Supporting Information 1

Total Synthesis of (-)-Platensimycin, a Novel Antibacterial Agent

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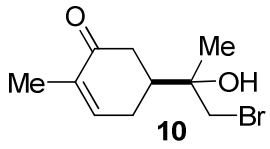
General

All moisture sensitive reactions were carried out under nitrogen or argon atmosphere. Anhydrous solvents were obtained as follows: THF, diethyl ether and benzene, distilled from sodium and benzophenone; dichloromethane, pyridine, triethylamine, and diisopropylethylamine, distilled from CaH_2. All other solvents were HPLC grade. Column chromatography was performed with 240-400 mesh silica gel under low pressure of 5-10 psi. TLC was carried out with silica gel 60-F-254 plates visualized under UV light and stained with

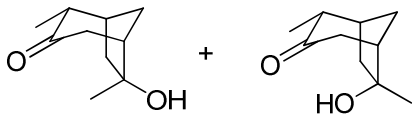
either phosphomolybdic acid or acidic *p*-anisaldehyde. ^{1}H NMR spectra were recorded at 300, 400 or 500 MHz with chemical shifts reported in ppm (δ). ^{13}C NMR spectra were recorded at 75 MHz with chemical shifts reported in ppm (δ). Infrared spectra were recorded as thin films on NaCl plates on a Fourier transform spectrometer. Optical rotations were measured using a sodium (589, D line) lamp polarimeter.

Experimental Section



10

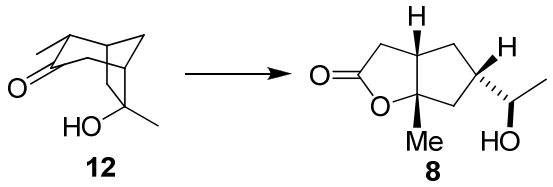
To a solution of (+)-carvone **9** (10 mL, 62 mmol) in THF (60 mL) and H_2O (40 mL) at 0 °C under dark was added NBS (13 g, 74 mmol) portionwise. The reaction mixture was stirred at 23 °C for 24 h and NaCl was added to saturate the aqueous layer, which was extracted by Et_2O and the combined organic extracts were washed with brine and dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*. The residue was purified by column chromatography (25% ethyl acetate in hexanes) to afford 13.6 g (55 mmol, 89%) of the inseparable mixture of bromide **10**; ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.78-6.62 (m, 1H), 3.51-3.39 (m, 2H), 2.69-2.12 (m, 5H), 1.73 (s, 1H), 1.26 (s, 3H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 200.2, 199.8, 145.6, 144.7, 135.9, 135.7, 72.5, 72.4, 43.8, 43.6, 42.8, 42.5, 39.9, 39.0, 27.8, 26.8, 23.0, 22.8, 16.1.



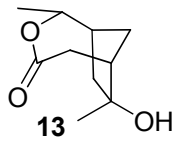
11 **12**

To a stirred solution of nBu_3SnH (18 mL, 65 mmol) and AIBN (450 mg, 2.7 mmol) in benzene (200 mL) at 80 °C was added a solution of **10** (13.4 g 54 mmol) in benzene (100 mL) dropwise via cannula. The reaction was kept refluxing for 3 h. After cooling to 23 °C, the

reaction mixture was concentrated *in vacuo*. The residue was purified by column chromatography (hexanes followed by 25% ethyl acetate in hexanes) to afford 3.9 g (23.4 mmol, 43%) of ketone **11** and 3.8 g (22.8 mmol, 42%) of ketone **12** (mixture of ketone form and hemiketal form). Ketone **11**: ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.49-2.42 (m, 2H), 2.40 (t, 1H), 2.38 (d, *J* = 4.0 Hz, 1H), 2.36-2.30 (m, 1H), 2.20 (brs, 1H), 1.86 (d, *J* = 12.0 Hz, 1H), 1.79 (dd, *J* = 15.0 Hz, 7.5 Hz, 1H), 1.51 (d, *J* = 15.0 Hz, 1H), 1.27 (s, 3H) 0.97 (d, *J* = 6.5 Hz, 1H).

