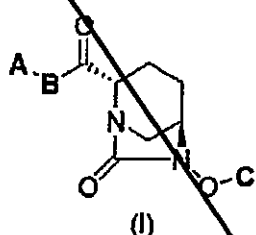


## Claims

1. A diazabicyclooctane derivative represented by the following formula (I):

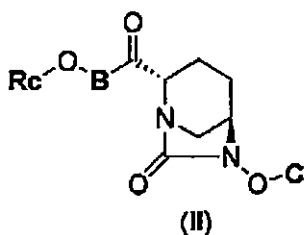
[Chemical formula 134]



in the above formula (I), A represents  $R_a(R_b)N-$  or  $R_cO-$ ; B represents  $NH$  or  $NC_{1-6}$  alkyl; C represents benzyl,  $H$  or  $SO_3M$ , where M represents  $H$ , an inorganic cation or an organic cation;  $R_a$  and  $R_b$  each independently represent  $H$ , a  $C_{1-6}$  alkyl or acyl;  $R_c$  represents  $C_{1-6}$  alkyl or a heterocyclyl; A may be modified by 0 to 4 substituents  $Fn1$ , where the substituent  $Fn1$  may be substituted continuously;  $Fn1$  represents  $C_{1-6}$  alkyl,  $O=$ , or  $R_g-(CH_2)_{0-3}-$ , where  $R_g$  represents a heterocyclyl, phenyl, heteroaryl, acyl,  $RdO_2S-$ ,  $Re(Rf)N-$ ,  $Re(Rf)NCO-$ ,  $ReO-$ ,  $ReOCO-$  or a protective group, where  $Rd$  represents  $C_{1-6}$  alkyl or  $MO-$ ;  $Re$  and  $Rf$  each independently represent  $H$  or  $C_{1-6}$  alkyl, and further, between  $R_a$  and  $R_b$ , between  $R_c$  and B, and between  $Re$  and  $Rf$  may be closed by the bonding to form a heterocyclyl having at least one nitrogen atom, a pharmaceutically acceptable salt thereof, or a solvate thereof.

2. A diazabicyclooctane derivative represented by the following formula (II):

[Chemical formula 135]

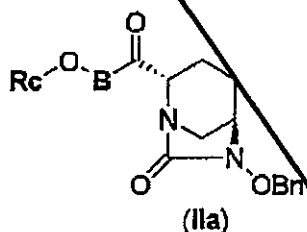


in the above formula (II),  $R_c$  represents  $C_{1-6}$  alkyl or a heterocyclyl; B represents  $NH$  or  $NC_{1-6}$  alkyl; C represents benzyl,  $H$  or  $SO_3M$ , where M represents  $H$ , an inorganic cation or an organic cation;  $R_c$  may be modified by 0 to 4 substituents  $Fn1$ , where the substituent  $Fn1$  may be substituted continuously;  $Fn1$  represents  $C_{1-6}$  alkyl,  $O=$ , or  $R_g-(CH_2)_{0-3}-$ , where  $R_g$  represents a heterocyclyl, phenyl, heteroaryl, acyl,  $RdO_2S-$ ,  $Re(Rf)N-$ ,  $Re(Rf)NCO-$ ,  $ReO-$ ,  $ReOCO-$  or a protective group, where  $Rd$  represents  $C_{1-6}$

alkyl or MO-; Re and Rf each independently represent H or C<sub>1-6</sub> alkyl, and further, between Rc and B, and between Re and Rf may be closed by the bonding to form a heterocyclyl having at least one nitrogen atom,  
a pharmaceutically acceptable salt thereof, or a solvate thereof.

5

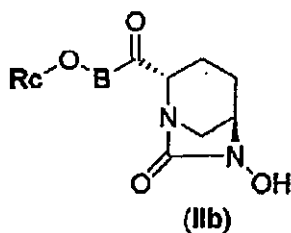
3. A diazabicyclooctane derivative represented by the following formula (IIa):  
[Chemical formula 136]



10

in the above formula (IIa), OBn represents benzyloxy, and Rc and B have the same meanings as the compound of Claim 2,  
a pharmaceutically acceptable salt thereof, or a solvate thereof.

4. A diazabicyclooctane derivative represented by the following formula (IIb):  
[Chemical formula 137]

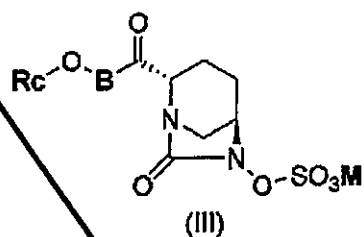


15

in the above formula (IIb), Rc and B have the same meanings as the compound of Claim 2,  
a pharmaceutically acceptable salt thereof, or a solvate thereof.

20

5. A diazabicyclooctane derivative represented by the following formula (III):  
[Chemical formula 138]

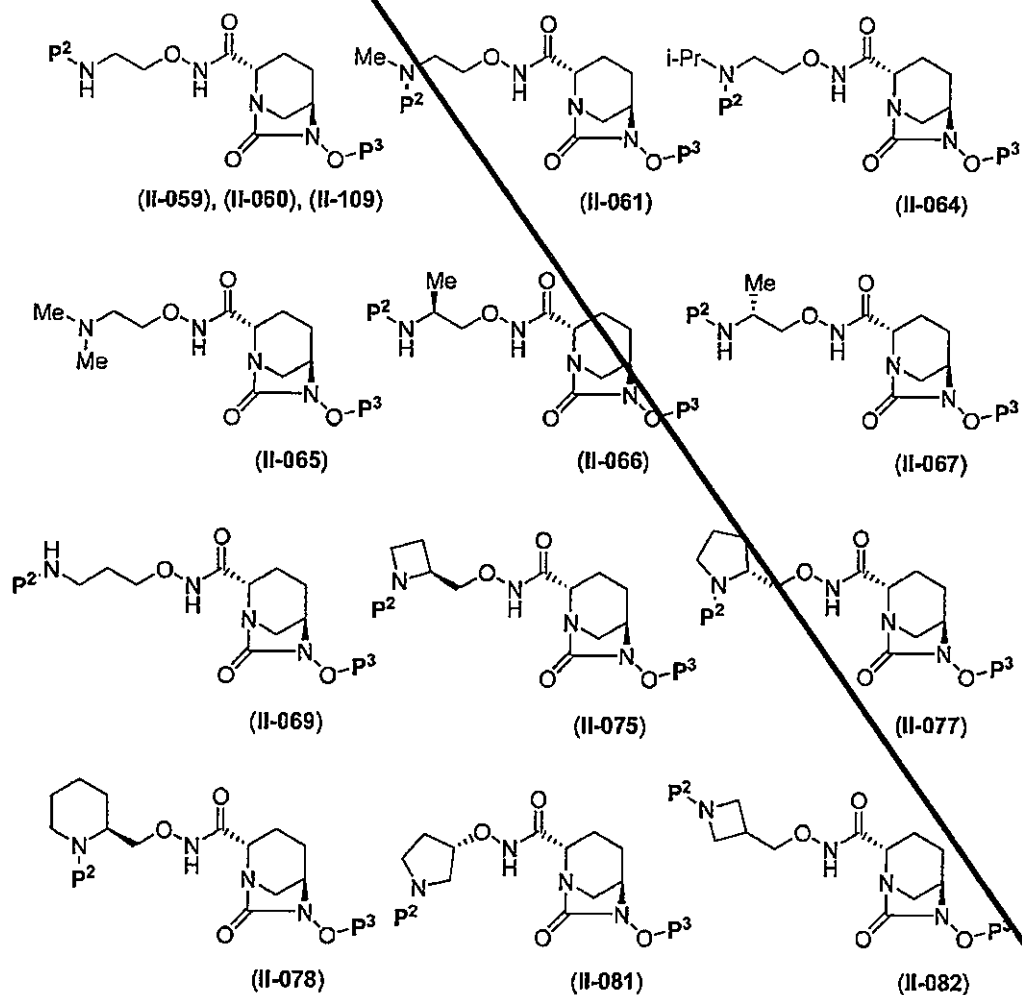


in the above formula (III), R<sub>c</sub>, B and M have the same meanings as the compound of Claim 2,  
a pharmaceutically acceptable salt thereof, or a solvate thereof.

5

6. The compound of any one of Claims 1 to 5, which is represented by the following formulae:

[Chemical formula 139]



in the above formulae, P<sup>2</sup> represents tert-butoxycarbonyl (Boc), benzyloxycarbonyl (Cbz) or H; P<sup>3</sup> represents benzyl (Bn), H or SO<sub>3</sub>M; where M represents H, sodium, pyridinium or tetrabutylammonium, a pharmaceutically acceptable salt thereof, or a solvate thereof.

5

7. The compound of any one of Claims 1 to 3, which is:

(2S,5R)-N-(2-aminoethoxy)-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,

(2S,5R)-N-[2-(methylamino)ethoxy]-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,

10

(2S,5R)-7-oxo-N-[2-(propan-2-ylamino)ethoxy]-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,

(2S,5R)-N-[2-(dimethylamino)ethoxy]-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,

15

(2S,5R)-N-[(2S)-2-aminopropyl]oxy]-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,

(2S,5R)-N-[(2R)-2-aminopropyl]oxy]-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,

(2S,5R)-N-(3-aminopropoxy)-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,

20

(2S,5R)-N-[(2S)-azetidin-2-ylmethoxy]-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,

(2S,5R)-7-oxo-N-[(2R)-pyrrolidin-2-ylmethoxy]-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,

25

(2S,5R)-7-oxo-N-[(2S)-piperidin-2-ylmethoxy]-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,

(2S,5R)-7-oxo-N-[(3S)-pyrrolidin-3-yloxy]-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide, or

(2S,5R)-N-(azetidin-3-ylmethoxy)-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,

30

a pharmaceutically acceptable salt thereof, or a solvate thereof.

8. A pharmaceutical composition comprising the diazabicyclooctane derivative represented by the formula (I) of Claim 1, a pharmaceutically acceptable salt thereof, or a solvate thereof, and, optionally, a pharmaceutically acceptable carrier.

