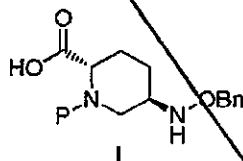


CLAIMS

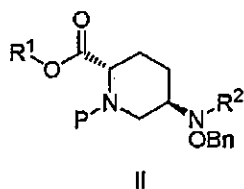
1. A process for producing a compound represented by Formula (IV), comprising:
reacting a compound represented by the following Formula (I):

5 [Chemical Formula 132]



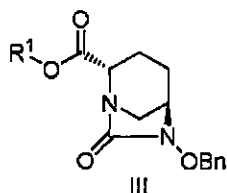
with R^1OH selected from 1-hydroxypyrrolidine-2,5-dione, 2-hydroxy-3a,4,7,7a-tetrahydro-1H-isoindol-1,3(2H)-dione, 2-hydroxyhexahydro-1H-isoindol-1,3(2H)-dione, and 4-hydroxy-4-azatricyclo[5.2.1.0^{2,6}]dec-8-ene-3,5-dione followed by allowing a
10 carbonylating agent selected from phosgene, diphosgene and triphosgene to act thereon to obtain a compound represented by the following Formula (II):

[Chemical Formula 133]



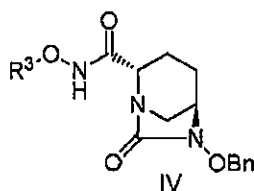
removing a protecting group P and treating with a base to obtain a compound
15 represented by the following Formula (III):

[Chemical Formula 134]



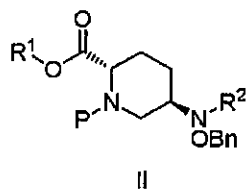
and reacting with a compound: R^3ONH_2 to produce the compound represented by the
following general Formula (IV):

20 [Chemical Formula 135]

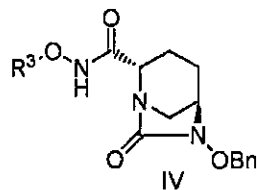


(in the aforementioned Formulas (I), (II), (III) and (IV), OBn is benzyloxy, P is an NH protecting group capable of being removed with acid, R¹ is 2,5-dioxopyrrolidin-1-yl, 1,3-dioxo-3a,4,7,7a-tetrahydro-1H-isoindol-2(3H)-yl, 1,3-dioxohexahydro-1H-isoindol-2(3H)-yl, or 3,5-dioxo-4-azatricyclo[5.2.1.0^{2,6}]dec-8-en-4-yl, R² is hydrogen, ClCO- or Cl₃COCO-, and R³ is C₁₋₆ alkyl or heterocyclyl, or forms a 3- to 7-membered heterocyclic ring together with the adjacent -O-NH-. R³ may be modified with 0 to 5 R⁴, and R⁴ may be consecutively substituted. R⁴ is C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylsulfonyl, heterocyclyl, heterocyclylcarbonyl, R⁵(R⁶)N- or a protecting group. Here, R⁵ and R⁶ each independently is hydrogen or C₁₋₆ alkyl or together form a 3- to 7-membered heterocyclic ring. Further, R³, R⁵ and R⁶ can undergo ring closure at an arbitrary position).

2. A process for producing a compound represented by Formula (IV), comprising: allowing a carbonylating agent selected from phosgene, diphosgene and triphosgene to act on a compound represented by the following Formula (II), wherein R² is hydrogen:



followed by removing the protecting group P, treating with a base and further reacting with a compound: R³ONH₂ to produce the compound represented by the following Formula (IV):



(in the aforementioned Formulas (II) and (IV), OBn, P, R¹, R² and R³ are same as described in claim 1).

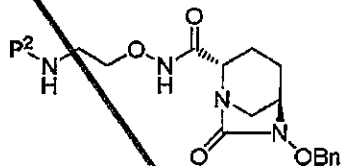
3. The process according to claim 1 or 2, which proceeds through a compound represented by the Formula (I) or (II), wherein P is tert-butoxycarbonyl (Boc).

