



159159

Table 6

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
1-26		1.19(6H, t, J=7.1Hz), 3.24(2H, s), 3.59(2H, s), 4.15(4H, q, J=7.1Hz), 4.32(2H, s), 6.80-6.88(2H, m), 6.93(1H, brs), 7.11-7.28(7H, m), 7.39-7.83(8H, m)
1-27		1.21(6H, t, J=7.1Hz), 2.14(3H, s), 3.51(2H, s), 4.19(4H, q, J=7.1Hz), 4.80(2H, s), 6.87(1H, brs), 6.91-7.02(3H, m), 7.19-7.34(5H, m), 7.40-7.85(8H, m).
1-28		3.56(2H, s), 3.71-3.95(4H, m), 4.87(2H, s), 6.75-6.83(2H, m), 7.05-7.19(4H, m), 7.29-7.44(6H, m), 7.47-7.60(3H, m), 7.62-7.73(3H, m), 8.05-8.12(1H, m)
1-29		3.55(2H, s), 3.70-3.94(4H, m), 4.86(2H, s), 6.71-6.79(2H, m), 7.01-7.09(2H, m), 7.11-7.18(2H, m), 7.27-7.54(12H, m), 7.57-7.66(1H, m), 7.94-8.01(1H, m)
1-30		0.95(3H, t, J=7.4Hz), 1.45-1.60(2H, m), 1.76-1.88(2H, m), 3.62(2H, s), 3.79-3.97(4H, m), 4.08(2H, t, J=6.4Hz), 4.90(2H, s), 6.99-7.06(2H, m), 7.10-7.21(6H, m), 7.31-7.41(5H, m), 7.48-7.56(1H, m), 7.96(1H, dd, J=1.8, 8.0Hz)



G00001

Table 7

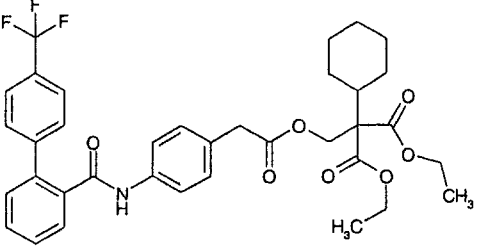
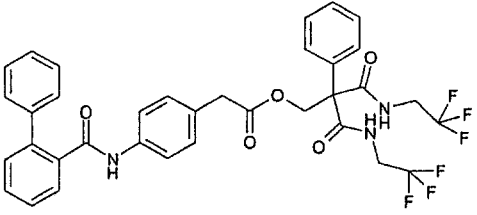
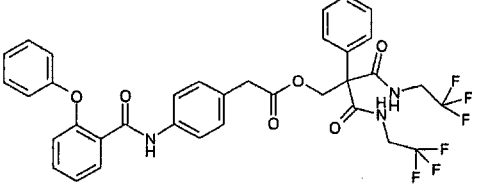
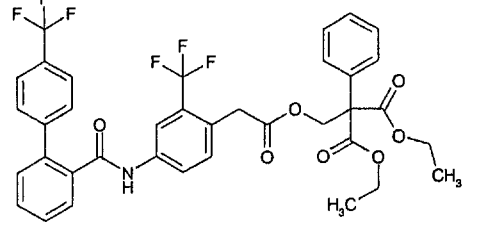
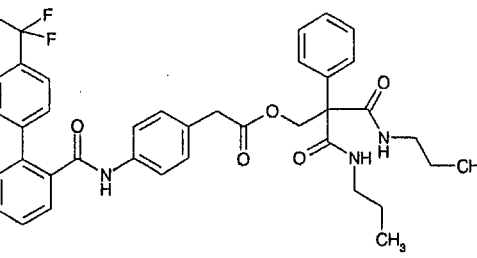
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
1-31		0.97-1.10(6H, m), 1.12(6H, t, J=6.8Hz), 1.54-1.78(4H, m), 2.05-2.17(1H, m), 3.54(2H, s), 4.13(4H, q, J=6.8Hz), 4.50(2H, s), 6.93(1H, brs), 7.14(4H, brs), 7.40-7.82(8H, m).
1-32		3.51(2H, s), 3.85(4H, m), 4.86(2H, s), 6.88(1H, s), 7.00-7.54(19H, m), 7.89(1H, m)
1-33		3.56(2H, s), 3.84(4H, m), 4.86(2H, s), 6.91(1H, d, J=8.3Hz), 7.07-7.55(18H, m), 8.32(1H, dd, J=7.9, 1.9Hz), 9.64(1H, s)
1-34		1.23(6H, t, J=7.1Hz), 3.70(2H, s), 4.22(4H, t, J=7.1Hz), 4.81(2H, s), 7.02(1H, brs), 7.13-7.97(16H, m).
1-35	 m.p. 100.5-104.0	0.85(6H, t, J=7.5Hz), 1.37-1.51(4H, m), 3.13-3.22(4H, m), 3.53(2H, s), 4.84(2H, s), 6.96(1H, br-s), 7.02-7.09(2H, m), 7.10-7.24(6H, m), 7.27-7.35(3H, m), 7.41-7.47(1H, m), 7.49-7.63(4H, m), 7.65-7.72(2H, m), 7.80(1H, dd, J=1.6, 8.0Hz)

Table 8

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
1-36		2.73(6H, d, J=4.9Hz), 3.53(2H, s), 4.81(2H, s), 6.94(1H, br-s), 7.01-7.09(4H, m), 7.11-7.21(4H, m), 7.27-7.35(3H, m), 7.41-7.47(1H, m), 7.49-7.63(4H, m), 7.66-7.72(2H, m), 7.81(1H, dd, J=1.5, 7.5Hz)
1-37		1.20(6H, t, J=7.0Hz), 3.50(2H, s), 4.21(4H, q, J=7.0Hz), 4.90(2H, s), 6.93(1H, br-s), 7.04-7.15(4H, m), 7.15-7.21(1H, m), 7.38-7.47(2H, m), 7.49-7.64(5H, m), 7.66-7.72(2H, m), 7.81(1H, dd, J=1.5, 7.5Hz), 8.46-8.51(1H, m)
1-38		1.22(6H, t, J=7.2Hz), 3.51(2H, s), 4.21(4H, q, J=7.2Hz), 4.82(2H, s), 6.98(1H, br-s), 7.03-7.17(4H, m), 7.22(1H, dd, J=5.0, 8.5Hz), 7.41-7.47(1H, m), 7.48-7.63(5H, m), 7.64-7.72(2H, m), 7.77-7.83(1H, m), 8.50-8.57(2H, m)
1-39		1.04(6H, t, J=7.4Hz), 3.16-3.29(4H, m), 3.55(2H, s), 4.84(2H, s), 6.75-6.83(2H, m), 7.04-7.14(4H, m), 7.17-7.22(2H, m), 7.27-7.35(3H, m), 7.41(1H, dd, J=1.1, 7.6Hz), 7.47-7.54(2H, m), 7.56(1H, dd, J=1.5, 7.6Hz), 7.61-7.72(3H, m), 8.08(1H, dd, J=1.1, 7.6Hz)
1-40		1.20(6H, t, J=7.1Hz), 3.55(2H, s), 4.21(4H, q, J=7.1Hz), 4.84(2H, s), 7.20-7.77(16H, m), 8.16(1H, br)

Table 9

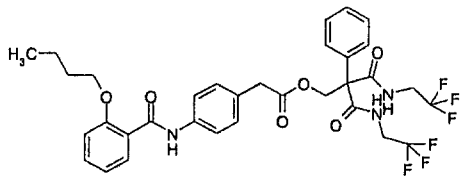
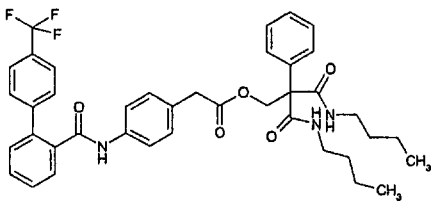
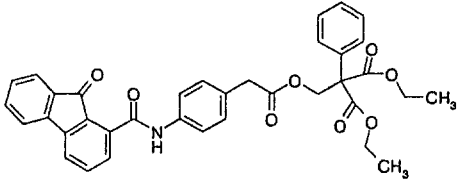
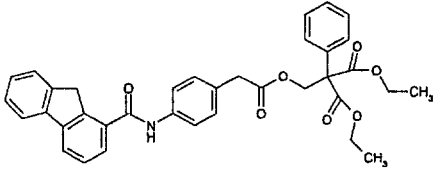
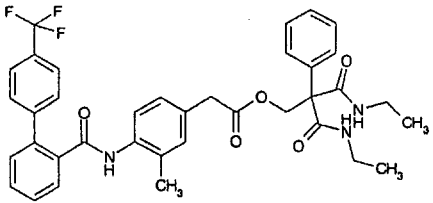
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
1-41		1.01(3H, t, J=7.2Hz), 1.60(2H, m), 1.96(2H, m), 3.59(2H, s), 3.84(4H, m), 4.21(2H, t, J=6.5Hz), 4.89(2H, s), 7.00(1H, d, J=8.3Hz), 7.09-7.16(5H, m), 7.32-7.58(8H, m), 8.26(1H, dd, J=8.0, 1.9Hz), 10.07(1H, br.s)
1-42		0.88(6H, t, J=7.1Hz), 1.19-1.34(4H, m), 1.35-1.48(4H, m), 3.16-3.26(4H, m), 3.52(2H, s), 4.84(2H, s), 6.95(1H, br-s), 7.02-7.23(8H, m), 7.27-7.36(3H, m), 7.40-7.47(1H, m), 7.49-7.63(4H, m), 7.64-7.73(2H, m), 7.81(1H, dd, J=1.5, 7.5Hz)
1-43		1.22(6H, t, J=7.1Hz), 3.58(2H, s), 4.22(4H, q, J=7.1Hz), 4.85(2H, s), 6.23(2H, d, J=8.5Hz), 7.30-7.73(10H, m), 7.87(2H, d, J=8.5Hz), 8.36(1H, dd, J=6.8, 2.3Hz), 12.3(1H, brs)
1-44		1.24(6H, t, J=7.1Hz), 3.58(2H, s), 4.23(4H, q, J=7.1Hz), 4.27(2H, s), 4.85(2H, s), 7.22(2H, d, J=8.5Hz), 7.27-7.98(13H, m), 7.61(2H, d, J=8.5Hz)
1-45	 m.p. 175-177	1.05(6H, t, J=7.3Hz), 1.69(3H, s), 3.14-3.30(4H, m), 3.49(2H, s), 4.83(2H, s), 6.77(1H, brs), 6.89(1H, brs), 6.92-7.85(17H, m).

Table 10

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
1-46		1.20(6H, t, J=7.1Hz), 2.38(3H, s), 3.49(2H, s), 4.19(4H, q, J=7.1Hz), 4.81(2H, s), 6.90(1H, brs), 7.05(4H, brs), 7.19-7.56(12H, m), 7.88(1H, d, J=7.1Hz).
1-47		1.20(6H, t, J=7.1Hz), 3.49(2H, s), 3.81(3H, s), 4.19(4H, q, J=7.1Hz), 4.81(2H, s), 6.87-7.56(17H, m), 7.86(1H, d, J=7.6Hz)
1-48		1.12(6H, t, J=7.2Hz), 2.49-2.58(2H, m), 3.30(4H, dq, J=5.6, 7.2Hz), 3.54(2H, s), 4.07-4.15(2H, m), 6.94(1H, br-s), 7.11-7.18(4H, m), 7.22-7.37(5H, m), 7.41-7.46(1H, m), 7.48-7.63(6H, m), 7.65-7.71(2H, m), 7.80(1H, dd, J=1.5, 7.5Hz)
1-49		0.87(6H, t, J=7.2Hz), 1.51(4H, tq, J=7.2, 7.2Hz), 2.50-2.59(2H, m), 3.18-3.27(4H, m), 3.54(2H, s), 4.07-4.15(2H, m), 6.95(1H, br-s), 7.12-7.18(4H, m), 7.23-7.36(5H, m), 7.41-7.46(1H, m), 7.48-7.63(6H, m), 7.65-7.71(2H, m), 7.80(1H, dd, J=1.5, 7.5Hz)
1-50		1.05(6H, t, J=7.1Hz), 3.17-3.28(4H, m), 3.51(2H, s), 4.82(2H, s), 6.87(1H, br-s), 6.97-7.22(8H, m), 7.27-7.35(3H, m), 7.39-7.59(8H, m), 7.90(1H, dd, J=1.5, 7.5Hz)

Table 11

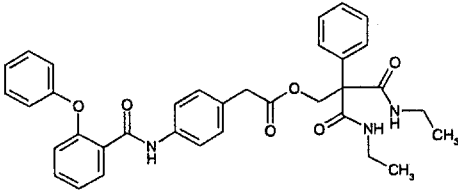
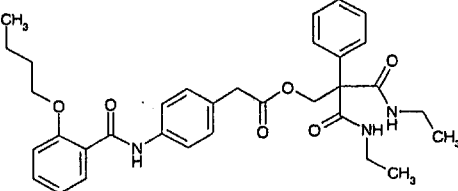
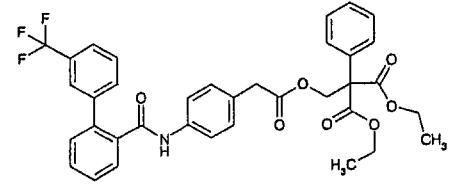
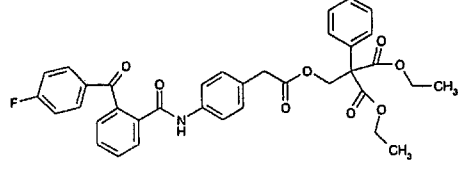
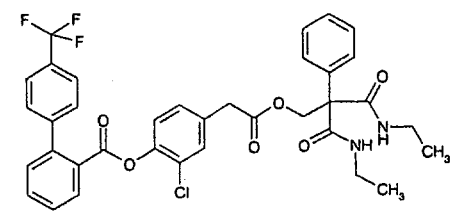
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
1-51		1.03(6H, t, J=7.1Hz), 3.16-3.28(4H, m), 3.56(2H, s), 4.82(2H, s), 6.88-6.94(1H, m), 7.02-7.34(13H, m), 7.39-7.49(3H, m), 7.51-7.59(2H, m), 8.34(1H, dd, J=1.9, 7.9Hz), 9.63(1H, br-s)
1-52		1.05(3H, t, J=7.2Hz), 1.07(6H, t, J=7.2Hz), 1.54-1.68(2H, m), 1.92-2.05(2H, m), 3.19-3.31(4H, m), 3.58(2H, s), 4.23(2H, q, J=6.4Hz), 4.85(2H, s), 7.02(1H, d, J=8.3Hz), 7.06-7.38(10H, m), 7.44-7.53(1H, m), 7.57-7.65(2H, m), 8.30(1H, dd, J=1.9, 7.9Hz), 10.08(1H, br-s)
1-53		1.23(6H, t, J=7.1Hz), 3.53(2H, s), 4.23(4H, q, J=7.1Hz), 4.84(2H, s), 6.95(1H, brs), 7.10(2H, d, J=8.3Hz), 7.17(2H, d, J=8.3Hz), 7.32(5H, brs), 7.41-7.87(8H, m).
1-54		1.19(6H, t, J=7.1Hz), 3.50(2H, s), 4.16(2H, q, J=7.1Hz), 4.17(2H, q, J=7.1Hz), 4.80(2H, s), 6.89-7.90(17H, m)
1-55		1.05(6H, t, J=7.2Hz), 3.19-3.31(4H, m), 3.54(2H, s), 4.86(2H, s), 6.90(1H, d, J=8.3Hz), 7.02-7.11(3H, m), 7.16-7.23(2H, m), 7.25-7.36(4H, m), 7.41(1H, dd, J=1.2, 7.5Hz), 7.48-7.61(3H, m), 7.62-7.71(3H, m), 8.21(1H, dd, J=1.5, 7.5Hz)