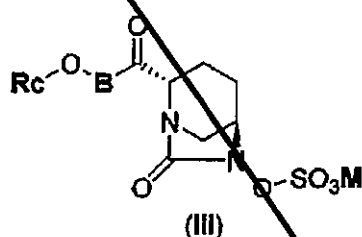
35

9. The pharmaceutical composition of Claim 8, for administration in combination with a  $\beta$ -lactam antibiotic.
10. The pharmaceutical composition of Claim 8 or 9, for treating bacterial infection.
- 5 11. A  $\beta$ -lactamase inhibitor comprising the diazabicyclooctane derivative represented by the formula (I) of Claim 1, a pharmaceutically acceptable salt thereof, or a solvate thereof.
- 10 12. A pharmaceutical composition comprising the  $\beta$ -lactamase inhibitor of Claim 11, a  $\beta$ -lactam antibiotic, and, optionally, a pharmaceutically acceptable carrier.
- 15 13. A pharmaceutical composition comprising the  $\beta$ -lactamase inhibitor of Claim 11, a  $\beta$ -lactam antibiotic selected from the group consisting of ampicillin, amoxicillin, piperacillin, ticarcillin, flomoxef, cefotaxim, ceftriaxone, ceftazidime, cefepime, ceftaroline, ceftolozane, imipenem, meropenem, biapenem, doripenem, ertapenem and aztreonam, and, optionally, a pharmaceutically acceptable carrier.
- 20 14. A pharmaceutical composition comprising of the  $\beta$ -lactamase inhibitor of Claim 11 and a  $\beta$ -lactam antibiotic for use in the treatment of bacterial infection.
- 25 15. A pharmaceutical composition comprising of the  $\beta$ -lactamase inhibitor of Claim 11 and a  $\beta$ -lactam antibiotic selected from the group consisting of ampicillin, amoxicillin, piperacillin, ticarcillin, flomoxef, cefotaxim, ceftriaxone, ceftazidime, cefepime, ceftaroline, ceftolozane, imipenem, meropenem, biapenem, doripenem, ertapenem and aztreonam, for use in the treatment of bacterial infection.
- 30 16. The use of a pharmaceutical composition comprising of the  $\beta$ -lactamase inhibitor of Claim 11 and a  $\beta$ -lactam antibiotic in the manufacture of a medicament for the treatment of bacterial infection.
- 35 17. The use of a pharmaceutical composition comprising of the  $\beta$ -lactamase inhibitor of Claim 11 and a  $\beta$ -lactam antibiotic selected from the group consisting of ampicillin, amoxicillin, piperacillin, ticarcillin, flomoxef, cefotaxim, ceftriaxone, ceftazidime, cefepime, ceftaroline, ceftolozane, imipenem, meropenem, biapenem, doripenem,

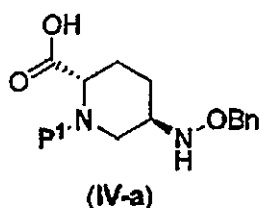
ertapenem and aztreonam, in the manufacture of a medicament for the treatment of bacterial infection.

18. A process for preparing the compound of Claim 5 represented by the following formula (III):

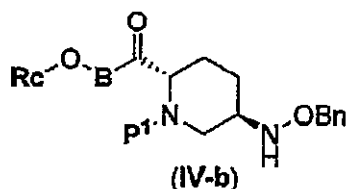
[Chemical formula 145]



- in the above formula (III), R<sub>c</sub> and B have the same meanings as defined for the compound of Claim 2,  
 which is included in Claim 2  
 which comprises  
 subjecting to coupling a compound represented by the following formula (IV-a):  
 [Chemical formula 140]



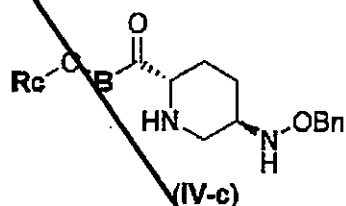
- in the above formula (IV-a), P<sup>I</sup> represents a protective group which can be removed by an acid, a base or a nucleophilic agent; and OBn represents benzyloxy,  
 with a compound: R<sub>c</sub>OBH using an active ester, an active amide or a dehydration  
 condensing agent to prepare a compound represented by the following formula (IV-b):  
 [Chemical formula 141]



- in the above formula (IV-b), P<sup>I</sup> represents a protective group which can be removed by an acid, a base or a nucleophilic agent; R<sub>c</sub> and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy,

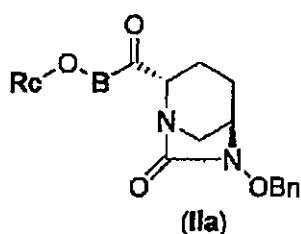
deprotecting P<sup>1</sup> which is a protective group to prepare a compound represented by the following formula (IV-c):

[Chemical formula 142]



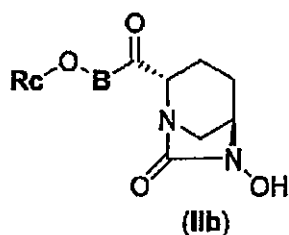
- 5 in the above formula (IV-c), Rc and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy, silylating the compound in the reaction system, and then, subjecting to intramolecular urea formation reaction to prepare a compound represented by the following formula (IIa):

10 [Chemical formula 143]



- in the above formula (IIa), Rc and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy, then, removing the benzyl of the benzyloxy at the 6-position using a hydrogenolysis catalyst under hydrogen atmosphere to prepare a compound represented by the following formula (IIb):

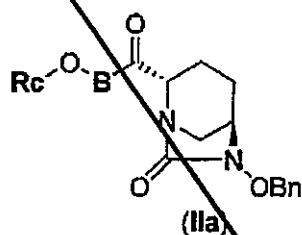
15 [Chemical formula 144]



- in the above formula (IIb), Rc and B have the same meanings as defined for the compound of Claim 2, and sulfating the hydroxyl group at the 6-position in the presence of a base, and, if necessary, deprotecting the protective group in the side chain: RcOB-.
- 20

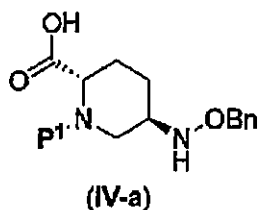
19. A process for preparing the compound of Claim 3 represented by the following formula (IIa):

[Chemical formula 149]



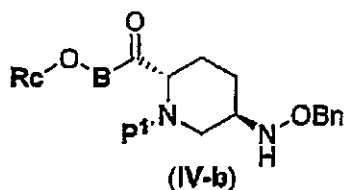
in the above formula (IIa), R<sup>c</sup> and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy, which is included in Claim 2, which comprises subjecting to coupling a compound represented by the following formula (IV-a):

[Chemical formula 146]



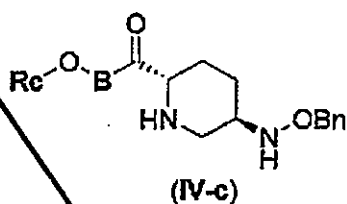
in the above formula (IV-a), P<sup>1</sup> represents a protective group which can be removed by an acid, a base or a nucleophilic agent; and OBn represents benzyloxy, with a compound: R<sup>c</sup>OBH using an active ester, an active amide or a dehydration condensing agent to prepare a compound represented by the following formula (IV-b):

[Chemical formula 147]



in the above formula (IV-b), P<sup>1</sup> represents a protective group which can be removed by an acid, a base or a nucleophilic agent; R<sup>c</sup> and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy, deprotecting P<sup>1</sup> which is a protective group to prepare a compound represented by the following formula (IV-c):

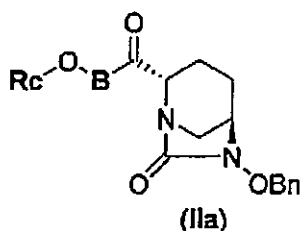
[Chemical formula 148]



in the above formula (IV-c), Rc and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy, silylating the compound in the reaction system, and then, subjecting to intramolecular urea formation reaction.

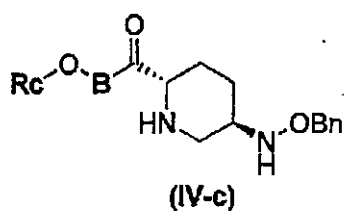
20. A process for preparing the compound of Claim 3 represented by the following formula (IIa):

[Chemical formula 151]



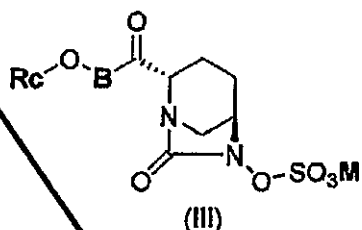
in the above formula (IIa), Rc and B have the same meanings as defined for the compound of Claim 2 and OBn represents benzyloxy, which is included in Claim 2, which comprises silylating the compound represented by the following formula (IV-c):

[Chemical formula 150]



in the above formula (IV-c), Rc and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy, in the reaction system, and then, subjecting to intramolecular urea formation reaction.

21. A process for preparing the compound represented by the following formula (III):  
[Chemical formula 154]

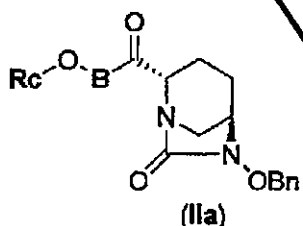


in the above formula (III), Rc, B and M have the same meanings as defined for the compound of Claim 2,

which is included in Claim 2, which comprises

- 5 removing the benzyl of the benzyloxy at the 6-position of a compound represented by the following formula (IIa):

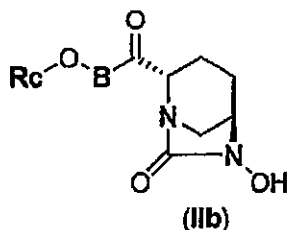
[Chemical formula 152]



in the above formula (IIa), Rc and B have the same meanings as defined for the compound of Claim 2 and OBn represents a benzyloxy group,

- 10 using a hydrogenolysis catalyst under hydrogen atmosphere to prepare a compound represented by the following formula (IIb):

[Chemical formula 153]

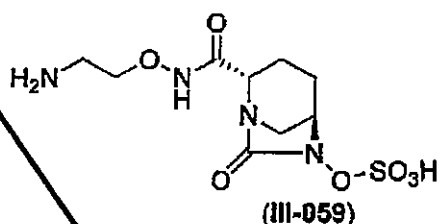


- 15 in the above formula (IIb), Rc and B have the same meanings as defined for the compound of Claim 2,

and sulfating the hydroxyl group at the 6-position in the presence of a base, and, if necessary, deprotecting the protective group in the side chain: RcOB-.

