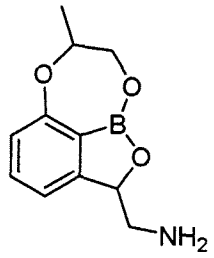
【WHAT IS CLAIMED IS:】

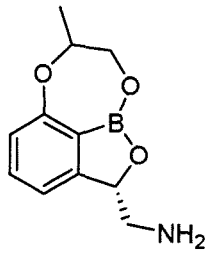
~~1. A tricyclic benzoxaborole compound represented by Chemical Formula 1, isomer~~ thereof, or a pharmaceutically acceptable salt thereof:

[Chemical Formula 1]



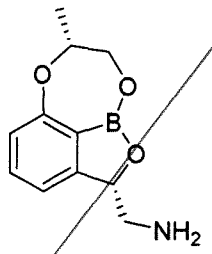
2. The tricyclic benzoxaborole compound, isomer thereof, or a pharmaceutically acceptable salt thereof according to Claim 1, whrerin the isomer represented by Chemical Formula 2:

[Chemical Formula 2]



3. The tricyclic benzoxaborole compound, or a pharmaceutically acceptable salt thereof according to Claim 1, wherein the isomer represented by Chemical Formula 3:

[Chemical Formula 3]



4. The tricyclic benzoxaborole compound, isomer thereof, or a pharmaceutically acceptable salt thereof according to Claim 1, wherein the compound is selected from the group consisting of:

~~1) (7-methyl-7,8-dihydro-2H-1,6,9-trioxa-9a-borabenzo[cd]azulen-2-yl)methanamine~~

~~hydrochloride;~~

2) ((2S)-7-methyl-7,8-dihydro-2H-1,6,9-trioxa-9a-borabenzo[cd]azulen-2-yl)methanamine hydrochloride;

3) ((2S,7R)-7-methyl-7,8-dihydro-2H-1,6,9-trioxa-9a-borabenzo[cd]azulen-2-yl)methanamine hydrochloride;

4) ((2S,7R)-7-methyl-7,8-dihydro-2H-1,6,9-trioxa-9a-borabenzo[cd]azulen-2-yl)methanamine; and

5) ((2S, 7S)-7-methyl-7,8-dihydro-2H-1,6,9-trioxa-9a-borabenzo[cd]azulen-2-yl)methanamine hydrochloride.

5. The tricyclic benzoxaborole compound, isomer thereof, or a pharmaceutically acceptable salt thereof according to Claim 1, wherein the pharmaceutically acceptable salt is formed by acid selected from the group consisting of hydrochloric acid, sulfuric acid, nitric acid, phosphoric acid, hydrobromic acid, hydroiodic acid, tartaric acid, formic acid, citric acid, acetic acid, trichloroacetic acid, trifluoroacetic acid, gluconic acid, benzoic acid, lactic acid, mandelic acid, fumaric acid, maleic acid, salicylic acid, methanesulfonic acid, ethanesulfonic acid, benzenesulfonic acid, and p-toluenesulfonic acid.

6. A method of preparing a tricyclic benzoxaborole compound of Chemical Formula 1 or a pharmaceutically acceptable salt thereof comprises the steps of:

coupling a compound of Chemical Formula 4 and a compound of Chemical Formula 5 to prepare a compound of Chemical Formula 6;

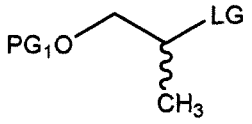
performing a borylation reaction of the compound of Chemical Formula 6 to prepare a compound of Chemical Formula 7 and then performing cyanation to prepare a cyanobenzoxaborole compound of Chemical Formula 8;

reducing the compound of Chemical Formula 8 to prepare an amino benzoxaborole compound of Chemical Formula 9 by substitution of the cyano group with an amino group;

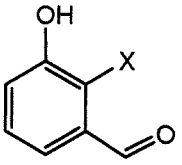
introducing a protecting group (PG_2) into the amino group of the compound of Chemical Formula 9 to prepare a compound of Chemical Formula 10, performing a condensation reaction of the compound of Chemical Formula 10 to deprotect the protecting group (PG_1), and then performing a cyclization reaction to prepare a tricyclic benzoxaborole compound of Chemical ~~Formula 11; and~~

~~deprotecting the amino group (PG2) of the compound of Chemical Formula 11 to~~ prepare a compound of Chemical Formula 1.

