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Supporting Information

Membrane-Active Antimicroial Poly(Amino-Modified Alkyl) b-Cyclodextrins Synthesized via Click Reactions

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Spectral data of *N*-alkyl-Boc-propargylamines 20-33 for preparation of CD secondary amines.

***N*-butyl-Boc-propargylamine (20).** ^{1}H NMR (400 MHz, $CDCl_3$) d 0.93 (t, J = 7.2, 6H, CH_3-), 1.26-1.36 (2H, CH_3-$\underline{CH_2}$-), 1.47 (9H, s, Boc), 1.49-1.57 (1H, (CH_3-CH_2-$\underline{CH_2}$-),

2.18 (1H, CH≡C-), 3.31 (t, *J* = 7.6, 2H, -CH_2-$\underline{CH_2}$-N), 4.05 (2H, N-$\underline{CH_2}$-C≡); ^{13}C NMR (125 MHz, $CDCl_3$) d 13.8, 20.0, 28.1-28.7, 30.2, 35.9, 46.3, 71.2, 80.0, 155.2; Found: C, 64.49; H, 10.33; N, 5.80%. Calcd for $C_{12}H_{21}NO_2 \cdot 0.75H_2O$: C,64.20; H, 10.09; N, 6.08%.

***N*-cyclopropylmethyl-Boc-propargylamine (21).** ^{1}H NMR (400 MHz, $CDCl_3$) d 0.23-0.27 (2H, -CH_2- of cPr), 0.48-0.52 (2H, -CH_2- of cPr), 0.98 (1H, CH), 1.48 (9H, s, Boc), 2.19 (1H, CH≡C-), 3.22 (d, *J* = 6.8, 2H, -$\underline{CH_2}$-CH-), 4.15 (2H, N-$\underline{CH_2}$-C≡); ^{13}C NMR (125 MHz, $CDCl_3$) d 3.46, 9.66, 28.5, 35.7, 50.4, 71.4, 79.9, 80.2, 155.1; Found: C, 69.07; H, 8.90; N, 6.61%. Calcd for $C_{12}H_{19}NO_2$: C, 68.87; H, 6.69; N, 6.69%.

***N*-pentyl-Boc-propargylamine (22).** ^{1}H NMR (400 MHz, $CDCl_3$) d 0.90 (t, *J* = 6.8, 3H, CH_3-), 1.24-1.37 (4H, CH_3-$\underline{CH_2}$-$\underline{CH_2}$-), 1.47 (9H, s, Boc), 1.52-1.59 (2H, CH_3-CH_2-CH_2-$\underline{CH_2}$-), 2.18 (1H, CH≡C-), 3.30 (t, *J* = 7.6, 2H, -CH_2-$\underline{CH_2}$-N), 4.03 (2H, N-$\underline{CH_2}$-C≡); ^{13}C NMR (125 MHz, $CDCl_3$) d 14.1, 22.5, 28.5, 29.0, 35.8, 36.5, 46.6, 71.3, 80.1, 155.3; Found: C, 66.13; H, 10.59; N, 5.86%. Calcd for $C_{13}H_{23}NO_2 \cdot 0.55H_2O$: C,66.38; H, 10.33; N, 5.95%.

***N*-3-methylbutyl-Boc-propargylamine (23).** ^{1}H NMR (400 MHz, $CDCl_3$) d 0.92-0.93 (6H, CH_3-), 1.42-1.47 (11H, -$\underline{CH_2}$-CH_2-N, Boc), 1.53-1.58 (1H, $(CH_3)_2\underline{CH}$-), 2.19 (1H, CH≡C-), 3.32 (t, *J* = 7.6, 2H, -$\underline{CH_2}$-N), 4.05 (2H, N-$\underline{CH_2}$-C≡); ^{13}C NMR (125 MHz, $CDCl_3$) d 22.7, 25.9, 28.5, 35.8, 37.0, 45.0, 71.4, 80.0, 80.1, 155.3; Found: C, 66.28; H, 10.83; N, 5.95%. Calcd for $C_{13}H_{23}NO_2 \cdot 0.6H_2O$: C,66.15; H, 10.63; N, 5.93%.

***N*-cyclobutylmethyl-Boc-propargylamine (24).** ^{1}H NMR (400 MHz, $CDCl_3$) d 1.47 (9H, s, Boc), 1.70-1.75, 1.83-1.91, 1.99-2.06 (6H, CH_2 of cyclobutyl), 2.19 (1H, CH≡C-), 2.54-2.62 (CH of cyclobutyl), 3.36 (d, *J* = 7.2, 2H, -$\underline{CH_2}$-CH-), 4.03 (2H, N-$\underline{CH_2}$-C≡); ^{13}C NMR (100 MHz, $CDCl_3$) d 18.5, 26.4, 28.5, 34.5, 35.8, 51.4, 71.3, 79.9, 80.1, 155; Found: C, 65.40; H, 9.24; N, 5.97%. Calcd for $C_{13}H_{21}NO_2 \cdot 0.8H_2O$: C, 65.68; H, 9.58; N, 5.89%.

***N*-hexyl-Boc-propargylamine (25).** ^{1}H NMR (400 MHz, $CDCl_3$) d 0.89 (t, *J* = 6.8, 3H, CH_3-), 1.26-1.33 (6H, CH_3-($\underline{CH_2}$)$_3$-), 1.47 (9H, s, Boc), 1.51-1.56 (2H, -$\underline{CH_2}$-CH_2-N), 2.19 (1H, CH≡C-), 3.30 (t, *J* = 7.6, 2H, -CH_2-$\underline{CH_2}$-N), 4.05 (2H, N-$\underline{CH_2}$-C≡); ^{13}C NMR (100 MHz, $CDCl_3$) d 14.1, 22.7, 26.5,28.1,28.5, 31.6, 35.9-36.4, 46.6, 71.2, 80.0, 155.2; Found: C, 66.86; H, 11.10; N, 5.57%. Calcd for $C_{14}H_{25}NO_2 \cdot 0.7H_2O$: C, 66.74; H, 10.89; N, 5.56%.

***N*-4-methylpentyl-Boc-propargylamine (26).** ^{1}H NMR (400 MHz, $CDCl_3$) d 0.87-0.89 (6H, CH_3-), 1.13-1.19 (2H, $(CH_3)_2$CH-$\underline{CH_2}$-), 1.47 (9H, Boc), 1.52-1.59 (3H, $(CH_3)_2\underline{CH}$-CH_2-$\underline{CH_2}$-), 2.18 (1H, CH≡C-), 3.28 (t, *J* = 7.6, 2H, -$\underline{CH_2}$-N), 4.05 (2H, N-$\underline{CH_2}$-C≡); ^{13}C NMR (125 MHz, $CDCl_3$) d 22.6, 25.8, 27.7-28.4, 35.9, 46.7, 71.1, 79.98, 155; Found: C, 67.99; H, 10.43; N, 5.60%. Calcd for $C_{14}H_{25}NO_2 \cdot 0.4H_2O$: C,68.20; H,

10.55; N, 5.68%.