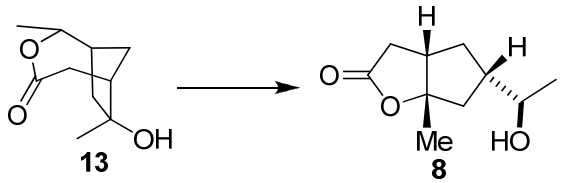


To a stirred solution of **12** (8 g, 48 mmol) in CH_2Cl_2 (200 mL) was added *m*CPBA (14 g, 53 mmol). The reaction mixture was stirred at 40 °C for 24 h, additional *m*CPBA (8 g, 34 mmol) was added. The reaction mixture was stirred at 40 °C for 3 days before a solution of 9 g $Na_2S_2O_3$ in saturated $NaHCO_3$ (150 mL) was added. The aqueous layer was extracted by CH_2Cl_2 and the combined organic extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*. Flash chromatography on silica gel (70% ethyl acetate in hexanes) produced 7.9 g (43 mmol, 90%) of the lactone **8**; ^{1}H NMR (500 MHz, $CDCl_3$) δ 3.60 (m, 1H), 2.74 (dd, *J* = 18.0 Hz, 9.0 Hz, 1H), 2.40-2.28 (m, 2H), 2.30-2.14 (br, 1H), 2.14-2.04 (m, 1H), 2.04-1.98 (m, 1H), 1.98-1.86 (m, 2H), 1.40 (s, 3H) 1.20 (q, 1H), 1.13 (d, *J* = 6.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 176.7, 94.6, 70.5, 46.6, 44.7, 41.9, 36.3, 35.4, 26.2, 22.3.

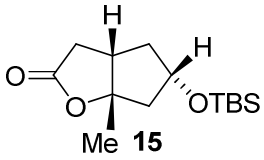


To a stirred solution of **11** (11 g, 66 mmol) in CH_2Cl_2 (50 mL) was added *m*CPBA (65 g, 263 mmol). The reaction mixture was stirred at 40 °C for 4 days before a solution of 30 g $Na_2S_2O_3$ in saturated $NaHCO_3$ (150 mL) was added. The aqueous layer was extracted by CH_2Cl_2 and the combined organic extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*. Flash chromatography on silica gel (80% ethyl acetate in hexanes) produced 8.9 g (48 mmol, 73%) of the lactone **13**; ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.43 (dd, *J* =

13.0 Hz, 6.5 Hz, 1H), 2.97 (ddd, *J* = 16.5 Hz, 6.5 Hz, 2.0 Hz, 1H), 2.59-2.53 (m, 1H), 2.49 (dd, *J* = 16.5 Hz, 1.5 Hz, 1H), 2.40 (t, 1H), 2.03 (t, 1H), 1.98 (d, *J* = 15.0 Hz, 1H), 1.86 (dd, *J* = 15.0 Hz, 9.0 Hz, 1H), 1.60 (d, *J* = 12.0 Hz, 1H), 1.44 (s, 3H) 1.28 (d, *J* = 7.0 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 174.9, 81.3, 46.2, 43.0, 41.3, 40.5, 38.6, 24.3, 22.0.



To a stirred solution of **13** (2.2 g, 12 mmol) in MeOH (10 mL) and H_2O (10 mL) at 23 °C was added a 3.6M KOH (3.7 mL, 13 mmol). The reaction mixture was stirred at 23 °C for 1 h before 9M H_2SO_4 (3 mL, 27 mmol) was added. The reaction mixture was stirred at 60 °C for 12 h and cooled to 23 °C. $NaHCO_3$ was added until the solution became neutral. The aqueous layer was extracted by EtOAc and the combined organic extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*. Flash chromatography on silica gel (70% ethyl acetate in hexanes) produced 1.9 g (10 mmol, 85%) of the lactone **8**.