- 20 22. A process for preparing (2S,5R)-N-(2-aminoethoxy)-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide represented by the following formula (III-059):

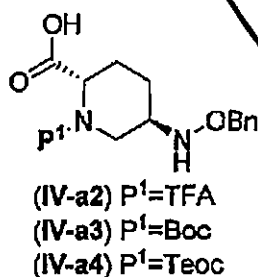
[Chemical formula 161]



which comprises

among the compounds represented by the following formulae (IV-a2), (IV-a3) and (IV-a4):

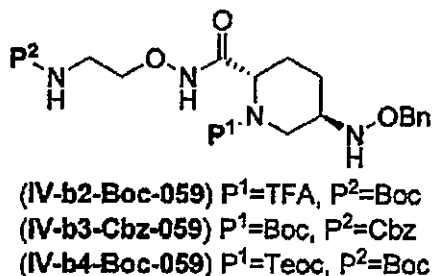
5 [Chemical formula 155]



in the above formula (IV-a2), (IV-a3) or (IV-a4), TFA represents trifluoroacetyl, Boc represents tert-butoxycarbonyl, Teoc represents 2-trimethylsilylethoxycarbonyl, and OBn represents benzyloxy,

- 10 subjecting to coupling the compound represented by the formula (IV-a2) or (IV-a4) with tert-butyl 2-(aminooxy)ethylcarbamate using an active ester, an active amide or a dehydration condensing agent, or  
subjecting to coupling the compound represented by the formula (IV-a3) with benzyl 2-(aminooxy)ethylcarbamate using an active ester, an active amide or a dehydration  
15 condensing agent,  
to prepare a compound represented by the following formula (IV-b2-Boc-059), (IV-b3-Cbz-059) or (IV-b4-Boc-059):

[Chemical formula 156]

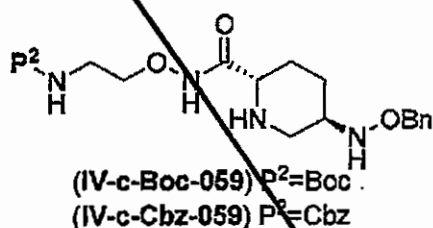


- 20 in the above formula (IV-b2-Boc-059), (IV-b3-Cbz-059) or (IV-b4-Boc-059), TFA represents trifluoroacetyl, Boc represents tert-butoxycarbonyl, Cbz represents

benzyloxycarbonyl, Teoc represents 2-trimethylsilylethoxycarbonyl, and OBn represents benzyloxy,

deprotecting the trifluoroacetyl of the compound represented by the formula (IV-b2-Boc-059) by the treatment with a base to prepare a compound represented by the following formula (IV-c-Boc-059):

[Chemical formula 157]

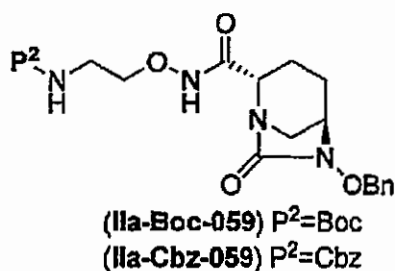


in the above formula (IV-c-Boc-059) or (IV-c-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents a benzyloxy, removing the tert-butoxycarbonyl of the compound represented by the formula (IV-b3-Cbz-059) by an acid treatment to prepare a compound represented by the above formula (IV-c-Cbz-059), or

removing the 2-trimethylsilylethoxycarbonyl of the compound represented by the formula (IV-b4-Boc-059) by a fluoride to prepare a compound represented by the above formula (IV-c-Boc-059),

then, after silylating the above-mentioned (IV-c-Boc-059) or (IV-c-Cbz-059) in the reaction system, subjecting to intramolecular urea formation reaction to prepare a compound represented by the following formula (IIa-Boc-059) or (IIa-Cbz-059):

[Chemical formula 158]



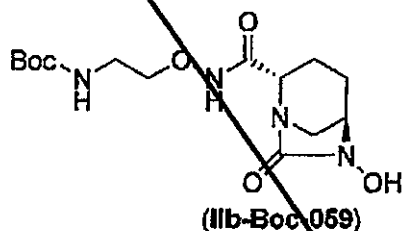
in the above formula (IIa-Boc-059) or (IIa-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents benzyloxy, removing the benzyl of the benzyloxy at the 6-position of the compound represented by the formula (IIa-Boc-059) using a hydrogenolysis catalyst under hydrogen atmosphere,

or

removing the benzyl of the benzyloxy at the 6-position of the compound represented by the formula (IIa-Cbz-059) using a hydrogenolysis catalyst under hydrogen atmosphere, and simultaneously tert-butoxycarbonylating the same in the presence of di-tert-butoxydicarbonate to prepare a compound represented by the following formula (IIb-

5 Boc-059):

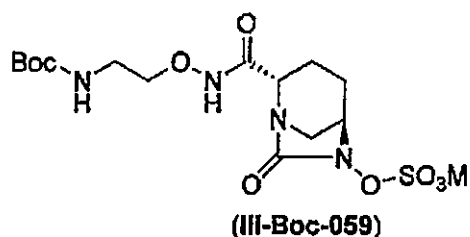
[Chemical formula 159]



in the above formula (IIb-Boc-059), Boc represents tert-butoxycarbonyl, sulfating the hydroxyl group at the 6-position to prepare a compound represented by the following formula (III-Boc-059):

10

[Chemical formula 160]



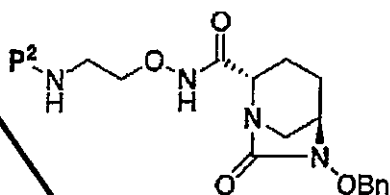
in the above formula (III-Boc-059), Boc represents tert-butoxycarbonyl, and M represents H, pyridinium, sodium or tetrabutylammonium, and deprotecting the tert-butoxycarbonyl by an acid treatment.

15

23. A process for preparing tert-butyl {2-[([(2S,5R)-6-benzyloxy-7-oxo-1,6-diazabicyclo[3.2.1]oct-2-yl]carbonyl}amino)oxy]ethyl} carbamate, or benzyl {2-[([(2S,5R)-6-benzyloxy-7-oxo-1,6-diazabicyclo[3.2.1]oct-2-yl]carbonyl}amino)oxy]ethyl} carbamate represented by the following formula (IIa-Boc-059) or (IIa-Cbz-059):

20

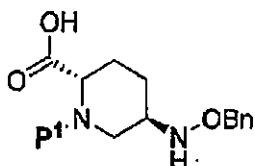
[Chemical formula 165]

(IIa-Boc-059)  $P^2 = \text{Boc}$ (IIa-Cbz-059)  $P^2 = \text{Cbz}$ 

in the above formula (IIa-Boc-059) or (IIa-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents benzyloxy, which comprises

- 5 among the compounds represented by the following formulae (IV-a2), (IV-a3) and (IV-a4):

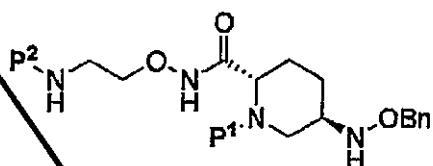
[Chemical formula 162]

(IV-a2)  $P^1 = \text{TFA}$ (IV-a3)  $P^1 = \text{Boc}$ (IV-a4)  $P^1 = \text{Teoc}$ 

in the above formula (IV-a2), (IV-a3) or (IV-a4), TFA represents trifluoroacetyl, Boc represents tert-butoxycarbonyl, Teoc represents 2-trimethylsilylethoxycarbonyl, and OBn represents benzyloxy,

- 10 subjecting to coupling each of the compounds represented by the formulae (IV-a2) and (IV-a4) using tert-butyl 2-(aminooxy)ethylcarbamate, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole monohydrate in combination,
- 15 subjecting to coupling the compounds represented by the formula (IV-a3) using benzyl 2-(aminooxy)ethylcarbamate, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole monohydrate in combination,
- to prepare a compound represented by the following formula (IV-b2-Boc-059), (IV-b3-Cbz-059) or (IV-b4-Boc-059):
- 20

[Chemical formula 163]



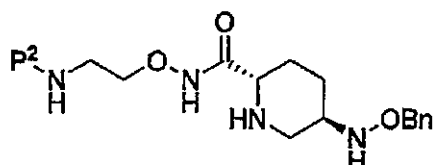
(IV-b2-Boc-059) P<sup>1</sup>=TFA, P<sup>2</sup>=Boc

(IV-b3-Cbz-059) P<sup>1</sup>=Boc, P<sup>2</sup>=Cbz

(IV-b4-Boc-059) P<sup>1</sup>=Teoc, P<sup>2</sup>=Boc

in the above formula (IV-b2-Boc-059), (IV-b3-Cbz-059) or (IV-b4-Boc-059), TFA represents trifluoroacetyl, Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, Teoc represents 2-trimethylsilylethoxycarbonyl, and OBn represents benzyloxy,

removing the trifluoroacetyl of the compound represented by the formula (IV-b2-Boc-059) by using a base selected from lithium hydroxide, sodium hydroxide, potassium hydroxide to prepare a compound represented by the following formula (IV-c-Boc-059): [Chemical formula 164]



(IV-c-Boc-059) P<sup>2</sup>=Boc

(IV-c-Cbz-059) P<sup>2</sup>=Cbz

in the above formula (IV-c-Boc-059) or (IV-c-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents benzyloxy, removing the tert-butoxycarbonyl of the compound represented by the formula (IV-b3-Cbz-059) using an acid selected from hydrochloric acid, sulfuric acid, methanesulfonic acid and trifluoroacetic acid to prepare a compound represented by the above formula (IV-c-Cbz-059), or

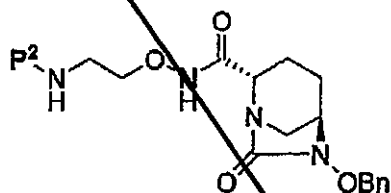
removing the 2-trimethylsilylethoxycarbonyl of the compound represented by the formula (IV-b4-Boc-059) using tetrabutylammonium fluoride to prepare a compound represented by the above formula (IV-c-Boc-059), and

silylating the compound represented by the above formula (IV-c-Boc-059) or (IV-c-Cbz-059) using chlorotrialkylsilane in the reaction system and subsequently subjecting to intramolecular urea formation reaction using phosgene or diphosgene to prepare the compound represented by the formula (IIa-Boc-059) or (IIa-Cbz-059).

