

SEQUENCE LISTING

<110> Sun Pharmaceutical Industries Limited

<120> LONG ACTING GLP-1/GIP DUAL AGONISTS

<130> GLP-GIP

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<151> 2020-06-22

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<151> 2021-01-20

<160> 13

<170> PatentIn version 3.5

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<212> PRT
<213> Artificial Sequence

<220>
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<220>
<221> MISC_FEATURE
<223> The acid group of the C terminal amino acid is a free carboxylic acid or is amidated as C-terminal primary amide

<220>
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<223> at least one of X3 and X5 is Lys

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<222> (2)..(2)
<223> Xaa = Aib (2-Aminoisobutyric acid), Ser(OMe) or D-Ser(OMe)

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<222> (13)..(13)
<223> Xaa = Tyr, Ser(OMe), D-Ser(OMe) or Aib (2-Aminoisobutyric acid)

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<222> (15)..(15)
<223> Xaa = Asp or Glu

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<222> (19)..(19)

<223> Xaa = Gln or Ala

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<222> (20)..(20)

<223> Xaa = Gln or Lys; wherein, when X3 is Lys, the side chain amino (Epsilon amino) group of Lys is substituted

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<222> (21)..(21)

<223> Xaa = Ala or Glu

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<222> (24)..(24)

<223> Xaa = Gln or Asn

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<222> (27)..(27)

<223> Xaa = Leu, Ile or Glu

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<222> (40)..(40)

<223> Xaa = absent, Arg or Lys; wherein, when X5 is Lys, the side chain amino (Epsilon amino) group of Lys is substituted

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<222> (41)..(41)

<223> Xaa = absent or Lys

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<223> Xaa = absent or Lys

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<223> Xaa = absent or Lys

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<223> Xaa = absent or Lys

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Tyr Xaa Glu Gly Thr Phe Thr Ser Asp Tyr Ser Ile Xaa Leu Xaa Lys
1 5 10 15

Ile Ala Xaa Xaa Xaa Phe Val Xaa Trp Leu Xaa Ala Gly Gly Pro Ser
20 25 30

Ser Gly Ala Pro Pro Pro Ser Xaa Xaa Xaa Xaa Xaa Xaa Xaa
35 40 45

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<223> Xaa = Aib (2-Aminoisobutyric acid)

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<222> (13)..(13)
<223> Xaa = Ser(OMe)

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<222> (20)..(20)
<223> Xaa = Lys, wherein the side chain amino (Epsilon amino) group of Lys is substituted

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<223> Xaa = Ile or Glu

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<400> 2

Tyr	Xaa	Glu	Gly	Thr	Phe	Thr	Ser	Asp	Tyr	Ser	Ile	Xaa	Leu	Asp	Lys
1				5					10					15	

Ile	Ala	Gln	Xaa	Ala	Phe	Val	Gln	Trp	Leu	Xaa	Ala	Gly	Gly	Pro	Ser
			20					25					30		

Ser	Gly	Ala	Pro	Pro	Pro	Ser	Xaa	Xaa	Xaa	Xaa	Xaa	Xaa	Xaa
		35					40					45	

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<223> The acid group of the C terminal amino acid is is a free carboxylic acid or is amidated as C-terminal primary amide

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<222> (2)..(2)

<223> Xaa = Aib (2-Aminoisobutyric acid)

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<222> (13)..(13)

<223> Xaa = Ser(OMe) or Aib (2-Aminoisobutyric acid)

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<222> (20)..(20)

<223> Xaa = Lys, wherein the side chain amino (Epsilon amino) group of Lys is substituted

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<222> (27)..(27)

<223> Xaa = Ile or Glu

<400> 3

Tyr	Xaa	Glu	Gly	Thr	Phe	Thr	Ser	Asp	Tyr	Ser	Ile	Xaa	Leu	Asp	Lys
1				5					10					15	

Ile	Ala	Gln	Xaa	Ala	Phe	Val	Gln	Trp	Leu	Xaa	Ala	Gly	Gly	Pro	Ser
		20					25					30			

Ser	Gly	Ala	Pro	Pro	Pro	Ser
		35				

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<223> the acid group of the C terminal amino acid is a free carboxylic acid or is amidated as C-terminal primary amide

<400> 4

Tyr Xaa Glu Gly Thr Phe Thr Ser Asp Tyr Ser Ile Xaa Leu Asp Lys
1 5 10 15

Ile Ala Gln Lys Ala Phe Val Gln Trp Leu Ile Ala Gly Gly Pro Ser
20 25 30

Ser Gly Ala Pro Pro Pro Ser
35

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<223> Xaa = Aib (2-Aminoisobutyric acid)

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<222> (20)..(20)
<223> Side chain amino (Epsilon amino) group of Lys is substituted

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<222> (39)..(39)
<223> Ser at position 39 is amidated as a C-terminal primary amide

<400> 5

Tyr Xaa Glu Gly Thr Phe Thr Ser Asp Tyr Ser Ile Xaa Leu Asp Lys
1 5 10 15

Ile Ala Gln Lys Ala Phe Val Gln Trp Leu Ile Ala Gly Gly Pro Ser
20 25 30

Ser Gly Ala Pro Pro Pro Ser
35

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<222> (2)..(2)
<223> Xaa = D-Ser(OMe)

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<223> Xaa = Aib (2-Aminoisobutyric acid)

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<222> (20)..(20)
<223> Side chain amino (Epsilon amino) group of Lys is substituted

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<222> (39)..(39)
<223> Ser at position 39 is amidated as a C-terminal primary amide