***N*-2-ethylbutyl-Boc-propargylamine (27).** ^{1}H NMR (400 MHz, $CDCl_3$) d 0.90 (t, *J* = 7.2, 6H, CH_3-), 1.26-1.34 (4H, CH_3-$\underline{CH_2}$-), 1.47 (9H, s, Boc), 1.53-1.58 (1H, (CH_3-CH_2)$_2$$\underline{CH}$-), 2.18 (1H, CH≡C-),3.23 (d, *J* = 8.0, 2H, CH-$\underline{CH_2}$-N), 3.96-4.06 (2H, N-$\underline{CH_2}$-C≡); ^{13}C NMR (125 MHz, $CDCl_3$) d 10.9,11.0, 23.3, 23.5, 28.1, 28.2, 28.4, 28.7, 36.1, 36.8, 39.2,39.7, 44.0, 49.6, 70.9,71.4, 79.8,80.2, 82.3, 83.9, 155.6, 163.6; Found: C, 69.18; H, 10.54; N, 5.73%. Calcd for $C_{14}H_{25}NO_2 \cdot 0.2H_2O$: C,69.21; H, 10.54; N, 5.77%.

***N*-cyclopentylmethyl-Boc-propargylamine (28).** ^{1}H NMR (400 MHz, $CDCl_3$) d 1.18-1.26 (2H, CH_2 of cyclopentyl), 1.47 (9H, s, Boc), 1.54-1.56, 1.64-1.72 (4H, CH_2 of cyclopentyl), 2.15 (2H, CH of cyclopentyl, CH≡C-), 3.25 (d, *J* = 7.6, 2H, -$\underline{CH_2}$-N), 4.00-4.07 (2H, N-$\underline{CH_2}$-C≡); ^{13}C NMR (100 MHz, $CDCl_3$) d 25.1, 28.5, 30.4, 31.1, 38.8, 50.9, 71.3, 79.9, 80.1, 15; Found: C, 69.59; H, 10.06; N, 5.78%. Calcd for $C_{14}H_{23}NO_2 \cdot 0.25H_2O$: C, 69.53; H, 9.79; N, 5.79%.

***N*-heptyl-Boc-propargylamine (29).** ^{1}H NMR (400 MHz, $CDCl_3$) d 0.88 (t, *J* = 6.8, 3H, CH_3-), 1.21-1.34 (8H, CH_3-($\underline{CH_2}$)$_4$-), 1.47 (9H, s, Boc), 1.53-1.59 (2H, -$\underline{CH_2}$-CH_2-N), 2.19 (1H, CH≡C-), 3.30 (t, *J* = 7.2, 2H, -CH_2-$\underline{CH_2}$-N), 4.04 (2H, N-$\underline{CH_2}$-C≡); ^{13}C NMR (125 MHz, $CDCl_3$) d 14.17, 22.65, 26.80, 28.01, 28.39-28.61, 28.99, 31.81, 35.79, 46.54, 71.30, 80.03, 154.96; Found: C, 69.55; H, 10.49; N, 5.59%. Calcd for $C_{15}H_{27}NO_2 \cdot 2H_2O$: C, 69.62; H, 10.75; N, 5.41%.

***N*-3-methylhexyl-Boc-propargylamine (30).** ^{1}H NMR (400 MHz, $CDCl_3$) d 0.87-0.91 (6H, CH_3-), 1.12-1.17 (1H), 1.26-1.61 (15H), 2.19 (1H) (CH_2 and CH of 3-methylhexyl), 3.32 (t, *J* = 7.6, 2H, -$\underline{CH_2}$-N)), 4.05 (2H, N-$\underline{CH_2}$-C≡); ^{13}C NMR (125 MHz, $CDCl_3$) d 14.5, 19.7, 28.5, 30.4, 35.01, 35.8, 39.3, 44.8, 71.4, 80.0, 155.3.

***N*-cyclohexylmethyl-Boc-propargylamine (31).** ^{1}H NMR (400 MHz, $CDCl_3$) d 0.82-1.01, 1.06-1.31, 1.47, 1.54-1.75 (20H, CH_2 and CH of cyclohexy, Boc), 2.18 (1H, CH≡C-), 3.16 (d, *J* = 7.2, 2H, -$\underline{CH_2}$-N), 3.96-4.06 (2H, N-$\underline{CH_2}$-C≡); ^{13}C NMR (125 MHz, $CDCl_3$) δ 26.0, 26.6, 30.9, 36.4, 28.5, 36.7, 52.8, 70.9, 80.1, 155.5; Found: C, 68.75; H, 9.85; N, 5.72%. Calcd for $C_{15}H_{25}NO_2 \cdot 0.59H_2O$: C, 68.77; H, 10.07; N, 5.35%

***N*-benzyl-Boc-propargylamine (32).** The spectral data was agreement with the reported.[1] ^{1}H NMR (400 MHz, $CDCl_3$) d 1.49 (s, 9H, Boc), 2.21 (s, 1H, CH≡C-), 3.91-4.04 (2H, N-$\underline{CH_2}$-C≡), 4.55 (2H, benzene-$\underline{CH_2}$-), 7.26-7.35 (5H, benzene).

***N*-phenylethyl-Boc-propargylamine (33).** ^{1}H NMR (400 MHz, $CDCl_3$) d 1.51 (s, 9H, Boc), 2.21 (s, 1H, CH≡C-), 2.87 (2H, benzene-$\underline{CH_2}$-), 3.54 (2H, -$\underline{CH_2}$-N), 3.82-4.13 (2H, N-$\underline{CH_2}$-C≡), 7.19-7.31 (5H, benzene); Found: C, 61.38; H, 8.47; N, 4.28%. Calcd for $C_{16}H_{21}NO_2 \cdot 3.0H_2O$: C, 61.32; H, 8.68; N, 4.47%

Spectral data of Boc-protected aminoalkyne 34-38 for preparation of CD primary amines.

