Supporting Information for the Paper

Synthesis of Optically Pure Highly Functionalized γ -Lactams via 2-Azetidinone-Tethered Iminophosphoranes

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Table of Contents

Characterization of Propargylic Alcohols (+)- 2a , and 2c-i	S1
Characterization of Propargylic Mesylates (+)- 3a , and 3c-g	S4
Characterization of Propargylic Azides (+)- 4a , and 4c-g	S5
Preparation and Characterization of Amine (+)- 6a	S7
Characterization of γ -Lactams (+)- 7a , and 7c-g	S7
Preparation and Characterization of Acetylated γ -Lactam (+)- 10	S8

Propargylic Alcohol (+)-2a. From 200 mg (0.673 mmol) of aldehyde (+)-**1a**, 212 mg (79%) of compound (+)-**2a** was obtained as a colorless oil. $[\alpha]_D^{25} = +19.4$ (*c* 1.0, CHCl₃). ¹H-NMR: δ 2.61 (d, 1H, *J* = 5.9 Hz), 3.68 (s, 3H), 4.63 (t, 1H, *J* = 5.3 Hz), 5.07 (t, 1H, *J* = 5.3 Hz), 5.35 (d, 1H, *J* = 5.3 Hz), 6.70 (m, 2H), 7.20 (m, 5H), 7.53 (m, 2H). ¹³C-NMR: δ 163.7, 157.6, 156.9, 131.8, 129.9, 128.9, 128.4, 120.3, 118.4, 116.2, 115.8, 114.8, 114.3, 86.5, 79.9, 63.0, 62.2, 61.1, 55.6. IR

(CHCl₃, cm⁻¹): ν 3306, 1747. MS (EI), m/z : 400 (M⁺ + 1, 7), 399 (M⁺, 100). Anal. Calcd for C₂₅H₂₁NO₄: C, 75.17; H, 5.30; N, 3.51. Found: C, 75.27; H, 5.33; N, 3.49.

Propargylic Alcohol (+)-2c. From 80 mg (0.216 mmol) of aldehyde (+)-1b, 47 mg (66%) of compound (+)-2c was obtained as a pale yellow oil. $[\alpha]_D = +72.0$ (c 0.3, CHCl₃). ¹H-NMR: δ 2.82 (br s, 1H), 4.00 (m, 4H), 4.85 (d, 1H, $J = 5.1$ Hz), 5.12 (m, 2H), 5.73 (m, 1H), 7.03 (m, 10H). ¹³C-NMR: δ 165.8, 157.5, 131.6, 129.6, 128.8, 128.7, 122.6, 118.9, 116.2, 115.9, 86.8, 81.3, 80.5, 62.1, 60.9, 44.1. IR (CHCl₃, cm⁻¹): ν 3308, 1742. MS (EI), m/z : 334 (M⁺ + 1, 5), 333 (M⁺, 100). Anal. Calcd for C₂₁H₁₉NO₃: C, 75.66; H, 5.74; N, 4.20. Found: C, 75.75; H, 5.71; N, 4.22.

Propargylic Alcohol (+)-2d. From 50 mg (0.216 mmol) of aldehyde (+)-1b, 47 mg (70%) of compound (+)-2d was obtained as a colorless oil. $[\alpha]_D = +71.0$ (c 0.4, CHCl₃). ¹H-NMR: δ 0.01 (s, 9H), 2.30 (br s, 1H), 3.90 (m, 4H), 4.64 (d, 1H, $J = 4.3$ Hz), 5.12 (m, 2H), 5.74 (m, 1H), 6.92 (m, 3H), 7.14 (m, 2H). ¹³C-NMR: δ 165.6, 157.4, 131.3, 129.6, 122.8, 118.8, 116.0, 102.4, 92.1, 61.6, 61.1, 60.7, 43.9, 0.4. IR (CHCl₃, cm⁻¹): ν 3306, 1743. MS (EI), m/z : 330 (M⁺ + 1, 3), 329 (M⁺, 100). Anal. Calcd for C₁₈H₂₃NO₃Si: C, 65.62; H, 7.04; N, 4.25. Found: C, 65.73; H, 7.07; N, 4.23.

