

(十八) *N*-Benzyl-6 (or 7) substituted-2,3,4,9-tetrahydrofuro[2,3-*b*]-quinolin-3,4-dione (247-251) 之合成

N-Benzyl-2,3,4,9-tetrahydrofuro[2,3-*b*]quinolin-3,4-dione (247) 之合成

取化合物27 (2.01g, 0.01mole) 懸著於DMF 30ml 中, 加入無水 K_2CO_3 (1.38g, 0.01mole), 溫熱 (約 50-60 °C), 加入 Benzyl chloride (12.6ml, 0.1mole), 一小時後加冰水, 以 $CHCl_3$ 萃取, 乾燥減壓濃縮, 去除溶媒後, 殘渣以矽膠管柱層析 (氯仿-甲醇) 沖提, 再以甲醇及氯仿做再結晶, 得白色結晶為化合物247 (2.11g, 72.6%), mp: 212-214 °C。光譜數據如下: MS m/z : 291; IR (KBr) cm^{-1} : 1712.9 ($C_3=O$), 1608.7 ($C_4=O$); UV λ_{max} nm (MeOH) (log ϵ): 243(4.45); 1H -NMR (DMSO- d_6) δ : 4.72 (2H, s, H-2), 5.49 (2H, s, H-10), 7.18-7.40 (7H, m, H-6, H-8, Ar-H), 7.54 (1H, m, H-7), 8.39 (1H, m, H-5); ^{13}C -NMR (DMSO- d_6) δ : 47.09 (C-10), 75.54 (C-2), 100.42 (C-3a), 116.06 (C-8), 125.01 (C-6), 126.05 (C-12, C-16), 126.99 (C-4a), 127.95 (C-14), 128.36 (C-5), 129.30 (C-13, C-15), 133.28 (C-7), 133.71 (C-11), 138.22 (C-8a), 172.34 (C-9a), 174.66 (C-4), 190.44 (C-3).

N-Benzyl-7-ethoxy-2,3,4,9-tetrahydrofuro[2,3-*b*]quinolin-3,4-dione (248) 之合成

取化合物31 (2.45g, 0.01mole) 和 Benzyl chloride (12.6ml, 0.1mole) 為原料, 照化合物247的合成法及處理步驟, 得到淡黃色結晶為化合物248 (2.48g, 74.2%), mp: 256-258 °C。光譜數據如下: MS m/z : 335; IR (KBr) cm^{-1} : 1716.8 ($C_3=O$), 1616.4 ($C_4=O$); UV λ_{max} nm (MeOH) (log ϵ): 255 (4.60); 1H -NMR (DMSO- d_6) δ : 1.10 (3H, t, $J=7.0$ Hz, $C_7-OCH_2CH_3$), 3.90 (2H, q, $J=7.0$ Hz, $C_7-OCH_2CH_3$), 4.75 (2H, s, H-2), 5.40 (2H, s, H-10), 6.81-6.85 (2H, m, H-6, H-8), 7.14-7.21 (5H, m, Ar-H), 7.93 (1H, d, H-5); ^{13}C -NMR (DMSO- d_6) δ : 14.69 ($C_7-OCH_2CH_3$), 46.55 (C-10), 64.27 ($C_7-OCH_2CH_3$), 76.38 (C-2), 100.31 (C-3a), 102.27 (C-8), 112.50 (C-6), 120.36 (C-4a), 127.10 (C-12, C-16), 128.24 (C-14), 128.97 (C-5), 129.35 (C-13, C-15), 135.39 (C-11), 140.22 (C-8a), 162.65 (C-7), 171.31 (C-9a), 175.41 (C-4), 191.37 (C-3).