4. The process according to any of claims 1 to 3, which proceeds through a compound represented by the Formula (II) or (III), wherein R¹ is 2,5-dioxopyrrolidin-1-yl.

5. The process according to any of claims 1 to 3, which proceeds through a compound represented by the Formula (II) or (III), wherein R¹ is 1,3-dioxo-3a,4,7,7a-tetrahydro-1H-isoindol-2(3H)-yl.
6. The process according to any of claims 1 to 3, which proceeds through a compound represented by the Formula (II) or (III), wherein R¹ is 1,3-dioxohexahydro-1H-isoindol-2(3H)-yl.
7. The process according to any of claims 1 to 3, which proceeds through a compound represented by the Formula (II) or (III), wherein R¹ is 3,5-dioxo-4-azatricyclo[5.2.1.0^{2,6}]dec-8-en-4-yl.
8. The process according to any of claims 1 to 7 for producing a compound represented by the Formula (IV), wherein R³ is selected from:
- 2-(tert-butoxycarbonylamino)ethyl;
 - 2-((tert-butoxycarbonyl)(methyl)amino)ethyl;
 - 2-((tert-butoxycarbonyl)(isopropyl)amino)ethyl;
 - 2-(dimethylamino)ethyl;
 - (2S)-2-((tert-butoxycarbonyl)amino)propyl;
 - (2R)-2-((tert-butoxycarbonyl)amino)propyl;
 - 3-((tert-butoxycarbonyl)amino)propyl;
 - (2S)-tert-butoxycarbonylazetidin-2-ylmethyl;
 - (2R)-tert-butoxycarbonylpyrrolidin-2-ylmethyl;
 - (3R)-tert-butoxycarbonylpiperidin-3-ylmethyl;
 - (3S)-tert-butoxycarbonylpyrrolidin-3-yl;
 - 1-(tert-butoxycarbonyl)azetidin-3-yl;
 - 2-(benzyloxycarbonylamino)ethyl;
 - 2-((benzyloxycarbonyl)(methyl)amino)ethyl;
 - 2-((benzyloxycarbonyl)(isopropyl)amino)ethyl;
 - 2-(dimethylamino)ethyl;
 - (2S)-2-((benzyloxycarbonyl)amino)propyl;
 - (2R)-2-((benzyloxycarbonyl)amino)propyl;
 - 3-((benzyloxycarbonyl)amino)propyl;
 - (2S)-benzyloxycarbonylazetidin-2-ylmethyl;
 - (2R)-benzyloxycarbonylpyrrolidin-2-ylmethyl;
 - (3R)-benzyloxycarbonylpiperidin-3-ylmethyl;
 - (3S)-benzyloxycarbonylpyrrolidin-3-yl; and
 - 1-(benzyloxycarbonyl)azetidin-3-yl.
9. The process according to any of claims 1 to 7 for producing a compound

represented by the following Formula (IV-1):

[Chemical Formula 138]



IV-1

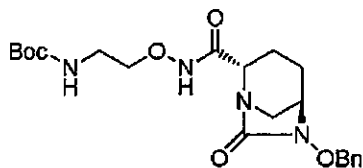
IV-1-1: $P^2 = \text{Boc}$

IV-1-2: $P^2 = \text{Cbz}$

(wherein P^2 is tert-butoxycarbonyl (Boc) or benzyloxycarbonyl (Cbz) and OBn is benzyloxy).

10. The process according to any of claims 1 to 7 for producing a compound represented by the following Formula (IV-1-1):

[Chemical Formula 139]

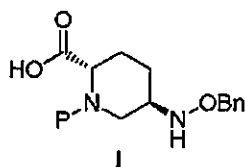


IV-1-1

(wherein Boc is tert-butoxycarbonyl and OBn is benzyloxy).