Propargylic Alcohol (+)-2e. From 350 mg (1.125 mmol) of aldehyde (+)-1c, 357 mg (77%) of compound (+)-2e was obtained as a pale yellow oil. $[\alpha]_D = +81.2$ (c 0.5, CHCl₃). ¹H-NMR: δ 3.26 (d, 1H, $J = 5.9$ Hz), 3.59 (s, 3H), 4.39 (t, 1H, $J = 5.2$ Hz), 4.78 (m, 4H), 6.70 (m, 3H), 7.25 (m, 11H). ¹³C-NMR: δ 164.7, 156.5, 136.5, 131.6, 130.6, 128.6, 128.5, 128.4, 122.1, 121.5, 119.8, 117.9, 114.0, 86.9, 82.6, 80.3, 73.6, 62.1, 60.6, 55.3. IR (CHCl₃, cm⁻¹): ν 3306, 1746. MS (EI), m/z : 414 (M⁺ + 1, 7), 413 (M⁺, 100). Anal. Calcd for C₂₆H₂₃NO₄: C, 75.53; H, 5.61; N, 3.39. Found: C, 75.64; H, 5.58; N, 3.37.

Propargylic Alcohol (+)-2f. From 50 mg (0.213 mmol) of aldehyde (+)-1d, 46 mg (64%) of compound (+)-2f was obtained as a pale yellow oil. $[\alpha]_D = +16.0$ (c 0.5, CHCl₃). ¹H-NMR: δ 2.47 (br s, 1H), 3.64 (s, 3H), 3.69 (s, 3H), 4.47 (dd, 1H, $J = 4.8, 4.6$ Hz), 4.54 (d, 1H, $J = 5.1$ Hz), 4.98 (d, 1H, $J = 4.2$ Hz), 6.70 (m, 2H), 7.25 (m, 5H), 7.45 (m, 2H). ¹³C-NMR: δ 164.4, 156.6, 131.5, 130.3, 128.6, 128.1, 121.9, 119.9, 114.0, 87.3, 86.4, 82.6, 61.8, 60.2, 59.8, 55.3. IR (CHCl₃, cm⁻¹): ν 3304, 1745. MS (EI), m/z : 338 (M⁺ + 1, 9), 337 (M⁺, 100). Anal. Calcd for C₂₀H₁₉NO₄: C, 71.20; H, 5.68; N, 4.15. Found: C, 71.30; H, 5.70; N, 4.18.

Propargylic Alcohol (+)-2g. From 50 mg (0.213 mmol) of aldehyde (+)-**1d**, 40 mg (56%) of compound (+)-**2g** was obtained as a pale yellow oil. $[\alpha]_D = +63.8$ (*c* 0.6, CHCl₃). ¹H-NMR: δ 0.02 (s, 9H), 3.53 (s, 3H), 3.63 (s, 3H), 4.30 (dd, 1H, *J* = 4.9, 4.2 Hz), 4.50 (d, 1H, *J* = 5.1 Hz), 4.67 (d, 1H, *J* = 4.2 Hz), 6.65 (m, 2H), 7.37 (m, 2H). ¹³C-NMR: δ 164.3, 156.5, 130.3, 119.9, 113.9, 102.8, 92.5, 82.5, 61.5, 60.3, 59.7, 55.3, 0.5. IR (CHCl₃, cm⁻¹): ν 3310, 1744. MS (CI), *m/z* : 334 (M⁺ + 1, 100), 333 (M⁺, 15). Anal. Calcd for C₁₇H₂₃NO₄Si: C, 61.23; H, 6.95; N, 4.20. Found: C, 61.33; H, 6.90; N, 4.23.

Preparation of Propargylic Alcohols *syn*-(+)-2h and *anti*-(-)-2h. From 50 mg (0.273 mmol) of the aldehyde (+)-**1e** and after column chromatography eluting with ethyl acetate/hexanes (1:2), 12.6 mg (16%) of the less polar compound *anti*-(-)-**2h** and 29.4 mg (38%) of the more polar compound *syn*-(+)-**2h** were obtained.

Propargylic Alcohol *anti*-(-)-2h. Colorless oil. $[\alpha]_D = -10.0$ (*c* 0.4, CHCl₃). ¹H-NMR: δ 2.36 (m, 2H), 2.89 (br s, 1H), 3.32 (m, 1H), 3.52 (m, 4H), 3.94 (dd, 1H, *J* = 4.7, 3.5 Hz), 4.46 (d, 1H, *J* = 4.7 Hz), 4.48 (m, 1H), 5.00 (m, 2H), 5.70 (m, 1H), 7.30 (m, 5H). ¹³C-NMR: δ 167.0, 134.8, 131.5, 128.7, 128.3, 121.9, 116.9, 86.5, 86.4, 83.5, 61.4, 60.7, 59.7, 40.3, 29.6. IR (CHCl₃, cm⁻¹): ν 3308, 1743. MS (EI), *m/z* : 286 (M⁺ + 1, 5), 285 (M⁺, 100). Anal. Calcd for C₁₇H₁₉NO₃: C, 71.56; H, 6.71; N, 4.91. Found: C, 71.67; H, 6.68; N, 4.89.