24. A process for preparing tert-butyl {2-[[[(2S,5R)-6-benzyloxy-7-oxo-1,6-diazabicyclo[3.2.1]octo-2-yl]carbonyl]amino]oxy}ethyl} carbamate, or benzyl {2-[[[(2S,5R)-6-benzyloxy-7-oxo-1,6-diazabicyclo[3.2.1]octo-2-yl]carbonyl]amino]oxy}ethyl} carbamate represented by the following formula (IIa-

5 Boc-059) or (IIa-Cbz-059):

[Chemical formula 167]



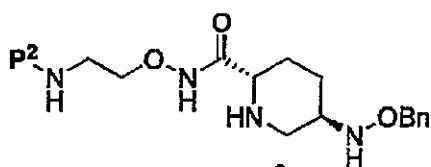
(IIa-Boc-059)  $P^2 = \text{Boc}$

(IIa-Cbz-059)  $P^2 = \text{Cbz}$

in the above formula (IIa-Boc-059) or (IIa-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents benzyloxy, which comprises

10 silylating a compound represented by the following formula (IV-c-Boc-059) or (IV-c-Cbz-059):

[Chemical formula 166]



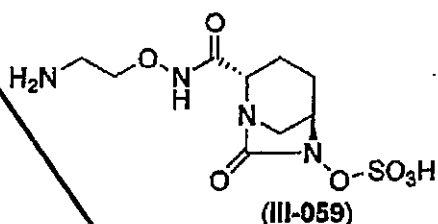
(IV-c-Boc-059)  $P^2 = \text{Boc}$

(IV-c-Cbz-059)  $P^2 = \text{Cbz}$

15 in the above formula (IV-c-Boc-059) or (IV-c-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents benzyloxy, using chlorotrimethylsilane in the reaction system, and subsequently subjecting to intramolecular urea formation reaction using phosgene or diphosgene.

20 25. A process for preparing (2S,5R)-N-(2-aminoethoxy)-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide represented by the following formula (III-059):

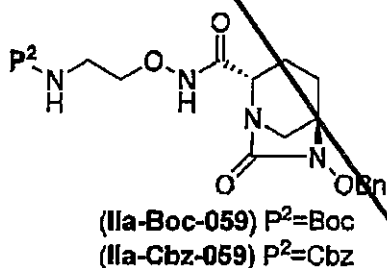
[Chemical formula 171]



which comprises

among the compounds represented by the following formulae (IIa-Boc-059) and (IIa-Cbz-059):

5 [Chemical formula 168]



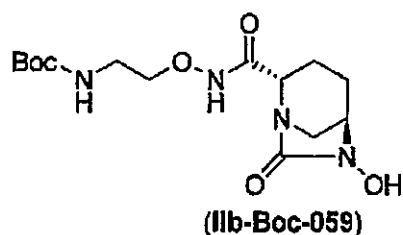
in the above formula (IIa-Boc-059) or (IIa-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents benzyloxy, removing the benzyl of the benzyloxy group at the 6-position of the compound

10 represented by the formula (IIa-Boc-059) using palladium-carbon under hydrogen atmosphere, or

removing the benzyl of the benzyloxy group at the 6-position of the compound represented by the formula (IIa-Cbz-059) using palladium-carbon under hydrogen atmosphere in the presence of di-tert-butoxydicarbonate, and simultaneously subjecting

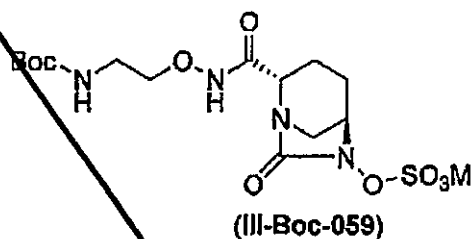
15 to tert-butoxycarbonylation to prepare a compound represented by the following formula (IIb-Boc-059):

[Chemical formula 169]



20 in the above formula (IIb-Boc-059), Boc represents a tert-butoxycarbonyl group, sulfating the hydroxyl group at the 6-position by a sulfur trioxide-pyridine complex in the presence of pyridine, 2-picoline or 2,6-lutidine, to prepare a compound represented by the following formula (III-Boc-059):

[Chemical formula 170]

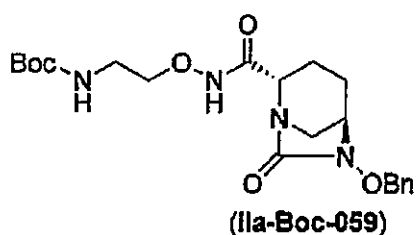


in the above formula (III-Boc-059), Boc represents tert-butoxycarbonyl, and M represents H, pyridinium, sodium or tetrabutylammonium,

- 5 and deprotecting the tert-butoxycarbonyl by an acid selected from hydrochloric acid, sulfuric acid, methanesulfonic acid, trifluoroacetic acid and tetrafluoroboric acid.

26. A process for preparing tert-butyl {2-[(2S,5R)-6-benzyloxy-7-oxo-1,6-diazabicyclo[3.2.1]octo-2-yl]carbonyl} amino)oxyethyl} carbamate represented by the following formula (IIa-Boc-059):

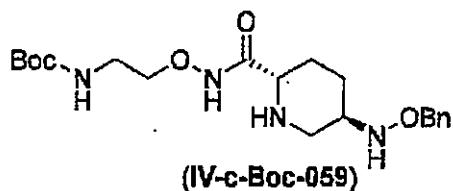
[Chemical formula 173]



in the above formula (IIa-Boc-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl and OBn represents benzyloxy,

- 15 which comprises silylating a compound represented by the following formula (IV-c-Boc-059):

[Chemical formula 172]

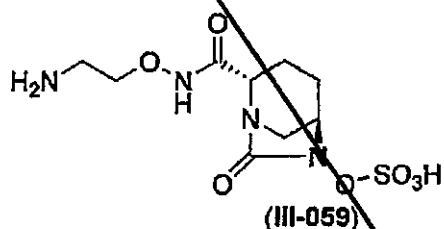


- 20 in the above formula (IV-c-Boc-059), Boc represents tert-butoxycarbonyl and OBn represents benzyloxy,

using triethylamine and chlorotrimethylsilane in the reaction system, and subsequently subjecting to intramolecular urea formation reaction using phosgene or diphosgene with a catalytic amount of 4-dimethylaminepyridine.

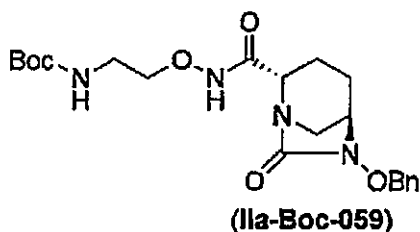
- 5 27. A process for preparing (2S,5R)-N-(2-aminoethoxy)-7-oxo-6-(sulfoxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide represented by the following formula (III-059):

[Chemical formula 177]



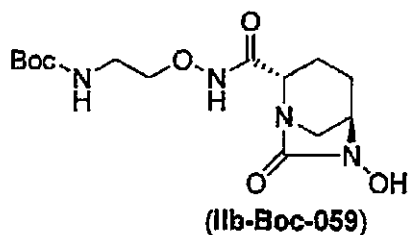
- 10 which comprises,  
removing the benzyl of the benzyloxy at the 6-position of a compound represented by the following formula (IIa-Boc-059):

[Chemical formula 174]



- 15 in the above formula (IIa-Boc-059), Boc represents tert-butoxycarbonyl, and OBn represents benzyloxy,  
using palladium-carbon under hydrogen atmosphere to prepare a compound represented by the following formula (IIb-Boc-059):

[Chemical formula 175]

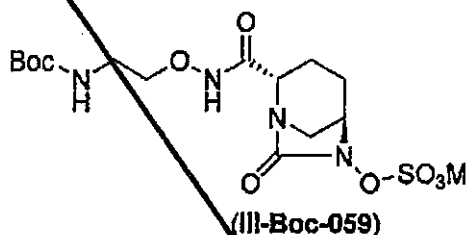


20

in the above formula (IIb-Boc-059), Boc represents tert-butoxycarbonyl,

sulfating the hydroxyl group at the 6-position using a sulfur trioxide-pyridine complex in the presence of pyridine, 2-picoline or 2,6-lutidine to prepare a compound represented by the following formula (III-Boc-059):

[Chemical formula 176]

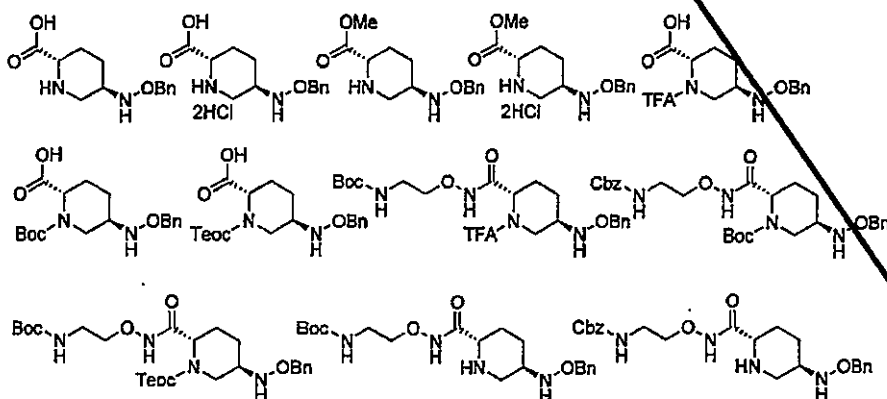


in the above formula (III-Boc-059), Boc represents tert-butoxycarbonyl, and M represents H, pyridinium, sodium or tetrabutylammonium, and deprotecting the tert-butoxycarbonyl group with an acid selected from hydrochloric acid, sulfuric acid, methanesulfonic acid, trifluoroacetic acid or tetrafluoroboric acid.

28. A process for preparing (2S,5R)-methyl 5-(benzyloxyamino)piperidine-2-carboxylate and hydrochloride thereof, comprising methyl esterifying (2S,5S)-5-hydroxypiperidine-2-carboxylic acid or hydrochloride thereof, trifluoroacetylizing, benzyloxyaminating the hydroxyl group at the 5-position, and removing the trifluoroacetyl.