11. A process for producing a compound represented by Formula (III), comprising: reacting a compound represented by the following Formula (I):

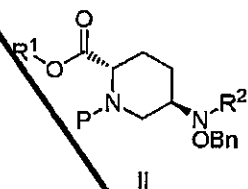
[Chemical Formula 140]



I

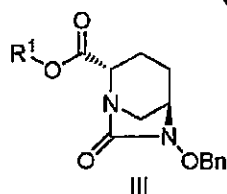
with $R^1\text{OH}$ selected from 1-hydroxypyrrolidine-2,5-dione, 2-hydroxy-3a,4,7,7a-tetrahydro-1H-isoindol-1,3(2H)-dione, 2-hydroxyhexahydro-1H-isoindol-1,3(2H)-dione, or 4-hydroxy-4-azatricyclo[5.2.1.0^{2,6}]dec-8-ene-3,5-dione to allow a carbonylating agent selected from phosgene, diphosgene and triphosgene to act thereon to obtain a compound represented by the following Formula (II):

[Chemical Formula 141]



removing the protecting group P and treating with a base to produce the compound represented by the following Formula (III):

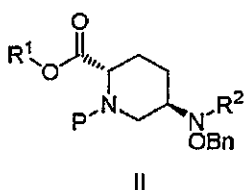
[Chemical Formula 142]



(in the aforementioned formulas (I), (II) and (III), OBn, P, R¹ and R² are same as described in claim 1).

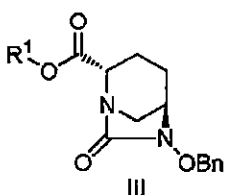
12. A process for producing a compound represented by Formula (III), comprising: allowing a carbonylating agent selected from phosgene, diphosgene and triphosgene to act on a compound represented by the following Formula (II), wherein R² is hydrogen:

[Chemical Formula 143]



followed by removing the protecting group P and treating with a base to produce the compound represented by the following Formula (III):

[Chemical Formula 144]



(in the aforementioned Formulas (II) and (III), OBn, P, R¹ and R² are same as described in claim 1).

13. The process according to claim 11 or 12, which proceeds through a compound represented by the Formula (I) or (II), wherein P is tert-butoxycarbonyl (Boc).

14. The process according to any of claims 11 to 13 for producing a compound represented by the Formula (III), wherein R¹ is 2,5-dioxopyrrolidin-1-yl.

15. The process according to any of claims 11 to 13 for producing a compound represented by the Formula (III), wherein R¹ is

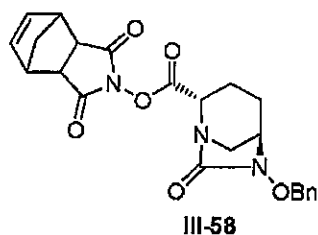
5 1,3-dioxo-3a,4,7,7a-tetrahydro-1H-isoindol-2(3H)-yl.

16. The process according to any of claims 11 to 13 for producing a compound represented by the Formula (III), wherein R¹ is 1,3-dioxohexahydro-1H-isoindol-2(3H)-yl.

17. The process according to any of claims 11 to 13 for producing a compound represented by the Formula (III), wherein R¹ is 3,5-dioxo-4-azatricyclo[5.2.1.0^{2,6}]dec-8-en-4-yl.

18. A compound represented by the following Formula (III-58):

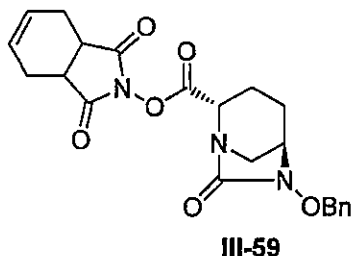
[Chemical Formula 145]



15 (wherein OBn is benzyloxy).

19. A compound represented by the following Formula (III-59):

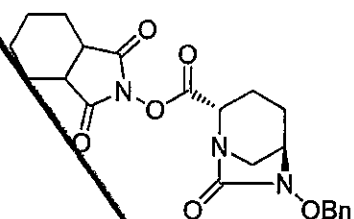
[Chemical Formula 146]



(wherein OBn is benzyloxy).