Propargylic Alcohol *syn*-(+)-2h. Colorless oil. $[\alpha]_D = +28.0$ (*c* 0.5, CHCl₃). ¹H-NMR: δ 2.35 (m, 2H), 3.20 (m, 1H), 3.43 (m, 1H), 3.60 (s, 3H), 3.88 (dd, 1H, *J* = 5.9, 4.7 Hz), 4.55 (d, 1H, *J* = 4.6 Hz), 4.77 (d, 1H, *J* = 5.9 Hz), 5.01 (m, 2H), 5.70 (m, 1H), 7.32 (m, 5H). ¹³C-NMR: δ 167.1, 134.6, 131.6, 128.8, 128.4, 122.0, 117.3, 86.9, 86.8, 84.2, 61.6, 60.5, 59.9, 39.6, 31.9. IR (CHCl₃, cm⁻¹): ν 3309, 1742. MS (EI), *m/z* : 286 (M⁺ + 1, 3), 285 (M⁺, 100). Anal. Calcd for C₁₇H₁₉NO₃: C, 71.56; H, 6.71; N, 4.91. Found: C, 71.46; H, 6.67; N, 4.94.

Propargylic Alcohol (+)-2i. From 50 mg (0.273 mmol) of aldehyde (+)-**1e**, 31 mg (42%) of compound (+)-**2i**, containing *ca.* 30% of its *anti*-**2i** epimer, was obtained as a pale yellow oil. ¹H-NMR: δ 0.01 (s, 9H), 2.19 (m, 2H), 2.64 (br s, 0.7H), 2.82 (br s, 0.3H), 3.20 (m, 2H), 3.42 (s, 2.1H), 3.45 (s, 0.9H), 3.65 (dd, 0.7H, *J* = 6.3, 4.6 Hz), 3.71 (dd, 0.3H, *J* = 4.7, 3.2 Hz), 4.29 (d, 0.3H, *J* = 4.7 Hz), 4.34 (d, 0.7H, *J* = 4.6 Hz), 4.40 (m, 1H), 4.88 (m, 2H), 5.54 (m, 1H). ¹³C-NMR: δ 166.8, 134.8, 134.4, 117.1, 116.7, 103.3, 102.8, 91.8, 91.3, 83.9, 83.4, 61.4, 61.0, 60.5,

60.2, 59.6, 59.5, 40.0, 39.3, 31.6, 31.4, 0.5. IR (CHCl₃, cm⁻¹): ν 3310, 1746. MS (EI), m/z : 282 (M⁺ + 1, 4), 281 (M⁺, 100).

Propargylic Methanesulfonate (+)-3a. From 70 mg (0.176 mmol) of alcohol (+)-**2a**, 72 mg (87%) of compound (+)-**3a** was obtained as a pale orange oil. $[\alpha]_D = +77.1$ (c 0.6, CHCl₃). ¹H-NMR: δ 3.58 (s, 3H), 3.72 (s, 3H), 4.79 (dd, 1H, $J = 6.9, 5.1$ Hz), 5.42 (d, 1H, $J = 5.1$ Hz), 5.79 (d, 1H, $J = 6.9$ Hz), 7.10 (m, 14H). ¹³C-NMR: δ 162.9, 157.5, 131.8, 129.7, 129.6, 129.5, 128.3, 122.6, 119.9, 115.9, 114.6, 114.3, 81.4, 79.6, 70.3, 59.5, 55.4, 52.4, 38.9. IR (CHCl₃, cm⁻¹): ν 1746, 1356. MS (EI), m/z : 478 (M⁺ + 1, 4), 477 (M⁺, 100). Anal. Calcd for C₂₆H₂₃NO₆S: C, 65.39; H, 4.85; N, 2.93. Found: C, 65.50; H, 4.82; N, 2.90.

Propargylic Methanesulfonate (+)-3c. From 245 mg (0.736 mmol) of alcohol (+)-**2c**, 250 mg (83%) of compound (+)-**3c** was obtained as a colorless oil. $[\alpha]_D = +34.0$ (c 0.6, CHCl₃). ¹H-NMR: δ 3.08 (s, 3H), 3.76 (dd, 1H, $J = 15.4, 7.5$ Hz), 4.23 (m, 3H), 5.20 (m, 2H), 5.75 (m, 2H), 7.20 (m, 10H). ¹³C-NMR: δ 165.3, 157.3, 131.7, 130.6, 129.5, 129.4, 128.5, 128.3, 122.4, 119.9, 115.8, 91.8, 90.8, 80.4, 71.9, 59.2, 44.0, 39.6. IR (CHCl₃, cm⁻¹): ν 1742, 1362. MS (EI), m/z : 412 (M⁺ + 1, 3), 413 (M⁺, 100). Anal. Calcd for C₂₂H₂₁NO₅S: C, 64.22; H, 5.14; N, 3.40. Found: C, 64.32; H, 5.17; N, 3.39.