Table 12

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
1-56		1.21(6H, t, J=7.1Hz), 3.51(2H, s), 4.20(4H, q, J=7.1Hz), 4.82(2H, s), 6.99-7.57(16H, m), 7.84(1H, d, J=7.2Hz).
1-57		1.23(6H, t, J=7.2Hz), 3.57(2H, s), 4.22(4H, q, J=7.2Hz), 4.85(2H, s), 7.19-8.08(19H, m)
1-58		0.94(6H, d, J=6.8Hz), 1.22(6H, t, J=7.1Hz), 3.40(2H, s), 4.20(4H, q, J=7.1Hz), 4.82(2H, s), 4.99(1H, m), 6.16(1H, br.s), 6.78(2H, d, J=8.6Hz), 7.08(1H, dd, J=8.6, 1.5Hz), 7.20-7.74(13H, m)
1-59		0.89(4H, m), 1.22(6H, t, J=7.1Hz), 1.28-1.78(6H, m), 3.40(2H, s), 4.20(4H, q, J=7.1Hz), 4.62(1H, m), 4.82(2H, s), 6.15(1H, br.s), 6.75(2H, m), 7.06-7.74(14H, m)
1-60		1.23(6H, t, J=6.9Hz), 1.28(6H, d, J=6.9Hz), 3.40(1H, sep, J=6.9Hz), 3.56(2H, s), 4.22(4H, q, J=6.9Hz), 4.84(2H, s), 7.12-7.61(14H, m)

Table 13

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
1-61		1.22(6H, t, J=7.1Hz), 3.53(2H, s), 4.22(4H, q, J=7.1Hz), 4.23(2H, s), 4.83(2H, s), 6.98-7.61(19H, m)
1-62		0.86(6H, t, J=7.3Hz), 1.50-1.69(4H, m), 3.50(2H, s), 4.10(4H, t, J=6.6Hz), 4.83(2H, s), 6.93(1H, brs), 7.08(2H, d, J=8.6Hz), 7.13(2H, d, J=8.6Hz), 7.29(5H, brs), 7.40-7.83(8H, m).
1-63		0.84(12H, d, J=6.6Hz), 1.80-1.97(2H, m), 3.50(2H, s), 3.92(4H, d, J=6.6Hz), 4.84(2H, s), 6.93(1H, brs), 7.08(2H, d, J=5.5Hz), 7.13(2H, d, J=5.5Hz), 7.29(5H, brs), 7.40-7.84(8H, m).
1-64		1.22(6H, t, J=7.1Hz), 3.57(2H, s), 4.21(4H, q, J=7.1Hz), 4.84(2H, s), 7.21(2H, d, J=8.5Hz), 7.23-7.60(8H, m), 7.57(2H, d, J=8.5Hz), 8.09(1H, dd, J=7.8, 1.8Hz), 8.26(1H, brs)
1-65		0.84(3H, t, J=7.3Hz), 1.23(6H, t, J=7.1Hz), 1.23-1.46(2H, m), 1.50-1.69(2H, m), 3.55(2H, s), 4.23(4H, q, J=7.1Hz), 4.25(2H, q, J=6.7Hz), 4.84(2H, s), 7.19(2H, d, J=8.4Hz), 7.21-7.37(5H, m), 7.44-7.64(3H, m), 7.56(2H, d, J=8.4Hz), 7.64(1H, brs), 7.95(1H, d, J=7.6Hz)

Table 14

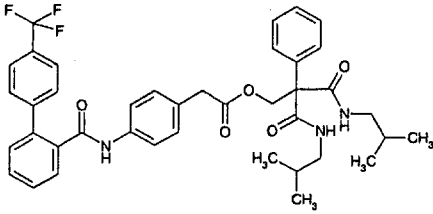
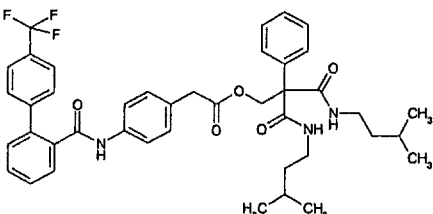
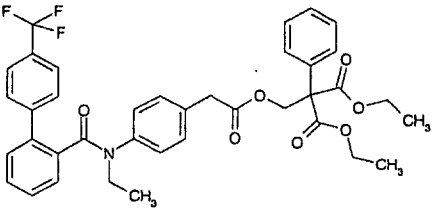
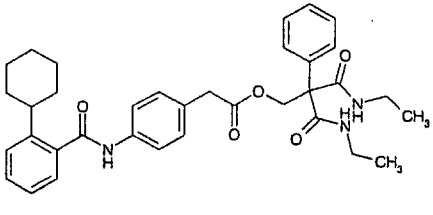
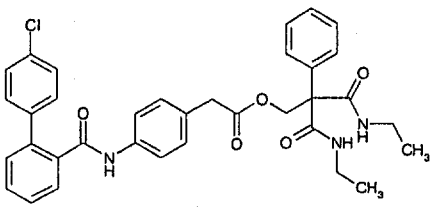
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
1-66		0.84(12H, d, J=6.8Hz), 1.63-1.79(2H, m), 2.97-3.15(4H, m), 3.52(2H, s), 4.86(2H, s), 6.94(1H, br-s), 7.01-7.08(2H, m), 7.10-7.25(6H, m), 7.27-7.36(3H, m), 7.40-7.47(1H, m), 7.48-7.63(4H, m), 7.64-7.72(2H, m), 7.80(1H, dd, J=1.5, 8.0Hz)
1-67		0.87(12H, d, J=6.8Hz), 1.27-1.38(4H, m), 1.46-1.61(2H, m), 3.18-3.28(4H, m), 3.52(2H, s), 4.84(2H, s), 6.94(1H, br-s), 7.01-7.22(8H, m), 7.27-7.35(3H, m), 7.41-7.47(1H, m), 7.49-7.63(4H, m), 7.65-7.72(2H, m), 7.80(1H, dd, J=1.5, 7.5Hz)
1-68		1.07(3H, t, J=7.2Hz), 1.22(6H, t, J=7.1Hz), 3.40(2H, s), 3.72(2H, q, J=7.2Hz), 4.20(4H, q, J=7.1Hz), 4.83(2H, s), 6.14(2H, d, J=8.3Hz), 6.74(2H, d, J=8.3Hz), 7.07(1H, dd, J=9.1, 1.5Hz), 7.23-7.61(12H, m)
1-69		1.09(6H, t, J=7.1Hz), 1.26-1.50(6H, m), 1.81(4H, m), 2.99(1H, m), 3.26(4H, dq, J=7.1, 7.1Hz), 3.59(2H, s), 4.85(2H, s), 7.10-7.42(14H, m), 7.56(2H, d, J=8.3Hz)
1-70		1.05(6H, t, J=7.2Hz), 3.18-3.30(4H, m), 3.53(2H, s), 4.83(2H, s), 6.93(1H, br-s), 7.02-7.23(8H, m), 7.27-7.36(3H, m), 7.37-7.45(5H, m), 7.45-7.59(2H, m), 7.80(1H, dd, J=1.1, 7.5Hz)

Table 15

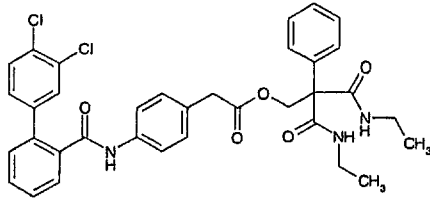
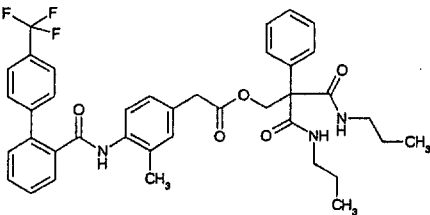
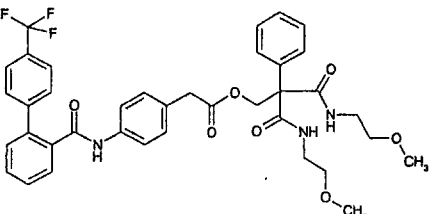
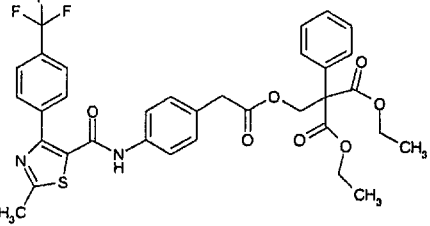
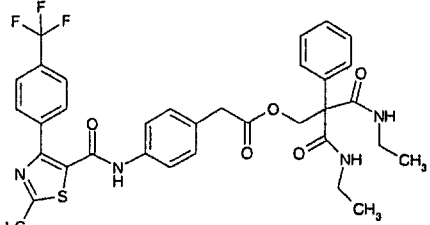
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
1-71		1.05(6H, t, J=7.2Hz), 3.18-3.30(4H, m), 3.54(2H, s), 4.84(2H, s), 7.02-7.14(5H, m), 7.17-7.35(8H, m), 7.37-7.62(5H, m), 7.74(1H, dd, J=1.5, 7.5Hz)
1-72	 m.p. 126.4-127.8	0.85(6H, t, J=7.5Hz), 1.44(4H, tq, J=7.5, 7.5Hz), 1.68(3H, s), 3.12-3.22(4H, m), 3.49(2H, s), 4.85(2H, s), 6.77(1H, br-s), 6.87-6.92(1H, m), 6.94-7.01(1H, m), 7.11-7.25(4H, m), 7.27-7.36(3H, m), 7.40-7.47(1H, m), 7.50-7.73(6H, m), 7.75-7.85(2H, m)
1-73		3.29(6H, s), 3.36-3.48(8H, m), 3.53(2H, s), 4.85(2H, s), 6.97(1H, br-s), 7.04-7.18(4H, m), 7.19-7.40(8H, m), 7.41-7.47(1H, m), 7.49-7.64(4H, m), 7.66-7.73(2H, m), 7.77-7.84(1H, m)
1-74		1.22(6H, t, J=7.1Hz), 2.78(3H, s), 3.52(2H, s), 4.21(4H, q, J=7.1Hz), 4.82(2H, s), 7.11(2H, d, J=8.5Hz), 7.19(2H, d, J=8.5Hz), 7.20-7.35(6H, m), 7.77(2H, d, J=8.3Hz), 7.86(2H, d, J=8.3Hz)
1-75		1.06(6H, t, J=7.3Hz), 2.78(3H, s), 3.20-3.29(4H, m), 3.55(2H, s), 4.84(2H, s), 6.98-7.34(12H, m), 7.77(2H, d, J=8.3Hz), 7.86(2H, d, J=8.3Hz)

Table 16

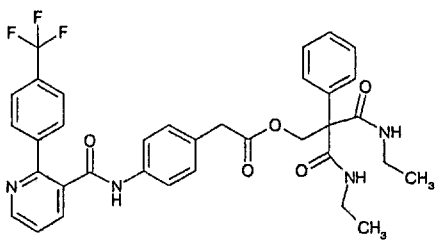
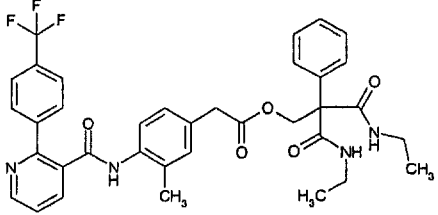
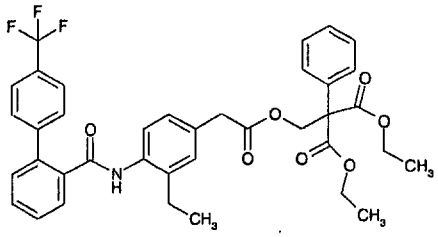
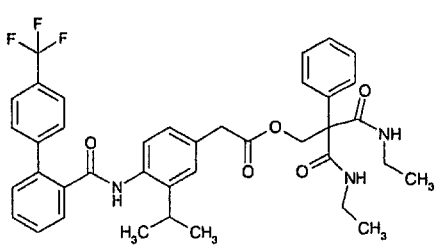
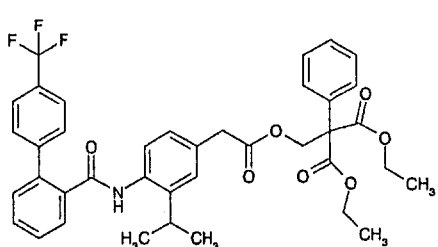
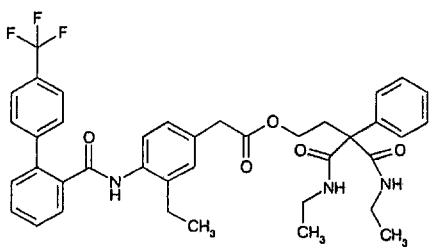
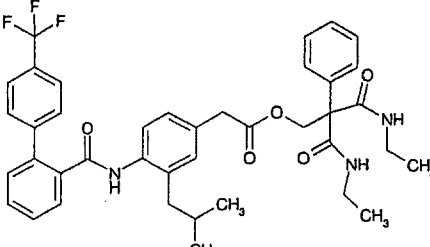
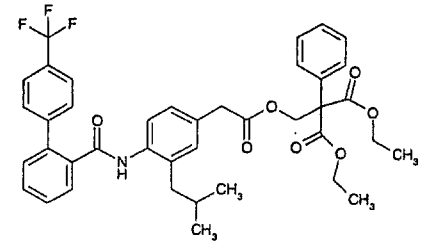
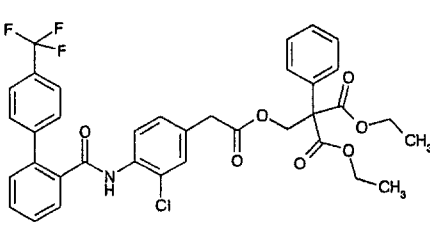
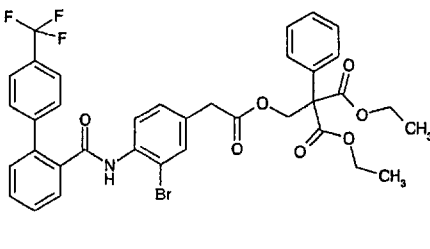
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
1-76		1.06(6H, t, J=7.3Hz), 3.19-3.28(4H, m), 3.54(2H, s), 4.83(2H, s), 6.99-7.48(13H, m), 7.71(2H, d, J=8.2Hz), 7.86(2H, d, J=8.2Hz), 8.05-8.13(1H, m), 8.75-8.84(1H, m)
1-77		1.06(6H, t, J=7.2Hz), 1.64(3H, s), 3.12-3.33(4H, m), 3.51(2H, s), 4.84(2H, s), 6.84(1H, brs), 6.91(1H, brs), 6.98-7.98(12H, m), 8.16(1H, d, J=8.1Hz), 8.84(1H, d, J=4.4Hz).
1-78		0.92(3H, t, J=7.5Hz), 1.20(6H, t, J=7.1Hz), 1.94(2H, q, J=7.5Hz), 3.50(2H, s), 4.20(4H, q, J=7.1Hz), 4.82(2H, s), 6.79-7.83(17H, m)
1-79		0.96(6H, d, J=6.6Hz), 1.05(6H, t, J=7.1Hz), 2.15(1H, sep, J=6.6Hz), 3.19-3.29(4H, m), 3.54(2H, s), 4.83(2H, s), 6.81-7.84(19H, m)
1-80	 m.p. 91.5-94.8	0.96(6H, d, J=6.8Hz), 1.20(6H, t, J=7.1Hz), 2.16(1H, sep, J=6.8Hz), 4.20(4H, q, J=7.1Hz), 4.82(2H, s), 6.79-7.82(17H, m)

