, PEG25, PEG1K, PEG2K, PEG3.4K, PEG4K, PEG5K, IDA, IDA-Palm, IDA-Boc, IDA-Isovaleric acid, Triazine, Triazine-Boc, and Isophthalic acid at position 14

<221> VARIANT
<222> (14)...(14)

<223> Xaa = a linker moiety selected from the group consisting of 1,3-phenylenediacetic acid, 1,4-phenylenediacetic acid, glutaric acid, Azelaic acid, Pimelic acid, Dodecanedioic acid, suitable aliphatics, and suitable aromatics at position 14

<221> VARIANT
<222> (14)...(14)
<223> Xaa = a linker moiety selected from the group consisting of heteroaromatics, and polyethylene glycols having with a molecular weight from approximately 400Da to approximately 40,000kDa at position 14

<221> VARIANT
<222> (15)...(15)
<223> Xaa = absent, and a linker moiety selected from the group consisting of DIG, DIG-OH, PEG13, PEG25, PEG1K, PEG2K, PEG3.4K, PEG4K, PEG5K, IDA, IDA-Palm, IDA-Boc, IDA-Isovaleric acid, Triazine, Triazine-Boc, and Isophthalic acid at position 15

<221> VARIANT
<222> (15)...(15)
<223> Xaa = a linker moiety selected from the group consisting of 1,3-phenylenediacetic acid, 1,4-phenylenediacetic acid, glutaric acid, Azelaic acid, Pimelic acid, Dodecanedioic acid, suitable aliphatics, and suitable aromatics at position 15

<221> VARIANT
<222> (15)...(15)
<223> Xaa = a linker moiety selected from the group consisting of heteroaromatics, and polyethylene glycols having with a molecular weight from approximately 400Da to approximately 40,000kDa at position 15

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<211> 9
<212> PRT
<213> a4B7 antagonist peptide

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<222> (1)...(1)
<223> Xaa = Cys, Pen, Asp, Glu, hGlu, Asp, Glu, Lys, homo-Lys, Orn, Dap, Dab, and correspomnding isoesteres at position 1

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<221> VARIANT
<222> (2)...(2)
<223> Xaa = Gln, Asn, Asp, Pro, Gly, His, Ala, Ile, Phe, Lys, Arg, Asn, Glu, Leu, Val, Tye, Trp, Met, Thr, homo-Arg, Dap, Dab, N-Me-Arg, Arg-(Me)sym, Arg-(me)asym, 4-Guan, Cit, Cav, and suitable isosteres at position 2

<220>
<221> VARIANT

<222> (3)...(3)
<223> Xaa = Ser, Gln, Asn, Asp, Pro, Gly, His, Ala, Ile, Phe, Lys, Arg, Asn, Glu, Leu, Val, Tye, Trp, Met, and suitable isosteres at position 3

<220>
<221> VARIANT
<222> (4)...(4)
<223> Xaa = Asp, N-Me-Asp, and suitable isosteres at position 4

<220>
<221> VARIANT
<222> (5)...(5)
<223> Xaa = Thr, Gln, Ser, Asn, Asp, Pro, Gly, His, Ala, Ile, Phe, Lys, Arg, Asn, Glu, Val, Tye, Trp, Met, N-Methyl amino acids, N-Me-Thr, and suitable isosteres at position 5

<220>
<221> VARIANT
<222> (6)...(6)
<223> Xaa = Gln, Asn, Asp, Pro, Gly, Ala, Phe, Leu, Asn, Glu, Val, homo-Leu, n-Butyl Ala, n-Pentyl Ala, n-Hexyl Ala, N-Me-Leu, and suitable isosteres at position 6

<220>
<221> VARIANT
<222> (7)...(7)
<223> Xaa = Cys, Asp, Pen, Lys, homo-Lys, Orn, Glu, Asp, Glu, Dap, Dab, and suitable isosteres at position 7

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<221> VARIANT
<222> (8)...(8)
<223> Xaa = Gly, Gln, Asn, Asp, Ala, Ile, Leu, Val, Met, Thr, Lys, Trp, Tyr, CONH2, His, Glu, Ser, Arg, Pro, Phe, Sar, 1Nal, 2Nal, hPhe, Phe(4-F), O-Me-Tyr, dihydro-Trp, Dap, Dab, Dab(Ac), Orn, D-Orn, N-Me-Orn, N-Me-Dap, and D-Dap at postion 8