1-hexyl-Boc-propargylamine (34). ^{1}H NMR (400 MHz, $CDCl_3$) d 0.88 (t, *J* = 6.8, 3H, CH_3-), 1.29-1.31 (6H, CH_3-($^aCH_2$)$_4$-), 1.41-1.45 (11H, CH_3-($^bCH_2$)$_4$-, Boc), 1.61-1.66 (2H, -$(CH_2)_4$-CH_2-CH-), 2.25 (d, *J* = 2.4, 1H, CH≡C-), 4.39 (1H, -$(CH_2)_4$-CH_2-CH-), 4.68 (1H, Boc-NH-); Found: C, 69.86; H, 10.54; N, 5.34%. Calcd for $C_{14}H_{25}NO_2 \cdot 0.1CH_3COOCH_2CH_3$ C, 69.58; H, 10.51; N, 5.71%.

Boc-9-amino-nonine (35). ^{1}H NMR (400 MHz, $CDCl_3$) d 1.30-1.54 (19H, -NH-CH_2-(CH_2)$_4$-CH_2-CH_2-, Boc), 1.94 (1H, CH≡C-), 2.16-2.20 (2H, -NH-CH_2-$(CH_2)_4$-CH_2-CH_2-), 3.08-3.13 (2H, -NH-CH_2-), 4.54 (1H, Boc-NH-); Found: C, 69.86; H, 10.54; N, 5.34%. Calcd for $C_{15}H_{28}NO_2 \cdot 0.26CH_3COOCH_2CH_3$: C, 69.48; H, 10.93; N, 5.05%.

1-cyclohexylmethyl-Boc-propargylamine (36). ^{1}H NMR (400 MHz, $CDCl_3$) d 1.76 (22H, cyclohexlmethyl, Boc), 2.25 (s, 1H, CH≡C-), 4.40-4.52 (1H, Boc-NH-CH-), 4.53-4.69 (1H, Boc-NH-CH-); Found: C, 72.17; H, 10.38; N, 5.34%. Calcd for $C_{15}H_{25}NO_2 \cdot 0.1CH_3(CH_2)_4CH_3$: C,72.46; H, 10.72; N, 5.12%.

Boc-1-benzyl-propargylamine (37). The spectral data was agreement with the reported.[2] ^{1}H NMR (400 MHz, $CDCl_3$) d 1.43 (s, 9H, Boc), 2.28 (s, 1H, CH≡C-), 2.91-3.01 (2H, benzene-CH_2-), 4.62-4.72 (2H, -NH-CH-), 7.26-7.31 (5H, benzene).

1-phenlethyl-Boc-propargylamine (38). The spectral data was agreement with the reported.[3] ^{1}H NMR (400 MHz, $CDCl_3$) d 1.45 (s, 9H, Boc), 1.93-2.02 (2H, benzene-CH_2-CH_2-), 2.33 (s, 1H, CH≡C-), 2.74-2.79 (2H, benzene-CH_2-CH_2-), 4.44 (1H, -NH-CH-), 4.70 (1H, -NH-CH-), 7.19-7.27 (5H, benzene).

Spectral data of CDs 1-19.

***n*-butyl-aminomethyl CD (1).** ^{1}HNMR (500 MHz, DMSO-d_6): d 0.85 (21H, CH_3-), 1.26, 1.54 (28H, CH_3-CH_2-CH_2-), 2.50 (14H, -CH_2-CH_2-NH-), 3.22-3.29 (14H, CD-2,4), 3.71 (7H, CD-3), 4.08 (21H, CD-5, -NH-CH_2-triazole), 4.08-4.80 (14H, CD-6), 5.06 (7H, CD-1), 8.07 (7H, triazole), 9.23 (14H, -NH_2^+-), ^{13}CNMR (125 MHz, DMSO-d_6): d 13.47, 13.52 (CH_3-), 19.29 (CH_3-CH_2-), 27.39 (CH_3-CH_2-CH_2-), 40.88 (N-CH_2-triazole), 46.03 (-CH_2-CH_2-NH-), 49.66 (CD-6, phenyl-CH_2-), 69.45 (CD-5), 71.76 (CD-2), 72.34 (CD-3), 82.39 (CD-4), 101.75 (CD-1), 127.18 (CHtriazole), 138.25 (Ctriazole), MS (MALDI): m/z 2110.13 $[M+Na]^+$, Calcd for $C_{91}H_{154}N_{28}NaO_{28}$: 2110.14, Found: C, 42.12; H, 5.42; N,12.54%. Calcd for $C_{91}H_{154}N_{28}O_{28}$+10TFA: C, 42.03; H, 5.28; N, 12.59%.

cycloprpylmethyl-aminomethyl CD (2). ^{1}HNMR (500 MHz, DMSO-d_6): d 0.29-0.33, 0.54-0.55 (28H, CH_2 of c-Pr), 1.01 (7H, CH of c-Pr), 2.79-2.81 (14H, c-Pr-CH_2-NH-), 3.21-3.29 (14H, CD-2,4), 3.70-3.74 (7H, CD-3), 4.08-4.13 (21H, CD-5, -NH-CH_2-

triazole), 4.30-4.60 (14H, CD-6), 5.06 (7H, CD-1), 5.99, 6.13 (14H, OH), 8.05 (7H, triazole), 9.31 (14H, -NH_2^+-), ^{13}CNMR (125 MHz, DMSO-d_6): d 3.88, 3.91 (CH_2 of c-Pr), 6.87 (CH of c-Pr), 40.38 (N-$\underline{CH_2}$-triazole), 49.59 (CD-6), 46.03 (c-Pr-$\underline{CH_2}$-NH-), 69.44 (CD-5), 71.71 (CD-2), 72.26 (CD-3), 82.28 (CD-4), 101.69 (CD-1), 127.09 (CHtriazole), 138.31 (Ctriazole), MS (MALDI): m/z 2074.05 $[M+H]^+$, Calcd for $C_{91}H_{142}N_{28}O_{28}$: 2074.05, Found: C, 42.27; H, 5.11; N,12.90%. Calcd for $C_{91}H_{141}N_{28}O_{28}$+3.0$H_2O$+8.0TFA: C, 42.30; H, 5.48; N, 12.54%.