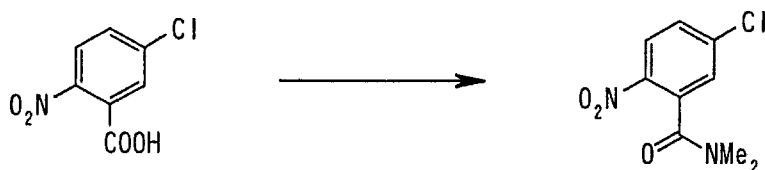
Table 17

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
1-81	 m.p. 147-158	0.95(3H, t, J=7.7Hz), 1.13(6H, t, J=7.2Hz), 1.99(2H, q, J=7.7Hz), 2.54(2H, t, J=7.9Hz), 3.20-3.38(4H, m), 3.53(2H, s), 4.11(2H, t, J=7.9Hz), 6.79-7.84(19H, m)
1-82		0.72(6H, d, J=6.6Hz), 1.06(6H, t, J=7.2Hz), 1.26-1.44(1H, m), 1.89(2H, d, J=7.3Hz), 3.20-3.27(4H, m), 3.52(2H, s), 4.83(2H, s), 6.82-7.88(19H, m)
1-83	 m.p. 80-86	0.71(6H, d, J=6.5Hz), 1.21(6H, t, J=7.1Hz), 1.26-1.43(1H, m), 1.88(2H, d, J=7.3Hz), 3.49(2H, s), 4.21(4H, q, J=7.1Hz), 4.83(2H, s), 6.79-7.87(17H, m)
1-84		1.21(6H, t, J=7.2Hz), 3.48(2H, s), 4.22(4H, q, J=7.2Hz), 4.83(2H, s), 7.08(1H, d, J=8.7Hz), 7.12(1H, s), 7.25-7.67(13H, m), 7.84(1H, dd, J=1.5, 7.5Hz), 8.37(1H, d, J=8.7Hz)
1-85		1.21(6H, t, J=7.1Hz), 3.48(2H, s), 4.22(4H, q, J=7.1Hz), 4.83(2H, s), 7.13(1H, d, J=7.9Hz), 7.28-7.67(14H, m), 7.82(1H, dd, J=1.5, 7.5Hz), 8.35(1H, d, J=8.7Hz)

Example 2

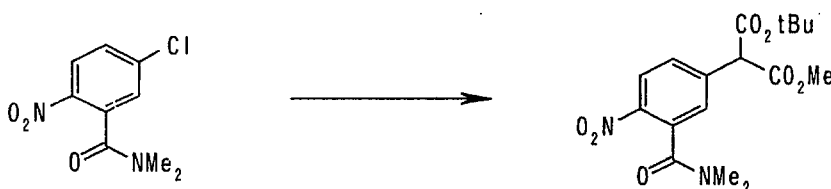
{3-Dimethylcarbamoyl-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetic acid 2,2-bisethylcarbamoyl-2-phenylethyl ester

- 5 a) 5-Chloro-N,N-dimethyl-2-nitrobenzamide



The acid chloride obtained from 5-chloro-2-nitrobenzoic acid (5.0 g) in a similar manner to Example 1 d) was subjected to reactions similar to those in Example 1 e) to give the title
10 compound (5.5 g).

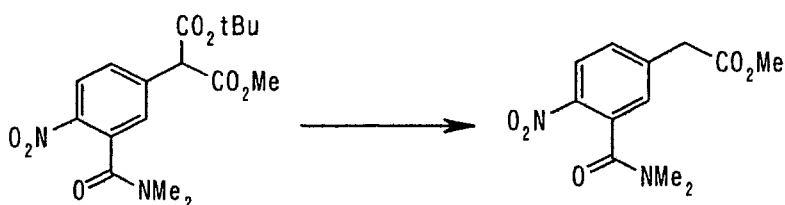
- b) 2-(3-Dimethylcarbamoyl-4-nitrophenyl)malonic acid
tert-butyl ester methyl ester



Sodium hydride (350 mg) was dissolved in
15 dimethylformamide (10 mL), and the solution was cooled to 0°C. After addition of tert-butyl methyl malonate (1.52 g), the mixture was stirred for one hour, and the 5-chloro-N,N-dimethyl-2-nitrobenzamide (1.0 g) obtained in Example 2 a) was added thereto. The mixture was stirred at 70°C for 4.5 hours
20 and water was added thereto. The resulting solution was concentrated, diluted with ethyl acetate and washed with water. The organic layer was dried over sodium sulfate and purified by column chromatography on silica gel with ethyl

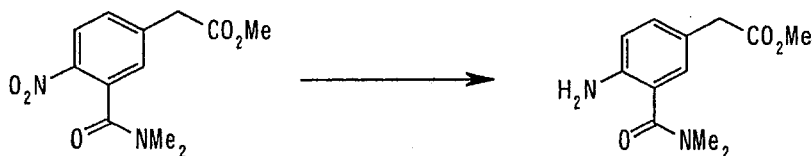
acetate:hexane=1:1 to give the title compound (1.29 g).

c) (3-Dimethylcarbamoyl-4-nitrophenyl)acetic acid methyl ester



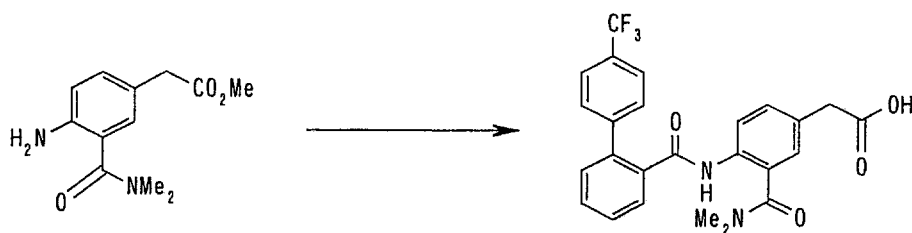
The 2-(3-dimethylcarbamoyl-4-nitrophenyl)malonic acid tert-butyl ester methyl ester (1.22 g) obtained in Example 2 b) was dissolved in dichloromethane (10 mL), and the solution was cooled to 0°C. After addition of trifluoroacetic acid (10 mL), the mixture was stirred at room temperature for 6 hours, and concentrated, followed by azeotropic distillation with toluene. The residue was purified by column chromatography on silica gel with ethyl acetate:hexane=3:1 to give the title compound (712 mg).

15 d) (4-Amino-3-dimethylcarbamoylphenyl)acetic acid methyl ester



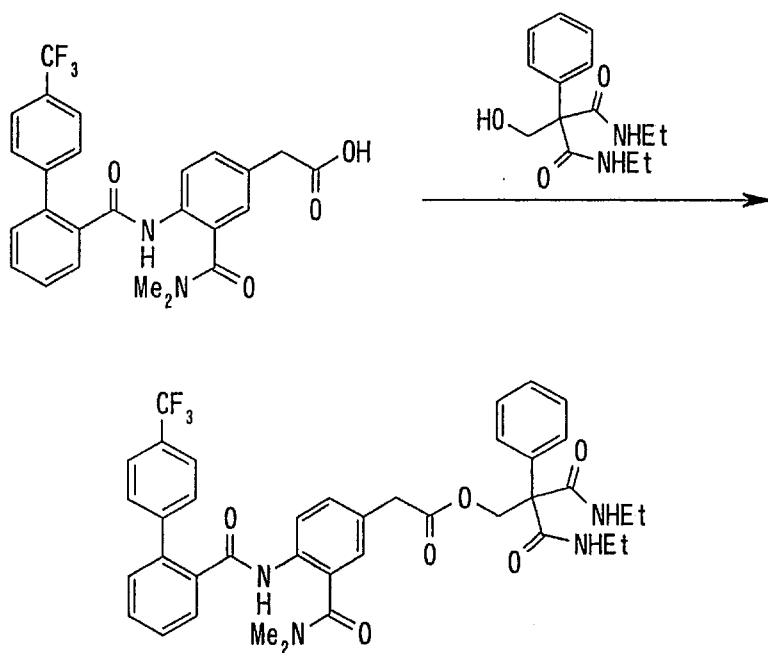
The (3-dimethylcarbamoyl-4-nitrophenyl)acetic acid methyl ester (683 mg) obtained in Example 2 c) was subjected to reactions similar to those in Example 1-3 d) to give the title compound (627 mg).

e) {3-Dimethylcarbamoyl-4-[(4'-trifluoromethylbiphenyl)-2-carbonyl]amino]phenyl}acetic acid



The (4-amino-3-dimethylcarbamoylphenyl)acetic acid methyl ester (627 mg) obtained in Example 2 d) was subjected to reactions similar to those in Example 1 e) and Example 1 f) to give the title compound (1.07 g) (see Table 65).

f) {3-Dimethylcarbamoyl-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetic acid 2,2-bis(ethylcarbamoyl)-2-phenylethyl ester



10 The {3-Dimethylcarbamoyl-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetic acid (517 mg) obtained in Example 2 e) was subjected to reactions similar to those in Example 1 g) to give the title compound (387 mg) (see Table 18).

Examples 2-2 to 2-119

Compounds of Examples 2-2 to 2-119 were obtained in a similar manner to Example 2. The compounds thus obtained were
5 shown in Tables 18 to 41. In addition, compound of Example 2-17 e) was obtained in a similar manner to Example 2 e) and the compounds thus obtained were shown in Table 65.

Table 18

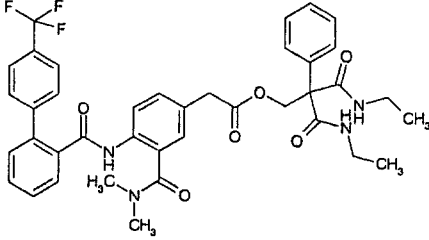
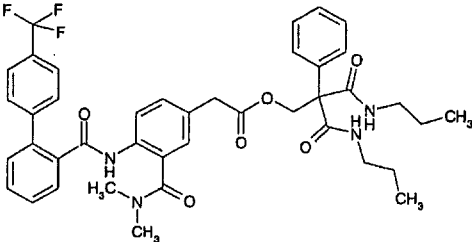
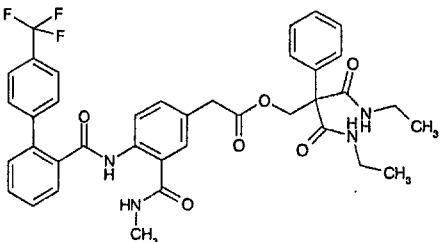
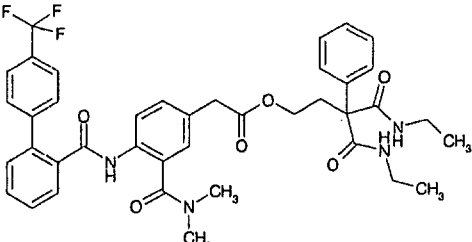
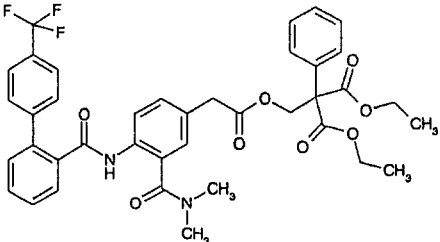
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2		1.07(6H, t, J=7.2Hz), 2.85(3H, br.s), 2.95(3H, br.s), 3.26(4H, dq, J=7.2, 7.2Hz), 3.54(2H, s), 4.84(2H, s), 7.04-7.68(17H, m), 8.37(1H, d, J=8.3Hz), 9.16(1H, br.s)
2-2		0.86(6H, t, J=7.2Hz), 1.46(4H, tq, J=7.2, 7.2Hz), 2.85(3H, br.s), 2.95(3H, br.s), 3.19(4H, dt, J=7.2, 7.2Hz), 3.54(2H, s), 4.85(2H, s), 7.03-7.68(17H, m), 8.37(1H, d, J=8.7Hz), 9.17(1H, br.s)
2-3		1.07(6H, t, J=7.1Hz), 2.83(3H, d, J=4.5 Hz), 3.24(4H, dq, J=7.1, 7.1Hz), 3.59(2H, s), 4.80(2H, s), 7.10-7.76(18H, m), 8.53(1H, d, J=8.3Hz), 11.55(1H, br.s)
2-4	 m.p. 128-129	1.12(6H, t, J=7.3Hz), 2.53(2H, t, J=7.7Hz), 2.86(3H, brs), 2.95(3H, brs), 3.20-3.40(4H, m), 3.54(2H, s), 4.12(2H, t, J=7.7Hz), 7.09(1H, brs), 7.17-7.75(14H, m), 8.36(1H, d, J=8.4Hz), 9.24(1H, brs).
2-5	 m.p. 114-116	1.21(6H, t, J=7.1Hz), 2.81(3H, brs), 2.92(3H, brs), 3.50(2H, s), 4.20(4H, q, J=7.1Hz), 4.82(2H, s), 6.99(1H, brs), 7.17-7.71(14H, m), 8.33(1H, d, J=8.5Hz), 9.14(1H, brs).