Propargylic Methanesulfonate (+)-3d. From 193 mg (0.587 mmol) of alcohol (+)-**2d**, 238 mg (100%) of compound (+)-**3d** was obtained as a pale orange oil. $[\alpha]_D = +31.8$ (c 0.6, CHCl₃). ¹H-NMR: δ 0.08 (s, 9H), 3.05 (s, 3H), 3.66 (m, 1H), 4.17 (m, 3H), 5.20 (m, 2H), 5.39 (d, 1H, $J = 4.4$ Hz), 5.70 (m, 1H), 6.88 (m, 3H), 7.15 (m, 2H). ¹³C-NMR: δ 165.5, 157.3, 130.4, 129.6, 122.7, 120.1, 116.1, 96.8, 80.6, 71.9, 59.0, 52.5, 44.1, 39.7, -0.7. IR (CHCl₃, cm⁻¹): ν 1744, 1350. MS (CI), m/z : 408 (M⁺ + 1, 100), 407 (M⁺, 15). Anal. Calcd for C₁₉H₂₅NO₅SiS: C, 55.99; H, 6.18; N, 3.44. Found: C, 55.90; H, 6.23; N, 3.41.

Propargylic Methanesulfonate (+)-3e. From 431 mg (1.045 mmol) of alcohol (+)-**2e**, 502 mg (98%) of compound (+)-**3e** was obtained as a pale orange oil. $[\alpha]_D = +97.3$ (c 0.4, CHCl₃). ¹H-NMR: δ 2.52 (s, 3H), 4.60 (s, 3H), 3.90 (m, 1H), 4.63 (m, 3H), 5.60 (m, 1H), 6.72 (m, 2H), 7.20 (m, 12H). ¹³C-NMR: δ 164.5, 156.9, 136.6, 131.9, 130.0, 129.6, 128.5, 128.1, 127.8, 120.9, 119.9, 114.3, 90.7, 81.9, 80.8, 73.9, 71.1, 59.5, 55.4, 38.9. IR (CHCl₃, cm⁻¹): ν 1745, 1354. MS

(CI), m/z : 492 ($M^+ + 1$, 100), 491 (M^+ , 11). Anal. Calcd for $C_{27}H_{25}NO_6S$: C, 65.97; H, 5.13; N, 2.85. Found: C, 66.06; H, 5.10; N, 2.83.

Propargylic Methanesulfonate (+)-3f. From 65 mg (0.193 mmol) of alcohol (+)-**2f**, 65 mg (82%) of compound (+)-**3f** was obtained as a pale yellow oil. $[\alpha]_D = +10.0$ (c 1.0, $CHCl_3$). 1H -NMR: δ 2.76 (s, 3H), 3.55 (s, 3H), 3.70 (s, 3H), 4.57 (dd, 1H, $J = 7.2, 4.9$ Hz), 4.68 (d, 1H, $J = 4.9$ Hz), 5.67 (d, 1H, $J = 7.2$ Hz), 6.77 (m, 2H), 7.30 (m, 7H). ^{13}C -NMR: δ 164.2, 156.9, 131.8, 129.9, 129.5, 128.4, 120.7, 119.8, 114.1, 90.5, 83.3, 81.8, 70.8, 60.3, 59.6, 55.4, 52.4. IR ($CHCl_3$, cm^{-1}): ν 1746, 1360. MS (EI), m/z : 416 ($M^+ + 1$, 7), 415 (M^+ , 100). Anal. Calcd for $C_{21}H_{21}NO_6S$: C, 60.71; H, 5.09; N, 3.37. Found: C, 60.82; H, 5.07; N, 3.36.