***n*-pentyl-aminomethy CD (3).** ^{1}HNMR (400 MHz, DMSO-d_6): d 0.82-0.86 (21H, CH_3-), 1.23-1.28 (28H, CH_3-$\underline{CH_2}$-$\underline{CH_2}$-), 1.54-1.56 (14H, -$\underline{CH_2}$-CH_2-NH-), 2.87 (14H, -CH_2-$\underline{CH_2}$-NH-), 3.20-3.28 (14H, CD-2,4), 3.72 (7H, CD-3), 4.07 (21H, CD-5, -NH-$\underline{CH_2}$-triazole), 4.37-4.55 (14H, CD-6), 5.06 (7H, CD-1), 5.97, 6.12 (14H, OH), 8.05 (7H, triazole), 9.14 (14H, -NH_2^+-), ^{13}CNMR (100 MHz, DMSO-d_6): d 13.62 (CH_3-), 21.59, 24.97, 28.03 (CH_3-$\underline{CH_2}$-$\underline{CH_2}$-$\underline{CH_2}$-CH_2-NH-), 40.14 (N-$\underline{CH_2}$-triazole), 46.22 (-CH_2-$\underline{CH_2}$-NH-), 49.60 (CD-6), 69.45 (CD-5), 71.73 (CD-2), 72.28 (CD-3), 82.33 (CD-4), 101.71 (CD-1), 127.10 (CHtriazole), 138.26 (Ctriazole), MS (MALDI): m/z 2208.25 $[M+Na]^+$, Calcd for $C_{98}H_{168}N_{28}NaO_{28}$: 2208.25, Found: C, 43.90; H, 6.04; N,12.44%. Calcd for $C_{98}H_{168}N_{28}O_{28}$+5.0$H_2O$+7.0TFA: C, 43.75; H, 6.06; N, 12.75%.

3-methylbutyl-aminomethyl CD (4). ^{1}HNMR (400 MHz, DMSO-d_6): d 0.84, 0.85 (42H, CH_3-), 1.40-1.50 (14H, $(CH_3)_2$CH-$\underline{CH_2}$-), 1.50-1.60 (7H, $(CH_3)_2\underline{CH}$-CH_2-), 2.91 (14H, -CH_2-$\underline{CH_2}$-NH-), 3.20-3.30 (14H, CD-2,4), 3.72 (7H, CD-3), 4.07 (21H, CD-5, -NH-$\underline{CH_2}$-triazole), 4.37-4.56 (14H, CD-6), 5.06 (7H, CD-1), 5.97, 6.12 (14H, OH), 8.05 (7H, triazole), 9.17 (14H, -NH_2^+-), ^{13}CNMR (100 MHz, DMSO-d_6): d 22.06 (CH_3-), 25.30 ($(CH_3)_2\underline{CH}$-CH_2-), 34.01 (CH-$\underline{CH_2}$-NH-), 40.15 (N-$\underline{CH_2}$-triazole), 44.81 (-CH_2-$\underline{CH_2}$-NH-), 49.94 (CD-6), 69.42 (CD-5), 71.72 (CD-2), 72.28 (CD-3), 82.33 (CD-4), 101.71 (CD-1), 127.08 (CHtriazole), 138.28 (Ctriazole), MS (MALDI): m/z 2208.24 $[M+Na]^+$, Calcd for $C_{98}H_{168}N_{28}NaO_{28}$: 2208.25, Found: C, 39.50; H, 5.16; N,10.52%. Calcd for $C_{98}H_{168}N_{28}O_{28}$+5.0$H_2O$+13TFA: C, 39.62; H, 5.12; N, 10.43%.

cyclobutylmethyl-aminomethyl CD (5). ^{1}HNMR (500 MHz, DMSO-d_6): d 1.65-2.10 (42H, CH_2 of c-butyl), 2.56-2.59 (7H, CH of c-butyl), 2.94 (14H, c-butyl-$\underline{CH_2}$-NH-), 3.15-3.30 (14H, CD-2,4), 3.72 (7H, CD-3), 3.95-4.20 (21H, CD-5, -NH-$\underline{CH_2}$-triazole), 4.30-4.60 (14H, CD-6), 5.06 (7H, CD-1), 5.80-6.20 (14H, OH), 8.05 (7H, triazole), 9.23 (14H, -NH_2^+-), ^{13}CNMR (125 MHz, DMSO-d_6): d 17.80, 25.72 (CH_2 of c-butyl), 31.41 (CH of c-butyl)), 40.89 (N-$\underline{CH_2}$-triazole), 49.61 (CD-6), 51.10 (c-butyl-$\underline{CH_2}$-NH-), 69.43 (CD-5), 71.74 (CD-2), 72.38 (CD-3), 82.28 (CD-4), 101.71 (CD-1), 127.21 (CHtriazole), 138.23 (Ctriazole), MS (MALDI): m/z 2172.16 $[M+H]^+$, Calcd for

$C_{98}H_{155}N_{28}O_{28}$: 2172.16, Found: C, 43.48; H, 5.50; N,12.16%. Calcd for $C_{98}H_{154}N_{28}O_{28}$+8.0$H_2O$+7.1TFA: C, 43.11; H, 5.71; N, 12.55%.

***n*-hexyl-aminomethyl CD (6).** ^{1}HNMR (400 MHz, DMSO-d_6): d 0.82-0.85 (21H, CH_3-), 1.23-1.25 (42H, CH_3-CH_2-CH_2-CH_2-), 1.54 (14H, -CH_2-CH_2-NH-), 2.90 (14H, -CH_2-CH_2-NH-), 3.20-3.34 (14H, CD-2,4), 3.71 (7H, CD-3), 4.06 (21H, CD-5, -NH-CH_2-triazole), 4.25-4.60 (14H, CD-6), 5.06 (7H, CD-1), 5.80-6.20 (14H, OH), 8.04 (7H, triazole), 9.02 (14H, -NH_2^+-), ^{13}CNMR (100 MHz, DMSO-d_6): d 13.78 (CH_3-), 21.85, 25.29, 25.60, 30.71 (CH_3-CH_2-CH_2-CH_2-CH_2-NH-), 40.81 (N-CH_2-triazole), 46.28 (-CH_2-CH_2-NH-), 49.60 (CD-6), 69.46 (CD-5), 71.72 (CD-2), 72.27 (CD-3), 82.35 (CD-4), 101.71 (CD-1), 127.11 (CHtriazole), 138.24 (Ctriazole), MS (MALDI): m/z 2306.36 $[M+Na]^+$, Calcd for $C_{105}H_{184}N_{28}O_{28}$: 2306.36, Found: C, 43.39; H, 6.35; N,11.57%. Calcd for $C_{105}H_{184}N_{28}O_{28}$+12$H_2O$+7.0TFA: C, 43.32; H, 6.51; N, 11.89%.