N-Benzyl-7-fluoro-2,3,4,9-tetrahydrofuro[2,3-*b*]quinolin-3,4-dione (249) 之合成

取化合物33 (2.20g, 0.01mole) 和 Benzyl chloride (12.6ml, 0.1mole) 為原料, 比照化合物247的合成法及處理步驟, 得到黃色結晶為化合物249 (2.48g, 71.2%), mp: 217-218 °C。光譜數據如下: MS m/z : 309; IR (KBr) cm^{-1} : 1716.8 ($C_3=O$), 1639.6 ($C_4=O$); UV λ_{max} nm (MeOH) (log ϵ): 216 (4.53); 1H -NMR (DMSO- d_6) δ : 4.94 (2H, s, H-2), 5.56 (2H, s, H-10), 7.28-7.54 (6H, m, H-6, Ar-H), 8.21 (1H, dd, H-8), 8.23 (1H, dd, H-5); ^{13}C -NMR (DMSO- d_6) δ : 46.85 (C-10), 76.62 (C-2), 100.76 (C-3a), 104.57 (C-8), 113.08 (C-6), 123.84 (C-4a), 127.13 (C-12, C-16), 128.32 (C-14), 129.35 (C-13, C-15), 130.10 (C-5), 134.97 (C-11), 140.42 (C-8a), 165.00 (C-7), 170.87 (C-9a), 175.62 (C-4), 191.34 (C-3).

***N*-Benzyl-6-ethoxy-2,3,4,9-tetrahydrofuro[2,3-*b*]quinolin-3,4-dione (250)之合成**

取化合物38 (2.45g , 0.01mole) 和Benzyl chloride (12.6ml , 0.1mole)為原料 , 比照化合物247的合成法及處理步驟 , 得到白色片狀結晶為化合物250(2.53g , 75.8%) , mp: 264-265 。光譜數據如下 : MS m/z: 335; IR (KBr) cm^{-1} : 1712.9 ($\text{C}_3=\text{O}$), 1612.6 ($\text{C}_4=\text{O}$); UV λ_{max} nm (MeOH) (log ϵ): 244 (4.49); $^1\text{H-NMR}$ (DMSO- d_6) δ :1.41 (3H, t, $J=7.0\text{Hz}$, $\text{C}_6\text{-OCH}_2\text{CH}_3$), 4.07 (2H, q, $J=7.0\text{Hz}$, $\text{C}_6\text{-OCH}_2\text{CH}_3$), 4.73 (2H, s, H-2), 5.46 (2H, s, H-10), 7.07-7.42 (7H, m, H-7, H-8, Ar-H), 7.79 (1H, s, H-5); $^{13}\text{C-NMR}$ (DMSO- d_6) δ : 14.65 ($\text{C}_6\text{-OCH}_2\text{CH}_3$), 47.08 (C-10), 64.11 ($\text{C}_6\text{-OCH}_2\text{CH}_3$), 75.54 (C-2), 99.91(C-3a), 109.20 (C-8), 117.44 (C-7), 122.57 (C-5), 126.06 (C-12, C-16), 128.22 (C-4a), 128.31 (C-14), 129.28 (C-13, C-15), 131.90 (C-11), 133.84 (C-8a), 156.37 (C-6), 171.96 (C-9a), 173.64 (C-4), 190.55 (C-3).

***N*-Benzyl-6-fluoro-2,3,4,9-tetrahydrofuro[2,3-*b*]quinolin-3,4-dione (251)之合成**

取化合物 40 (2.20g , 0.01mole) 和 benzyl chloride (12.6ml , 0.1mole)為原料 , 比照化合物 247 的合成法及處理步驟 , 白色結晶為化合物 251 (2.21g , 71.4%) , mp: 238-240 。光譜數據如下 : MS m/z : 309; IR (KBr) cm^{-1} : 1724.5 ($\text{C}_3=\text{O}$), 1620.3 ($\text{C}_4=\text{O}$); UV λ_{max} nm (MeOH) (log ϵ): 241 (4.54); $^1\text{H-NMR}$ (DMSO- d_6) δ : 4.95 (2H, s, H-2), 5.58 (2H, s, H-10), 7.30-7.37 (5H, m, Ar-H), 7.58-7.74 (2H, m, H-7, H-8), 7.85 (1H, dd, H-5); $^{13}\text{C-NMR}$ (DMSO- d_6) δ : 46.92 (C-10), 76.64 (C-2), 100.38 (C-3a), 112.15 (C-8), 120.10 (C-5), 121.35 (C-7), 127.08 (C-12, C-16), 128.79 (C-14), 128.92 (C-4a), 129.34 (C-13, C-15), 135.05 (C-8a), 135.10 (C-11), 159.58 (C-6), 170.61 (C-9a), 174.82 (C-4), 191.42 (C-3).