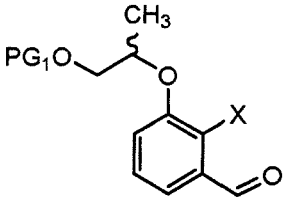
[Chemical Formula 4]



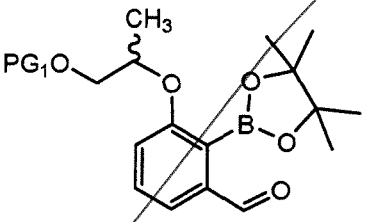
[Chemical Formula 5]



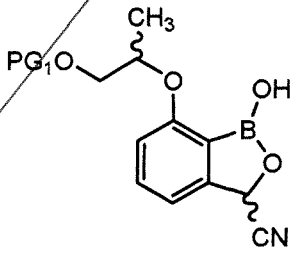
[Chemical Formula 6]



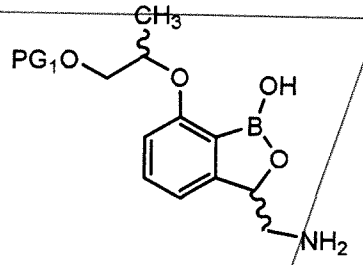
[Chemical Formula 7]



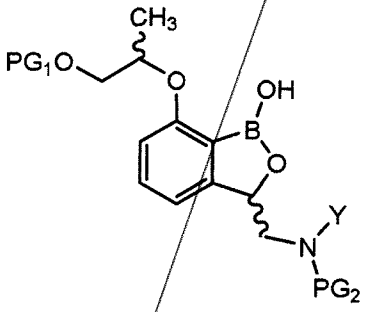
[Chemical Formula 8]



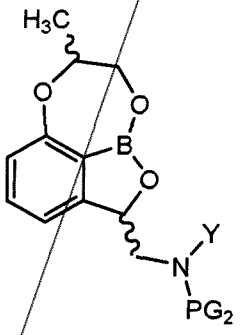
~~[Chemical Formula 9]~~



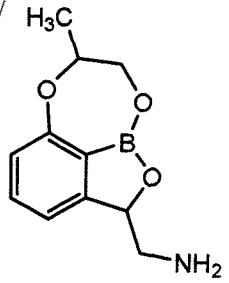
[Chemical Formula 10]



[Chemical Formula 11]



[Chemical Formula 1]



In Chemical Formulae 4 to 11,

PG_1 and PG_2 are each independently benzyl, t-butyl, Boc (tert-butyloxycarbonyl), pmb (4-methoxybenzyl), Fmoc (Fluorenylmethyloxycarbonyl), Ts (tosylate), MOM (methoxymethyl), THP (tetrahydropyranyl), TBDMS (tert-butyldimethylsilyl), or TBDPS (tert-butyldimethylsilyl),

LG is halogen, para-toluenesulfonyl group or a methanesulfonyl group,

X is hydrogen, halogen, or trifluoromethanesulfonyl, and

~~Y is hydrogen or PG_2.~~

7. A method of preparing a tricyclic benzoxaborole compound of Chemical Formula 1 comprises the steps of:

coupling the compound of Chemical Formula 4 and the compound of Chemical Formula 5 to prepare the compound of Chemical Formula 6;