<220>
<221> VARIANT
<222> (8)...(8)
<223> Xaa = D-Dab Bip, Ala(3,3diphenyl), Biphenyl-Ala, aromatic ring substituted Phe, aromatic ring substituted Trp, aromatic ring substituted His, hetero aromatic amino acids, N-Me-Lys, N-Me-Lys(Ac), and 4-Me-Phe at position 8

<220>
<221> VARIANT
<222> (8)...(8)
<223> Xaa = suitable isosteres, and corresponding D-amino acids at position 8

<220>
<221> VARIANT
<222> (9)...(9)
<223> Xaa = absent, Glu, Amide, Lys, COOH, CONH2, Gln, Pro, Gly, His, Ala, Ile, Phe, Lys, Arg, Leu, Val, Tye, Trp, Met, Gla, Ser, Asn, Dap, Dab, and Orn at position 9

<220>
<221> VARIANT
<222> (9)...(9)
<223> Xaa = D-Orn, N-Me-Orn, N-Me-Dap, N-Me-Dab, N-Me Lys, D-Dap, D-Dab, suitable isosteres, and corresponding D-amino acids at postion 9

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<222> (5)...(5)
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<223> Xaa = any amino acid at position 8

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<223> Xaa = any amino acid at position 9

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<223> Xaa = any amino acid at position 8

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<223> Xaa = any amino acid at position 9

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<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Lys at position 1

<400> 46
Xaa Cys Arg Ser Asp Thr Leu Cys
1 5

<210> 47
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<400> 47
Lys Cys Arg Ser Asp Thr Leu Cys Gly Glu
1 5 10

<210> 48
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Lys at position 1

<400> 48
Xaa Cys Arg Ser Asp Thr Leu Cys Gly Glu
1 5 10

<210> 49
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<400> 49
Cys N-Me-Arg Ser Asp Thr Leu Cys Gly Glu
1 5

<210> 50
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<400> 50
Cys Arg-Me-sym Ser Asp Thr Leu Cys Gly Glu
1 5

<210> 51
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<400> 51
Cys Arg-Me-asym Ser Asp Thr Leu Cys Gly Glu
1 5

<210> 52
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<400> 52
Cys Dap Ser Asp Thr Leu Cys Gly Glu
1 5

<210> 53
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<400> 53
Cys Dab Ser Asp Thr Leu Cys Gly Glu
1 5

<210> 54
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<400> 54
Cys His Ser Asp Thr Leu Cys Gly Glu
1 5

<210> 55
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<400> 55
Cys Cit Ser Asp Thr Leu Cys Gly Glu
1 5

<210> 56
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<400> 56
Cys Cav Ser Asp Thr Leu Cys Gly Glu
1 5

<210> 57
<211> 7
<212> PRT
<213> a4B7 antagonist peptide

<400> 57
Cys N-Me-Arg Ser Asp Thr Leu Cys
1 5

<210> 58
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<400> 58
Cys N-Me-Arg Ser Asp Thr Leu Cys Dap
1 5

<210> 59
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 59
Xaa N-Me-Arg Ser Asp Thr Leu Cys Dap
1 5

<210> 60
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<400> 60
Cys N-Me-Arg Ser Asp Thr Leu Cys Dab
1 5

<210> 61
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 61
Xaa N-Me-Arg Ser Asp Thr Leu Cys Dab
1 5

<210> 62
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<400> 62
Cys N-Me-Arg Ser Asp Thr Leu Cys N-Me-Lys
1 5

<210> 63
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 63
Xaa N-Me-Arg Ser Asp Thr Leu Cys N-Me-Lys
1 5

<210> 64
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<400> 64
Cys N-Me-Arg Ser Asp Thr Leu Cys Lys
1 5

<210> 65
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 65

Xaa N-Me-Arg Ser Asp Thr Leu Cys Lys
1 5

<210> 66
<211> 7
<212> PRT
<213> a4B7 antagonist peptide

<400> 66
Cys N-Me-Arg Ser Asp Thr Leu Cys
1 5

<210> 67
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<400> 67
Cys N-Me-Arg Ser Asp Thr Leu Cys Gly Glu Lys
1 5 10

<210> 68
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<220>
<221> VARIANT
<222> (10)...(10)
<223> Xaa = Lys-OH at position 10

<400> 68
Xaa N-Me-Arg Ser Asp Thr Leu Cys Gly Glu Xaa
1 5 10

<210> 69
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<220>
<221> VARIANT
<222> (8)...(8)
<223> Xaa = Lys-OH at position 8