Table 19

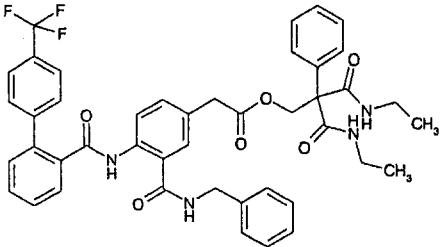
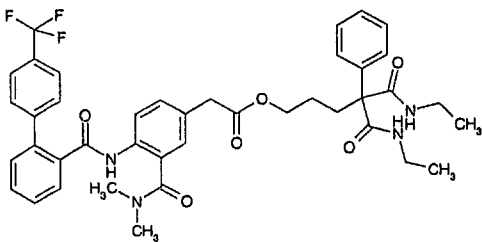
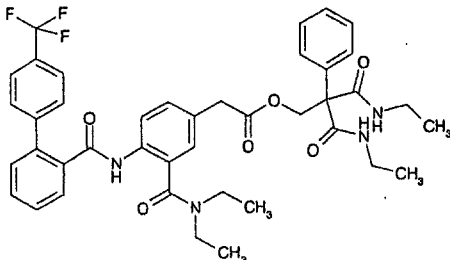
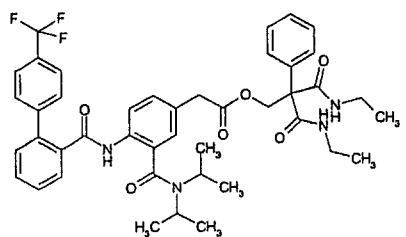
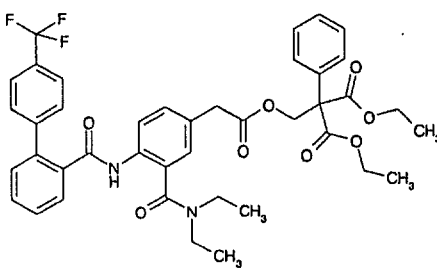
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-6		0.98(6H, t, J=7.3Hz), 3.12(4H, dq, J=7.3, 7.3Hz), 3.58(2H, s), 4.50(2H, d, J=5.9Hz), 4.79(2H, s), 7.01-7.59(16H, m), 7.72(1H, dd, J=7.0, 1.8Hz), 8.23(1H, m), 8.51(1H, d, J=8.5Hz), 11.49(1H, br.s)
2-7		1.07(6H, t, J=7.3Hz), 1.66(2H, m), 2.28(2H, m), 2.87(3H, br.s), 2.93(3H, br.s), 3.24(4H, dq, J=7.3, 7.3Hz), 3.57(2H, s), 4.11(2H, t, J=6.9Hz), 7.13-7.66(17H, m), 8.36(1H, d, J=8.4Hz), 9.08(1H, br.s)
2-8		1.08(6H, t, J=7.2Hz), 0.98-1.21(6H, brd), 3.26(4H, dq, J=7.2, 7.2Hz), 3.12-3.51(4H, brd), 3.56(2H, s), 4.84(2H, s), 7.06-7.63(17H, m), 8.21(1H, d, J=8.7Hz), 8.88(1H, s)
2-9	 m.p. 108-117	1.07(6H, t, J=7.1Hz), 0.93-1.59(6H, brd), 3.26(4H, dq, J=7.2, 7.2Hz), 3.56(2H, s), 3.41-3.95(2H, brd), 4.84(2H, s), 7.01-7.62(17H, m), 8.08(1H, d, J=8.3Hz), 8.70(1H, br.s)
2-10		1.21(6H, t, J=7.1Hz), 0.95-1.27(6H, brd), 3.08-3.49(4H, brd), 3.52(2H, s), 4.21(4H, q, J=7.1Hz), 4.83(2H, s), 7.06(1H, d, J=1.9Hz), 7.19(1H, dd, J=8.7, 1.9Hz), 7.31-7.63(13H, m), 8.19(1H, d, J=8.7Hz), 8.90(1H, br.s)

Table 20

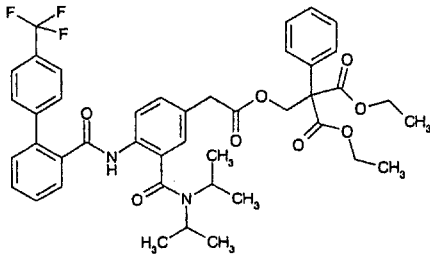
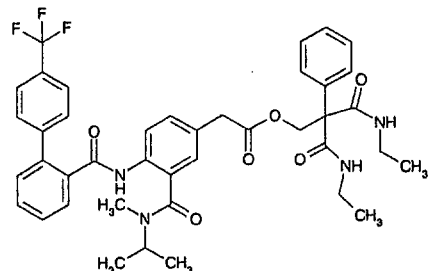
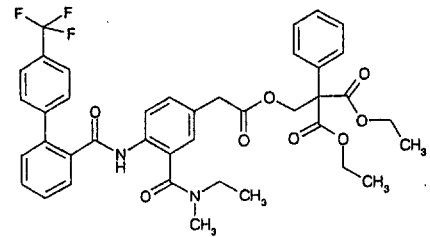
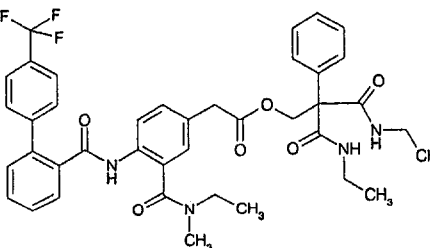
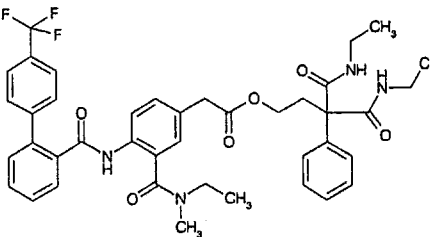
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-11		1.21(6H, t, J=7.1Hz), 1.00-1.52(12H, brd), 3.52(2H, s), 3.49-3.92(2H, brd), 4.20(4H, q, J=7.1Hz), 4.82(2H, s), 7.02(1H, d, J=1.9Hz), 7.17(1H, dd, J=8.4, 1.9Hz), 7.31-7.64(13H, m), 8.06(1H, d, J=8.4Hz), 8.72(1H, br.s)
2-12		1.01-1.21(6H, m), 1.07(6H, t, J=7.3Hz), 2.78(3H, brs), 3.20-3.31(4H, m), 3.56(2H, s), 3.82-4.27(1H, m), 4.84(2H, s), 6.99-7.63(17H, m), 8.19(1H, d, J=8.4Hz), 8.98(1H, br.s)
2-13	 m.p.116.5-119.0	0.98-1.27(3H, br), 1.21(6H, t, J=7.1Hz), 2.73-2.96(3H, br), 3.05-3.49(2H, br), 3.51(2H, s), 4.21(4H, q, J=7.1Hz), 4.82(2H, s), 7.00-7.08(1H, m), 7.19(1H, dd, J=1.9, 8.3Hz), 7.24-7.36(5H, m), 7.39(1H, dd, J=1.5, 7.9Hz), 7.43-7.70(7H, m), 8.28(1H, d, J=8.6Hz), 8.92-9.18(1H, br)
2-14		1.00-1.23(3H, br), 1.08(6H, t, J=7.2Hz), 2.79-2.96(3H, br), 3.10-3.49(2H, br), 3.26(4H, dq, J=5.2, 7.2Hz), 3.55(2H, s), 4.84(2H, s), 7.04(1H, d, J=1.8Hz), 7.07-7.14(2H, m), 7.15-7.24(3H, m), 7.28-7.37(3H, m), 7.37-7.43(1H, m), 7.44-7.69(7H, m), 8.30(1H, d, J=8.3Hz), 8.88-9.17(1H, br)
2-15		1.02-1.24(3H, br), 1.12(6H, t, J=7.2Hz), 2.47-2.59(2H, m), 2.80-2.96(3H, br), 3.10-3.51(2H, br), 3.30(4H, dq, J=5.7, 7.2Hz), 3.55(2H, s), 4.07-4.18(2H, m), 7.09(1H, d, J=1.5Hz), 7.22-7.43(7H, m), 7.43-7.70(9H, m), 8.28(1H, d, J=8.3Hz), 8.85-9.14(1H, br)

Table 21

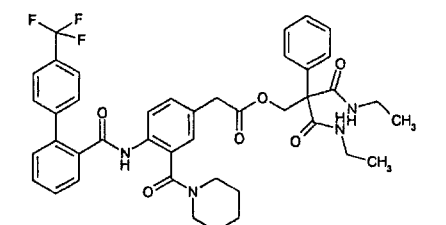
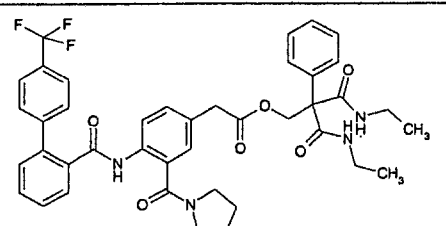
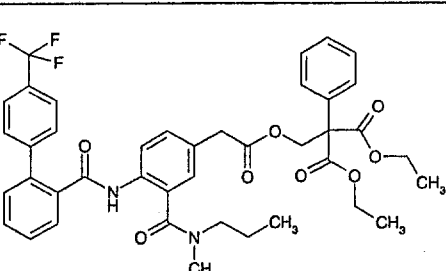
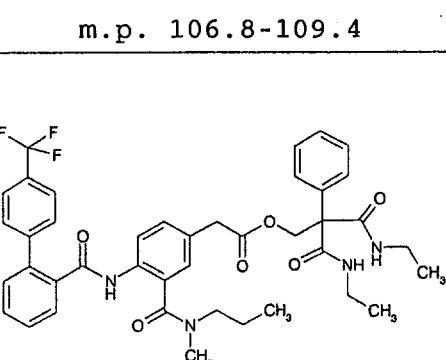
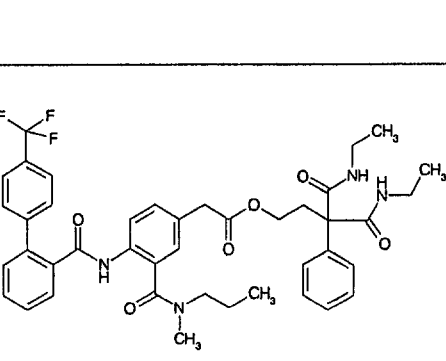
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-16		1.07(6H, t, J=7.2Hz), 1.32-1.71(5H, br), 3.10-3.79(8H, m), 3.54(2H, s), 4.84(2H, s), 7.03-7.79(15H, m), 8.28(1H, d, J=8.5Hz), 9.04(1H, brs).
2-17		1.07(6H, t, J=7.2Hz), 2.02-2.78(4H, br), 3.21-3.53(8H, m), 3.54(2H, m), 4.84(2H, s), 7.03-7.72(15H, m), 8.34(1H, d, J=7.2Hz), 9.83(1H, brs).
2-18	 m.p. 106.8-109.4	0.65-1.01(3H, br), 1.21(6H, t, J=7.2Hz), 1.43-1.66(2H, br), 2.75-2.98(3H, br), 3.05-3.41(2H, br), 3.51(2H, s), 4.21(4H, q, J=7.2Hz), 4.82(2H, s), 7.04(1H, br-s), 7.19(1H, dd, J=1.9, 8.6Hz), 7.24-7.35(5H, m), 7.39(1H, dd, J=1.5, 7.5Hz), 7.43-7.69(7H, m), 8.18-8.31(1H, br), 8.94-9.18(1H, br)
2-19		0.68-0.99(3H, br), 1.08(6H, t, J=7.1Hz), 1.42-1.69(2H, br), 2.79-2.98(3H, br), 3.06-3.42(2H, br), 3.26(4H, dq, J=5.6, 7.1Hz), 3.55(2H, s), 4.84(2H, s), 7.04(1H, d, J=1.8Hz), 7.11(2H, br-t, J=5.6Hz), 7.15-7.24(3H, m), 7.27-7.36(3H, m), 7.37-7.43(1H, m), 7.44-7.69(7H, m), 8.21-8.35(1H, br), 8.92-9.18(1H, br)
2-20		0.67-1.00(3H, br), 1.13(6H, t, J=7.1Hz), 1.43-1.67(2H, br), 2.48-2.59(2H, m), 2.82-2.98(3H, br), 3.06-3.42(2H, br), 3.30(4H, dq, J=5.6, 7.1Hz), 3.54(2H, s), 4.07-4.17(2H, m), 7.09(1H, d, J=2.2Hz), 7.22-7.42(7H, m), 7.43-7.69(9H, m), 8.20-8.34(1H, br), 8.89-9.14(1H, br)

Table 22

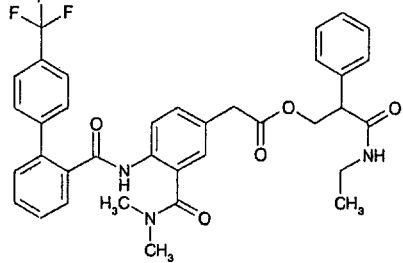
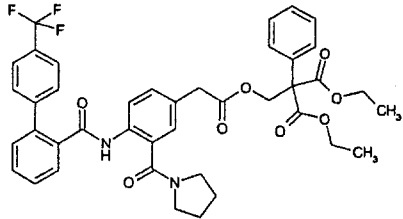
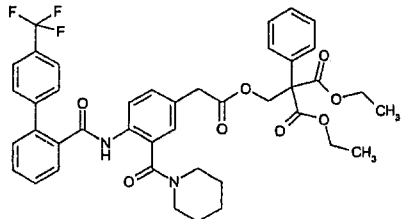
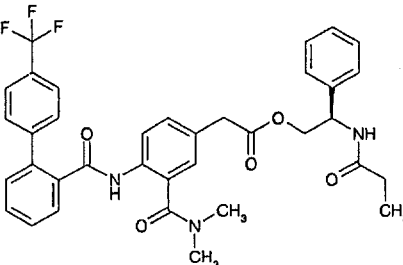
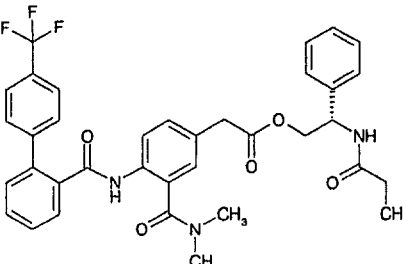
Example	Structure	NMR (δ , 300MHz, CDCl_3)
2-21		1.04(3H, t, $J=7.2\text{Hz}$), 2.84(3H, brs), 2.95(3H, brs), 3.16-3.29(2H, m), 3.50(2H, s), 3.64(1H, dd, $J=7.7, 6.5\text{Hz}$), 3.91(1H, dd, $J=10.9, 6.5\text{Hz}$), 4.64(1H, dd, $J=10.9, 7.7\text{Hz}$), 5.28-5.38(1H, m), 7.00-7.71(15H, m), 8.34(1H, d, $J=8.5\text{Hz}$), 9.15(1H, brs)
2-22	 m.p. 130-131	1.21(6H, t, $J=7.1\text{Hz}$), 1.73-2.00(4H, m), 3.22-3.53(4H, m), 3.51(2H, s), 4.20(4H, q, $J=7.1\text{Hz}$), 4.83(2H, s), 7.15-7.70(15H, m), 8.33(1H, d, $J=8.9\text{Hz}$), 9.84(1H, brs).
2-23	 m.p. 118-120	1.21(6H, t, $J=7.1\text{Hz}$), 1.35-1.70(6H, br), 3.00-3.76(4H, br), 3.51(2H, s), 4.20(4H, q, $J=7.1\text{Hz}$), 4.82(2H, s), 7.03(1H, d, $J=2.0\text{Hz}$), 7.12-7.68(14H, m), 8.26(1H, d, $J=8.5\text{Hz}$), 9.06(1H, brs).
2-24		1.11(3H, t, $J=7.6\text{Hz}$), 2.19(2H, q, $J=7.6\text{Hz}$), 2.84(3H, brs), 2.95(3H, brs), 3.54(2H, s), 4.28(1H, dd, $J=11.3, 4.9\text{Hz}$), 4.41(1H, dd, $J=11.3, 7.0\text{Hz}$), 5.25-5.33(1H, m), 5.87(1H, d, $J=8.3\text{Hz}$), 7.04-7.71(15H, m), 8.36(1H, d, $J=8.5\text{Hz}$), 9.14(1H, brs)
2-25	 m.p. 95-98	1.11(3H, t, $J=7.5\text{Hz}$), 2.19(2H, q, $J=7.5\text{Hz}$), 2.84(3H, brs), 2.95(3H, brs), 3.54(2H, s), 4.29(1H, dd, $J=11.3, 4.9\text{Hz}$), 4.41(1H, dd, $J=11.3, 7.0\text{Hz}$), 5.26-5.33(1H, m), 5.86(1H, d, $J=7.9\text{Hz}$), 7.04-7.71(15H, m), 8.36(1H, d, $J=8.7\text{Hz}$), 9.14(1H, brs)