4-methylpentyl-aminomethyl CD (7). ^{1}HNMR (400 MHz, DMSO-d_6): d 0.82-0.84 (42H, CH_3-), 1.11-1.16 (14H, $(CH_3)_2$CH-CH_2-), 1.45-1.60 (21H, $(CH_3)_2$CH-CH_2-CH_2-), 2.86 (14H, -CH_2-NH-), 3.23-3.30 (14H, CD-2,4), 3.72 (7H, CD-3), 4.06 (21H, CD-5, -NH-CH_2-triazole), 4.36-4.56 (14H, CD-6), 5.06 (7H, CD-1), 5.98-6.12 (14H, OH), 8.05 (7H, triazole), 9.16 (14H, -NH_2^+-), ^{13}CNMR (100 MHz, DMSO-d_6): d 22.56 (CH_3-), 23.65 $(CH_3)_2$CH-CH_2-CH_2-), 27.42 $(CH_3)_2$CH-CH_2-), 35.36 ($(CH_3)_2$CH-CH_2-), 41.28 (N-CH_2-triazole), 46.94 (-CH_2-NH-), 50.07 (CD-6), 69.92 (CD-5), 72.12 (CD-2), 72.67 (CD-3), 82.70 (CD-4), 102.11 (CD-1), 127.52 (CHtriazole), 138.61 (Ctriazole), MS (MALDI): m/z 2284.43 $[M+H]^+$, Calcd for $C_{105}H_{183}N_{28}NaO_{28}$: 2284.38, Found: C, 45.04; H, 6.33; N,12.22%. Calcd for $C_{105}H_{182}N_{28}O_{28}$+5.0$H_2O$+7.0TFA: C, 45.05; H, 6.32; N, 12.36%.

2-ethylbutyl-aminomethy CD (8). ^{1}HNMR (400 MHz, DMSO-d_6): d 0.76-0.79 (42H, CH_3-), 1.25-1.34 (28H, CH_3-CH_2-), 1.54-1.57 (7H, $(CH_3$-$CH_2)_2$CH-), 2.81 (14H, -CH_2-NH-), 3.18-3.40 (14H, CD-2,4), 3.73 (7H, CD-3), 4.06-4.11 (21H, CD-5, -NH-CH_2-triazole), 4.43-4.58 (14H, CD-6), 5.06 (7H, CD-1), 5.96-6.12 (14H, OH), 8.08 (7H, triazole), 9.06 (14H, -NH_2^+-), ^{13}CNMR (100 MHz, DMSO-d_6): d 10.46 (CH_3-), 22.88 (CH_3-CH_2-), 37.68 ((CH_3-$CH_2)_2$CH-), 35.36 ($(CH_3)_2$CH-CH_2-), CH-CH_2-NH-), 41.91 (N-CH_2-triazole), 49.56 (-CH_2-NH-), 50.00 (CD-6), 69.78 (CD-5), 72.11 (CD-2), 72.68 (CD-3), 82.61 (CD-4), 102.09 (CD-1), 127.72 (CHtriazole), 138.56 (Ctriazole), MS (MALDI): m/z 2284.46 $[M+H]^+$, Calcd for $C_{105}H_{183}N_{28}NaO_{28}$: 2284.38, Found: C, 44.24; H, 6.00; N,11.51%. Calcd for $C_{105}H_{182}N_{28}O_{28}$+2.0$H_2O$+9.0TFA: C, 44.14; H, 5.87; N, 11.72%.

cyclopentylmethyl-aminomethyl CD (9). ^{1}HNMR (500 MHz, DMSO-d6): d 1.11-1.28, 1.41-1.62, 1.68-1.78 (56H, CH_2 of c-pentyl), 2.10 (7H, CH of c-pentyl), 2.85 (14H, CH-CH_2-NH-), 3.19-3.36 (14H, CD-2,4), 3.72 (7H, CD-3), 4.08 (21H, CD-5, triazole-

$\underline{CH_2}$-N), 4.30, 4.60 (14H, CD-6), 5.06 (7H, CD-1), 8.06 (7H, triazole), 9.15 (14H, -NH_2^+-), ^{13}CNMR (125 MHz, DMSO-d6): d 24.59, 30.11 (CH_2 of c-pentyl), 36.36 (CH of c-pentyl), 41.27 (triazole-$\underline{CH_2}$-N), 49.61 (CD-6), 51.03 (CH-$\underline{CH_2}$-NH-), 69.43 (CD-5), 71.74 (CD-2), 72.28 (CD-3), 82.25 (CD-4), 101.80 (CD-1), 127.31 (CHtriazole), 138.17 (Ctriazole), MS (MALDI): m/z 2292.25 $[M+Na]^+$, Calcd for $C_{105}H_{168}N_{28}NaO_{28}$: 2292.25, Found: C, 44.52; H, 6.03; N,11,67%. Calcd for $C_{105}H_{168}N_{28}O_{28}$+5$H_2O$+8.0TFA: C, 44.40; H, 5.73; N, 11.98%.

***n*-heptyl-aminomethyl CD (10).** ^{1}HNMR (500 MHz, DMSO-d6): d 0.84 (21H, CH_3), 1.23 (56H, CH_3-($\underline{CH_2}$)$_4$-CH_2-), 1.55 (14H, CH_3-(CH_2)$_4$-$\underline{CH_2}$-), 2.87 (14H, -$\underline{CH_2}$-NH-), 3.21-3.29 (14H, CD-2,4), 3.67 (7H, CD-3), 4.00 (21H, CD-5, triazole-$\underline{CH_2}$-N), 4.34, 4.51 (14H, CD-6), 5.06 (7H, CD-1), 5.98, 6.13 (14H, OH), 8.07 (7H, triazole), 9.19 (14H, -NH_2^+-), ^{13}CNMR (125 MHz, DMSO-d6): d 13.90 (CH_3), 21.98, 25.39, 28.21, 31.01 (CH_3-($\underline{CH_2}$)$_4$-CH_2-), 25.39 (CH_3-(CH_2)$_4$-$\underline{CH_2}$-), 40.84 (triazole-$\underline{CH_2}$-N), 46.32 (-$\underline{CH_2}$-NH-), 49.59 (CD-6), 69.48 (CD-5), 71.71 (CD-2), 72.25 (CD-3), 82.34 (CD-4), 101.70 (CD-1), 127.06 (CHtriazole), 138.33 (Ctriazole), MS (MALDI): m/z 2404.46 $[M+Na]^+$, Calcd for $C_{112}H_{196}N_{28}NaO_{28}$: 2404.47, Found: C, 45.95; H, 6.50; N,11,53%. Calcd for $C_{112}H_{196}N_{28}O_{28}$+7$H_2O$+7.0TFA: C, 45.76; H, 6.61; N, 11.86%.