Propargylic Methanesulfonate (+)-3g. From 323 mg (0.971 mmol) of alcohol (+)-**2g**, 395 mg (100%) of compound (+)-**3g** was obtained as a pale yellow oil. $[\alpha]_D = +56.9$ (c 0.6, $CHCl_3$). 1H -NMR: δ 0.04 (s, 9H), 2.61 (s, 3H), 3.37 (s, 3H), 3.56 (s, 3H), 4.35 (dd, 1H, $J = 7.3, 4.9$ Hz), 4.45 (d, 1H, $J = 4.9$ Hz), 5.25 (d, 1H, $J = 7.3$ Hz), 6.58 (m, 2H), 7.21 (m, 2H). ^{13}C -NMR: δ 164.3, 156.9, 130.1, 119.9, 114.2, 97.9, 97.0, 83.4, 70.5, 60.4, 59.8, 55.5, 39.0, -0.8. IR ($CHCl_3$, cm^{-1}): ν 1746, 1358. MS (CI), m/z : 412 ($M^+ + 1$, 100), 411 (M^+ , 5). Anal. Calcd for $C_{18}H_{25}NO_6SSi$: C, 52.53; H, 6.12; N, 3.40. Found: C, 52.63; H, 6.09; N, 3.42.

Propargylic Azide (+)-4a. From 63 mg (0.13 mmol) of methanesulfonate (+)-**3a**, 50 mg (92%) of compound (+)-**4a** was obtained as a pale yellow oil. $[\alpha]_D = +142.1$ (c 0.6, $CHCl_3$). 1H -NMR: δ 3.69 (s, 3H), 4.54 (dd, 1H, $J = 5.0, 4.1$ Hz), 4.95 (d, 1H, $J = 4.1$ Hz), 5.39 (d, 1H, $J = 5.1$ Hz), 6.78 (m, 2H), 7.20 (m, 10H), 7.40 (m, 2H). ^{13}C -NMR: δ 163.0, 157.7, 156.9, 131.9, 129.7, 129.9, 129.8, 129.1, 128.3, 122.7, 119.9, 115.9, 114.5, 91.2, 80.2, 79.5, 60.2, 55.5, 52.4. IR ($CHCl_3$, cm^{-1}): ν 2105, 1745. MS (CI), m/z : 425 ($M^+ + 1$, 100), 424 (M^+ , 5). Anal. Calcd for $C_{25}H_{20}N_4O_3$: C, 70.74; H, 4.75; N, 13.20. Found: C, 70.83; H, 4.72; N, 13.25.

Propargylic Azide (+)-4c. From 196 mg (0.477 mmol) of methanesulfonate (+)-**3c**, 142 mg (82%) of compound (+)-**4c** was obtained as a colorless oil. $[\alpha]_D = +40.7$ (c 0.4, $CHCl_3$). 1H -NMR: δ 3.82 (dd, 1H, $J = 15.8, 7.2$ Hz), 4.01 (dd, 1H, $J = 6.3, 4.7$ Hz), 4.17 (m, 1H), 4.73 (d, 1H, $J = 6.3$ Hz), 5.20 (m, 3H), 5.75 (m, 1H), 6.99 (m, 3H), 7.28 (m, 7H). ^{13}C -NMR: δ 165.8, 157.8, 157.6, 131.9, 131.1, 129.2, 128.6, 128.4, 122.6, 119.5, 115.9, 90.2, 81.3, 80.5, 59.7, 52.7, 43.9. IR

(CHCl₃, cm⁻¹): ν 2109, 1744. MS (CI), m/z : 359 (M⁺ + 1, 100), 358 (M⁺, 7). Anal. Calcd for C₂₁H₁₈N₄O₂: C, 70.38; H, 5.06; N, 15.63. Found: C, 70.47; H, 5.09; N, 15.69.

Propargylic Azide (+)-4d. From 234 mg (0.624 mmol) of methanesulfonate (+)-**3d**, 120 mg (54%) of compound (+)-**4d** was obtained as a colorless oil. $[\alpha]_D = +55.4$ (c 0.6, CHCl₃). ¹H-NMR: δ 0.01 (s, 9H), 3.62 (m, 1H), 3.78 (dd, 1H, $J = 6.7, 4.7$ Hz), 4.04 (m, 2H), 4.38 (d, 1H, $J = 6.7$ Hz), 5.07 (m, 2H), 5.60 (m, 1H), 6.85 (m, 3H), 7.10 (m, 2H). ¹³C-NMR: δ 165.9, 157.7, 131.1, 129.7, 122.6, 119.5, 116.1, 97.3, 96.2, 80.6, 59.6, 52.6, 43.7, -0.2. IR (CHCl₃, cm⁻¹): ν 2106, 1746. MS (CI), m/z : 355 (M⁺ + 1, 100), 354 (M⁺, 5). Anal. Calcd for C₁₈H₂₂N₄O₂Si: C, 60.99; H, 6.26; N, 15.81. Found: C, 61.08; H, 6.31; N, 15.75.