29. The compounds of the following formulae:

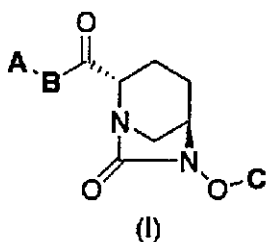
[Chemical formula 45]



in the above formulae, TFA represents trifluoroacetyl, OMe represents methoxy, Boc represents tert-butoxycarbonyl, Teoc represents 2-trimethylsilylethoxycarbonyl, and OBn represents benzyloxy.

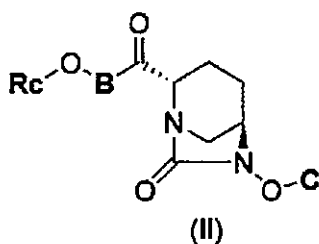
## Claims

1. A diazabicyclooctane derivative represented by the following formula (I):



- 5 in the above formula (I), A represents  $R_a(R_b)N-$  or  $R_cO-$ ; B represents  $NH$  or  $NC_{1-6}$  alkyl; C represents benzyl, H or  $SO_3M$ , where M represents H, an inorganic cation or an organic cation;  $R_a$  and  $R_b$  each independently represent H, a  $C_{1-6}$  alkyl or acyl;  $R_c$  represents  $C_{1-6}$  alkyl or a heterocyclyl; A may be modified by 0 to 4 substituents  $Fn1$ , where the substituent  $Fn1$  may be substituted continuously;  $Fn1$  represents  $C_{1-6}$  alkyl, 10  $O=$ , or  $R_g-(CH_2)_{0-3}-$ , where  $R_g$  represents a heterocyclyl, phenyl, heteroaryl, acyl,  $R_dO_2S-$ ,  $Re(R_f)N-$ ,  $Re(R_f)NCO-$ ,  $ReO-$ ,  $ReOCO-$  or a protective group, where  $R_d$  represents  $C_{1-6}$  alkyl or  $MO-$ ;  $Re$  and  $R_f$  each independently represent H or  $C_{1-6}$  alkyl, and further, between  $R_a$  and  $R_b$ , between  $R_c$  and B, and between  $Re$  and  $R_f$  may be closed by the bonding to form a heterocyclyl having at least one nitrogen atom, 15 a pharmaceutically acceptable salt thereof, or a solvate thereof.

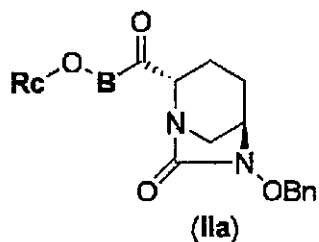
2. A diazabicyclooctane derivative represented by the following formula (II):



- in the above formula (II),  $R_c$  represents  $C_{1-6}$  alkyl or a heterocyclyl; B represents  $NH$  or  $NC_{1-6}$  alkyl; C represents benzyl, H or  $SO_3M$ , where M represents H, an inorganic cation or an organic cation;  $R_c$  may be modified by 0 to 4 substituents  $Fn1$ , where the substituent  $Fn1$  may be substituted continuously;  $Fn1$  represents  $C_{1-6}$  alkyl,  $O=$ , or  $R_g-(CH_2)_{0-3}-$ , where  $R_g$  represents a heterocyclyl, phenyl, heteroaryl, acyl,  $R_dO_2S-$ ,  $Re(R_f)N-$ ,  $Re(R_f)NCO-$ ,  $ReO-$ ,  $ReOCO-$  or a protective group, where  $R_d$  represents  $C_{1-6}$  20 alkyl or  $MO-$ ;  $Re$  and  $R_f$  each independently represent H or  $C_{1-6}$  alkyl, and further, between  $R_c$  and B, and between  $Re$  and  $R_f$  may be closed by the bonding to form a 25

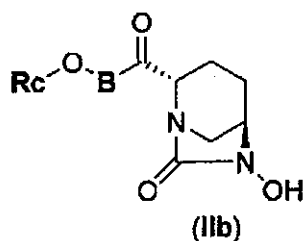
heterocyclyl having at least one nitrogen atom,  
a pharmaceutically acceptable salt thereof, or a solvate thereof.

3. A diazabicyclooctane derivative represented by the following formula (IIa):



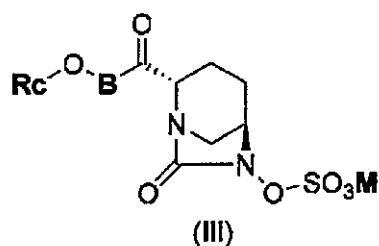
in the above formula (IIa), OBn represents benzyloxy, and Rc and B have the same meanings as the compound of Claim 2,  
a pharmaceutically acceptable salt thereof, or a solvate thereof.

4. A diazabicyclooctane derivative represented by the following formula (IIb):



in the above formula (IIb), Rc and B have the same meanings as the compound of Claim 2,  
a pharmaceutically acceptable salt thereof, or a solvate thereof.

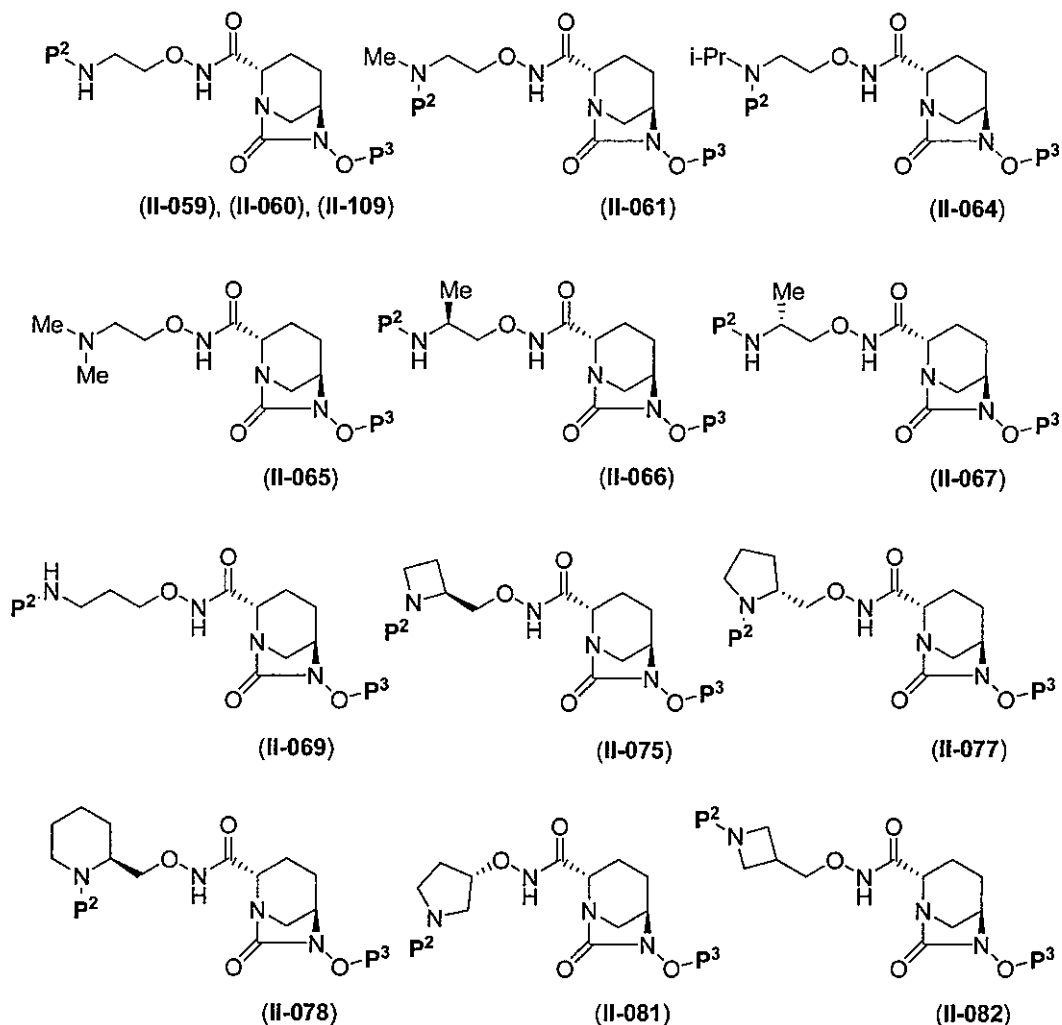
5. A diazabicyclooctane derivative represented by the following formula (III):



in the above formula (III), Rc, B and M have the same meanings as the compound of Claim 2,

a pharmaceutically acceptable salt thereof, or a solvate thereof.

6. The compound of any one of Claims 1 to 5, which is represented by the following formulae:



5 in the above formulae, P<sup>2</sup> represents tert-butoxycarbonyl (Boc), benzyloxycarbonyl (Cbz) or H; P<sup>3</sup> represents benzyl (Bn), H or SO<sub>3</sub>M; where M represents H, sodium, pyridinium or tetrabutylammonium, a pharmaceutically acceptable salt thereof, or a solvate thereof.