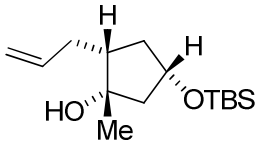
To a solution of DMSO (44 mL, 620 mmol) in CH_2Cl_2 (400 mL) at -78 °C was added oxalyl chloride (28 mL, 310 mmol) dropwise. After stirring for 30 min, a cold solution of **8** (28 g, 154 mmol) in CH_2Cl_2 (100 mL) was added via cannula over 20 min. The reaction mixture was stirred at -78 °C for 45 min before *i*Pr_2NEt (135 mL, 775 mmol) was added. The reaction was stired at -78 °C for 10 min and then allowed to warm to 0 °C for 2 h. 150 mL NH_4Cl (sat) was added followed by H_2O (50 mL) and 80 mL 2M HCl. The aqueous layer was extracted with CH_2Cl_2 and EtOAc. The combined organic extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo* to give the crude ketone.

To a stirred solution of this crude ketone in CH_2Cl_2 (500 mL) at 0°C was added urea hydrogen peroxide complex (75 g, 770 mmol) followed by dropwise addition of trifluoroacetic

anhydride (65 mL, 462 mmol). The reaction mixture was stirred at 0 °C for 12 h and then was quenched by dropwise addition of a solution of Na_2SO_3 (180 g in 1.2 L saturated $NaHCO_3$ solution). The aqueous phase was extracted with CH_2Cl_2 and the combined extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo* to give the corresponding crude acetate **14**.

To a solution of crude **14** in 1:1 MeOH and H_2O (600 mL) was added 80 mL 4M K_2CO_3 dropwise. The reaction mixture was stirred for 12 h at 23 °C and then adjusted to pH≈1 with 2M HCl. MeOH was removed from this mixture on under reduced pressure and the aqueous layer was saturated with NaCl and then extracted with chloroform until no product remained in the aqueous phase. The combined extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo* to give the corresponding secondary alcohol.

To a solution of the above crude alcohol in DMF (320 mL) was added imidazole (55 g, 800 mmol) and TBSCl (50 g, 320 mmol) respectively. The reaction mixture was stirred at 23 °C for 36 h and then NH_4Cl solution was added at 0 °C. The aqueous layer was extracted by Et_2O. The combined organic layers were washed with brine and dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*. The residue was purified by column chromatography (40% ethyl ether in hexanes) to afford 35 g (128 mmol, 83% over 4 steps) of the silyl ether **15**; ^{1}H NMR (300 MHz, $CDCl_3$) δ 4.34 (m, 1H), 2.88 (dd, *J* = 18.6, 11.4 Hz, 1H), 2.60-2.48 (m, 2H), 2.17 (dt, *J* = 14.7, 2.1 Hz, 1H), 2.03 (ddd, *J* = 13.2, 8.7, 3.9 Hz, 1H), 1.78-1.67 (m, 2H), 1.47 (s, 3H), 0.84 (s, 9H), 0.03 (s, 6H).

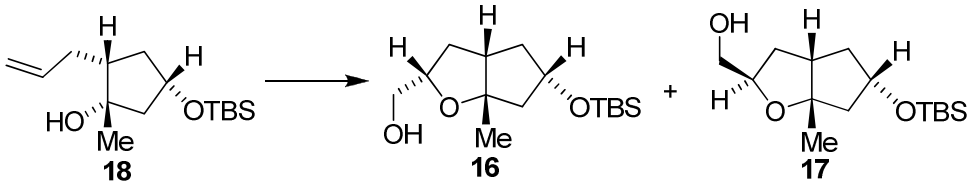


18

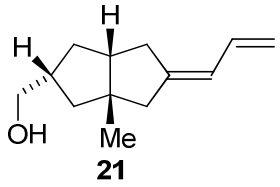
To a stirred solution of **17** (2.1 g, 7.4 mmol) in THF (30 mL) at 0 °C was added imidazole (1.3 g, 18 mmol), PPh_3 (3 g, 11 mmol) and I_2 (2.8 g, 11 mmol). The reaction mixture was warmed to 23 °C and kept stirring for 12 h before 10% $Na_2S_2O_3$ was added. The aqueous layer was extracted with Et_2O and the combined organic extracts were washed with brine and dried over anhydrous Na_2SO_4, filtered and concentrated *in vacuo*. Flash chromatography on

silica gel (5% ethyl acetate in hexanes) produced 2.7 g (6.8 mmol, 91%) of the iodide.