Table 23

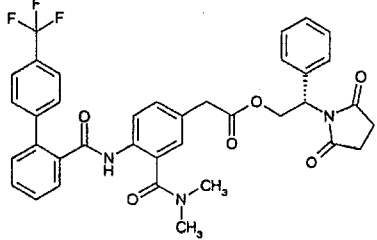
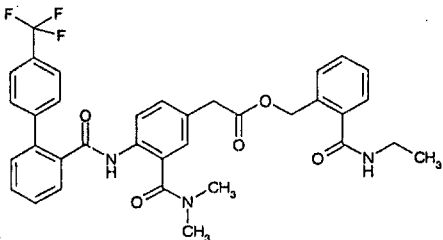
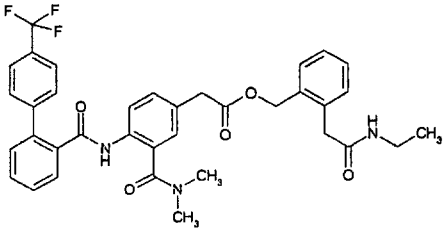
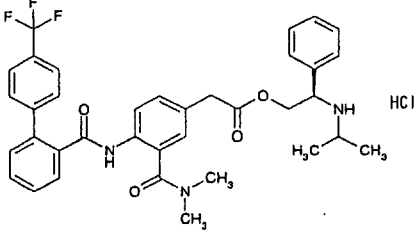
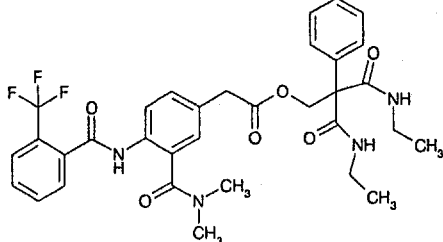
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-26	 m.p. 152-155	2.53(4H, s), 2.89(3H, brs), 2.96(3H, brs), 3.55(2H, s), 4.66(1H, dd, J=10.9, 5.3Hz), 5.10(1H, t, J=10.9Hz), 5.37(1H, dd, J=10.9, 5.3Hz), 7.07-7.69(15H, m), 8.35(1H, d, J=8.7Hz), 9.17(1H, brs)
2-27		1.19(3H, t, J=7.2Hz), 2.70-3.01(6H, br), 3.41(2H, dq, J=5.6, 7.2Hz), 3.60(2H, s), 5.32(2H, s), 6.18(1H, br-t, J=5.6Hz), 7.04(1H, d, J=1.9Hz), 7.21-7.29(1H, m), 7.30-7.56(7H, m), 7.56-7.66(4H, m), 7.69(1H, dd, J=1.5, 7.1Hz), 8.35(1H, d, J=8.7Hz), 9.09(1H, br-s)
2-28		1.04(3H, t, J=7.1Hz), 2.70-3.00(6H, br), 3.22(2H, dq, J=5.7, 7.1Hz), 3.53(2H, s), 3.57(2H, s), 5.13(2H, s), 5.43-5.54(1H, br), 7.08(1H, d, J=1.9Hz), 7.21-7.43(6H, m), 7.44-7.66(6H, m), 7.69(1H, dd, J=1.5, 7.2Hz), 8.34(1H, d, J=8.6Hz), 9.10(1H, br-s)
2-29	 HCl	1.26-1.68(6H, m), 2.61-3.00(6H, m), 2.93-3.12(1H, m), 3.58-4.01(1H, m), 4.28-5.03(3H, m), 7.09-8.32(17H, m), 9.14(1H, brs), 9.40-10.24(2H, m)
2-30		1.10(6H, t, J=7.3Hz), 3.06(6H, brs), 3.21-3.42(4H, m), 3.61(2H, s), 4.86(2H, s), 7.06-7.77(13H, m), 8.37(1H, d, J=8.5Hz), 9.21(1H, brs)

Table 24

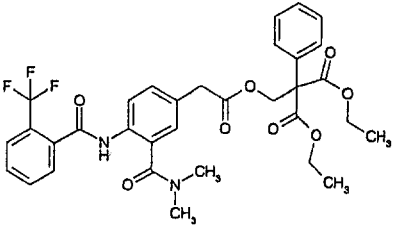
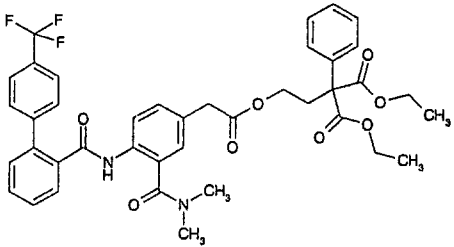
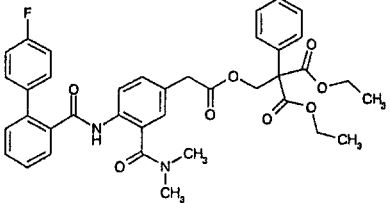
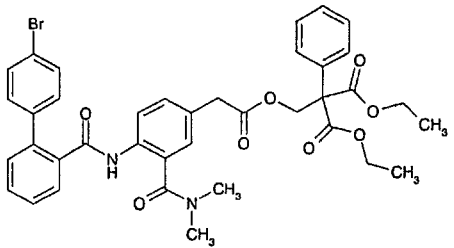
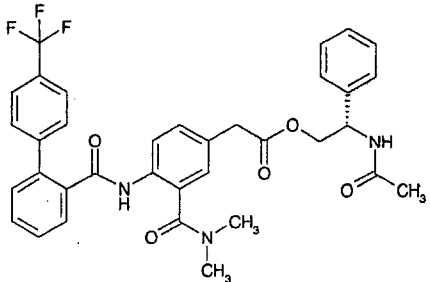
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-31	 m.p. 106-110	1.23(6H, t, J=7.1Hz), 2.98(3H, brs) 3.05(3H, brs), 4.22(4H, q, J=7.1Hz), 4.85(2H, s), 7.11-7.75(11H, m), 8.35(1H, d, J=8.5Hz), 9.21(1H, brs)
2-32		1.24(6H, t, J=7.2Hz), 2.61(2H, t, J=7.1Hz), 2.86(3H, br.s), 2.94(3H, br.s), 3.46(2H, s), 4.07(2H, t, J=7.1Hz), 4.23(4H, q, J=7.2Hz), 7.08(1H, d, J=1.9Hz), 7.23-7.68(14H, m), 8.36(1H, d, J=8.6Hz), 9.15(1H, br.s)
2-33	 m.p. 125-128	1.22(6H, t, J=7.2Hz), 2.83(3H, br.s), 2.94(3H, br.s), 3.51(2H, s), 4.21(4H, q, J=7.2Hz), 4.83(2H, s), 7.00-7.51(14H, m), 7.68(1H, dd, J=7.6, 1.5Hz), 8.37(1H, d, J=8.3Hz), 8.93(1H, br.s)
2-34	 m.p. 124-128	1.22(6H, t, J=7.2Hz), 2.86(3H, br.s), 2.95(3H, br.s), 3.51(2H, s), 4.21(4H, q, J=7.2Hz), 4.83(2H, s), 7.01(1H, d, J=2.2Hz), 7.19-7.53(13H, m), 7.68(1H, dd, J=7.6, 1.5Hz), 8.40(1H, d, J=8.3Hz), 9.01(1H, br.s)
2-35		1.96(3H, s), 2.84(3H, brs), 2.95(3H, brs), 3.54(2H, s), 4.29(1H, dd, J=11.6, 5.0Hz), 4.39(1H, dd, J=11.6, 7.1Hz), 5.23-5.33(1H, m), 5.90(1H, d, J=8.1Hz), 6.99-7.71(15H, m), 8.36(1H, d, J=8.5Hz), 9.14(1H, brs)

Table 25

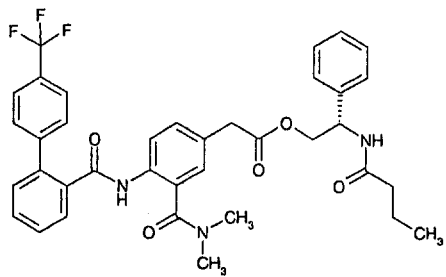
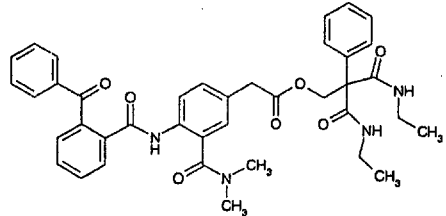
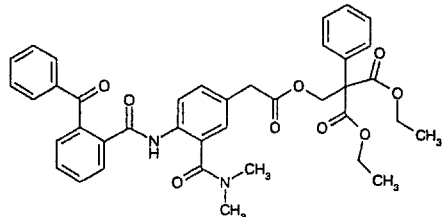
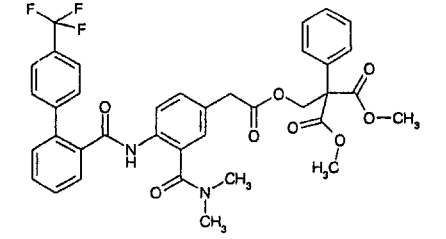
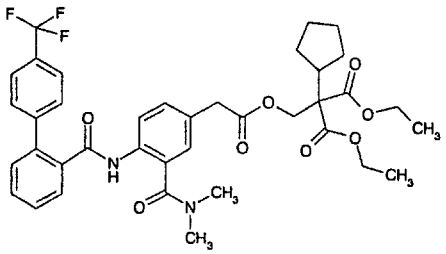
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-36		0.92(3H, t, J=7.4Hz), 1.56-1.68(2H, m), 2.14(2H, t, J=7.5Hz), 2.84(3H, brs), 2.95(3H, brs), 3.54(2H, s), 4.29(1H, dd, J=11.4, 4.9Hz), 4.40(1H, dd, J=11.4, 7.1Hz), 5.23-5.33(1H, m), 5.90(1H, d, J=8.1Hz), 7.05(1H, d, J=2.0Hz), 7.15-7.72(14H, m), 8.36(1H, d, J=8.5Hz), 9.15(1H, brs)
2-37		1.07(3H, t, J=7.3Hz), 1.08(3H, t, J=7.3Hz), 2.97(3H, s), 3.10(3H, s), 3.18-3.31(4H, m), 3.59(2H, s), 4.87(2H, d, J=4.1Hz), 6.38(1H, d, J=8.2Hz), 6.92-7.64(18H, m), 7.83(1H, d, J=6.8Hz)
2-38		1.21(6H, t, J=7.1Hz), 2.96(3H, s), 3.07(3H, s), 3.55(2H, d, J=2.1Hz), 4.19(2H, q, J=7.1Hz), 4.20(2H, q, J=7.1Hz), 4.82 and 4.89(2H, each d, J=11.2Hz), 6.36(1H, d, J=8.2Hz), 6.93-7.59(16H, m), 7.83(1H, d, J=6.8Hz)
2-39	 m.p. 108.8-112.4	2.73-3.00(6H, br), 3.52(2H, s), 3.72(6H, s), 4.82(2H, s), 7.03(1H, d, J=1.9Hz), 7.16-7.36(6H, m), 7.40(1H, dd, J=1.5, 7.5Hz), 7.45-7.65(6H, m), 7.69(1H, dd, J=1.5, 7.2Hz), 8.35(1H, d, J=8.7Hz), 9.17(1H, br-s)
2-40	 m.p. 110-111	1.21(6H, t, J=7.1Hz), 1.31-1.60(6H, m), 1.67-1.82(2H, m), 2.40-2.57(1H, m), 2.88(3H, brs), 2.94(3H, brs), 3.53(2H, s), 4.14(4H, q, J=7.1Hz), 4.48(2H, s), 7.09(1H, d, J=1.8Hz), 7.21-7.72(9H, m), 8.37(1H, d, J=8.4Hz), 9.16(1H, brs).