Propargylic Azide (+)-4e. From 300 mg (0.613 mmol) of methanesulfonate (+)-**3e**, 179 mg (67%) of compound (+)-**4e** was obtained as a pale orange oil. $[\alpha]_D = +98.8$ (c 1.0, CHCl₃). ¹H-NMR: δ 3.59 (s, 3H), 4.30 (t, 1H, $J = 5.0$ Hz), 4.75 (m, 4H), 6.70 (m, 2H), 7.23 (m, 16H). ¹³C-NMR: δ 164.6, 156.8, 136.9, 131.9, 130.1, 129.1, 128.6, 128.3, 128.2, 128.0, 121.5, 120.1, 114.4, 90.8, 81.0, 80.6, 73.8, 60.2, 55.5, 52.6. IR (CHCl₃, cm⁻¹): ν 2111, 1745. MS (CI), m/z : 439 (M⁺ + 1, 100), 438 (M⁺, 3). Anal. Calcd for C₂₆H₂₂N₄O₃: C, 71.22; H, 5.06; N, 12.78. Found: C, 71.32; H, 5.03; N, 12.73.

Propargylic Azide (+)-4f. From 350 mg (0.867 mmol) of methanesulfonate (+)-**3f**, 222 mg (71%) of compound (+)-**4f** was obtained as a colorless oil. $[\alpha]_D = +97.2$ (c 0.5, CHCl₃). ¹H-NMR: δ 3.56 (s, 3H), 3.65 (s, 3H), 4.33 (t, 1H, $J = 5.1$ Hz), 4.59 (d, 1H, $J = 4.9$ Hz), 4.80 (d, 1H, $J = 5.1$ Hz), 6.72 (m, 2H), 7.30 (m, 7H). ¹³C-NMR: δ 164.5, 156.8, 131.8, 130.6, 128.3, 121.3, 120.0, 114.3, 114.2, 90.6, 82.9, 82.8, 81.0, 60.1, 55.5, 52.3. IR (CHCl₃, cm⁻¹): ν 2109, 1745. MS (CI), m/z : 363 (M⁺ + 1, 100), 363 (M⁺, 5). Anal. Calcd for C₂₀H₁₈N₄O₃: C, 66.29; H, 5.01; N, 15.46. Found: C, 66.20; H, 5.05; N, 15.51.

Propargylic Azide (+)-4g. From 400 mg (1.01 mmol) of methanesulfonate (+)-**3g**, 260 mg (72%) of compound (+)-**4g** was obtained as a yellow oil. $[\alpha]_D = +109.6$ (c 0.7, CHCl₃). ¹H-NMR: δ 0.05 (s, 9H), 3.55 (s, 3H), 3.69 (s, 3H), 4.24 (t, 1H, $J = 5.0$ Hz), 4.48 (m, 2H), 6.70 (m, 2H), 7.27 (m, 2H). ¹³C-NMR: δ 164.3, 156.7, 129.9, 119.9, 114.1, 96.5, 96.4, 82.9, 59.9, 59.7, 55.4, 51.9, -0.6. IR (CHCl₃, cm⁻¹): ν 2110, 1744. MS (CI), m/z : 359 (M⁺ + 1, 100), 358 (M⁺, 7). Anal. Calcd for C₁₇H₂₂N₄O₃Si: C, 56.96; H, 6.19; N, 15.63. Found: C, 57.07; H, 6.16; N, 15.56.

Procedure for the Preparation of 2-Azetidinone-Tethered Amine (+)-6a. A solution of triphenylphosphine (22 mg, 0.083 mmol) in dichloromethane (0.5 mL) was added to a stirred solution of the azide (+)-4a (35 mg, 0.083 mmol) in dichloromethane (1 mL) at 0 °C, and the mixture was stirred at room temperature for 3 h. Then, saturated aqueous ammonium chloride (0.5 mL) was added to the solution of the corresponding crude iminophosphorane (+)-5a. The aqueous residue was extracted with dichloromethane (3 x 2 mL), the organic layer was dried over MgSO₄, and the solvent was removed under reduced pressure. Chromatography of the residue eluting with ethyl acetate/hexanes (1:2) gave 8 mg (25%) of analytically pure compound (+)-6a.

2-Azetidinone-Tethered Amine (+)-6a. Colorless oil. $[\alpha]_D = +44.6$ (*c* 0.8, CHCl₃). ¹H-NMR: δ 0.00 (s, 9H), 3.77 (s, 3H), 4.30 (d, 1H, *J* = 4.6 Hz), 4.54 (dd, 1H, *J* = 5.1, 4.9 Hz), 5.44 (d, 1H, *J* = 5.1 Hz), 7.05 (m, 9H). IR (CHCl₃, cm⁻¹): ν 3212, 1745. MS (CI), *m/z*: 395 (*M*⁺ + 1, 100), 394 (*M*⁺, 14). Anal. Calcd for C₂₂H₂₆N₂O₃Si: C, 66.97; H, 6.64; N, 7.10. Found: C, 67.06; H, 6.67; N, 7.05.