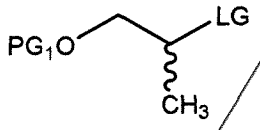
performing a nitration reaction of the compound of Chemical Formula 6 or using a chiral ligand or a chiral catalyst to prepare a compound of Chemical Formula 12 or isomers thereof, reducing the compound of Chemical Formula 12 to prepare the compound of Chemical Formula 13 by substitution of the nitro group with an amino group;

introducing a protecting group (PG_2) into the amino group of the compound of Chemical Formula 13 to prepare a compound of Chemical Formula 14, and performing a borylation reaction of the compound of Chemical Formula 14 to prepare the benzoxaborole compound of Chemical Formula 10;

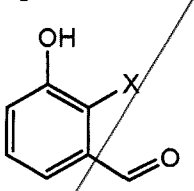
performing a condensation reaction of the compound of Chemical Formula 10 to remove the protecting group (PG_1) and then performing a cyclization reaction to prepare a tricyclic benzoxaborole compound of Chemical Formula 11; and

deprotecting the amino group of the compound of Chemical Formula 11 to prepare the compound of Chemical Formula 1.

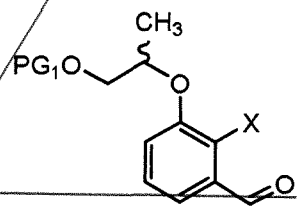
~~[Chemical Formula 4]~~



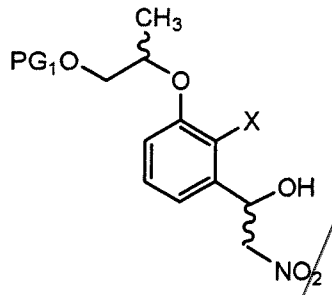
[Chemical Formula 5]



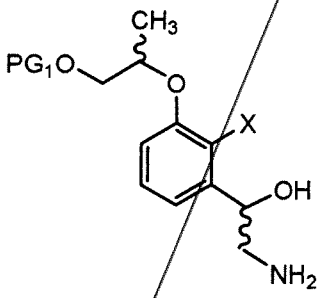
[Chemical Formula 6]



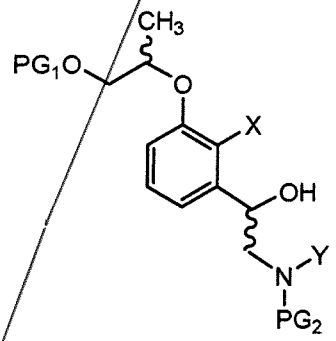
[Chemical Formula 12]



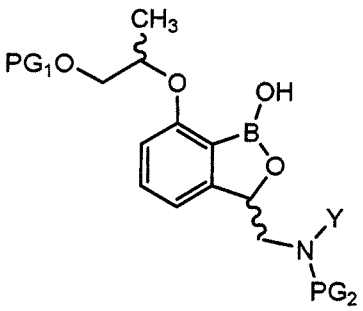
[Chemical Formula 13]



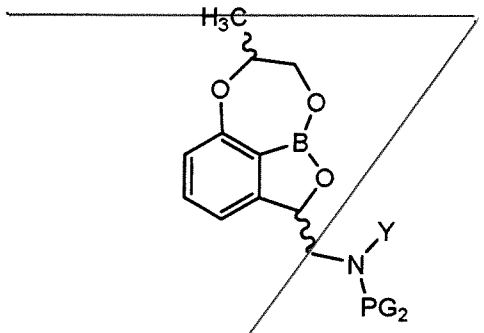
[Chemical Formula 14]



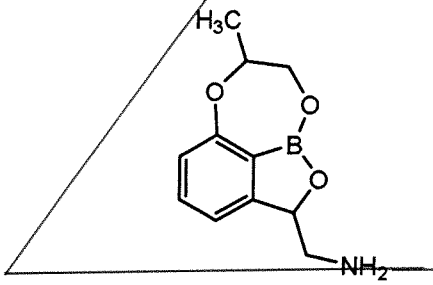
[Chemical Formula 10]