<400> 69
Xaa N-Me-Arg Ser Asp Thr Leu Cys Xaa

1 5

<210> 70
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<400> 70
Cys N-Me-Arg Ser Asp Thr Leu Cys Gly Glu N-Me-Lys
1 5 10

<210> 71
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 71
Xaa N-Me-Arg Ser Asp Thr Leu Cys Gly Glu N-Me-Lys
1 5 10

<210> 72
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<400> 72
Cys His Ser Asp N-Me-Thr Leu Cys Gly Glu
1 5

<210> 73
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<400> 73
Cys His Ser Asp Thr N-Me-Leu Cys Gly Glu
1 5

<210> 74
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<400> 74
Cys Arg Ser Asp Thr Leu Cys Sar Glu Lys
1 5 10

<210> 75
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>

<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 75
Xaa N-Me-Arg Ser Asp Thr Leu Cys Gly Glu dLys
1 5 10

<210> 76
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 76
Xaa N-Me-Arg Ser Asp Thr Leu Cys Gly Glu Dab
1 5 10

<210> 77
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (10)...(10)
<223> Xaa = Dab(trifluorobutyl) at osition 10
<400> 77
Cys N-Me-Arg Ser Asp Thr Leu Cys Gly Glu Xaa
1 5 10

<210> 78
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (10)...(10)
<223> Xaa = Dab(2-Me-trifluorobutyl) at osition 10

<400> 78
Cys N-Me-Arg Ser Asp Thr Leu Cys Gly Glu Xaa
1 5 10

<210> 79
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (10)...(10)
<223> Xaa = Dab(trifluorpentyl) at 10th position

<400> 79
Cys N-Me-Arg Ser Asp Thr Leu Cys Gly Glu Xaa
1 5 10

<210> 80
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (10)...(10)
<223> Xaa = Dab(Acetyl) at 10th position
<400> 80
Cys N-Me-Arg Ser Asp Thr Leu Cys Gly Glu Xaa
1 5 10

<210> 81
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (10)...(10)
<223> Xaa = Dab(Octonyl) at osition 10

<400> 81
Cys N-Me-Arg Ser Asp Thr Leu Cys Gly Glu Xaa
1 5 10

<210> 82
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<400> 82
Cys N-Me-Arg Ser Asp Thr Leu Pen dLys
1 5

<210> 83
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 83
Xaa N-Me-Arg Ser Asp Thr Leu Pen dLys
1 5

<210> 84
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated dLys at position 1

<400> 84
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 85
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 85
Xaa N-Me-Arg Ser Asp Thr Leu Pen Trp dLys
1 5

<210> 86
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 86
Xaa N-Me-Arg Ser Asp Thr Leu Pen Tyr dLys
1 5

<210> 87
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = NH2-Arg at position 1

<400> 87
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 88
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = NH2-Leu at position 1

<400> 88
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 89
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N(a)-Acetyl Lys at position 1

<400> 89
Xaa Leu Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 90
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = NH2-His at position 1

<400> 90
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 91
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N(a)-Acetyl Lys at position 1

<400> 91
Xaa His Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 92
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT

<222> (1)...(1)
<223> Xaa = NH2-Glu at position 1

<400> 92
Xaa Leu Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 93
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N(a)-Acetyl Lys at position 1

<400> 93
Xaa Glu Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 94
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N(a)-Acetyl Lys at position 1

<400> 94
Xaa Trp Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 95
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = NH-Pro at position 1

<400> 95
Xaa Pro Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 96
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N(a)-Acetyl Lys at position 1

<400> 96
Xaa Pro Cys N-Me-Arg er Asp Thr Leu Pen
1 5

<210> 97
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N(a)-Acetyl Lys at position 1

<400> 97
Xaa Ser Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 98
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N(a)-Acetyl Lys at position 1

<400> 98
Xaa Asn Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 99
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N(a)-Acetyl Lys at position 1

<400> 99
Xaa Tyr Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 100
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 100
Xaa N-Me-Arg Ser Asp Thr Leu Pen Leu dLys
1 5

<210> 101
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 101
Xaa N-Me-Arg Ser Asp Thr Leu Pen His dLys
1 5

<210> 102
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 102
Xaa N-Me-Arg Ser Asp Thr Leu Pen Glu dLys
1 5

