Support Information

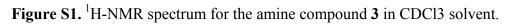
Synthesis of compound 2:

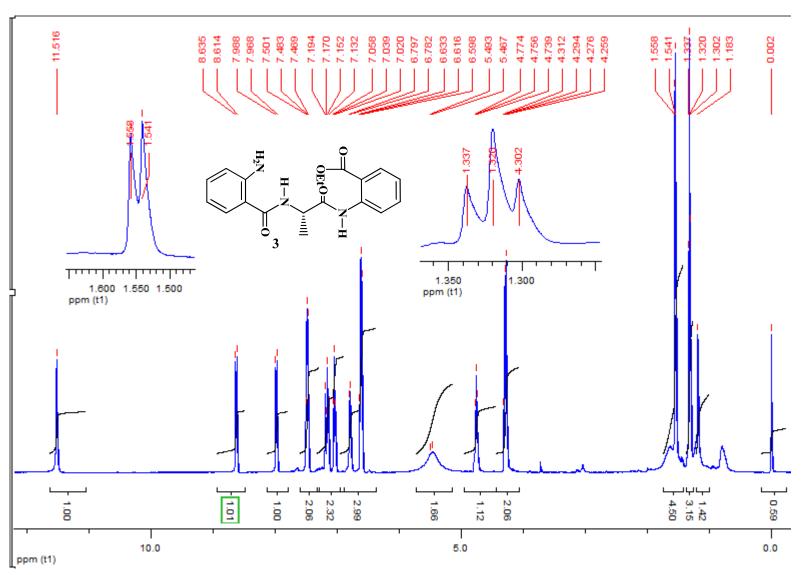
Carbonyl diimidazol (510 mg, 3.0 mmol) was added to **2** dissolved in dry dichloro-methane (DCM). The resulting solution was stirred for 2 h. Then ethyl anthranillate (660 mg, 4.0 mmol) was added to the reaction mixture. Then the solution was stirred overnight. The reaction mixture was concentrated and purified by column chromatography on silica gel (60% EtOAc/hexane) to give compound **3** (1.08 g, 70% yield) as a pale yellow solid. mp (149–152 °C); ¹H-NMR (400 MHz, CDCl₃): δ 1.44 (t, J = 7.1 Hz, 3H), 1.70 (d, J = 7.0 Hz, 3H), 4.40 (q, J = 7.1 Hz, 2H), 4.93 (dt, J = 7.2 Hz, 1H), 6.67–8.12 (m, 8 aromatic), 8.67 (d, J = 8.4 Hz, 1H), 11.59 (s, 1H); ¹³C-NMR (100 MHz, CDCl₃): δ 14.19, 18.89, 50.90, 61.65, 115.66, 120.43, 123.09, 124.62, 128.93, 130.61, 130.94, 132.66, 133.75, 134.63, 140.90, 148.16, 166.04, 168.67, 170.62; ESI-MS m/z: 386.2 (M+1, C₁₉N₃O₆H₂₀).

Synthesis of compound 3:

Compound **2** (200 mg, 0.52 mmol) was added to solution containing 70% EtOAc/hexane and 3% Pd/C, and then the mixture was hydrogenated under balloon condition for 12 h at 50 °C. The reaction mixture was filtered and the filtrate was concentrated. The crude product was purified by column chromatography on silica gel (50% EtOAc/hexane) to afford compound **3** (147 mg, 80% yield) as white solid. mp 77–81 °C); 1 H-NMR(400 MHz, CDCl₃): δ 1.31 (t, J = 7.0 Hz, 3H), 1.54 (d, J = 7.0 Hz, 3H), 4.29 (q, J = 7.0 Hz, 2H), 4.75 (dt, J = 6.9 Hz, 1H), 5.45 (br, 2H-NH₂), 6.59–8.63 (m, 9H, 8 aromatic and 1 N-H amid proton), 11.51 (s, 1H); 13 C-NMR (100 MHz, CDCl₃): δ 14.27 ,19.26, 50.66, 61.65, 115.58, 115.76, 116.76, 117.38, 120.52, 122.99, 127.85, 130.95, 132.67, 134.66, 141.14, 148.95, 168.32, 169.04, 171.60; ESI-MS: 356.3 (M+1, $C_{19}N_{3}O_{4}H_{22}$).