To a stirred solution of above iodide (2.7 g, 6.8 mmol) in 95% EtOH (100 mL) at 23 °C was added NH_4Cl (1.8 g, 34 mmol) and Zn dust (4.5 g, 68 mmol). The reaction mixture was warmed to 60 °C and kept stirring for 12 h. The reaction was concentrated, EtOAc was added and filtered. The filtrate was washed with brine and dried over anhydrous Na_2SO_4, filtered and concentrated *in vacuo*. Flash chromatography on silica gel (8% ethyl ether in hexanes) produced 1.5 g (5.4 mmol, 80%) of the olefin **18**, ^{1}H NMR (500 MHz, $CDCl_3$) δ 5.86-5.77 (m, 1H), 5.04 (dq, *J* = 17.0 Hz, 1H), 4.95 (dt, *J* = 10.0 Hz, 1H), 4.30 (t, 1H), 3.47 (s, 1H), 2.42-2.35 (m, 1H), 2.24 (ddd, *J* = 14.5, 10.5, 6.5 Hz, 1H), 2.14-2.05 (m, 1H), 1.84 (dd, *J* = 13.5, 1.5 Hz, 1H), 1.71-1.63 (m, 2H), 1.47 (ddt, *J* = 14.0, 8.0 Hz, 1H), 1.32 (s, 1H), 0.86 (s, 9H), 0.05 (s, 6H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 138.5, 114.8, 79.9, 73.2, 50.0, 48.1, 41.4, 34.6, 25.7, 24.6, 17.8, -5.01. -5.10.



To a stirred solution of **18** (26 mg, 0.1 mmol) in benzene (1 mL) at 23 °C was added *m*-CPBA (43 mg, 0.2 mmol). The reaction mixture was kept stirring for 20 h before saturated $NaHCO_3$ solution and 10% NaS_2O_3 were added. The aqueous layer was extracted with Et_2O. The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*. The organic residue was purified by flash chromatography (15% ethyl acetate in chloroform) to afford 13 mg (0.05 mmol, 47%) of *trans* product **17** and 11 mg (0.04 mmol, 39%) of the desired *cis* product **16**.

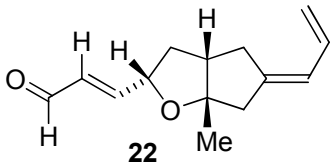


To a stirred solution of **20** (107 mg, 0.2 mmol) in CH_2Cl_2 (2.5 mL) was added Dess-

Martin periodinane (135 mg, 0.3 mmol). The reaction mixture was stirred at 23 °C for 1 h. A solution of 0.6g $Na_2S_2O_3$ in saturated $NaHCO_3$ solution was added and the aqueous layer was extracted with CH_2Cl_2. The combined organic extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*.

To a stirred heterogeneous mixture of methyltriphenylphosphonium bromide (460 mg, 1.3 mmol) in THF (5 mL) was added LHMDS (1.0 M in THF, 1.2 mL, 1.2 mmol) at -5 °C. The reaction mixture was stirred at -5 °C for 30 min and a solution of the above crude aldehyde in THF (3 mL) was added via cannula. The reaction mixture was stirred at 0 °C for 20 min and most solvent was removed and saturated NH_4Cl solution was added at 0 °C. The aqueous layer was extracted with Et_2O and hexanes (1:1). The combined organic extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*. Flash chromatography on silica gel (15% ethyl acetate in hexanes) afforded 84 mg (0.2 mmol, 80%) of the diene.