20. A compound represented by the following Formula (III-60):

[Chemical Formula 147]

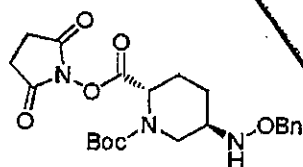


III-60

(wherein OBn is benzyloxy).

21. A compound represented by the following Formula (II-30):

[Chemical Formula 148]

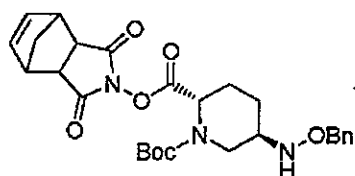


II-30

(wherein Boc is tert-butoxycarbonyl and OBn is benzyloxy).

22. A compound represented by the following Formula (II-31):

[Chemical Formula 149]

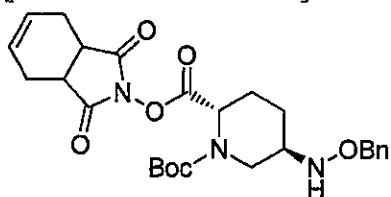


II-31

10 (wherein Boc is tert-butoxycarbonyl and OBn is benzyloxy).

23. A compound represented by the following Formula (II-32):

[Chemical Formula 150]

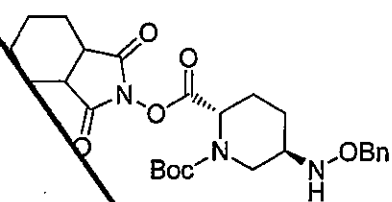


II-32

(wherein Boc is tert-butoxycarbonyl and OBn is benzyloxy).

15 24 A compound represented by the following Formula (II-33):

[Chemical Formula 151]



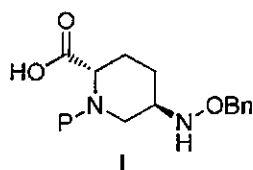
II-33

(wherein Boc is tert-butoxycarbonyl and OBn is benzyloxy).

CLAIMS

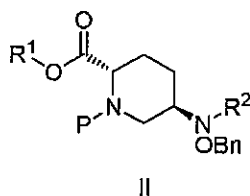
1. A process for producing a compound represented by Formula (IV), comprising:
reacting a compound represented by the following Formula (I):

5 [Chemical Formula 132]



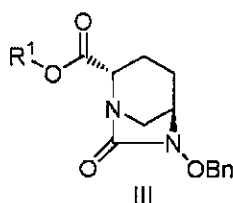
with R^1OH selected from 1-hydroxypyrrolidine-2,5-dione, 2-hydroxy-3a,4,7,7a-tetrahydro-1H-isindol-1,3(2H)-dione, 2-hydroxyhexahydro-1H-isindol-1,3(2H)-dione, and 4-hydroxy-4-azatricyclo [5.2.1.0^{2,6}]dec-8-ene-3,5-dione followed by allowing a
10 carbonylating agent selected from phosgene, diphosgene and triphosgene to act thereon to obtain a compound represented by the following Formula (II):

[Chemical Formula 133]



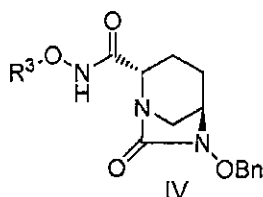
removing a protecting group P and treating with a base to obtain a compound
15 represented by the following Formula (III):

[Chemical Formula 134]



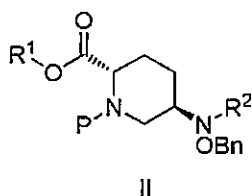
and reacting with a compound: R^3ONH_2 to produce the compound represented by the
following general Formula (IV):

20 [Chemical Formula 135]