<400> 6

Tyr Xaa Glu Gly Thr Phe Thr Ser Asp Tyr Ser Ile Xaa Leu Asp Lys
1 5 10 15

Ile Ala Gln Lys Ala Phe Val Gln Trp Leu Ile Ala Gly Gly Pro Ser
20 25 30

Ser Gly Ala Pro Pro Pro Ser
35

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<222> (13)..(13)
<223> Xaa = Aib (2-Aminoisobutyric acid)

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<222> (20)..(20)
<223> Side chain amino (Epsilon amino) group of Lys is substituted

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<222> (39)..(39)
<223> Ser at position 39 is amidated as a C-terminal primary amide

<400> 7

Tyr Xaa Glu Gly Thr Phe Thr Ser Asp Tyr Ser Ile Xaa Leu Asp Lys
1 5 10 15

Ile Ala Gln Lys Ala Phe Val Gln Trp Leu Ile Ala Gly Gly Pro Ser
20 25 30

Ser Gly Ala Pro Pro Pro Ser
35

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<222> (1)..(1)
<223> Xaa = D-Tyr (OEt)

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<222> (2)..(2)
<223> Xaa = Aib (2-Aminoisobutyric acid)

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<222> (13)..(13)
<223> Xaa = Aib (2-Aminoisobutyric acid)

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<222> (20)..(20)
<223> Side chain amino (Epsilon amino) group of Lys is substituted

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<222> (39)..(39)
<223> Ser at position 39 is amidated as a C-terminal primary amide

<400> 8

Xaa	Xaa	Glu	Gly	Thr	Phe	Thr	Ser	Asp	Tyr	Ser	Ile	Xaa	Leu	Asp	Lys
1				5					10					15	

Ile	Ala	Gln	Lys	Ala	Phe	Val	Gln	Trp	Leu	Ile	Ala	Gly	Gly	Pro	Ser
			20					25					30		

Ser	Gly	Ala	Pro	Pro	Pro	Ser
						35

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<222> (2)..(2)
<223> Xaa = Aib (2-Aminoisobutyric acid)

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<222> (13)..(13)
<223> Xaa = D-Ser (OMe)

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<222> (20)..(20)
<223> Side chain amino (Epsilon amino) group of Lys is substituted

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<222> (39)..(39)
<223> Ser at position 39 is amidated as a C-terminal primary amide

<400> 9

Tyr	Xaa	Glu	Gly	Thr	Phe	Thr	Ser	Asp	Tyr	Ser	Ile	Xaa	Leu	Asp	Lys
1				5					10					15	

Ile	Ala	Gln	Lys	Ala	Phe	Val	Gln	Trp	Leu	Ile	Ala	Gly	Gly	Pro	Ser
			20					25					30		

Ser	Gly	Ala	Pro	Pro	Pro	Ser
			35			

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<222> (13)..(13)
 <223> Xaa = Ser(OMe)

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 <222> (20)..(20)
 <223> Side chain amino (Epsilon amino) group of Lys is substituted

 <220>
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 <222> (39)..(39)
 <223> Ser at position 39 is amidated as a C-terminal primary amide

 <400> 10

Tyr Xaa Glu Gly Thr Phe Thr Ser Asp Tyr Ser Ile Xaa Leu Asp Lys
 1 5 10 15

Ile Ala Gln Lys Ala Phe Val Gln Trp Leu Ile Ala Gly Gly Pro Ser
 20 25 30

Ser Gly Ala Pro Pro Pro Ser
 35

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 <222> (2)..(2)
 <223> Xaa = Aib (2-Aminoisobutyric acid)

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 <222> (13)..(13)
 <223> Xaa = Aib (2-Aminoisobutyric acid)

<220>
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 <222> (20)..(20)
 <223> Side chain amino (Epsilon amino) group of Lys is substituted

 <400> 11

Tyr Xaa Glu Gly Thr Phe Thr Ser Asp Tyr Ser Ile Xaa Leu Asp Lys

1	5	10	15
Ile	Ala	Gln	Lys
			20
Ala	Phe	Val	Gln
			25
Trp	Leu	Ile	Ala
			Gly
			30
Gly	Pro	Ser	

Ser	Gly	Ala	Pro	Pro	Pro	Ser	Arg
			35				40

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 <222> (40)..(40)
 <223> Side chain amino (Epsilon amino) group of Lys is substituted

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 <222> (40)..(40)
 <223> Lys at position 40 is amidated as a C-terminal primary amide

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Tyr	Xaa	Glu	Gly	Thr	Phe	Thr	Ser	Asp	Tyr	Ser	Ile	Tyr	Leu	Glu	Lys
1				5				10					15		

Ile	Ala	Ala	Gln	Glu	Phe	Val	Asn	Trp	Leu	Leu	Ala	Gly	Gly	Pro	Ser
			20					25					30		

Ser	Gly	Ala	Pro	Pro	Pro	Ser	Lys
			35				40

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<223> Xaa = Ser (OMe)

<220>
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<222> (40)..(40)
<223> Side chain amino (Epsilon amino) group of Lys is substituted

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<222> (40)..(40)
<223> Lys at position 40 is amidated as a C-terminal primary amide

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Tyr	Xaa	Glu	Gly	Thr	Phe	Thr	Ser	Asp	Tyr	Ser	Ile	Xaa	Leu	Glu	Lys
1				5					10					15	

Ile	Ala	Ala	Gln	Glu	Phe	Val	Asn	Trp	Leu	Leu	Ala	Gly	Gly	Pro	Ser
			20					25					30		

Ser	Gly	Ala	Pro	Pro	Pro	Ser	Lys
			35				40