[Chemical Formula 11]



[Chemical Formula 1]



In Chemical Formulae 4 to 6 and 10 to 14,

PG_1 and PG_2 are each independently benzyl, t-butyl, Boc (tert-butyloxycarbonyl), pmb (4-methoxybenzyl), Fmoc (Fluorenylmethyloxycarbonyl), Ts (tosylate), MOM (methoxymethyl), THP (tetrahydropyranyl), TBDMS (tert-butyldimethylsilyl), or TBDPS (tert-butyldimethylsilyl),

LG is halogen, para-toluenesulfonyl group or a methanesulfonyl group,

X is hydrogen, halogen, or trifluoromethanesulfonyl, and

Y is hydrogen or PG_2.

8. The method according to Claims 6 or 7, wherein the borylation reaction is performed using bis (pinacolato)diboron or 2-isopropoxy-4,4,5,5-tetramethyl-1,3,2-dioxaborolan.

9. A pharmaceutical composition with an antibiotic activity to Gram-negative bacterium, comprises the compound, isomer thereof, or a pharmaceutically acceptable salt thereof according to any one of Claims 1 to 5, as an active ingredient.

10. The pharmaceutical composition according to Claim 9, wherein the Gram-negative bacterium is a multidrug-resistant Gram-negative bacterium.

11. The pharmaceutical composition according to Claim 10, wherein the Gram-negative

~~bacterium is carbapenem-resistant Gram-negative bacterium.~~

12. The pharmaceutical composition according to Claim 9, wherein the Gram-negative bacteria is *A.baumannii, C.freundii, E.coli, E.cloacae, E.aerogenes, K.pneumoniae, K.oxytoca, M.morganii, P.aeruginosa P.vulgaris, P.mirabilis, N. gonorrhoeae* or *S.marcescens.*

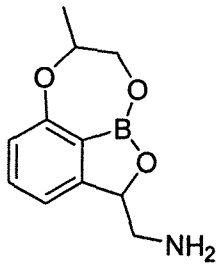
13. The pharmaceutical composition according to Claim 12, wherein the Gram-negative bacterium is a multidrug-resistant Gram-negative bacterium.

14. ~~The pharmaceutical composition according to Claim 13, wherein the Gram-negative bacterium is carbapenem-resistant Gram-negative bacterium.~~

CLAIMS

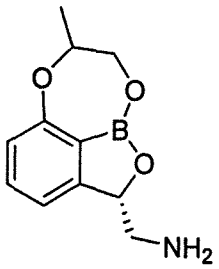
1. A tricyclic benzoxaborole compound represented by Chemical Formula 1, isomer thereof, or a pharmaceutically acceptable salt thereof:

[Chemical Formula 1]



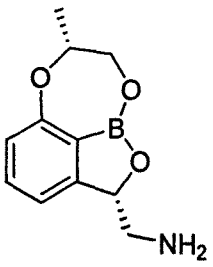
2. The tricyclic benzoxaborole compound, isomer thereof, or a pharmaceutically acceptable salt thereof according to Claim 1, whrerin the isomer represented by Chemical Formula 2:

[Chemical Formula 2]



3. The tricyclic benzoxaborole compound, or a pharmaceutically acceptable salt thereof according to Claim 1, wherein the isomer represented by Chemical Formula 3:

[Chemical Formula 3]



4. The tricyclic benzoxaborole compound, isomer thereof, or a pharmaceutically acceptable salt thereof according to Claim 1, wherein the compound is selected from the group consisting of:

1) (7-methyl-7,8-dihydro-2H-1,6,9-trioxa-9a-borabenzo[cd]azulen-2-yl)methanamine

hydrochloride;

2) ((2S)-7-methyl-7,8-dihydro-2H-1,6,9-trioxa-9a-borabenzo[cd]azulen-2-yl)methanamine hydrochloride;

3) ((2S,7R)-7-methyl-7,8-dihydro-2H-1,6,9-trioxa-9a-borabenzo[cd]azulen-2-yl)methanamine hydrochloride;

4) ((2S,7R)-7-methyl-7,8-dihydro-2H-1,6,9-trioxa-9a-borabenzo[cd]azulen-2-yl)methanamine; and

5) ((2S, 7S)-7-methyl-7,8-dihydro-2H-1,6,9-trioxa-9a-borabenzo[cd]azulen-2-yl)methanamine hydrochloride.