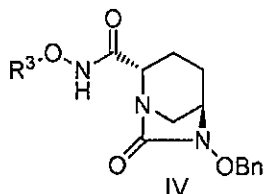


(in the aforementioned Formulas (I), (II), (III) and (IV), OBn is benzyloxy, P is an NH protecting group capable of being removed with acid, R¹ is 2,5-dioxopyrrolidin-1-yl, 1,3-dioxo-3a,4,7,7a-tetrahydro-1H-isindol-2(3H)-yl, 1,3-dioxohexahydro-1H-isindol-2(3H)-yl, or 3,5-dioxo-4-azatricyclo[5.2.1.0^{2,6}]dec-8-en-4-yl, R² is ClCO- or Cl₃COCO-, and R³ is C₁₋₆ alkyl or heterocyclyl, or forms a 3- to 7-membered heterocyclic ring together with the adjacent -O-NH-. R³ may be modified with 0 to 5 R⁴, and R⁴ may be consecutively substituted. R⁴ is C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylsulfonyl, heterocyclyl, heterocyclylcarbonyl, R⁵(R⁶)N- or a protecting group. Here, R⁵ and R⁶ each independently is hydrogen or C₁₋₆ alkyl or together form a 3- to 7-membered heterocyclic ring. Further, R³, R⁵ and R⁶ can undergo ring closure at an arbitrary position).

2. A process for producing a compound represented by Formula (IV), comprising: allowing a carbonylating agent selected from phosgene, diphosgene and triphosgene to act on a compound represented by the following Formula (II), wherein R² is hydrogen:



followed by removing the protecting group P, treating with a base and further reacting with a compound: R³ONH₂ to produce the compound represented by the following Formula (IV):



(in the aforementioned Formulas (II) and (IV), OBn, P, R¹, R² and R³ are same as described in claim 1).

3. The process according to claim 1 or 2, which proceeds through a compound represented by the Formula (I) or (II), wherein P is tert-butoxycarbonyl (Boc).

4. The process according to any of claims 1 to 3, which proceeds through a compound represented by the Formula (II) or (III), wherein R¹ is 2,5-dioxopyrrolidin-1-yl.

5. The process according to any of claims 1 to 3, which proceeds through a compound represented by the Formula (II) or (III), wherein R¹ is 1,3-dioxo-3a,4,7,7a-tetrahydro-1H-isoindol-2(3H)-yl.

6. The process according to any of claims 1 to 3, which proceeds through a compound represented by the Formula (II) or (III), wherein R¹ is 1,3-dioxohexahydro-1H-isoindol-2(3H)-yl.

7. The process according to any of claims 1 to 3, which proceeds through a compound represented by the Formula (II) or (III), wherein R¹ is 3,5-dioxo-4-azatricyclo[5.2.1.0^{2,6}]dec-8-en-4-yl.

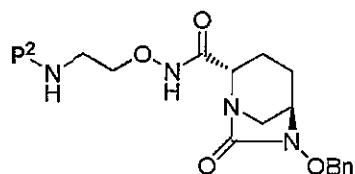
8. The process according to any of claims 1 to 7 for producing a compound represented by the Formula (IV), wherein R³ is selected from:

- 2-(tert-butoxycarbonylamino)ethyl;
- 2-((tert-butoxycarbonyl)(methyl)amino)ethyl;
- 2-((tert-butoxycarbonyl)(isopropyl)amino)ethyl;
- 2-(dimethylamino)ethyl;
- (2S)-2-((tert-butoxycarbonyl)amino)propyl;
- (2R)-2-((tert-butoxycarbonyl)amino)propyl;
- 3-((tert-butoxycarbonyl)amino)propyl;
- (2S)-tert-butoxycarbonylazetidin-2-ylmethyl;
- (2R)-tert-butoxycarbonylpyrrolidin-2-ylmethyl;
- (3R)-tert-butoxycarbonylpiperidin-3-ylmethyl;
- (3S)-tert-butoxycarbonylpyrrolidin-3-yl;
- 1-(tert-butoxycarbonyl)azetidin-3-yl;
- 2-(benzyloxycarbonylamino)ethyl;
- 2-((benzyloxycarbonyl)(methyl)amino)ethyl;
- 2-((benzyloxycarbonyl)(isopropyl)amino)ethyl;
- 2-(dimethylamino)ethyl;
- (2S)-2-((benzyloxycarbonyl)amino)propyl;
- (2R)-2-((benzyloxycarbonyl)amino)propyl;
- 3-((benzyloxycarbonyl)amino)propyl;
- (2S)-benzyloxycarbonylazetidin-2-ylmethyl;
- (2R)-benzyloxycarbonylpyrrolidin-2-ylmethyl;
- (3R)-benzyloxycarbonylpiperidin-3-ylmethyl;
- (3S)-benzyloxycarbonylpyrrolidin-3-yl; and
- 1-(benzyloxycarbonyl)azetidin-3-yl.