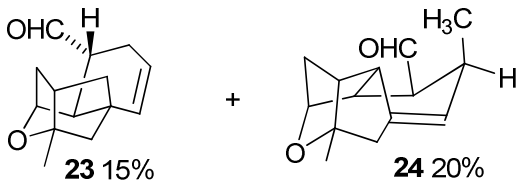
To a solution of the above diene (84 mg, 0.2 mmol) in THF (1.5 mL) was added TBAF (1 M in THF, 390 µL, 0.4 mmol) at 23 °C. The reaction mixture was stirred at 23 °C for 4 h before saturated NH_4Cl solution was added. The aqueous layer was extracted with Et_2O. The combined organic extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*. Flash chromatography on silica gel (40% ethyl acetate in hexanes) afforded 38 mg (0.2 mmol, 100%) of alcohol **21**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.39 (dt, *J* = 17.0 Hz, 1H), 5.92 (d, *J* = 11.0 Hz, 1 H), 5.06 (d, *J* = 17.0 Hz, 1 H), 4.97 (d, *J* = 10.0 Hz, 1 H), 4.15-4.09 (m, 1H), 3.72 (d, *J* = 11.5 Hz, 1H), 3.51-3.34 (m, 1H), 2.67-2.58 (m, 2H), 2.48-2.36 (m, 3H), 2.25-2.17 (m, 1H), 1.93 (brs, 1H), 1.59 (ddd, *J* = 13.0, 8.0, 5.5 Hz, 1H), 1.32 (s, 3H), 1.24-1.17 (t, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 144.8, 133.6, 123.1, 114.7, 90.8, 78.9, 64.7, 48.3, 47.2, 35.5, 35.3, 24.3.



22

To a solution of DMSO (30 µL, 0.4 mmol) in CH_2Cl_2 (2 mL) at -78 °C was added oxalyl chloride (18 µL, 0.2 mmol) dropwise. After stirring for 30 min, a cold solution of **21** (10

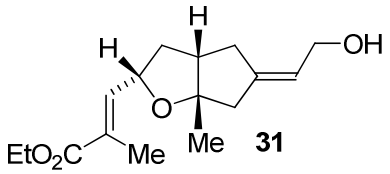
mg, 0.05 mmol) in CH_2Cl_2 (1 mL) was added via cannula. The reaction mixture was stirred at -78 °C for 30 min before Et_3N (73 μL, 0.5 mmol) was added. The reaction was stirred at -78 °C for 10 min and then allowed to warm to 23 °C before (triphenylphosphoranylidene)-acetaldehyde (60 mg, 0.5 mmol) was added. The reaction mixture was stirred at 40 °C for 12 h and then quenched with saturated NH_4Cl solution. The aqueous layer was extracted with Et_2O. The organic extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*. Flash chromatography on silica gel (10~25% ethyl acetate in hexanes) produced 8.2 mg (0.04 mmol, 62%) of the unsaturated aldehyde **22** and 1.3 mg (0.006 mmol, 11%) of its *Z* isomer.



To a solution of aldehyde **22** (4 mg, 0.02 mmol) in mesitylene (3 mL) was added BHT (1 mg, 0.004 mmol) at 23 °C. The reaction mixture was put into a sealed tube and heated in a 220 °C oil bath for 2 h. The reaction was cooled to 23 °C and the solution was concentrated *in vacuo*. Flash chromatography on silica gel (15% ethyl acetate in hexanes) afforded 0.6 mg (0.003 mmol, 15%) of compound **23** and 0.8 mg (0.004 mmol, 20%) of compound **24**.

23, ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.63 (d, *J* = 4.0 Hz, 1H), 5.62(ddd, *J* = 10.0, 4.5, 2.0 Hz, 1H), 5.39 (d, *J* = 10.0 Hz, 1H), 4.29 (brs, 1H), 2.62-2.53 (m, 1H), 2.35-2.26 (m, 2H), 2.24-2.18 (m, 2H), 2.17-2.12 (m, 1H), 1.88 (s, 1H), 1.84 (dd, *J* = 11.0, 3.5 Hz, 2H), 1.69-1.63 (m, 1H), 1.54 (d, *J* = 4.0 Hz, 2H), 1.40 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 203.5, 133.2, 123.5, 87.0, 77.6, 52.8, 46.6, 45.1, 44.4, 43.1, 38.1, 29.6, 25.7, 23.