5. The tricyclic benzoxaborole compound, isomer thereof, or a pharmaceutically acceptable salt thereof according to Claim 1, wherein the pharmaceutically acceptable salt is formed by acid selected from the group consisting of hydrochloric acid, sulfuric acid, nitric acid, phosphoric acid, hydrobromic acid, hydroiodic acid, tartaric acid, formic acid, citric acid, acetic acid, trichloroacetic acid, trifluoroacetic acid, gluconic acid, benzoic acid, lactic acid, mandelic acid, fumaric acid, maleic acid, salicylic acid, methanesulfonic acid, ethanesulfonic acid, benzenesulfonic acid, and p-toluenesulfonic acid.

6. A method of preparing a tricyclic benzoxaborole compound of Chemical Formula 1 or a pharmaceutically acceptable salt thereof comprises the steps of:

coupling a compound of Chemical Formula 4 and a compound of Chemical Formula 5 to prepare a compound of Chemical Formula 6;

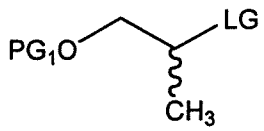
performing a borylation reaction of the compound of Chemical Formula 6 to prepare a compound of Chemical Formula 7 and then performing cyanation to prepare a cyanobenzoxaborole compound of Chemical Formula 8;

reducing the compound of Chemical Formula 8 to prepare an amino benzoxaborole compound of Chemical Formula 9 by substitution of the cyano group with an amino group;

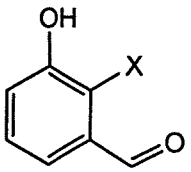
introducing a protecting group (PG_2) into the amino group of the compound of Chemical Formula 9 to prepare a compound of Chemical Formula 10, performing a condensation reaction of the compound of Chemical Formula 10 to deprotect the protecting group (PG_1), and then performing a cyclization reaction to prepare a tricyclic benzoxaborole compound of Chemical Formula 11; and

deprotecting the amino group (PG2) of the compound of Chemical Formula 11 to prepare a compound of Chemical Formula 1.

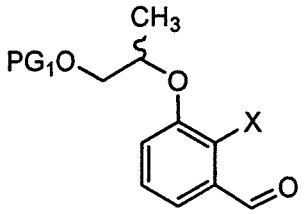
[Chemical Formula 4]



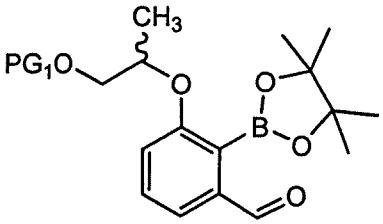
[Chemical Formula 5]



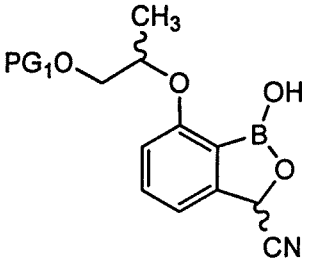
[Chemical Formula 6]



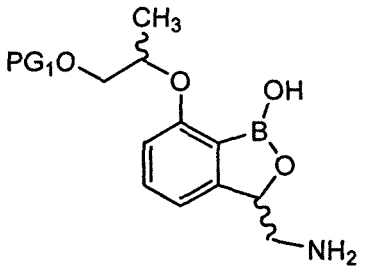
[Chemical Formula 7]