9. The process according to any of claims 1 to 7 for producing a compound

represented by the following Formula (IV-1):

[Chemical Formula 138]



IV-1

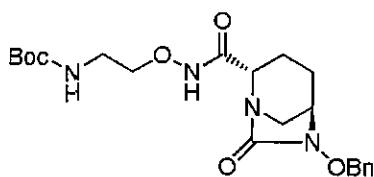
IV-1-1: P²=Boc

IV-1-2: P²=Cbz

(wherein P² is tert-butoxycarbonyl (Boc) or benzyloxycarbonyl (Cbz) and OBn is benzyloxy).

10. The process according to any of claims 1 to 7 for producing a compound represented by the following Formula (IV-1-1):

[Chemical Formula 139]

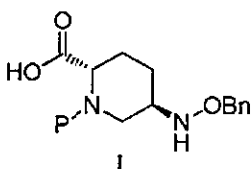


IV-1-1

(wherein Boc is tert-butoxycarbonyl and OBn is benzyloxy).

11. A process for producing a compound represented by Formula (III), comprising: reacting a compound represented by the following Formula (I):

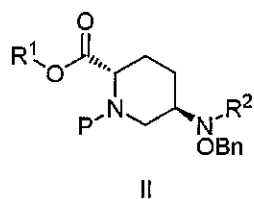
[Chemical Formula 140]



I

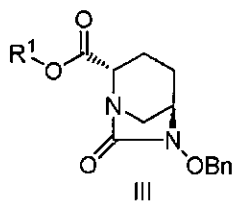
with R¹OH selected from 1-hydroxypyrrolidine-2,5-dione, 2-hydroxy-3a,4,7,7a-tetrahydro-1H-isoindol-1,3(2H)-dione, 2-hydroxyhexahydro-1H-isoindol-1,3(2H)-dione, or 4-hydroxy-4-azatricyclo[5.2.1.0^{2,6}]dec-8-ene-3,5-dione to allow a carbonylating agent selected from phosgene, diphosgene and triphosgene to act thereon to obtain a compound represented by the following Formula (II):

[Chemical Formula 141]



removing the protecting group P and treating with a base to produce the compound represented by the following Formula (III):

[Chemical Formula 142]



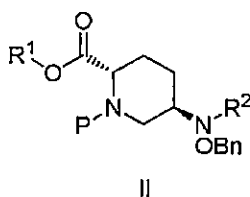
5

(in the aforementioned formulas (I), (II) and (III), OBn, P, R¹ and R² are same as described in claim 1).

12. A process for producing a compound represented by Formula (III), comprising: allowing a carbonylating agent selected from phosgene, diphosgene and triphosgene to act on a compound represented by the following Formula (II), wherein R² is hydrogen:

10

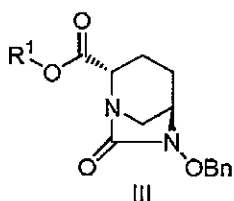
[Chemical Formula 143]



followed by removing the protecting group P and treating with a base to produce the compound represented by the following Formula (III):

15

[Chemical Formula 144]



(in the aforementioned Formulas (II) and (III), OBn, P, R¹ and R² are same as described in claim 1).

13. The process according to claim 11 or 12, which proceeds through a compound represented by the Formula (I) or (II), wherein P is tert-butoxycarbonyl (Boc).