<210> 103
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 103
Xaa N-Me-Arg Ser Asp Thr Leu Pen Tyr Lys
1 5

<210> 104
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 104

Xaa N-Me-Arg Ser Asp Thr Leu Pen Trp Lys
1 5

<210> 105
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 105
Xaa N-Me-Arg Ser Asp Thr Leu Pen Arg dLys
1 5

<210> 106
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 106
Xaa N-Me-Arg Ser Asp Thr Leu Pen Pro dLys
1 5

<210> 107
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 107
Xaa N-Me-Arg Ser Asp Thr Leu Pen Ser dLys
1 5

<210> 108
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acylated Cys at position 1

<400> 108
Xaa N-Me-Arg Ser Asp Thr Leu Pen Asn dLys
1 5

<210> 109
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Arg at position 1

<400> 109
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen 1-Nal dLys
1 5 10

<210> 110
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Glu at position 1

<400> 110
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen 1-Nal dLys
1 5 10

<210> 111
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Arg at position 1

<400> 111
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen Trp dLys
1 5 10

<210> 112
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Glu at position 1

<400> 112
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen Trp dLys
1 5 10

<210> 113

<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N(a)-Acetylated Arg at position 1

<400> 113
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen Tyr dLys
1 5 10

<210> 114
<211> 10
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Glu at position 1

<400> 114
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen Tyr dLys
1 5 10

<210> 115
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = NH2-Tyr at position 1

<400> 115
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 116
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 116
Xaa N-Me-Arg Ser Asp Thr Leu Pen 1-Nal dLys
1 5

<210> 117
<211> 9
<212> PRT

<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 117
Xaa N-Me-Arg Ser Asp Thr Leu Pen His Lys
1 5

<210> 118
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Pen at position 1

<400> 118
Xaa N-Me-Arg Ser Asp Thr Leu Pen His dLys
1 5

<210> 119
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Pen at position 1

<400> 119
Xaa N-Me-Arg Ser Asp Thr Leu Pen Tyr dLys
1 5

<210> 120
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Pen at position 1

<400> 120
Xaa N-Me-Arg Ser Asp Thr Leu Pen Trp dLys
1 5

<210> 121
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Pen at position 1

<400> 121
Xaa N-Me-Arg Ser Asp Thr Leu Pen dLys
1 5

<210> 122
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 122
Xaa N-Me-Arg Ser Asp Thr Leu Pen dLys
1 5

<210> 123
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Pen at position 1

<400> 123
Xaa N-Me-Arg Ser Asp Thr Leu Cys dLys
1 5

<210> 124
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 124
Xaa N-Me-Arg Ser Asp Thr Leu Pen Phe dLys
1 5

<210> 125
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT

<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 125
Xaa N-Me-Arg Ser Asp Thr Leu Pen dPhe dLys
1 5

<210> 126
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 126
Xaa N-Me-Arg Ser Asp Thr Leu Pen 2-Nal dLys
1 5

<210> 127
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 127
Xaa N-Me-Arg Ser Asp Thr Leu Pen hPhe dLys
1 5

<210> 128
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 128
Xaa N-Me-Arg Ser Asp Thr Leu Pen Bip dLys
1 5

<210> 129
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 129
Xaa N-Me-Arg Ser Asp Thr Leu Pen Phe(4-F) dLys
1 5

<210> 130
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 130
Xaa N-Me-Arg Ser Asp Thr Leu Pen Tyr(OMe) dLys
1 5

<210> 131
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 131
Xaa N-Me-Arg Ser Asp Thr Leu Pen Ala(3,3 biphenyl) dLys
1 5

<210> 132
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 132
Xaa N-Me-Arg Ser Asp Thr Leu Pen Trp(di-hydro) dLys
1 5

<210> 133
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 133

Xaa N-Me-Arg Ser Asp Thr Leu Pen dTrp(di-hydro) dLys
1 5

<210> 134
<211> 9
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = N-Acetylated Cys at position 1

<400> 134
Xaa N-Me-Arg Ser Asp Thr Leu Pen Phe(4-Me) dLys
1 5

<210> 135
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = NH2-Ser at position 1

<400> 135
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5

<210> 136
<211> 8
<212> PRT
<213> a4B7 antagonist peptide

<220>
<221> VARIANT
<222> (1)...(1)
<223> Xaa = NH2-Ser at position 1

<400> 136
Xaa Cys N-Me-Arg Ser Asp Thr Leu Pen
1 5