10 7. The compound of any one of Claims 1 to 3, which is:  
 (2S,5R)-N-(2-aminoethoxy)-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,  
 (2S,5R)-N-[2-(methylamino)ethoxy]-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide,  
 15 (2S,5R)-7-oxo-N-[2-(propan-2-ylamino)ethoxy]-6-(sulfooxy)-1,6-

- diazabicyclo[3.2.1]octane-2-carboxamide,  
 (2S,5R)-N-[2-(dimethylamino)ethoxy]-7-oxo-6-(sulfooxy)-1,6-  
 diazabicyclo[3.2.1]octane-2-carboxamide,  
 (2S,5R)-N-{[(2S)-2-aminopropyl]oxy}-7-oxo-6-(sulfooxy)-1,6-  
 5 diazabicyclo[3.2.1]octane-2-carboxamide,  
 (2S,5R)-N-{[(2R)-2-aminopropyl]oxy}-7-oxo-6-(sulfooxy)-1,6-  
 diazabicyclo[3.2.1]octane-2-carboxamide,  
 (2S,5R)-N-(3-aminopropoxy)-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-  
 carboxamide,  
 10 (2S,5R)-N-[(2S)-azetidin-2-ylmethoxy]-7-oxo-6-(sulfooxy)-1,6-  
 diazabicyclo[3.2.1]octane-2-carboxamide,  
 (2S,5R)-7-oxo-N-[(2R)-pyrrolidin-2-ylmethoxy]-6-(sulfooxy)-1,6-  
 diazabicyclo[3.2.1]octane-2-carboxamide,  
 (2S,5R)-7-oxo-N-[(2S)-piperidin-2-ylmethoxy]-6-(sulfooxy)-1,6-  
 15 diazabicyclo[3.2.1]octane-2-carboxamide,  
 (2S,5R)-7-oxo-N-[(3S)-pyrrolidin-3-yloxy]-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-  
 2-carboxamide, or  
 (2S,5R)-N-(azetidin-3-ylmethoxy)-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-  
 carboxamide,  
 20 a pharmaceutically acceptable salt thereof, or a solvate thereof.
8. A pharmaceutical composition comprising the diazabicyclooctane derivative  
 represented by the formula (I) of Claim 1, a pharmaceutically acceptable salt thereof, or  
 a solvate thereof, and, optionally, a pharmaceutically acceptable carrier.
- 25 9. The pharmaceutical composition of Claim 8, for administration in combination  
 with a  $\beta$ -lactam antibiotic.
10. The pharmaceutical composition of Claim 8 or 9, for treating bacterial infection.
- 30 11. A  $\beta$ -lactamase inhibitor comprising the diazabicyclooctane derivative represented  
 by the formula (I) of Claim 1, a pharmaceutically acceptable salt thereof, or a solvate  
 thereof.
- 35 12. A pharmaceutical composition comprising the  $\beta$ -lactamase inhibitor of Claim 11,  
 a  $\beta$ -lactam antibiotic, and, optionally, a pharmaceutically acceptable carrier.

13. A pharmaceutical composition comprising the  $\beta$ -lactamase inhibitor of Claim 11, a  $\beta$ -lactam antibiotic selected from the group consisting of ampicillin, amoxicillin, piperacillin, ticarcillin, flomoxef, cefotaxim, ceftriaxone, ceftazidime, cefepime, ceftaroline, ceftolozane, imipenem, meropenem, biapenem, doripenem, ertapenem and  
5 aztreonam, and, optionally, a pharmaceutically acceptable carrier.

14. A pharmaceutical composition comprising of the  $\beta$ -lactamase inhibitor of Claim 11 and a  $\beta$ -lactam antibiotic for use in the treatment of bacterial infection.

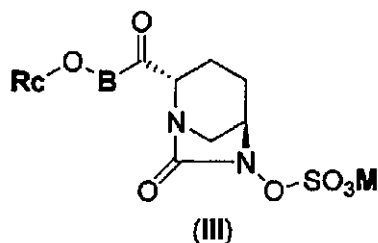
10 15. A pharmaceutical composition comprising of the  $\beta$ -lactamase inhibitor of Claim 11 and a  $\beta$ -lactam antibiotic selected from the group consisting of ampicillin, amoxicillin, piperacillin, ticarcillin, flomoxef, cefotaxim, ceftriaxone, ceftazidime, cefepime, ceftaroline, ceftolozane, imipenem, meropenem, biapenem, doripenem, ertapenem and aztreonam, for use in the treatment of bacterial infection.

15

16. The use of a pharmaceutical composition comprising of the  $\beta$ -lactamase inhibitor of Claim 11 and a  $\beta$ -lactam antibiotic in the manufacture of a medicament for the treatment of bacterial infection.

20 17. The use of a pharmaceutical composition comprising of the  $\beta$ -lactamase inhibitor of Claim 11 and a  $\beta$ -lactam antibiotic selected from the group consisting of ampicillin, amoxicillin, piperacillin, ticarcillin, flomoxef, cefotaxim, ceftriaxone, ceftazidime, cefepime, ceftaroline, ceftolozane, imipenem, meropenem, biapenem, doripenem, ertapenem and aztreonam, in the manufacture of a medicament for the treatment of  
25 bacterial infection.

18. A process for preparing the compound of Claim 5 represented by the following formula (III):

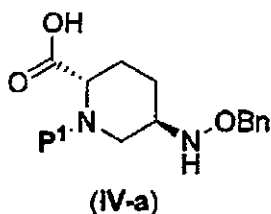


30 in the above formula (III), Rc and B have the same meanings as defined for the compound of Claim 2,

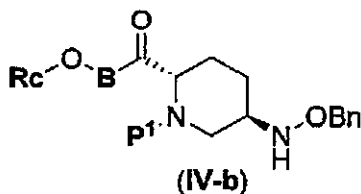
which is included in Claim 2

which comprises

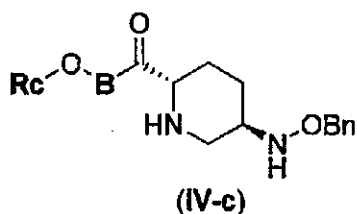
subjecting to coupling a compound represented by the following formula (IV-a):



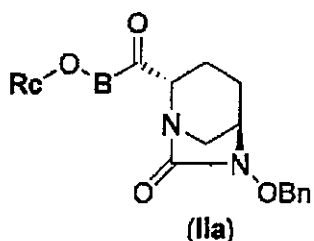
- 5 in the above formula (IV-a), P<sup>1</sup> represents a protective group which can be removed by an acid, a base or a nucleophilic agent; and OBn represents benzyloxy, with a compound: RcOBH using an active ester, an active amide or a dehydration condensing agent to prepare a compound represented by the following formula (IV-b):



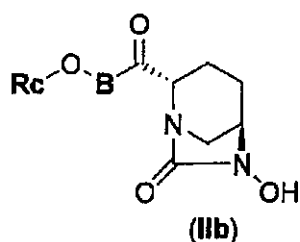
- 10 in the above formula (IV-b), P<sup>1</sup> represents a protective group which can be removed by an acid, a base or a nucleophilic agent; Rc and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy, deprotecting P<sup>1</sup> which is a protective group to prepare a compound represented by the following formula (IV-c):



- 15 in the above formula (IV-c), Rc and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy, silylating the compound in the reaction system, and then, subjecting to intramolecular urea formation reaction to prepare a compound represented by the following formula
- 20 (IIa):

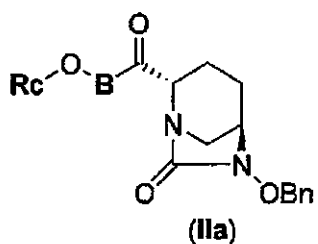


- in the above formula (IIa), Rc and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy, then, removing the benzyl of the benzyloxy at the 6-position using a hydrogenolysis catalyst under hydrogen atmosphere to prepare a compound represented by the following formula (IIb):

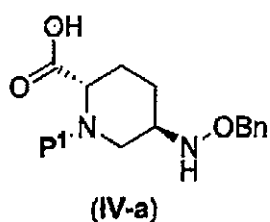


- in the above formula (IIb), Rc and B have the same meanings as defined for the compound of Claim 2, and sulfating the hydroxyl group at the 6-position in the presence of a base, and, if necessary, deprotecting the protective group in the side chain: RcOB-.

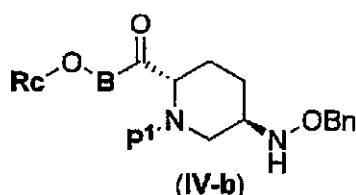
19. A process for preparing the compound of Claim 3 represented by the following formula (IIa):



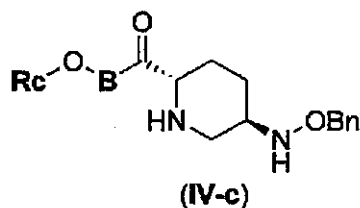
- in the above formula (IIa), Rc and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy, which is included in Claim 2, which comprises subjecting to coupling a compound represented by the following formula (IV-a):



- in the above formula (IV-a), P<sup>1</sup> represents a protective group which can be removed by an acid, a base or a nucleophilic agent; and OBn represents benzyloxy,  
 with a compound: RcOBH using an active ester, an active amide or a dehydration  
 5    condensing agent to prepare a compound represented by the following formula (IV-b):

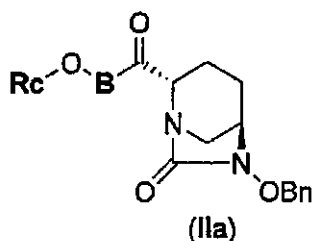


- in the above formula (IV-b), P<sup>1</sup> represents a protective group which can be removed by an acid, a base or a nucleophilic agent; Rc and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy,  
 10    deprotecting P<sup>1</sup> which is a protective group to prepare a compound represented by the following formula (IV-c):



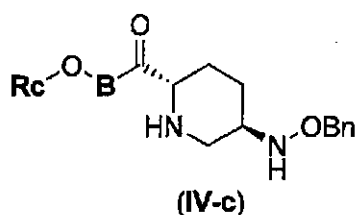
- in the above formula (IV-c), Rc and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy,  
 15    silylating the compound in the reaction system, and then, subjecting to intramolecular urea formation reaction.

20.    A process for preparing the compound of Claim 3 represented by the following formula (IIa):



in the above formula (IIa), R<sub>c</sub> and B have the same meanings as defined for the compound of Claim 2 and OBn represents benzyloxy, which is included in Claim 2, which comprises

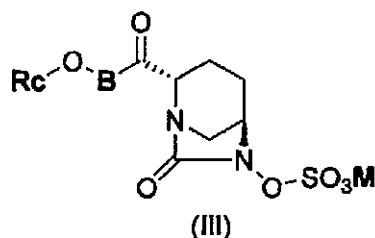
- 5 silylating the compound represented by the following formula (IV-c):



in the above formula (IV-c), R<sub>c</sub> and B have the same meanings as defined for the compound of Claim 2, and OBn represents benzyloxy,

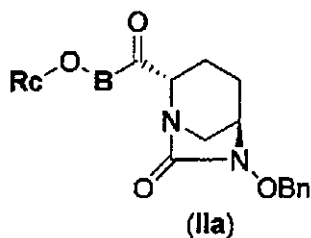
- 10 in the reaction system, and then, subjecting to intramolecular urea formation reaction.