Table 26

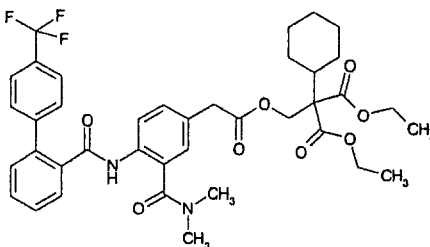
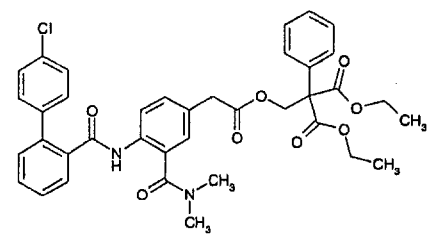
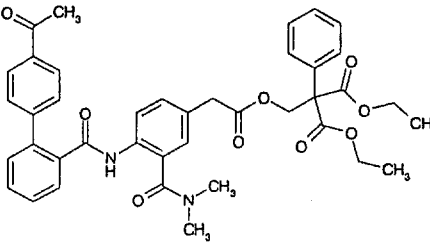
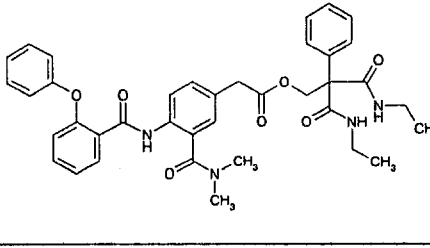
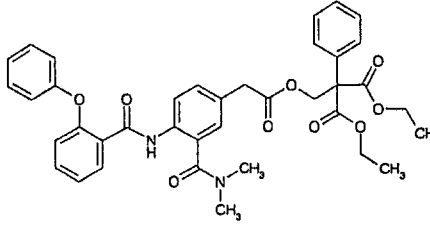
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-41	 m.p. 108-110	0.95-1.19(6H, m), 1.21(6H, t, J=9.5Hz), 1.60-1.80(4H, m), 2.00-2.18(1H, m), 2.88(3H, brs), 2.95(3H, brs), 3.52(2H, s), 4.14(4H, q, J=9.5Hz), 4.50(2H, s), 7.09(1H, d, J=2.7Hz), 7.19-7.73(9H, m), 8.38(1H, d, J=11.3Hz), 9.18(1H, brs).
2-42	 m.p. 121-124	1.22(6H, t, J=7.2Hz), 2.84(3H, br.s), 2.94(3H, br.s), 3.51(2H, s), 4.21(4H, q, J=7.2Hz), 4.83(2H, s), 7.01(1H, d, J=1.9Hz), 7.20(1H, dd, J=8.7, 1.9Hz), 7.26-7.51(12H, m), 7.68(1H, dd, J=7.5, 1.5Hz), 8.40(1H, d, J=8.7Hz), 9.00(1H, br.s)
2-43		1.21(6H, t, J=7.2Hz), 2.57(3H, s), 2.75(3H, br.s), 2.94(3H, br.s), 3.50(2H, s), 4.20(4H, q, J=7.2Hz), 4.82(2H, s), 7.00(1H, d, J=1.9Hz), 7.18(1H, dd, J=8.6, 1.9Hz), 7.26-7.59(11H, m), 7.70(1H, dd, J=7.6, 1.5Hz), 7.95(1H, d, J=8.3Hz), 8.35(1H, d, J=8.6Hz), 9.13(1H, br.s)
2-44		1.07(6H, t, J=7.3Hz), 2.76(3H, s), 2.86(3H, s), 3.21-3.30(4H, m), 3.57(2H, s), 4.83(2H, s), 6.82(1H, d, J=8.3Hz), 6.98-7.45(16H, m), 8.24(1H, dd, J=7.8, 1.8Hz), 8.44(1H, d, J=8.5Hz), 10.4(1H, brs)
2-45		1.22(6H, t, J=7.1Hz), 2.75(3H, s), 2.82(3H, s), 3.54(2H, s), 4.21(4H, q, J=7.1Hz), 4.83(2H, s), 6.82(1H, d, J=7.6Hz), 7.05(1H, d, J=2.0Hz), 7.09-7.46(13H, m), 8.24(1H, dd, J=7.8, 1.8Hz), 8.42(1H, d, J=8.5Hz), 10.4(1H, brs)

Table 27

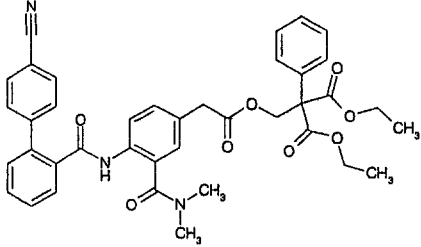
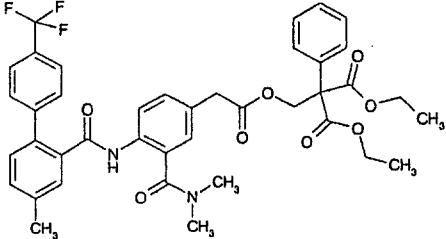
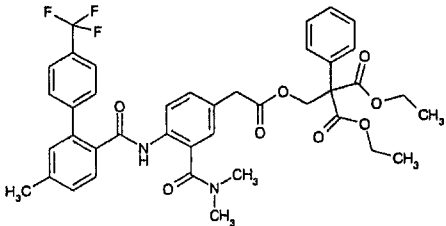
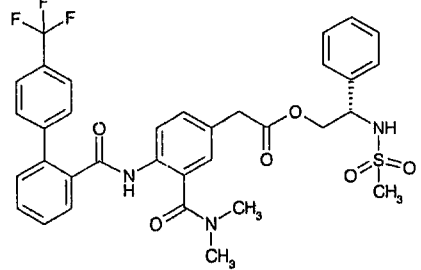
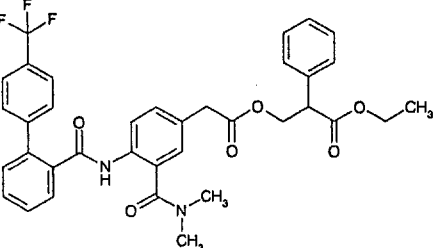
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-46		1.22(6H, t, J=7.2Hz), 2.90(3H, br.s), 2.97(3H, br.s), 3.52(2H, s), 4.21(4H, q, J=7.2Hz), 4.83(2H, s), 7.05(1H, d, J=1.9Hz), 7.20(1H, dd, J=8.7, 1.9Hz), 7.29-7.73(13H, m), 8.33(1H, d, J=8.7Hz), 9.27(1H, br.s)
2-47		1.21(6H, t, J=7.2Hz), 2.44(3H, s), 2.77(3H, br.s), 2.91(3H, br.s), 3.50(2H, s), 4.20(4H, q, J=7.2Hz), 4.82(2H, s), 7.01(1H, d, J=2.2Hz), 7.19(1H, dd, J=8.7, 2.2Hz), 7.30-7.59(12H, m), 8.35(1H, d, J=8.7Hz), 9.08(1H, br.s)
2-48	 m.p. 105-108	1.21(6H, t, J=7.1Hz), 2.44(3H, s), 2.80(3H, br.s), 2.94(3H, br.s), 3.50(2H, s), 4.20(4H, q, J=7.1Hz), 4.82(2H, s), 7.02(1H, d, J=2.2Hz), 7.16-7.30(8H, m), 7.56-7.63(5H, m), 8.35(1H, d, J=8.7Hz), 9.14(1H, br.s)
2-49		2.65(3H, s), 2.86(3H, brs), 2.95(3H, brs), 3.61(2H, s), 4.23-4.30(2H, m), 4.71-4.79(1H, m), 4.98(1H, d, J=7.1Hz), 7.09(1H, d, J=1.9Hz), 7.18-7.71(14H, m), 8.37(1H, d, J=8.7Hz), 9.16(1H, brs)
2-50		1.19(3H, t, J=7.1Hz), 2.86(3H, brs), 2.95(3H, brs), 3.52(2H, s), 3.89(1H, dd, J=9.1, 6.0Hz), 4.02-4.19(2H, m), 4.34(1H, dd, J=10.9, 6.0Hz), 4.58(1H, dd, J=10.9, 9.1Hz), 7.06(1H, d, J=2.3Hz), 7.18-7.71(14H, m), 8.36(1H, d, J=8.6Hz), 9.17(1H, brs)

Table 28

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-51		1.12-1.18(3H, m), 2.21-2.46(2H, m), 2.64-3.49(9H, m), 3.55-3.58(2H, m), 4.45-5.29(2H, m), 6.11-6.19(1H, m), 7.12-7.70(15H, m), 8.33-8.38(1H, m), 9.17(1H, brs) Conformer
2-52		1.24(6H, t, J=7.0Hz), 1.50-1.64(2H, m), 2.25-2.36(2H, m), 2.77-3.02(6H, br), 3.54(2H, s), 3.99-4.08(2H, m), 4.16-4.29(4H, m), 7.10(1H, d, J=1.9Hz), 7.24-7.43(7H, m), 7.44-7.57(2H, m), 7.59-7.64(4H, m), 7.68(1H, dd, J=1.5, 7.2Hz), 8.36(1H, d, J=8.7Hz), 9.16(1H, s)
2-53		1.21(6H, t, J=7.0Hz), 2.82(3H, br.s), 2.95(3H, br.s), 3.50(2H, s), 3.88(3H, s), 4.21(4H, q, J=7.0Hz), 4.82(2H, s), 6.87(1H, d, J=2.6Hz), 6.99(1H, dd, J=8.5, 2.6Hz), 7.03(1H, d, J=1.8Hz), 7.18(1H, dd, J=8.5, 1.8Hz), 7.29-7.69(10H, m), 8.35(1H, d, J=8.8Hz), 9.17(1H, br.s)
2-54		1.21(6H, t, J=7.0Hz), 2.81(3H, br.s), 2.94(3H, br.s), 3.51(2H, s), 4.20(4H, q, J=7.0Hz), 4.83(2H, s), 7.04(1H, d, J=2.2Hz), 7.19(1H, dd, J=8.4, 2.2Hz), 7.30-7.66(12H, m), 8.33(1H, d, J=8.5Hz), 9.29(1H, br.s)
2-55		1.20(6H, t, J=7.1Hz), 2.11(3H, s), 2.88(3H, brs), 3.04(3H, brs), 3.48(2H, s), 4.19(4H, q, J=7.1Hz), 4.81(2H, s), 7.04(1H, d, J=2.0Hz), 7.12(1H, dd, J=2.0Hz, J=8.5Hz), 7.24-7.50(10H, m), 7.60(2H, d, J=8.1Hz), 8.10(1H, d, J=8.5Hz), 9.06(1H, brs).

Table 29

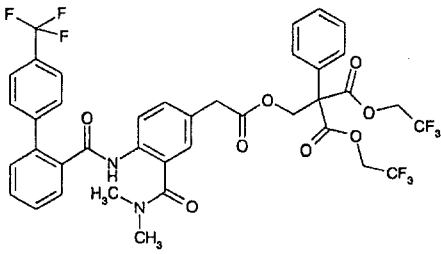
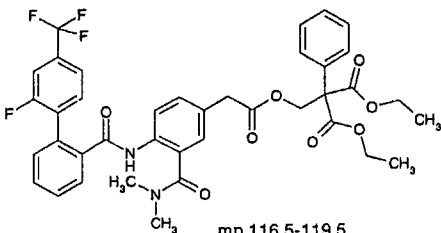
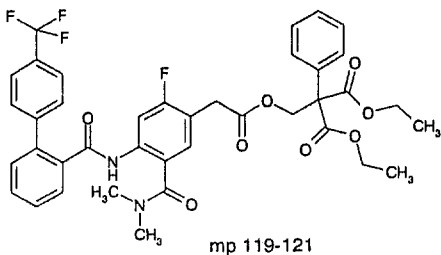
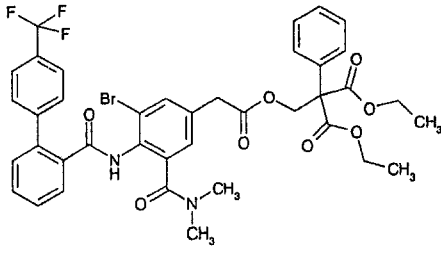
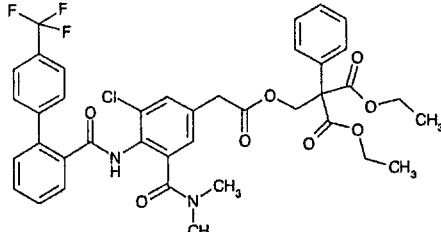
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-56		2.81(3H, brs), 2.93(3H, brs), 3.52(2H, s), 4.45-4.60(4H, m), 4.88(2H, s), 7.02(1H, d, J=2.0Hz), 7.19(1H, dd, J=2.0Hz, J=8.7Hz), 7.23-7.64(12H, m), 7.68(1H, dd, J=2.0Hz, J=8.1Hz), 8.34(1H, d, J=8.1Hz), 9.10(1H, brs).
2-57	 mp 116.5-119.5	1.21(6H, t, J=7.1Hz), 2.95(3H, brs), 3.01(3H, brs), 3.52(2H, s), 4.21(4H, q, J=7.1Hz), 4.83(2H, s), 7.10(1H, s), 7.14-7.63(12H, m), 7.75(1H, d, J=6.6Hz), 8.22(1H, d, J=8.7Hz), 9.34(1H, brs).
2-58	 mp 119-121	1.23(6H, t, J=7.1Hz), 2.85(6H, br-s), 3.55(2H, s), 4.22(4H, q, J=7.1Hz), 4.83(2H, s), 7.03(1H, d, J=7.6Hz), 7.24-7.74(13H, m), 8.36(1H, d, J=12.2Hz), 9.45(1H, br-s).
2-59		1.22(6H, t, J=7.1Hz), 2.87(3H, s), 2.96(3H, s), 3.51(2H, s), 4.22(4H, q, J=7.1Hz), 4.85(2H, s), 7.06(1H, brs), 7.25-7.68(14H, m), 7.77(1H, d, J=7.1Hz).
2-60		1.22(6H, t, J=7.1Hz), 2.86(3H, brs), 2.95(3H, s), 3.50(2H, s), 4.22(4H, q, J=7.1Hz), 4.85(2H, s), 7.00(1H, d, J=1.5Hz), 7.20-7.66(13H, m), 7.73(1H, dd, J=1.5Hz, J=7.1Hz), 7.97(1H, brs).

Table 30

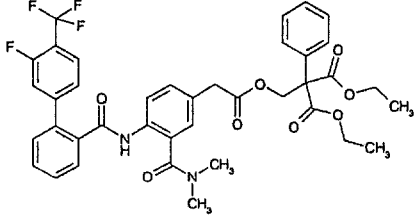
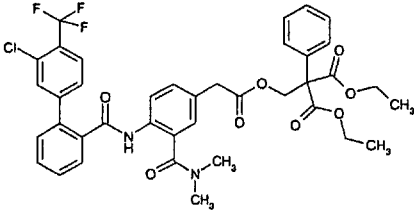
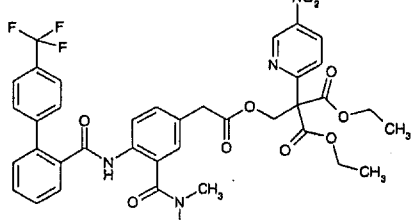
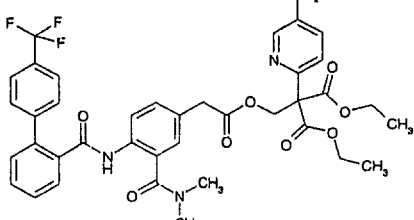
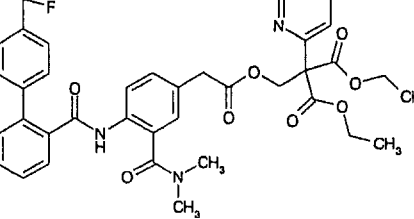
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-61	 mp 131-133	1.21(6H, t, J=7.2Hz), 2.88(3H, brs), 2.97(3H, brs), 3.52(2H, s), 4.20(4H, q, J=7.2Hz), 4.83(2H, s), 7.07(1H, d, J=2.2Hz), 7.18-7.72(13H, m), 8.33(1H, d, J=8.4Hz), 9.33(1H, brs).
2-62	 mp 120-125	1.21(6H, t, J=7.1Hz), 2.87(3H, brs), 2.96(3H, brs), 3.52(2H, s), 4.21(4H, q, J=7.1Hz), 4.83(2H, s), 7.07(1H, d, J=1.8Hz), 7.17-7.72(13H, m), 8.32(1H, d, J=8.4Hz), 9.32(1H, br-s).
2-63		1.22(6H, t, J=7.2Hz), 2.83(3H, brs), 2.94(3H, brs), 3.48(2H, s), 4.23(4H, q, J=7.21Hz), 4.94(2H, s), 6.98(1H, d, J=1.9Hz), 7.12-7.76(10H, m), 8.30(1H, d, J=8.3Hz), 8.38(1H, dd, J=2.6Hz, J=8.9Hz), 9.08(1H, brs), 9.22(1H, d, J=2.6Hz).
2-64		1.21(6H, t, J=7.2Hz), 2.83(3H, brs), 2.94(3H, brs), 3.48(2H, s), 3.49(1H, brs), 3.71(1H, brs), 4.20(4H, q, J=7.2Hz), 4.88(2H, s), 6.83(1H, dd, J=3.0Hz, J=8.3Hz), 7.03(1H, d, J=1.9Hz), 7.11-7.72(10H, m), 7.83(1H, d, J=3.1Hz), 8.25(1H, d, J=8.6Hz), 9.14(1H, brs).
2-65	 mp 149-155	1.21(6H, t, J=7.2Hz), 2.83(3H, brs), 2.93(3H, brs), 3.49(2H, s), 4.21(4H, q, J=7.2Hz), 4.93(2H, s), 7.03(1H, d, J=1.9Hz), 7.13-7.73(12H, m), 8.33(1H, d, J=8.6Hz), 8.47(1H, d, J=3.8Hz), 9.17(1H, brs).