3-methylhexyl-aminomethyl CD (11). ^{1}HNMR (500 MHz, DMSO-d_6): d 0.82 (42H, CH_3-), 1.07-1.58 (49H, CH_3-($\underline{CH_2}$)$_2$$\underline{CHCH_2}$-), 2.92 (14H, -$\underline{CH_2}$-NH-), 3.23-3.29 (14H, CD-2,4), 3.72 (7H, CD-3), 4.06 (21H, CD-5, -NH-$\underline{CH_2}$-triazole), 4.36, 4.53 (14H, CD-6), 5.06 (7H, CD-1), 5.98, 6.13 (14H, OH), 8.03 (7H, triazole), 9.22 (14H, -NH_2^+-), ^{13}CNMR (125 MHz, DMSO-d_6): d 19.10 (CH_3-), 19.36 (CH_3-, CH_3-$\underline{CH_2}$-), 29.79 (CH), 32.19, 38.39 (CH_2), 41.38 (N-$\underline{CH_2}$-triazole), 44.80 (-$\underline{CH_2}$-NH-), 49.61 (CD-6), 69.45 (CD-5), 71.76 (CD-2), 72.31 (CD-3), 82.38 (CD-4), 101.75 (CD-1), 127.11 (CHtriazole), 138.34 (Ctriazole), MS (MALDI): m/z 2382.50 [M+H^+], Calcd for $C_{112}H_{196}N_{28}NaO_{28}$: 2382.49, Found: C, 45.35; H, 6.96; N,11.25%. Calcd for $C_{112}H_{196}N_{28}O_{28}$+10.3$H_2O$+7.0TFA: C, 44.95; H, 6.69; N, 11.65%.

cyclohexylmethyl-aminomethyl CD (12). ^{1}HNMR (500 MHz, DMSO-d6): d 0.70-0.95, 1.10-1.30, 1.50-1.80 (77H, CH_2 and CH of c-hexyl), 2.75 (14H, CH-$\underline{CH_2}$-NH-), 3.20-3.29 (14H, CD-2,4), 3.70 (7H, CD-3), 4.07 (21H, CD-5, triazole-$\underline{CH_2}$-N), 4.30, 4.60 (14H, CD-6), 5.06 (7H, CD-1), 5.80-6.20 (14H, OH), 8.05 (7H, triazole), 9.16 (14H, -NH_2^+-), ^{13}CNMR (125 MHz, DMSO-d6): d 24.99, 25.51, 29.92 (CH_2 of c-hexyl), 34.34 (CH of c-hexyl), 41.37 (triazole-$\underline{CH_2}$-N), 49.61 (CD-6), 51.08 (CH-$\underline{CH_2}$-NH-), 69.41 (CD-5), 71.71 (CD-2), 72.25 (CD-3), 82.26 (CD-4), 101.67 (CD-1), 127.27 (CHtriazole), 138.12 (Ctriazole), MS (MALDI): m/z 2368.38 $[M+H]^+$, Calcd for $C_{112}H_{183}N_{28}O_{28}$:

2368.38, Found: C, 45.58; H, 6.40; N,11,47%. Calcd for $C_{112}H_{182}N_{28}O_{28}$+8.0$H_2O$+7.0TFA: C, 45.38; H, 6.28; N, 11.76%.

benzyl-aminomethyl CD (13). ^{1}HNMR (400 MHz, DMSO-d6): d 3.13-3.39 (14H, CD-2,4), 3.74 (7H, CD-3), 4.00-4.15 (35H, CD-5, phenyl-$\underline{CH_2}$-, triazole-$\underline{CH_2}$-N), 4.44-4.45 (14H, CD-6), 5.07 (7H, CD-1), 5.98, 6.12 (14H, CD-OH), 7.37 (35H, phenyl), 8.06 (7H, triazole), 9.45-9.80 (14H, -NH_2^+-), ^{13}CNMR (100 MHz, DMSO-d6): d 41.40 (triazole-$\underline{CH_2}$-N), 49.99 (CD-6, phenyl-$\underline{CH_2}$-), 70.01 (CD-5), 72.15 (CD-2), 72.71 (CD-3), 83.69 (CD-4), 102.11 (CD-1), 127.27 (CHtriazole), 129.15, 129.45, 130.37, 132.23 (phenyl), 138.71 (Ctriazole), MS (MALDI): m/z 2348.66 $[M+Na]^+$, Calcd for $C_{112}H_{142}N_{28}NaO_{28}$: 2348.03, Found: C, 45.55; H, 4.87; N,11,96%. Calcd for $C_{112}H_{142}N_{28}O_{28}$+10$H_2O$+7.0TFA: C, 45.79; H, 5.09; N, 11.87%.

phenylethyl-aminomethyl CD (14). ^{1}HNMR (400 MHz, DMSO-d6): d 2.88-2.90 (14H, phenyl-$\underline{CH_2}$-), 3.13-3.39 (28J, CD-2,4, -CH_2-$\underline{CH_2}$-N), 3.74 (t, *J* = 7.3, 7H, CD-3), 4.10-4.22 (21H, CD-5, triazole-$\underline{CH_2}$-N), 4.43-4.57 (14H, CD-6), 5.05 (7H, CD-1), 5.99-6.12 (14H, CD-OH), 7.17-7.31 (35H, phenyl), 8.05 (7H, triazole), 9.25-9.50 (14H, -NH_2^+-), ^{13}CNMR (100 MHz, DMSO-d6): d 33.91 (phenyl-$\underline{CH_2}$-), 42.30 (triazole-$\underline{CH_2}$-N), 47.77 (-CH_2-$\underline{CH_2}$-N), 50.02 (CD-6), 69.82 (CD-5), 72.26 (CD-2), 72.73 (CD-3), 82.71 (CD-4), 102.14 (CD-1), 127.21 (CHtriazole), 127.51, 128.91 (phenyl) , 137.42 (Ctriazole), 138.69 (phenyl), MS (MALDI): m/z 2446.77 $[M+Na]^+$, Calcd for $C_{119}H_{154}N_{28}NaO_{28}$: 2446.14, Found: C, 47.91; H, 5.08; N,11,74%. Calcd for $C_{119}H_{154}N_{28}O_{28}$+7.0$H_2O$+7.0TFA: C, 47.70; H, 5.21; N, 11.71%.

1-amino-heptyl CD (15). ^{1}HNMR (400 MHz, DMSO-d6): d 0.82 (t, J = 6.8, 21H, CH_3-), 1.15-1.28 (56H, CH_3-$\underline{(CH_2)_4}$-), 1.82 (14H, -$(CH_2)_4$-$\underline{CH_2}$-), 3.12 (t, *J* = 8.8, 7H, CD-4), 3.26 (7H, CD-2), 3.73 (t, J = 8.8, 7H, CD-3), 4.12 (7H, CD-5), 4.35 (7H, NH_3^+-$\underline{CH}$), 4.63 (14H, CD-6), 5.05 (7H, CD-1), 5.95, 6.09 (14H, CD-OH), 8.10 (7H, triazole), 8.46 (21H, NH_3^+), ^{13}CNMR (100 MHz, DMSO-d6): d 14.30 (CH_3-), 22.40, 25.08, 27.51, 28.57, 31.37 (CH_3-$\underline{(CH_2)_4}$-), 33.21 (-$(CH_2)_4$-$\underline{CH_2}$-), 47.27 (NH_3^+-CH), 50.12 (CD-6), 69.95 (CD-5), 72.11 (CD-2), 72.67 (CD-3), 82.30 (CD-4), 102.08 (CD-1), 125.51 (CHtriazole), 144.54 (Ctriazole), MS (MALDI): m/z 2306.40 $[M+Na]^+$, Calcd for $C_{105}H_{182}N_{28}NaO_{28}$: 2306.36, Found: C, 43.68; H, 6.14; N,11.32%. Calcd for $C_{105}H_{182}N_{28}O_{28}$+10$H_2O$+10TFA: C, 43.71; H, 5.93; N, 11.42%.