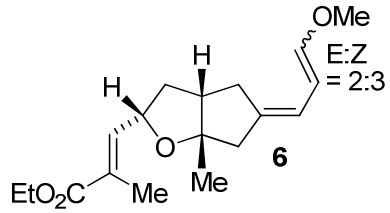
24, ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.75 (d, *J* = 1.0 Hz, 1H), 6.03(d, *J* = 8.0 Hz, 1H), 4.00 (brs, 1H), 3.10-3.00 (m, 1H), 2.71 (dd, *J* = 5.5, 1.5 Hz, 1H), 2.52-2.46 (m, 2H), 2.50- 2.35 (m, 2H), 1.98 (ddd, *J* = 11.0, 2.5, 1.0 Hz, 2H), 1.70 (dd, *J* = 10.5, 2.0 Hz, 1H), 1.56 (s, 3H), 0.98 (d, *J* = 7.0 Hz, 3H).



31

To a solution of DMSO (2 mL, 27 mmol) in CH_2Cl_2 (50 mL) at -78 °C was added oxalyl chloride (1.2 mL, 13 mmol) dropwise. After stirring for 20 min, a cold solution of **26** (1.9 g, 6.7 mmol) in DCM (10 mL) was added via cannula, and the reaction mixture was stirred at -78 °C for 20 min before Et_3N (6 mL, 40 mmol) was added. The reaction was allowed to warm to 23 °C and (carbethoxyethylidene)triphenylphosphorane (4 g, 10 mmol) was added. The reaction mixture was stirred for 12 h and then quenched with saturated NH_4Cl solution. The aqueous layer was extracted with Et_2O. The organic extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*. Flash chromatography on silica gel (10~25% ethyl acetate in hexanes) produced 2.4 g (6.6 mmol, 99%) of the unsaturated ester.

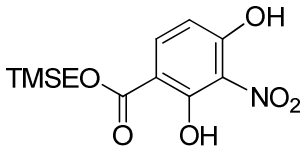
To a stirred solution of the unsaturated ester (313 mg, 0.9 mmol) in absolute EtOH (5 mL) was added camphorsulfonic acid (41 mg, 0.2 mmol) and the reaction mixture was stirred at 23 °C for 1 h. The reaction mixture was quenched with saturated $NaHCO_3$ colution and extracted with Et_2O. The combined organic extracts were dried over anhydrous Na_2SO_4, filtered and concentrated *in vacuo*. Flash chromatography on silica gel (40% ethyl acetate in hexanes) afforded 222 mg (0.8 mmol, 92%) of **31**, ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.65 (dd, *J* = 7.8, 1.2 Hz, 1H), 5.50-5.38 (m, 1 H), 4.68 (dd, *J* = 14.4, 7.2 Hz, 1H), 4.19-3.88 (m, 4H), 2.58-2.48 (m, 2H), 2.46-2.32 (m, 3H), 2.28 (d, *J* = 7.5 Hz, 1H), 2.18 (d, *J* = 14.7 Hz, 1H), 1.76 (d, *J* = 1.2 Hz, 1H), 1.26 (s, 3H), 1.24-1.17 (m, 3H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 168.3, 144.0, 143.4, 128.4, 122.5, 91.4, 75.6, 61.2, 60.4, 49.0, 47.7, 40.6, 35.2, 25.5, 14.6, 13.2. HRMS (ESI) $[M+Na]^+$ calcd for $C_{16}H_{24}O_4Na$: 303.1572, found: 303.1573.



6

To a stirred solution of **31** (699 mg, 2.5 mmol) in CH_2Cl_2 (25 mL) was added $NaHCO_3$ (1g) and Dess-Martin periodinane (1.4 g, 3.2 mmol) respectively. The reaction mixture was stirred at 23 °C for 1 h. A solution of 6g $Na_2S_2O_3$ in saturated $NaHCO_3$ solution was added and the aqueous layer was extracted with CH_2Cl_2. The combined organic extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*.