γ -Lactam (+)-7a. From 20 mg (0.047 mmol) of azide (+)-4a, 11 mg (61%) of compound (+)-7a was obtained as a pale orange oil. $[\alpha]_D = +21.7$ (*c* 0.4, CHCl₃). ¹H-NMR: δ 3.68 (m, 4H), 4.35 (m, 2H), 4.66 (d, 1H, *J* = 6.1 Hz), 5.14 (s, 1H), 6.98 (m, 4H), 7.26 (m, 10H). ¹³C-NMR: δ 170.9, 158.1, 153.4, 139.4, 131.7, 129.5, 128.9, 128.3, 122.3, 121.0, 116.5, 116.1, 114.9, 83.9, 85.4, 80.4, 64.2, 55.6, 49.3. IR (CHCl₃, cm⁻¹): ν 3310, 1758. MS (CI), *m/z*: 399 (*M*⁺ + 1, 100), 398 (*M*⁺, 15). Anal. Calcd for C₂₅H₂₂N₂O₃: C, 75.36; H, 5.57; N, 7.03. Found: C, 75.26; H, 5.60; N, 7.07.

γ -Lactam (+)-7c. From 83 mg (0.232 mmol) of azide (+)-4c, 47 mg (61%) of compound (+)-7c was obtained as a pale yellow oil. $[\alpha]_D = +41.5$ (*c* 0.6, CHCl₃). ¹H-NMR: δ 4.02 (m, 4H), 4.22 (d, 1H, *J* = 7.5 Hz), 5.14 (m, 2H), 5.27 (d, 1H, *J* = 4.8 Hz), 5.81 (m, 1H), 7.27 (m, 10H). ¹³C-NMR: δ 166.1, 157.6, 131.8, 131.6, 131.3, 129.7, 128.3, 128.2, 122.6, 119.2, 115.9, 88.9, 85.1, 61.6, 44.4, 44.2, 43.6. IR (CHCl₃, cm⁻¹): ν 3306, 1754. MS (CI), *m/z*: 333 (*M*⁺ + 1, 100), 332 (*M*⁺, 11). Anal. Calcd for C₂₁H₂₀N₂O₂: C, 75.88; H, 6.06; N, 8.43. Found: C, 75.99; H, 6.09; N, 8.39.

γ -Lactam (-)-7d. From 270 mg (0.763 mmol) of azide (+)-4d, 99 mg (51%) of compound (-)-7d was obtained as a colorless oil. $[\alpha]_D = -49.5$ (*c* 0.4, CHCl₃). ¹H-NMR: δ 2.42 (d, 1H, *J* = 2.1 Hz), 3.32 (m, 1H), 3.60 (s, 1H), 3.73 (t, 1H, *J* = 7.4 Hz), 4.10 (m, 2H), 4.72 (d, 1H, *J* = 8.0 Hz),

5.13 (m, 2H), 5.80 (m, 1H), 6.50 (s, 1H), 7.51 (m, 5H). ^{13}C -NMR: δ 171.1, 156.8, 131.9, 129.6, 128.6, 118.0, 116.4, 101.5, 97.2, 49.8, 44.2, 44.1, 29.5. IR (CHCl_3 , cm^{-1}): ν 3307, 1756. MS (CI), m/z : 257 ($\text{M}^+ + 1$, 100), 256 (M^+ , 11). Anal. Calcd for $\text{C}_{15}\text{H}_{16}\text{N}_2\text{O}_2$: C, 70.29; H, 6.29; N, 10.93. Found: C, 70.40; H, 6.33; N, 10.89.

γ -Lactam (+)-7e. From 240 mg (0.548 mmol) of azide (+)-4e, 135 mg (60%) of compound (+)-7e was obtained as a colorless oil. $[\alpha]_{\text{D}} = 31.0$ (c 0.7, CHCl_3). ^1H -NMR: δ 3.66 (s, 3H), 3.88 (d, 1H, $J = 6.7$ Hz), 4.05 (m, 2H), 4.72 and 4.92 (d, each 1H, $J = 11.9$ Hz), 6.62 (s, 1H), 6.79 (m, 4H), 7.22 (m, 10H). ^{13}C -NMR: δ 172.7, 153.2, 140.2, 137.5, 131.8, 128.9, 128.5, 128.4, 128.2, 127.9, 121.9, 115.9, 114.9, 86.2, 85.8, 79.7, 72.5, 64.6, 55.8, 49.6. IR (CHCl_3 , cm^{-1}): ν 3311, 1756. MS (CI), m/z : 413 ($\text{M}^+ + 1$, 100), 412 (M^+ , 23). Anal. Calcd for $\text{C}_{26}\text{H}_{24}\text{N}_2\text{O}_3$: C, 75.71; H, 5.86; N, 6.79. Found: C, 75.82; H, 5.82; N, 6.76.