21. A process for preparing the compound represented by the following formula (III):

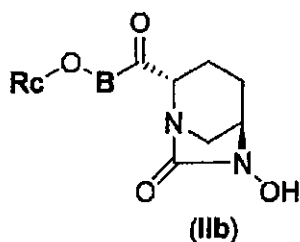


in the above formula (III), R<sub>c</sub>, B and M have the same meanings as defined for the compound of Claim 2,

- 15 which is included in Claim 2, which comprises removing the benzyl of the benzyloxy at the 6-position of a compound represented by the following formula (IIa):

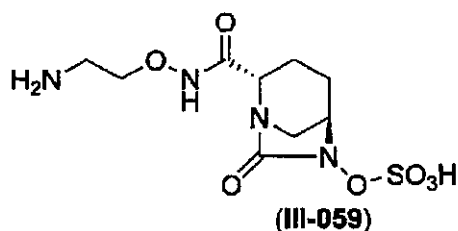


in the above formula (IIa), Rc and B have the same meanings as defined for the compound of Claim 2 and OBn represents a benzyloxy group, using a hydrogenolysis catalyst under hydrogen atmosphere to prepare a compound represented by the following formula (IIb):

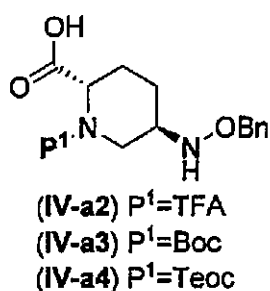


in the above formula (IIb), Rc and B have the same meanings as defined for the compound of Claim 2, and sulfating the hydroxyl group at the 6-position in the presence of a base, and, if necessary, deprotecting the protective group in the side chain: RcOB-.

22. A process for preparing (2S,5R)-N-(2-aminoethoxy)-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide represented by the following formula (III-059):

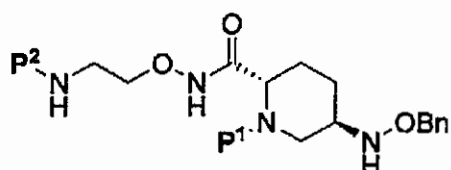


which comprises among the compounds represented by the following formulae (IV-a2), (IV-a3) and (IV-a4):



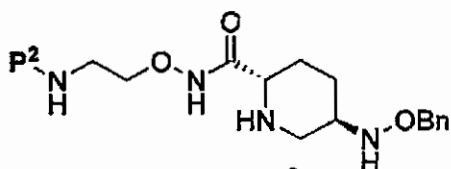
in the above formula (IV-a2), (IV-a3) or (IV-a4), TFA represents trifluoroacetyl, Boc represents tert-butoxycarbonyl, Teoc represents 2-trimethylsilylethoxycarbonyl, and OBn represents benzyloxy, subjecting to coupling the compound represented by the formula (IV-a2) or (IV-a4) with

tert-butyl 2-(aminooxy)ethylcarbamate using an active ester, an active amide or a dehydration condensing agent, or  
 subjecting to coupling the compound represented by the formula (IV-a3) with benzyl 2-(aminooxy)ethylcarbamate using an active ester, an active amide or a dehydration  
 5 condensing agent,  
 to prepare a compound represented by the following formula (IV-b2-Boc-059), (IV-b3-Cbz-059) or (IV-b4-Boc-059):



(IV-b2-Boc-059) P<sup>1</sup>=TFA, P<sup>2</sup>=Boc  
 (IV-b3-Cbz-059) P<sup>1</sup>=Boc, P<sup>2</sup>=Cbz  
 (IV-b4-Boc-059) P<sup>1</sup>=Teoc, P<sup>2</sup>=Boc

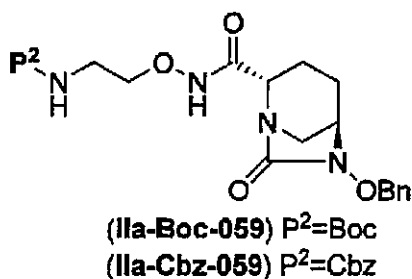
in the above formula (IV-b2-Boc-059), (IV-b3-Cbz-059) or (IV-b4-Boc-059), TFA  
 10 represents trifluoroacetyl, Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, Teoc represents 2-trimethylsilylethoxycarbonyl, and OBn represents benzyloxy,  
 deprotecting the trifluoroacetyl of the compound represented by the formula (IV-b2-Boc-059) by the treatment with a base to prepare a compound represented by the  
 15 following formula (IV-c-Boc-059):



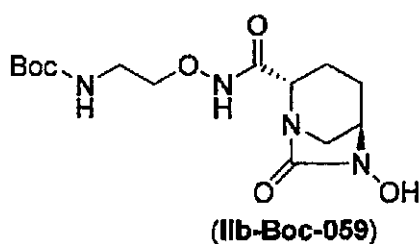
(IV-c-Boc-059) P<sup>2</sup>=Boc  
 (IV-c-Cbz-059) P<sup>2</sup>=Cbz

in the above formula (IV-c-Boc-059) or (IV-c-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents a benzyloxy,  
 removing the tert-butoxycarbonyl of the compound represented by the formula (IV-b3-Cbz-059) by an acid treatment to prepare a compound represented by the above formula  
 20 (IV-c-Cbz-059), or  
 removing the 2-trimethylsilylethoxycarbonyl of the compound represented by the formula (IV-b4-Boc-059) by a fluoride to prepare a compound represented by the above formula (IV-c-Boc-059),  
 25 then, after silylating the above-mentioned (IV-c-Boc-059) or (IV-c-Cbz-059) in the

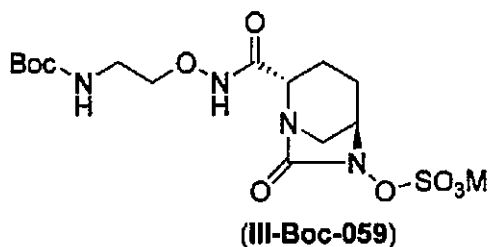
reaction system, subjecting to intramolecular urea formation reaction to prepare a compound represented by the following formula (IIa-Boc-059) or (IIa-Cbz-059):



- in the above formula (IIa-Boc-059) or (IIa-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents benzyloxy, removing the benzyl of the benzyloxy at the 6-position of the compound represented by the formula (IIa-Boc-059) using a hydrogenolysis catalyst under hydrogen atmosphere, or removing the benzyl of the benzyloxy at the 6-position of the compound represented by the formula (IIa-Cbz-059) using a hydrogenolysis catalyst under hydrogen atmosphere, and simultaneously tert-butoxycarbonylating the same in the presence of di-tert-butoxydicarbonate to prepare a compound represented by the following formula (IIb-Boc-059):

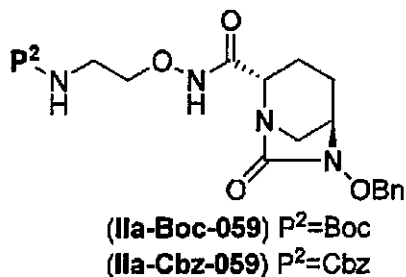


- in the above formula (IIb-Boc-059), Boc represents tert-butoxycarbonyl, sulfating the hydroxyl group at the 6-position to prepare a compound represented by the following formula (III-Boc-059):

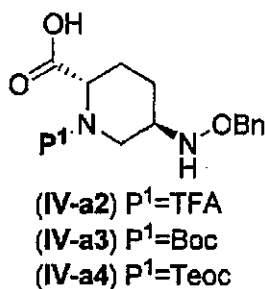


- in the above formula (III-Boc-059), Boc represents tert-butoxycarbonyl, and M represents H, pyridinium, sodium or tetrabutylammonium, and deprotecting the tert-butoxycarbonyl by an acid treatment.

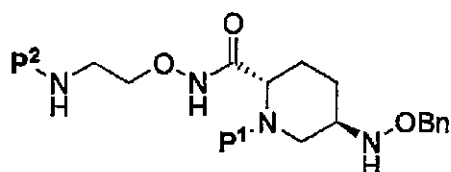
23. A process for preparing tert-butyl {2-[[[(2S,5R)-6-benzyloxy-7-oxo-1,6-diazabicyclo[3.2.1]oct-2-yl]carbonyl]amino]oxy]ethyl} carbamate, or benzyl {2-[[[(2S,5R)-6-benzyloxy-7-oxo-1,6-diazabicyclo[3.2.1]oct-2-yl]carbonyl]amino]oxy]ethyl} carbamate represented by the following formula (IIa-Boc-059) or (IIa-Cbz-059):



- in the above formula (IIa-Boc-059) or (IIa-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents benzyloxy, which comprises
- 10 among the compounds represented by the following formulae (IV-a2), (IV-a3) and (IV-a4):



- in the above formula (IV-a2), (IV-a3) or (IV-a4), TFA represents trifluoroacetyl, Boc represents tert-butoxycarbonyl, Teoc represents 2-trimethylsilylethoxycarbonyl, and
- 15 OBn represents benzyloxy,
- subjecting to coupling each of the compounds represented by the formulae (IV-a2) and (IV-a4) using tert-butyl 2-(aminooxy)ethylcarbamate, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole monohydrate in combination,
- 20 subjecting to coupling the compounds represented by the formula (IV-a3) using benzyl 2-(aminooxy)ethylcarbamate, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole monohydrate in combination, to prepare a compound represented by the following formula (IV-b2-Boc-059), (IV-b3-Cbz-059) or (IV-b4-Boc-059):



(IV-b2-Boc-059) P<sup>1</sup>=TFA, P<sup>2</sup>=Boc

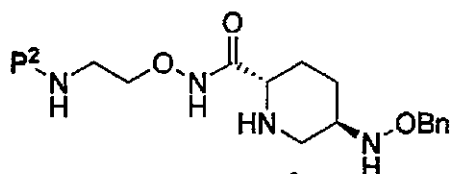
(IV-b3-Cbz-059) P<sup>1</sup>=Boc, P<sup>2</sup>=Cbz

(IV-b4-Boc-059) P<sup>1</sup>=Teoc, P<sup>2</sup>=Boc

in the above formula (IV-b2-Boc-059), (IV-b3-Cbz-059) or (IV-b4-Boc-059), TFA represents trifluoroacetyl, Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, Teoc represents 2-trimethylsilylethoxycarbonyl, and OBn