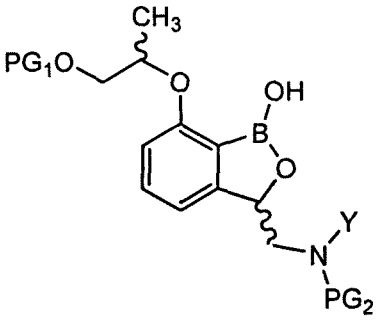
[Chemical Formula 8]



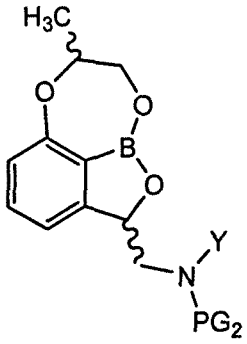
[Chemical Formula 9]



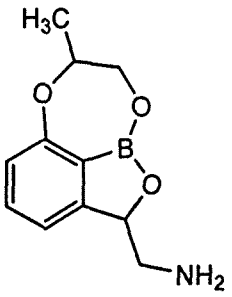
[Chemical Formula 10]



[Chemical Formula 11]



[Chemical Formula 1]



In Chemical Formulae 4 to 11,

PG_1 and PG_2 are each independently benzyl, t-butyl, Boc (tert-butyloxycarbonyl), pmb (4-methoxybenzyl), Fmoc (Fluorenylmethyloxycarbonyl), Ts (tosylate), MOM (methoxymethyl), THP (tetrahydropyranyl), TBDMS (tert-butyldimethylsilyl), or TBDPS (tert-butyldimethylsilyl),

LG is halogen, para-toluenesulfonyl group or a methanesulfonyl group,

X is hydrogen, halogen, or trifluoromethanesulfonyl, and

Y is hydrogen or PG_2.

7. A method of preparing a tricyclic benzoxaborole compound of Chemical Formula 1 comprises the steps of:

coupling the compound of Chemical Formula 4 and the compound of Chemical Formula 5 to prepare the compound of Chemical Formula 6;

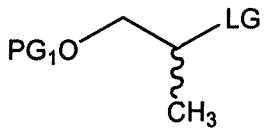
performing a nitration reaction of the compound of Chemical Formula 6 or using a chiral ligand or a chiral catalyst to prepare a compound of Chemical Formula 12 or isomers thereof, reducing the compound of Chemical Formula 12 to prepare the compound of Chemical Formula 13 by substitution of the nitro group with an amino group;

introducing a protecting group (PG_2) into the amino group of the compound of Chemical Formula 13 to prepare a compound of Chemical Formula 14, and performing a borylation reaction of the compound of Chemical Formula 14 to prepare the benzoxaborole compound of Chemical Formula 10;

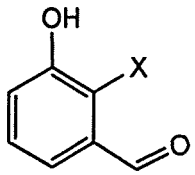
performing a condensation reaction of the compound of Chemical Formula 10 to remove the protecting group (PG_1) and then performing a cyclization reaction to prepare a tricyclic benzoxaborole compound of Chemical Formula 11; and

deprotecting the amino group of the compound of Chemical Formula 11 to prepare the compound of Chemical Formula 1.

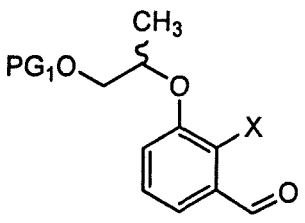
[Chemical Formula 4]



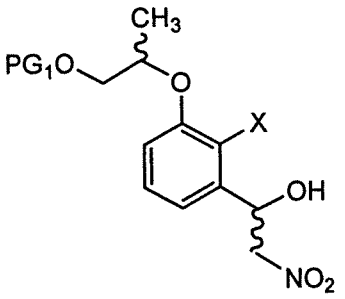
[Chemical Formula 5]