γ -Lactam (+)-7f. From 79 mg (0.218 mmol) of azide (+)-4f, 45 mg (62%) of compound (+)-7f was obtained as a colorless oil. $[\alpha]_{\text{D}} = +21.1$ (c 0.6, CHCl_3). ^1H -NMR: δ 3.57 (s, 3H), 3.67 (s, 3H), 3.78 (d, 1H, $J = 6.6$ Hz), 4.13 (d, 1H, $J = 6.1$ Hz), 4.21 (dd, 1H, $J = 6.2, 5.9$ Hz), 6.29 (s, 1H), 6.74 (m, 4H), 7.47 (m, 5H). ^{13}C -NMR: δ 171.9, 153.1, 139.7, 132.8, 131.5, 128.7, 128.2, 115.8, 114.7, 86.2, 85.7, 82.2, 76.2, 64.3, 58.7, 55.5, 49.3. IR (CHCl_3 , cm^{-1}): ν 3307, 1750. MS (CI), m/z : 337 ($\text{M}^+ + 1$, 100), 336 (M^+ , 15). Anal. Calcd for $\text{C}_{20}\text{H}_{20}\text{N}_2\text{O}_3$: C, 71.41; H, 5.99; N, 8.33. Found: C, 71.51; H, 5.96; N, 8.37.

γ -Lactam (+)-7g. From 64 mg (0.176 mmol) of azide (+)-4g, 22 mg (49%) of compound (+)-7g was obtained as a colorless oil. $[\alpha]_{\text{D}} = +6.9$ (c 0.4, CHCl_3). ^1H -NMR: δ 2.42 (d, 1H, $J = 1.6$ Hz), 3.54 (s, 3H), 3.70 (m, 4H), 4.07 (m, 2H), 6.36 (s, 1H), 6.66 (m, 4H). ^{13}C -NMR: δ 172.4, 153.4, 139.5, 115.9, 114.9, 82.5, 80.8, 74.2, 63.9, 58.9, 55.7, 48.7. IR (CHCl_3 , cm^{-1}): ν 3307, 1750. MS (CI), m/z : 261 ($\text{M}^+ + 1$, 100), 260 (M^+ , 11). Anal. Calcd for $\text{C}_{14}\text{H}_{16}\text{N}_2\text{O}_3$: C, 64.60; H, 6.20; N, 10.76. Found: C, 64.70; H, 6.17; N, 10.80.

Procedure for the Preparation of Acetylated γ -Lactam (+)-10. Acetic anhydride (0.04 mL, 0.40 mmol), DMAP (cat.), and triethylamine (0.05 mL, 0.40 mmol) were sequentially added dropwise to a stirred solution of the γ -lactam (+)-7f (120 mg, 0.40 mmol) in dichloromethane (5 mL) at 0 °C, and the mixture was stirred for 1 h at room temperature. The organic phase was washed with water (2 x 3 mL), dried (MgSO_4) and concentrated under reduced pressure.

Chromatography of the residue eluting with ethyl acetate gave 150 mg (100%) of analytically pure compound (+)-**10** as a colorless oil.

Acetylated γ -Lactam (+)-10. $[\alpha]_D = +50.5$ (c 0.6, CHCl_3). $^1\text{H-NMR}$: δ 2.50 (s, 3H), 3.59 (s, 3H), 3.69 (s, 3H), 3.77 (d, 1H, $J = 2.6$ Hz), 4.02 (t, 1H, $J = 2.6$ Hz), 4.85 (d, 1H, $J = 2.4$ Hz), 6.57 (m, 2H), 6.75 (m, 2H), 7.30 (m, 5H). $^{13}\text{C-NMR}$: δ 170.7, 170.4, 153.6, 138.8, 131.9, 128.6, 128.1, 122.1, 115.8, 115.1, 85.2, 84.9, 84.1, 59.1, 58.9, 55.6, 52.9, 25.3. IR (CHCl_3 , cm^{-1}): ν 3308, 1750, 1660. MS (CI), m/z : 379 ($\text{M}^+ + 1$, 100), 378 (M^+ , 26). Anal. Calcd for $\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_4$: C, 69.83; H, 5.86; N, 7.40. Found: C, 69.92; H, 5.88; N, 7.36.