7-amino-heptyl CD (16). ^{1}HNMR (400 MHz, DMSO-d6): d 1.13-1.58 (70H, NH_3^+-CH_2-$\underline{(CH_2)_5}$-CH_2-), 2.28-2.38, 2.72-2.77 (28H, NH_3^+-$\underline{CH_2}$-$(CH_2)_5$-$\underline{CH_2}$-), 3.19-3.28 (14H, CD-2,4), 3.69 (7H, CD-3), 4.00 (7H, CD-5), 4.18-4.41 (14H, CD-6), 5.05 (7H, CD-1), 5.92-6.05 (14H, CD-OH), 7.62 (7H, triazole), 7.77 (21H, NH_3^+), ^{13}CNMR (100 MHz, DMSO-d6): d 25.12, 27.44, 28.76, 29.03, 29.17, 29.33 (NH_3^+-CH_2-$\underline{(CH_2)_5}$-CH_2-), 39.54

(NH_3^+-CH_2-), 49.79 (CD-6), 70.06 (CD-5), 72.33 (CD-2), 72.76 (CD-3), 83.28 (CD-4), 102.15 (CD-1), 123.65 (CHtriazole), 147.05 (Ctriazole), MS (MALDI): m/z 2306.41 $[M+Na]^+$, Calcd for $C_{105}H_{182}N_{28}NaO_{28}$: 2306.36, Found: C, 44.42; H, 6.11; N,11.67%. Calcd for $C_{105}H_{182}N_{28}O_{28}$+3.0$H_2O$+8.5TFA: C, 44.30; H, 5.99; N, 11.86%.

1-amino-2-cyclohexylethyl CD (17). ^{1}HNMR (400 MHz, DMSO-d6): d 0.85-1.78 (91H, cyclohexyl-CH_2-), 3.09 (t, *J* = 8.8, 7H, CD-4), 3.26 (7H, CD-2), 3.74 (t, J = 8.8, 7H, CD-3), 4.16 (7H, CD-5), 4.48 (7H, NH_3^+-CH), 4.69 (14H, CD-6), 5.05 (7H, CD-1), 5.91, 6.03 (14H, CD-OH), 8.12 (7H, triazole), 8.45 (21H, NH_3^+), ^{13}CNMR (100 MHz, DMSO-d6): d 25.82, 26.29, 32.45, 32.99, 33.41, 39.53 (cyclohexyl-CH_2-), 45.09 (NH_3^+-CH), 50.10 (CD-6), 69.85 (CD-5), 72.10 (CD-2), 72.68 (CD-3), 82.14 (CD-4), 102.14 (CD-1), 125.49 (CHtriazole), 144.75 (Ctriazole), MS (MALDI): m/z 2390.31 $[M+Na]^+$, Calcd for $C_{112}H_{182}N_{28}NaO_{28}$: 2390.36, Found: C, 45.41; H, 5.52; N,11.07%. Calcd for $C_{112}H_{182}N_{28}O_{28}$+4.0$H_2O$+9.0TFA: C, 45.32; H, 5.45; N, 10.74%.

1-amino-2-phenylethyl CD (18). ^{1}HNMR (400 MHz, DMSO-d6): d 2.82-3.02 (7H, CD-4), 3.12-3.18 (14H, CD-2, CH_2 of benzyl), 3.19-3.32 (7H, CH_2 of benzyl), 3.62-3.71 (7H, CD-3), 3.94-4.06 (7H, CD-5), 4.45-4.57 (14H, CD-6), 4.73 (7H, NH_3^+-CH), 4.92 (7H, CD-1), 5.90, 6.08 (14H, CD-OH), 7.07-7.21 (35H, phenyl), 7.82 (7H, triazole), 8.59-8.73 (21H, NH_3^+), ^{13}CNMR (100 MHz, DMSO-d6): d 39.76 (CH_2 of benzyl), 48.20 (NH_3^+-CH), 49.86 (CD-6), 69.72 (CD-5), 71.92 (CD-2), 72.62 (CD-3), 81.78 (CD-4), 101.82 (CD-1), 125.78 (CHtriazole), 127.40, 128.89, 129.66, 129.94, 136.13 (phenyl), 143.57 (Ctriazole), MS (MALDI): m/z 2347.94 $[M+Na]^+$, Calcd for $C_{112}H_{140}N_{28}NaO_{28}$: 2348.03, Found: C, 47.08; H, 4.80; N,11.39%. Calcd for $C_{112}H_{140}N_{28}O_{28}$+7.0$H_2O$+9.0TFA: C, 46.95; H, 4.67; N, 11.79%.

1-amino-3-phenylpropyl CD (19). ^{1}HNMR (400 MHz, DMSO-d6): d 2.16 (14H, phenyl-CH_2-CH_2-), 2.50 (14H, benzene-CH_2-CH_2-), 3.15 (7H, CD-4), 3.27 (7H, CD-2), 3.77 (t, *J* = 8.8, 7H, CD-3), 4.18 (7H, CD-5), 4.36 (7H, NH_3^+-CH-), 4.67 (14H, CD-6), 5.09 (7H, CD-1), 5.96, 6.08 (14H, CD-OH), 7.07-7.25 (35H, phenyl), 8.15 (7H, triazole), 8.55 (21H, NH_3^+), ^{13}CNMR (100 MHz, DMSO-d6): d 31.17 (phenyl-CH_2-CH_2-), 34.95 (phenyl-CH_2-CH_2-), 46.86 (NH_3^+-CH), 50.17 (CD-6), 69.89 (CD-5), 72.16 (CD-2), 72.67 (CD-3), 82.20 (CD-4), 102.07 (CD-1), 125.83, 126.58 (CHtriazole), 128.59, 128.90, 140.78 (phenyl), 144.14 (Ctriazole), MS (MALDI): m/z 2346.15 $[M+Na]^+$, Calcd for $C_{119}H_{154}N_{28}NaO_{28}$: 2446.14, Found: C, 48.02; H, 4.62; N,11.41%. Calcd for $C_{119}H_{154}N_{28}O_{28}$+5.0$H_2O$+10.0TFA: C, 47.91; H, 4.74; N, 11.25%.