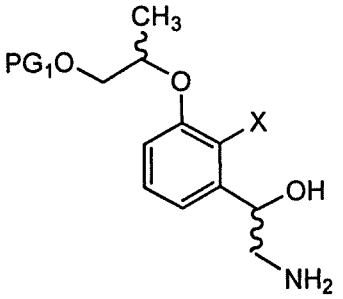
[Chemical Formula 6]



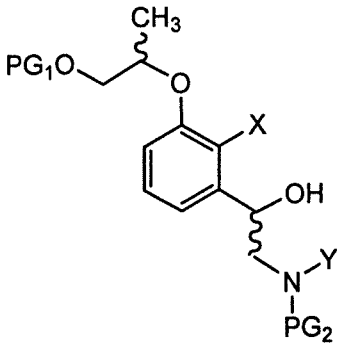
[Chemical Formula 12]



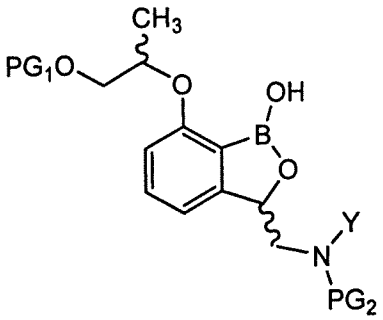
[Chemical Formula 13]



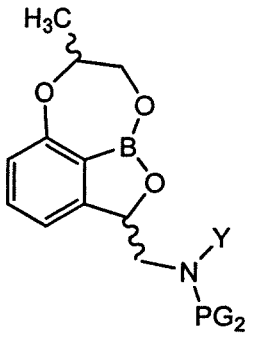
[Chemical Formula 14]



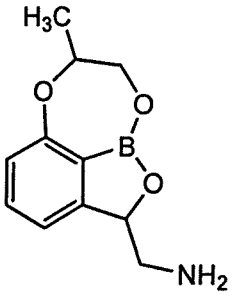
[Chemical Formula 10]



[Chemical Formula 11]



[Chemical Formula 1]



In Chemical Formulae 4 to 6 and 10 to 14,

PG_1 and PG_2 are each independently benzyl, t-butyl, Boc (tert-butyloxycarbonyl), pmb (4-methoxybenzyl), Fmoc (Fluorenylmethyloxycarbonyl), Ts (tosylate), MOM (methoxymethyl), THP (tetrahydropyranyl), TBDMS (tert-butyldimethylsilyl), or TBDPS (tert-butyldimethylsilyl),

LG is halogen, para-toluenesulfonyl group or a methanesulfonyl group,

X is hydrogen, halogen, or trifluoromethanesulfonyl, and

Y is hydrogen or PG_2.

8. The method according to Claims 6 or 7, wherein the borylation reaction is performed using bis (pinacolato)diboron or 2-isopropoxy-4,4,5,5-tetramethyl-1,3,2-dioxaborolan.

9. A pharmaceutical composition with an antibiotic activity to Gram-negative bacterium, comprises the compound, isomer thereof, or a pharmaceutically acceptable salt thereof according to any one of Claims 1 to 5, as an active ingredient.

10. The pharmaceutical composition according to Claim 9, wherein the Gram-negative bacterium is a multidrug-resistant Gram-negative bacterium.

11. The pharmaceutical composition according to Claim 10, wherein the Gram-negative

bacterium is carbapenem-resistant Gram-negative bacterium.

12. The pharmaceutical composition according to Claim 9, wherein the Gram-negative bacteria is *A.baumannii, C.freundii, E.coli, E.cloacae, E.aerogenes, K.pneumoniae, K.oxytoca, M.morganii, P.aeruginosa P.vulgaris, P.mirabilis, N. gonorrhoeae* or *S.marcescens*.

13. The pharmaceutical composition according to Claim 12, wherein the Gram-negative bacterium is a multidrug-resistant Gram-negative bacterium.

14. The pharmaceutical composition according to Claim 13, wherein the Gram-negative bacterium is carbapenem-resistant Gram-negative bacterium.