Table 31

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-66		1.23(6H, t, J=7.2Hz), 2.88(3H, s), 2.97(3H, s), 3.61(2H, s), 4.23(4H, q, J=7.2Hz), 4.85(2H, s), 7.03(1H, d, J=7.1Hz), 7.23-7.68(12H, m), 7.74(1H, dd, J=1.1Hz, J=7.5Hz), 7.84(1H, brs).
2-67		1.23(6H, t, J=7.2Hz), 2.88(3H, s), 2.96(3H, s), 3.62(2H, s), 4.23(4H, q, J=7.2Hz), 4.85(2H, s), 7.09(1H, d, J=7.5Hz), 7.24-7.68(12H, m), 7.74-7.80(2H, m).
2-68		1.22(6H, t, J=7.2Hz), 2.26(3H, s), 2.84(3H, brs), 2.95(3H, brs), 3.48(2H, s), 4.10-4.61(4H, m), 4.88(2H, s), 6.99-7.73(14H, m), 8.43(1H, d, J=8.3Hz), 9.18(1H, brs).
2-69		1.21(6H, t, J=7.2Hz), 2.32(3H, s), 2.81(3H, brs), 2.93(3H, brs), 3.51(2H, s), 4.20(4H, q, J=7.2Hz), 4.81(2H, s), 7.01-7.74(14H, m), 8.36(1H, d, J=8.3Hz), 9.19(1H, br-s).
2-70		1.21(6H, t, J=7.2Hz), 2.33(3H, s), 2.82(3H, brs), 2.94(3H, brs), 3.51(2H, s), 4.20(4H, q, J=7.2Hz), 4.81(2H, s), 7.01-7.74(14H, m), 8.35(1H, d, J=8.3Hz), 9.19(1H, brs).

Table 32

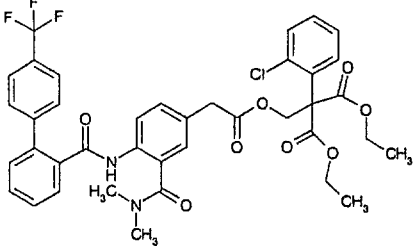
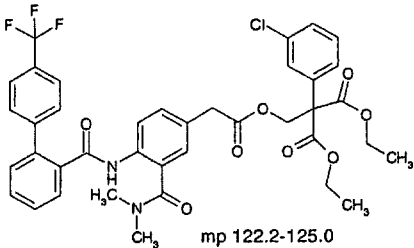
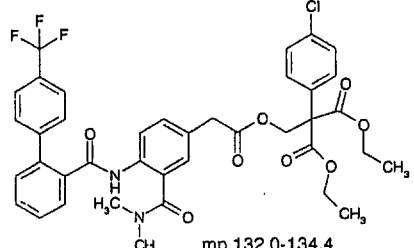
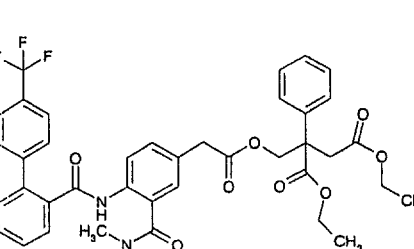
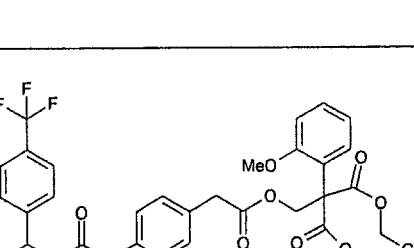
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-71		1.24(6H, t, J=7.2Hz), 2.84(3H, br-s), 2.95(3H, brs), 3.44(2H, s), 4.12-4.35(4H, m), 4.94(2H, s), 7.00(1H, d, J=2.2Hz), 7.05-7.73(13H, m), 8.31(1H, d, J=8.3Hz), 9.19(1H, brs).
2-72		1.22(6H, t, J=7.1Hz), 2.81(3H, brs), 2.94(3H, brs), 3.51(2H, s), 4.21(4H, q, J=7.1Hz), 4.80(2H, s), 7.03(1H, d, J=2.2Hz), 7.14-7.64(12H, m), 7.70(1H, dd, J=1.6Hz, J=7.2Hz), 8.36(1H, d, J=8.6Hz), 9.19(1H, brs).
2-73		1.21(6H, t, J=7.2Hz), 2.80(3H, brs), 2.94(3H, brs), 3.50(2H, s), 4.20(4H, q, J=7.2Hz), 4.80(2H, s), 7.02(1H, d, J=2.3Hz), 7.18-7.71(13H, m), 8.36(1H, d, J=8.3Hz), 9.18(1H, brs).
2-74		1.16(3H, t, J=7.0Hz), 1.18(3H, t, J=7.2Hz), 2.82(3H, brs), 2.93(3H, brs), 3.04(1H, d, J=16.5Hz), 3.20(1H, d, J=16.5Hz), 3.46(2H, s), 4.04-4.20(4H, m), 4.75(1H, d, J=11.4Hz), 4.82(1H, d, J=11.4Hz), 6.98(1H, d, J=2.2Hz), 7.11-7.72(14H, m), 8.34(1H, d, J=8.8Hz), 9.17(1H, brs).
2-75		1.22(6H, t, J=7.1Hz), 2.84(3H, brs), 2.94(3H, brs), 3.44(2H, s), 3.74(3H, s), 4.22(4H, q, J=7.1Hz), 4.81(2H, s), 6.84-7.71(14H, m), 8.32(1H, d, J=8.7Hz), 9.18(1H, brs).

Table 33

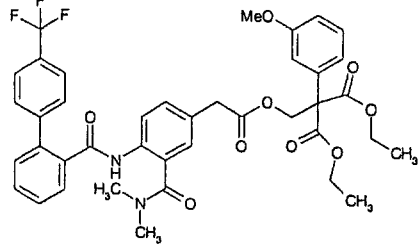
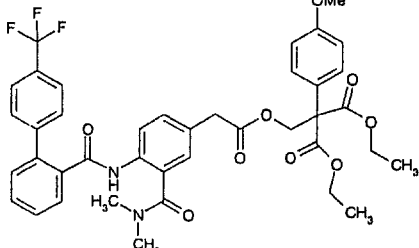
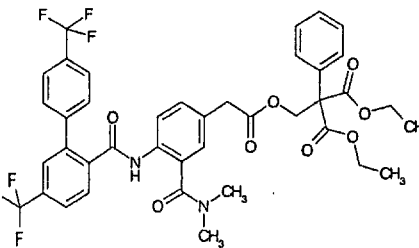
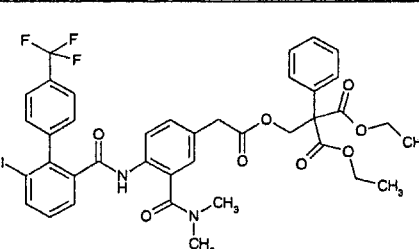
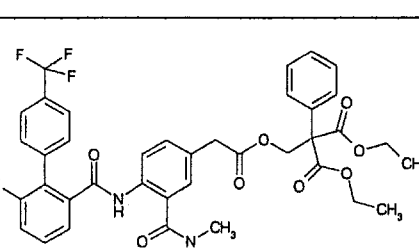
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-76		1.21(6H, t, J=7.1Hz), 2.82(3H, brs), 2.94(3H, brs), 3.51(2H, s), 3.77(3H, s), 4.20(4H, q, J=7.1Hz), 4.81(2H, s), 6.83-6.91(3H, m), 7.04(1H, d, J=1.9Hz), 7.18-7.71(10H, m), 8.35(1H, d, J=8.3Hz), 9.19(1H, brs).
2-77		1.21(6H, t, J=7.1Hz), 2.80(3H, brs), 2.93(3H, brs), 3.51(2H, s), 3.79(3H, s), 4.20(4H, q, J=7.1Hz), 4.80(2H, s), 6.84(2H, dt, J=8.6Hz, J=3.4Hz), 7.03(1H, d, J=1.9Hz), 7.19-7.71(11H, m), 8.36(1H, d, J=8.7Hz), 9.18(1H, brs).
2-78	 m.p. 118-119	1.21(6H, t, J=7.2Hz), 2.82(3H, brs), 2.94(3H, brs), 3.52(2H, s), 4.21(4H, q, J=7.2Hz), 4.83(2H, s), 7.05(1H, s), 7.19-7.30(6H, m), 7.61-7.84(7H, m), 8.34(1H, d, J=8.3Hz), 9.40(1H, brs)
2-79	 m.p. 135-138	1.20(6H, t, J=7.2Hz), 2.86(3H, brs), 3.06(3H, brs), 3.50(2H, s), 4.20(4H, q, J=7.2Hz), 4.82(2H, s), 7.06(1H, s), 7.15(1H, dd, J=2.1, 8.3Hz), 7.28-7.63(12H, m), 8.14(1H, d, J=8.3Hz), 9.25(1H, brs)
2-80	 m.p. 128-130	1.21(6H, t, J=7.0Hz), 2.83(3H, brs), 3.00(3H, brs), 3.51(2H, s), 4.21(4H, q, J=7.0Hz), 4.82(2H, s), 7.05(1H, d, J=2.2Hz), 7.19(1H, dd, J=2.2, 8.4Hz), 7.25-7.65(12H, m), 8.27(1H, d, J=8.4Hz), 9.28(1H, brs)

Table 34

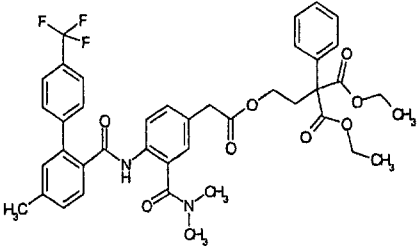
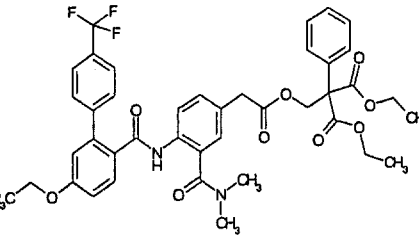
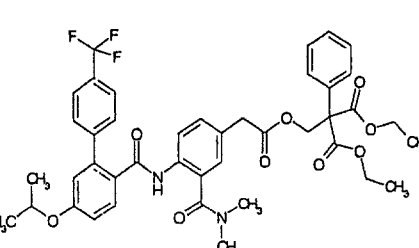
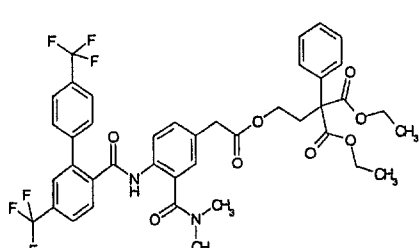
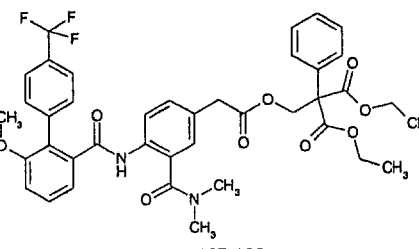
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-81		1.24(6H, t, J=7.2Hz), 2.44(3H, s), 2.61(3H, t, J=7.1Hz), 2.86(3H, brs), 2.95(3H, brs), 3.46(2H, s), 4.07(2H, t, J=7.1Hz), 4.23(4H, q, J=7.2Hz), 4.82(2H, s), 7.07-7.63(14H, m), 8.37(1H, d, J=8.7Hz), 9.12(1H, brs)
2-82		1.21(6H, t, J=7.1Hz), 1.45(3H, t, J=7.2Hz), 2.81(3H, brs), 2.95(3H, brs), 3.50(2H, s), 4.11(2H, q, J=7.2Hz), 4.21(4H, q, J=7.1Hz), 4.82(2H, s), 6.86(1H, d, J=2.6Hz), 6.97(1H, dd, J=2.6, 8.7Hz), 7.03(1H, d, J=1.9Hz), 7.18(1H, dd, J=1.9, 8.7Hz), 7.28-7.30(5H, m), 7.56-7.67(5H, m), 8.36(1H, d, J=8.7Hz), 9.17(1H, brs)
2-83		1.21(6H, t, J=7.2Hz), 1.28(6H, d, J=6.0Hz), 2.81(3H, brs), 2.95(3H, brs), 4.21(4H, q, J=7.2Hz), 4.64(1H, sept, J=6.0Hz), 4.82(2H, s), 6.85(1H, d, J=2.2Hz), 6.96(1H, dd, J=2.6, 8.7Hz), 7.03(1H, d, J=2.2Hz), 7.18(1H, dd, J=8.7, 1.9Hz), 7.28-7.30(5H, m), 7.56-7.66(5H, m), 8.36(1H, d, J=8.3Hz), 9.16(1H, brs)
2-84		1.24(6H, t, J=7.2Hz), 2.61(2H, t, J=7.1Hz), 2.89(3H, brs), 2.94(3H, brs), 3.47(2H, s), 4.08(2H, t, J=7.1Hz), 4.24(4H, q, J=7.2Hz), 7.11-7.39(7H, m), 7.61-7.83(7H, m), 8.34(1H, d, J=8.7Hz), 9.36(1H, brs)
2-85	 m.p. 107-109	1.20(6H, t, J=7.2Hz), 2.81(3H, brs), 3.02(3H, brs), 3.49(2H, s), 3.78(3H, s), 4.20(4H, q, J=7.2Hz), 4.81(2H, s), 7.02(1H, d, J=1.9Hz), 7.07(1H, d, J=8.3Hz), 7.15(1H, dd, J=2.3, 8.7Hz), 7.24-7.30(6H, m), 7.41-7.60(5H, m), 8.22(1H, d, J=8.7Hz), 9.05(1H, brs)