Table 1. MIC values (mg cm^{-3}) of the drug-resistant bacteria.

	VCM	TEIC	LZD	Synecid	ABK	MINO	LVFX	GM	CLDM
MRSA MS29202	1	1	2	1	1	R	R	R	R

	ABPC	MINO	VCM	EM	CP	CPFX	GM	KM	SM	TEIC	LZD
E. faecium MS29120	64	8	1	>128	8	64	>256	>256	256	-	-
E. faecalis MS29030	1	32	4	2	8	2	16	32	64	-	-
VRE MS29016	128	0.125	>128	>128	4	>128	>256	>256	32	0.5	2

	PCG	ABPC	IPM	CTX	MINO	CPFX	EM	CLDM	GM
S. agalactiae Str.B-1	0.5	0.25	0.06	0.5	8	32	>128	128	8

VCM;vancomycin, TEIC; teicoplanin, LZD; linezolid, ABK; arbekacin, MINO; minocycline, LVFX; levofloxacin, GM; gentamicin, CLDM; clindamycin, ABPC; ampicillin, EM; erythromycin, CP; chloramphenicol, CPFX, ciprofloxacin, GM; gentamicin, KM; kanamycin, SM; streptomycin, TEIC; teicoplanin, PCG; benzylpenicillin (penicillin G), IPM; imipenem, CTX; cefotaxime

Resistance development study of *S. aureus* by multipassage treatment of CD 6.[4]

MIC values of CD **6** and fosfomycin against *S. aureus* were determined as described in experimental section. For each MIC experiment, the bacterial cells exposed to the sub-MIC concentration (1/2 of MIC at that particular passage) were re-grown to a logarithmic growth phase, and re-used for the subsequent passage's MIC measurement for the same antimicrobial agents. The process was repeated and the changes of MIC values were monitored. We found that the MIC value of **6** remained the same (4 $\mu g\ mL^{-1}$) and in contrast, the MIC values of fosfomycin, which is a broad-spectrum antibiotic, started to increase after two passages, becoming >512 $\mu g\ mL^{-1}$ (>256 times greater) by the fifth passage. The results of this study indicate that the CD may be resistant to bacteria forming resistance to them.

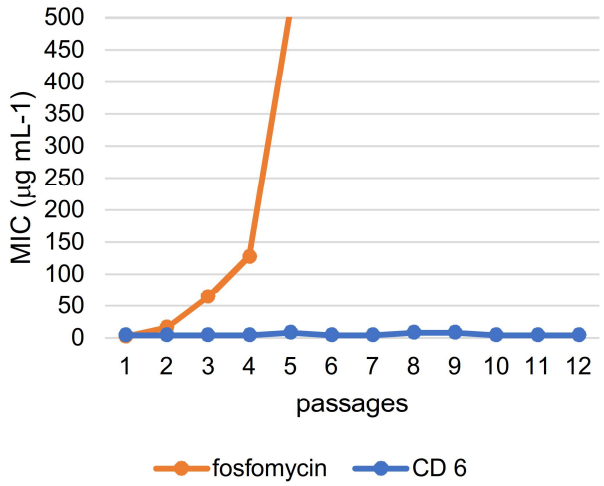

Figure 1. MIC values of CD 6 and fosfomycin against *S. aureus* after the number of serial passages.

K^+ efflux and the cell viability of bacteria on the addition of CD 6.

The membrane disrupting activity and bactericidal activity of CD **6** were examined. The *S. aureus* and *E. coli* cells were incubated with the CD **6** for 30 min at 37 °C. K^+ efflux from the cells monitored by a K^+ electrode, where changes to cell viability were simultaneously measured, as described in experimental section. The CD **6** showed significant K^+ efflux against both the bacteria. Also, it was found that increases in the K^+ efflux were proportional to decreases in the cell viability. The 30 min treatment with the CD **6** whose concentration was 10 times as that of MIC against the bacteria (*S. aureus*; 30 ㎜, *E. coli*; 50 ㎜) caused 10% or less viability. These results exhibited that the **6** disrupted the cytoplasmic membrane of *S. aureus* and therefore the CD **6** killed the bacteria.

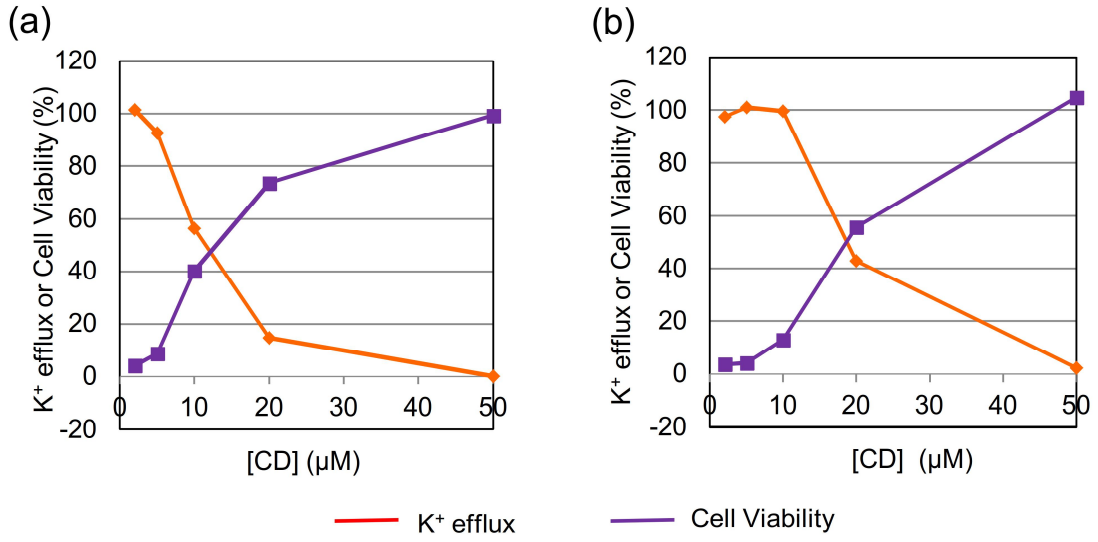

Figure 2. Dose-dependence curves for the K^+ efflux and the cell viability of *S. aureus* and *E. coli* on the addition of CD 6 ((a) *S. aureus* and (b) *E. coli*). The purple lines indicate K^+ efflux, and the orange lines indicate cell viability. To determine the level of 100% K^+ efflux, mellitin (10 mM for *S. aureus*) and polymyxin B (200 mg cm^{-3} for *E. coli*) were used

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