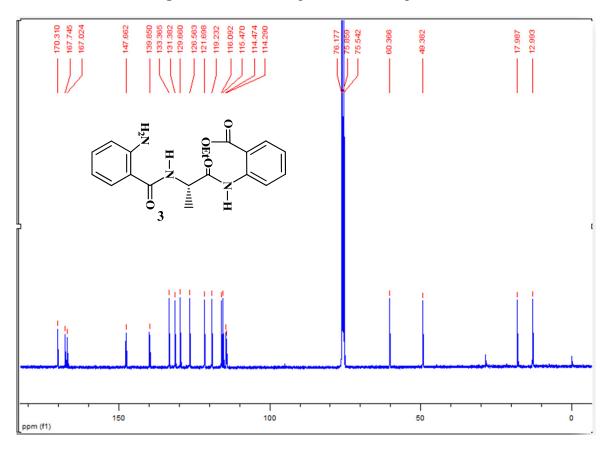
M811 (S2)



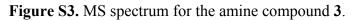


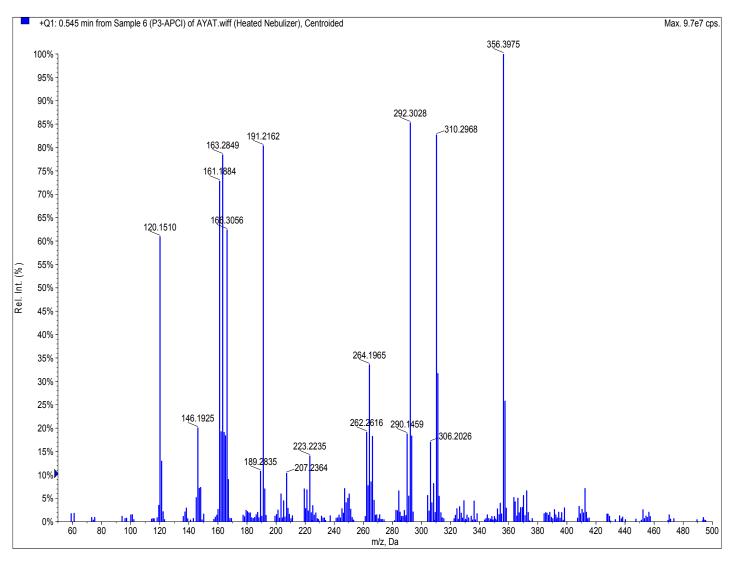
M811 (S3)

Figure S2. ¹³C-NMR spectrum for compound **3**.

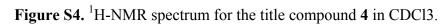


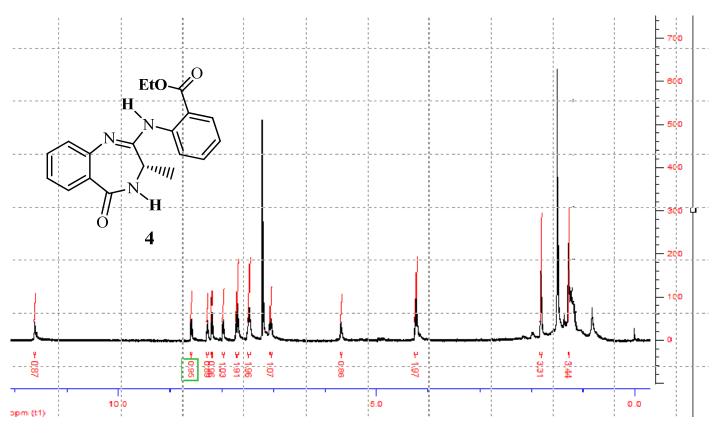
M811 (S4)





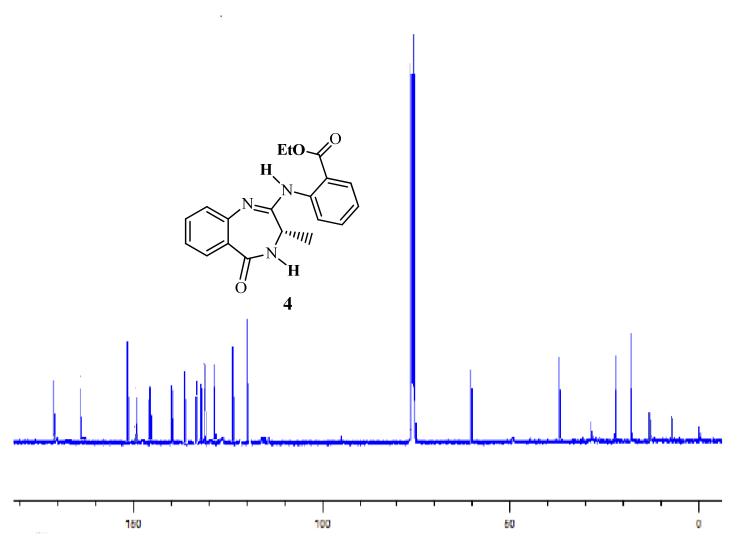
M811 (S5)





M811 (S6)

Figure S5. ¹³C-NMR spectrum of the title compound **4** in CDCl3 solvent.



M811 (S7)

Figure S6. MS for the title compound 4.