20

14. The process according to any of claims 11 to 13 for producing a compound represented by the Formula (III), wherein R¹ is 2,5-dioxopyrrolidin-1-yl.

15. The process according to any of claims 11 to 13 for producing a compound represented by the Formula (III), wherein R¹ is

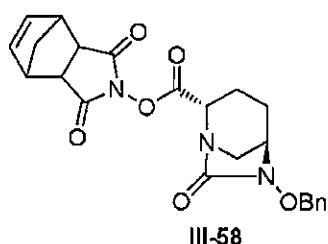
5 1,3-dioxo-3a,4,7,7a-tetrahydro-1H-isoindol-2(3H)-yl.

16. The process according to any of claims 11 to 13 for producing a compound represented by the Formula (III), wherein R¹ is 1,3-dioxohexahydro-1H-isoindol-2(3H)-yl.

10 17. The process according to any of claims 11 to 13 for producing a compound represented by the Formula (III), wherein R¹ is 3,5-dioxo-4-azatricyclo[5.2.1.0^{2,6}]dec-8-en-4-yl.

18. A compound represented by the following Formula (III-58):

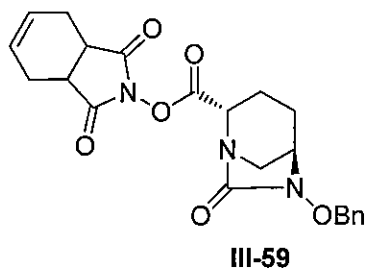
[Chemical Formula 145]



15 (wherein OBn is benzyloxy).

19. A compound represented by the following Formula (III-59):

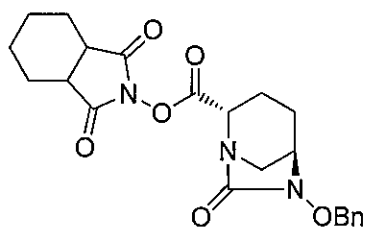
[Chemical Formula 146]



(wherein OBn is benzyloxy).

20 20. A compound represented by the following Formula (III-60):

[Chemical Formula 147]

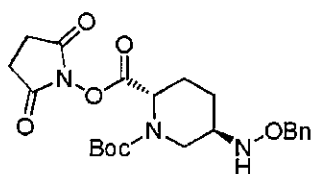


III-60

(wherein OBn is benzyloxy).

21. A compound represented by the following Formula (II-30):

[Chemical Formula 148]



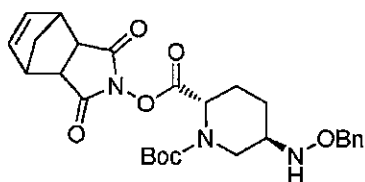
II-30

5

(wherein Boc is tert-butoxycarbonyl and OBn is benzyloxy).

22. A compound represented by the following Formula (II-31):

[Chemical Formula 149]



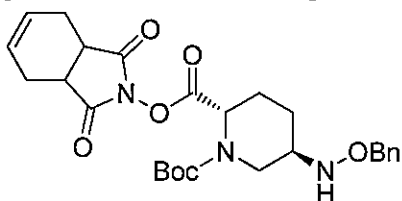
II-31

10

(wherein Boc is tert-butoxycarbonyl and OBn is benzyloxy).

23. A compound represented by the following Formula (II-32):

[Chemical Formula 150]



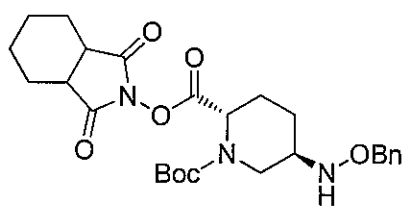
II-32

(wherein Boc is tert-butoxycarbonyl and OBn is benzyloxy).

15

24. A compound represented by the following Formula (II-33):

[Chemical Formula 151]



II-33

(wherein Boc is tert-butoxycarbonyl and OBn is benzyloxy).