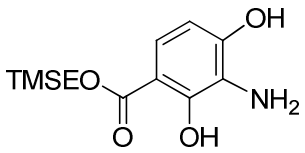
To a stirred heterogeneous mixture of methoxymethyltriphenyl phosphonium chloride (2.5 g, 7.2 mmol) in THF (100 mL) was added KHMDS (0.5 M in toluene, 14 mL, 7 mmol) at -78 °C. The reaction mixture was stirred at -78 °C for 30 min and a cold solution of the above crude aldehyde in THF (20 mL) was added via cannula. The reaction mixture was stirred at -78 °C for 10 min and then quenched with brine. After warming to 23 °C, the aqueous layer was extracted with Et_2O. The combined organic extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated *in vacuo*. Flash chromatography on silica gel (12% ethyl acetate in hexane with 1% Et_3N) afforded 627 mg (2 mmol, 82%) of **6** as a mixture of *E,Z* (2:3) enol ether, ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.70 (m, 1H), 6.48 (d, *J* = 12.5 Hz, 0.4H), 6.22 (d, *J* = 11.5 Hz, 0.6H), 5.86 (d, *J* = 6.5 Hz, 0.6H), 5.78 (d, *J* = 11.0 Hz, 0.4H), 5.48 (t, *J* = 12.0 Hz, 0.4H), 5.04 (dd, *J* = 11.0, 6.0 Hz, 0.6H), 4.71 (m, 1H), 4.17 (m, 2H), 3.64 (s, 1.8H), 3.58 (s, 1.2H), 2.68-2.58 (m, 1H), 2.56-2.48 (m, 1H), 2.46-2.24 (m, 4H), 1.84 (m, 1H), 1.51-1.43 (m, 1H) 1.32 (s, 3H), 1.30-1.23 (m, 3H). HRMS (ESI) $[M+H]^+$ calcd for $C_{18}H_{27}O_4$: 307.1909, found: 3307.1908.



39

To a stirred solution of methyl 2,4-dihydroxy-3-nitrobenzoate **38** (297 mg, 1.4 mmol) in *t*BuOH (2 mL) at 23 °C was added 2-(trimethylsilyl)ethanol (400 µL, 2.8 mmol) and nBu_2SnO (350 mg, 1.4 mmol) respectively. The reaction mixture was heated in a sealed tube at 70 °C for 5h before the solvent was removed using vacuum distillation. The residue was dissolved in EtOAc and CH_2Cl_2 (1:1) and the solid was filtered. The filtrate was concentrated *in vacuo*. Flash chromatography on silica gel (30% ethyl acetate in hexanes) afforded 219 mg (0.7 mmol, 53%) of **39**. ^{1}H NMR (300 MHz, $CDCl_3$) δ 13.09 (s, 1H), 11.15 (brs, 1H), 7.98 (d, *J* =

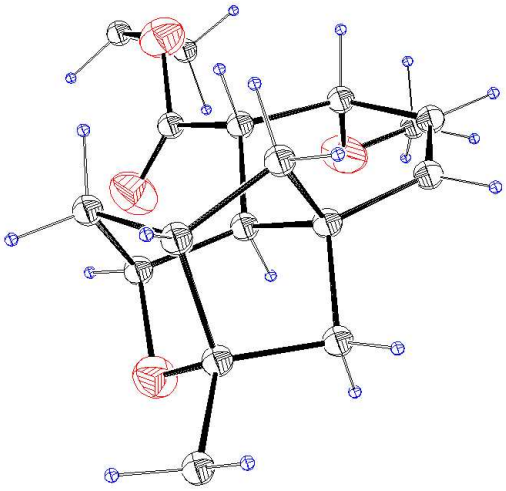
9.0 Hz, 1H), 6.61 (d, *J* = 9.0 Hz, 1H), 4.46 (t, 2H), 1.14 (t, 2H), 0.08 (s, 9H).

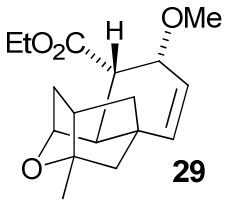


40

To a stirred solution of **39** (45 mg, 0.15 mmol) in EtOAc (3 mL) at 23 °C was added Pd/C (10 mg) and the reaction mixture was stirred under H_2 for 12 h. The reaction mixture was filtered through celite and the filtrate was concentrated *in vacuo* to afford 39 mg (0.14 mmol, 95%) of aniline **40**, which was used for next step without further purification.

Figure 3





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