5 represents benzyloxy,

removing the trifluoroacetyl of the compound represented by the formula (IV-b2-Boc-059) by using a base selected from lithium hydroxide, sodium hydroxide, potassium hydroxide to prepare a compound represented by the following formula (IV-c-Boc-059):



(IV-c-Boc-059) P<sup>2</sup>=Boc

(IV-c-Cbz-059) P<sup>2</sup>=Cbz

10 in the above formula (IV-c-Boc-059) or (IV-c-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents benzyloxy, removing the tert-butoxycarbonyl of the compound represented by the formula (IV-b3-Cbz-059) using an acid selected from hydrochloric acid, sulfuric acid, methanesulfonic acid and trifluoroacetic acid to prepare a compound represented by the above formula

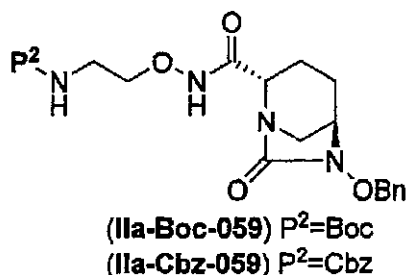
15 (IV-c-Cbz-059), or

removing the 2-trimethylsilylethoxycarbonyl of the compound represented by the formula (IV-b4-Boc-059) using tetrabutylammonium fluoride to prepare a compound represented by the above formula (IV-c-Boc-059), and

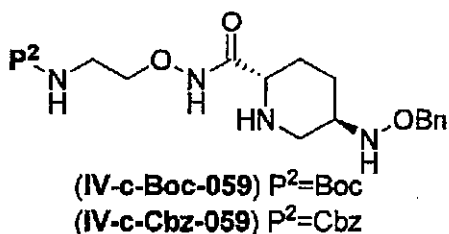
20 silylating the compound represented by the above formula (IV-c-Boc-059) or (IV-c-Cbz-059) using chlorotrialkylsilane in the reaction system and subsequently subjecting to intramolecular urea formation reaction using phosgene or diphosgene to prepare the compound represented by the formula (IIa-Boc-059) or (IIa-Cbz-059).

24. A process for preparing tert-butyl {2-[(2S,5R)-6-benzyloxy-7-oxo-1,6-diazabicyclo[3.2.1]octo-2-yl]carbonyl}amino)oxy]ethyl} carbamate, or benzyl {2-

[[[(2S,5R)-6-benzyloxy-7-oxo-1,6-diazabicyclo[3.2.1]oct-2-yl]carbonyl}amino]oxy]ethyl} carbamate represented by the following formula (IIa-Boc-059) or (IIa-Cbz-059):



- 5 in the above formula (IIa-Boc-059) or (IIa-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents benzyloxy, which comprises  
silylating a compound represented by the following formula (IV-c-Boc-059) or (IV-c-Cbz-059):

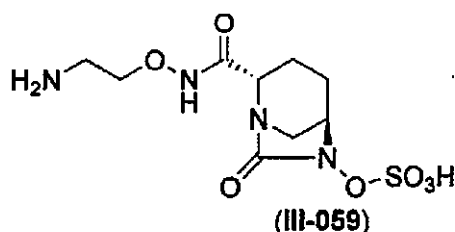


10

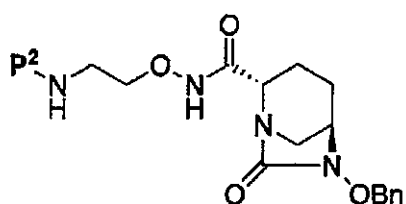
in the above formula (IV-c-Boc-059) or (IV-c-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents benzyloxy, using chlorotrimethylsilane in the reaction system, and subsequently subjecting to intramolecular urea formation reaction using phosgene or diphosgene.

15

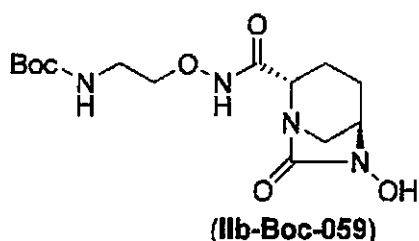
25. A process for preparing (2S,5R)-N-(2-aminoethoxy)-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide represented by the following formula (III-059):



- 20 which comprises  
among the compounds represented by the following formulae (IIa-Boc-059) and (IIa-Cbz-059):

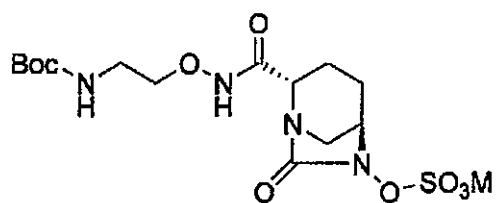
(IIa-Boc-059)  $P^2 = \text{Boc}$ (IIa-Cbz-059)  $P^2 = \text{Cbz}$ 

- in the above formula (IIa-Boc-059) or (IIa-Cbz-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl, and OBn represents benzyloxy, removing the benzyl of the benzyloxy group at the 6-position of the compound represented by the formula (IIa-Boc-059) using palladium-carbon under hydrogen atmosphere, or removing the benzyl of the benzyloxy group at the 6-position of the compound represented by the formula (IIa-Cbz-059) using palladium-carbon under hydrogen atmosphere in the presence of di-tert-butoxydicarbonate, and simultaneously subjecting to tert-butoxycarbonylation to prepare a compound represented by the following formula (IIb-Boc-059):



(IIb-Boc-059)

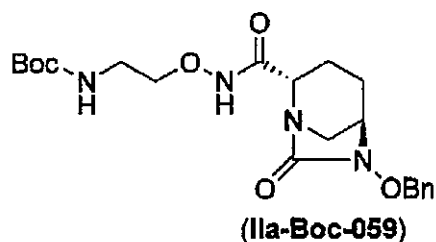
- in the above formula (IIb-Boc-059), Boc represents a tert-butoxycarbonyl group, sulfating the hydroxyl group at the 6-position by a sulfur trioxide-pyridine complex in the presence of pyridine, 2-picoline or 2,6-lutidine, to prepare a compound represented by the following formula (III-Boc-059):



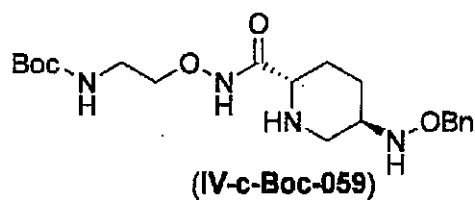
(III-Boc-059)

- in the above formula (III-Boc-059), Boc represents tert-butoxycarbonyl, and M represents H, pyridinium, sodium or tetrabutylammonium, and deprotecting the tert-butoxycarbonyl by an acid selected from hydrochloric acid, sulfuric acid, methanesulfonic acid, trifluoroacetic acid and tetrafluoroboric acid.

26. A process for preparing tert-butyl {2-[(2S,5R)-6-benzyloxy-7-oxo-1,6-diazabicyclo[3.2.1]octo-2-yl]carbonyl}aminoethoxyethyl} carbamate represented by the following formula (IIa-Boc-059):



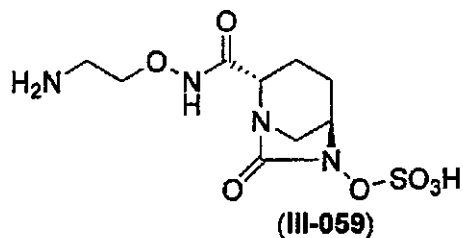
- 5 in the above formula (IIa-Boc-059), Boc represents tert-butoxycarbonyl, Cbz represents benzyloxycarbonyl and OBn represents benzyloxy, which comprises  
silylating a compound represented by the following formula (IV-c-Boc-059):



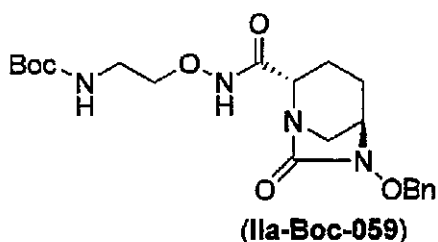
- 10 in the above formula (IV-c-Boc-059), Boc represents tert-butoxycarbonyl and OBn represents benzyloxy, using triethylamine and chlorotrimethylsilane in the reaction system, and subsequently subjecting to intramolecular urea formation reaction using phosgene or diphosgene with a catalytic amount of 4-dimethylaminepyridine.

15

27. A process for preparing (2S,5R)-N-(2-aminoethoxy)-7-oxo-6-(sulfooxy)-1,6-diazabicyclo[3.2.1]octane-2-carboxamide represented by the following formula (III-059):

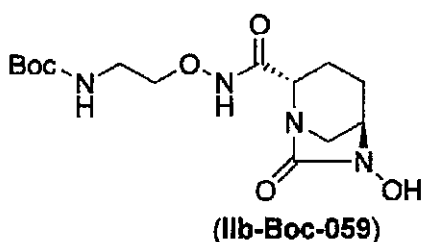


- 20 which comprises,  
removing the benzyl of the benzyloxy at the 6-position of a compound represented by the following formula (IIa-Boc-059):



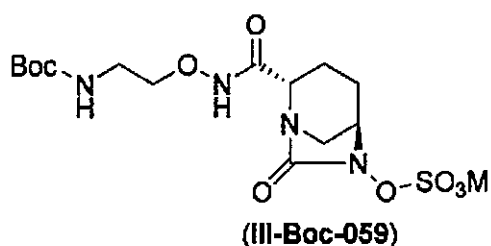
in the above formula (IIa-Boc-059), Boc represents tert-butoxycarbonyl, and OBn represents benzyloxy,

5 using palladium-carbon under hydrogen atmosphere to prepare a compound represented by the following formula (IIb-Boc-059):



in the above formula (IIb-Boc-059), Boc represents tert-butoxycarbonyl, sulfating the hydroxyl group at the 6-position using a sulfur trioxide-pyridine complex in the presence of pyridine, 2-picoline or 2,6-lutidine to prepare a compound represented by the following formula (III-Boc-059):

10



in the above formula (III-Boc-059), Boc represents tert-butoxycarbonyl, and M represents H, pyridinium, sodium or tetrabutylammonium, and deprotecting the tert-butoxycarbonyl group with an acid selected from hydrochloric acid, sulfuric acid, methanesulfonic acid, trifluoroacetic acid or tetrafluoroboric acid.

15