Table 35

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-86	m.p. 125-129	1.21(6H, t, J=7.2Hz), 2.48(3H, s), 2.69(3H, brs), 2.91(3H, brs), 3.50(2H, s), 4.21(4H, q, J=7.2Hz), 4.82(2H, s), 6.99(1H, d, J=2.2Hz), 7.20(1H, dd, J=1.5, 8.3Hz), 7.28-7.41(8H, m), 7.57-7.64(4H, m), 8.18(1H, d, J=8.6Hz), 8.81(1H, brs)
2-87		1.23(6H, t, J=7.2Hz), 3.00(3H, brs), 3.03(3H, brs), 3.58(2H, s), 4.22(4H, q, J=7.2Hz), 4.85(2H, s), 7.18(1H, d, J=1.9Hz), 7.28-7.33(6H, m), 7.79(1H, d, J=7.9Hz), 7.92(1H, d, J=7.9Hz), 7.99(1H, s), 8.35(1H, d, J=8.3Hz), 9.46(1H, s)
2-88	m.p. 129-131	1.21(6H, t, J=7.2Hz), 2.33(3H, s), 2.76(3H, brs), 2.93(3H, brs), 3.50(2H, s), 4.21(4H, q, J=7.2Hz), 4.82(2H, s), 6.99(1H, d, J=1.2Hz), 7.14-7.51(13H, m), 7.65(1H, d, J=7.6Hz), 8.34(1H, d, J=8.6Hz), 8.78(1H, brs)
2-89	m.p. 81-82	1.24(6H, t, J=7.2Hz), 1.29(3H, t, J=7.6Hz), 2.92(2H, q, J=7.6Hz), 3.03(6H, brs), 3.57(2H, s), 4.23(4H, q, J=7.2Hz), 4.85(2H, s), 7.18(1H, d, J=2.3Hz), 7.27-7.33(6H, m), 7.51-7.60(3H, m), 8.40(1H, d, J=8.2Hz), 9.51(1H, brs)
2-90	m.p. 110-112	1.21(6H, t, J=7.1Hz), 1.22(3H, t, J=6.9Hz), 2.64(3H, q, J=6.9Hz), 2.75(3H, brs), 2.93(3H, brs), 3.50(2H, s), 4.21(4H, q, J=7.1Hz), 4.82(2H, s), 6.99(1H, d, J=1.8Hz), 7.17-7.66(14H, m), 8.30(1H, d, J=8.3Hz), 8.76(1H, brs)

Table 36

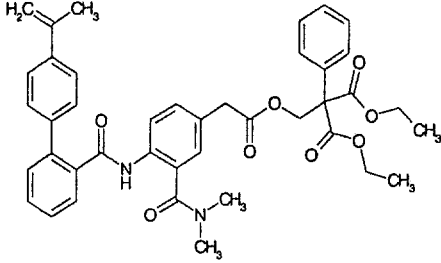
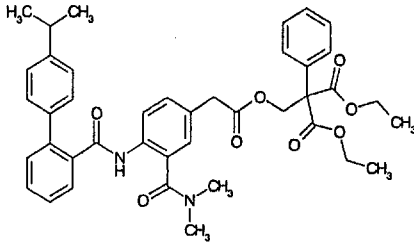
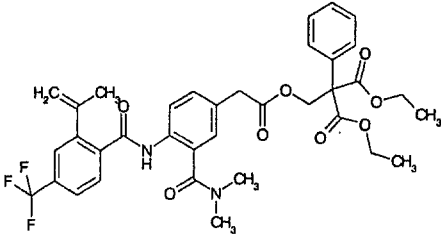
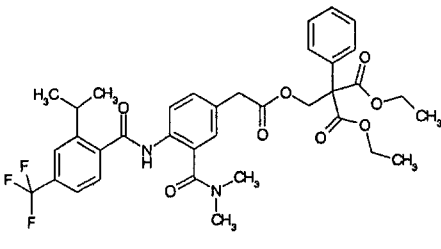
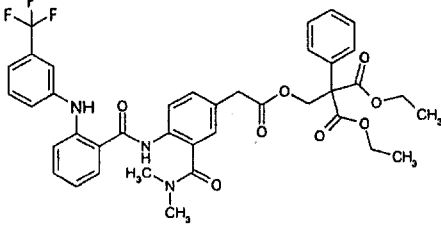
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-91		1.21(6H, t, J=7.1Hz), 2.13(3H, s), 2.71(3H, brs), 2.89(3H, brs), 3.49(2H, s), 4.20(4H, q, J=7.1Hz), 4.82(2H, s), 5.10(1H, s), 5.43(1H, s), 6.98(1H, d, J=2.2Hz), 7.19(1H, dd, J=1.9, 8.3Hz), 7.28-7.53(12H, m), 7.67(1H, d, J=7.6Hz), 8.39(1H, d, J=8.6Hz), 8.87(1H, brs)
2-92	 m.p. 107-110	1.21(6H, t, J=7.1Hz), 1.21(6H, t, J=7.1Hz), 2.76(3H, brs), 2.89(1H, sept, J=7.1Hz), 2.94(3H, brs), 3.50(2H, s), 4.21(4H, q, J=7.1Hz), 4.82(2H, s), 7.01(1H, d, J=1.9Hz), 7.14-7.51(13H, m), 7.62(1H, d, J=6.4Hz), 8.21(1H, d, J=8.3Hz), 8.70(1H, brs)
2-93		1.23(6H, t, J=7.2Hz), 2.12(3H, s), 2.99(3H, brs), 3.04(3H, brs), 3.56(2H, s), 4.23(4H, q, J=7.2Hz), 4.85(2H, s), 5.15(1H, s), 5.23(1H, s), 7.15(1H, d, J=1.8Hz), 7.25-7.31(6H, m), 7.55(1H, s), 7.61(1H, d, J=7.9Hz), 7.73(1H, d, J=7.9Hz), 8.36(1H, d, J=8.3Hz), 9.35(1H, m)
2-94		1.24(6H, t, J=7.2Hz), 1.29(6H, t, J=6.8Hz), 3.03(6H, brs), 3.44(1H, sept, J=6.8Hz), 3.57(2H, s), 4.23(4H, q, J=7.2Hz), 4.85(2H, s), 7.18(1H, d, J=1.9Hz), 7.26-7.33(6H, m), 7.52(2H, s), 7.63(1H, s), 8.41(1H, d, J=8.3Hz), 9.44(1H, brs)
2-95		1.23(6H, t, J=7.1Hz), 3.01(3H, brs), 3.10(3H, brs), 3.57(2H, s), 4.23(4H, q, J=7.1Hz), 4.85(2H, s), 6.91-6.96(1H, m), 7.20-7.41(12H, m), 7.46(1H, s), 7.66(1H, d, J=7.7Hz), 8.29(1H, d, J=8.3Hz), 9.78(1H, s), 10.17(1H, brs)

Table 37

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-96		1.21(6H, t, J=7.1Hz), 2.75(3H, brs), 3.02(3H, brs), 3.55(2H, s), 4.20(4H, q, J=7.1Hz), 4.84(2H, s), 6.92(1H, d, J=8.3Hz), 7.15-7.68(14H, m), 8.07(1H, dd, J=1.3, 7.7Hz)
2-97		1.22(6H, t, J=7.1Hz), 2.83(3H, brs), 2.86(3H, brs), 3.53(2H, s), 4.21(4H, q, J=7.1Hz), 4.83(2H, s), 6.85(1H, d, J=7.7Hz), 7.07(1H, d, J=2.0Hz), 7.20-7.52(12H, m), 8.25(1H, dd, J=1.8, 7.9Hz), 8.41(1H, d, J=8.5Hz), 10.48(1H, brs)
2-98		0.65(6H, t, J=7.4Hz), 1.69(4H, q, J=7.4Hz), 2.81(3H, brs), 2.94(3H, brs), 3.50(2H, s), 4.30(2H, s), 7.02(1H, d, J=1.8Hz), 7.18-7.62(13H, m), 7.70(1H, d, J=7.3Hz), 8.35(1H, d, J=8.5Hz), 9.15(1H, brs)
2-99		1.21(6H, t, J=7.1Hz), 2.87(6H, brs), 3.53(2H, s), 4.21(4H, q, J=7.1Hz), 4.83(2H, s), 6.92(1H, d, J=7.6Hz), 7.08(1H, d, J=2.1Hz), 7.20-7.48(10H, m), 7.63(2H, d, J=8.7Hz), 8.23(1H, dd, J=1.7, 7.9Hz), 8.35(1H, d, J=8.5Hz), 10.38(1H, brs)
2-100		0.85-0.93(4H, m), 2.78(3H, brs), 2.93(3H, brs), 3.52(2H, s), 4.16(2H, s), 7.04(1H, d, J=2.1Hz), 7.17-7.61(13H, m), 7.70(1H, dd, J=1.5, 7.2Hz), 8.35(1H, d, J=9.0Hz), 9.15(1H, brs)

Table 38

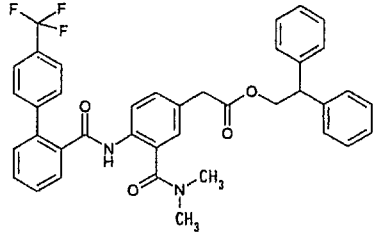
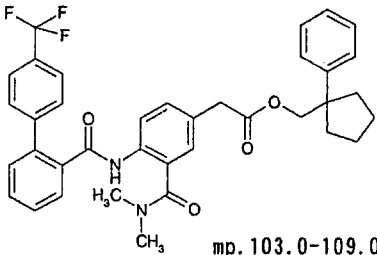
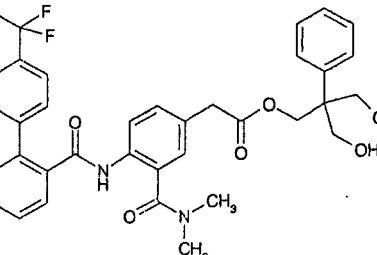
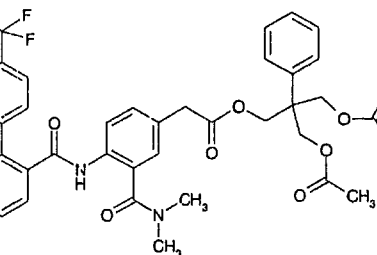
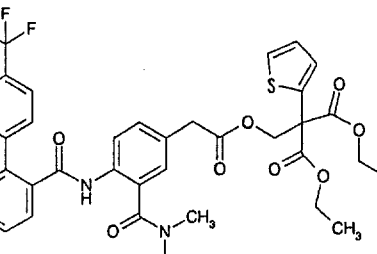
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-101		2.79(3H, brs), 2.94(3H, brs), 3.45(2H, s), 4.31(1H, t, J=7.6Hz), 4.62(2H, d, J=7.6Hz), 6.96(1H, d, J=2.0Hz), 7.15-7.69(19H, m), 8.30(1H, d, J=8.5Hz), 9.15(1H, brs)
2-102		1.62-1.76(4H, m), 1.82-1.99(4H, m), 2.78(3H, brs), 2.93(3H, brs), 3.44(2H, s), 4.08(2H, s), 6.97(1H, d, J=2.0Hz), 7.09-7.26(6H, m), 7.35-7.72(8H, m), 8.34(1H, d, J=8.5Hz), 9.15(1H, brs)
2-103		2.40(1H, t, J=5.7Hz), 2.42(1H, t, J=6.3Hz), 3.53(2H, s), 2.80(3H, brs), 2.93(3H, brs), 3.82(2H, dd, J=6.3, 11.4Hz), 3.96(2H, dd, J=5.7, 11.4Hz), 4.58(2H, s), 7.01(1H, d, J=1.8Hz), 7.14-7.71(14H, m), 8.29(1H, d, J=8.4Hz), 9.05(1H, brs)
2-104		1.98(6H, s), 2.83(3H, brs), 2.93(3H, brs), 3.49(2H, s), 4.40(4H, s), 4.44(2H, s), 7.00(1H, d, J=2.2Hz), 7.15(1H, dd, J=2.2, 8.4Hz), 7.22-7.65(12H, m), 7.70(1H, dd, J=1.9, 7.0Hz), 8.32(1H, d, J=8.4Hz), 9.13(1H, brs)
2-105		1.23(6H, t, J=7.1Hz), 2.83(3H, brs), 2.94(3H, brs), 3.51(2H, s), 4.22(4H, q, J=7.1Hz), 4.82(2H, s), 6.94-7.04(3H, m), 7.16-7.71(10H, m), 8.34(1H, d, J=8.5Hz), 9.17(1H, brs)

Table 39

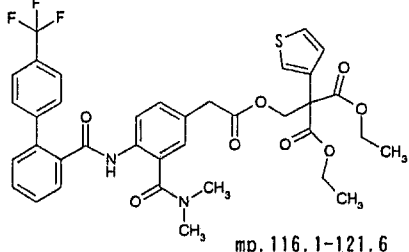
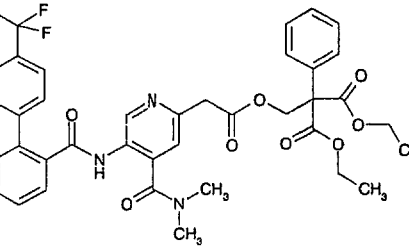
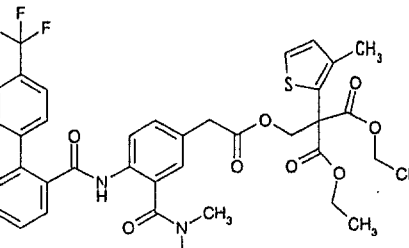
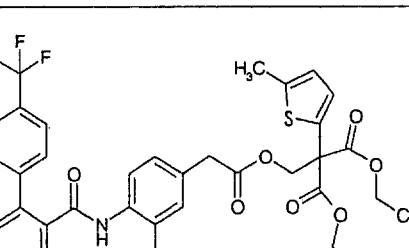
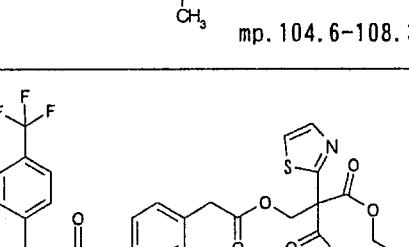
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-106	 mp. 116.1-121.6	1.22(6H, t, J=7.1Hz), 2.81(3H, brs), 2.93(3H, brs), 3.50(2H, s), 4.20(4H, q, J=7.1Hz), 4.79(2H, s), 7.01-7.04(2H, m), 7.25-7.71(11H, m), 8.35(1H, d, J=8.5Hz), 9.17(1H, brs)
2-107	 mp. 116.1-121.6	1.25(6H, t, J=7.1Hz), 2.75(3H, brs), 2.92(3H, brs), 3.78(2H, s), 4.22(4H, q, J=7.1Hz), 4.85(2H, s), 6.98(1H, s), 7.28-7.72(13H, m), 8.71(1H, s), 9.52(1H, brs)
2-108	 mp. 116.1-121.6	1.22(6H, t, J=7.2Hz), 2.13(3H, s), 2.85(3H, brs), 2.93(3H, brs), 3.50(2H, s), 4.16-4.26(4H, m), 4.80(2H, s), 6.78(1H, d, J=5.1Hz), 7.05(1H, d, J=2.2Hz), 7.15(1H, d, J=5.2Hz), 7.16-7.64(8H, m), 7.69(1H, dd, J=1.5, 7.3Hz), 8.33(1H, d, J=8.5Hz), 9.16(1H, brs)
2-109	 mp. 104.6-108.3	1.22(6H, t, J=7.2Hz), 2.44(3H, s), 2.84(3H, brs), 2.94(3H, brs), 3.52(2H, s), 4.20(4H, q, J=7.2Hz), 4.78(2H, s), 6.58(1H, dd, J=1.2, 3.5Hz), 6.76(1H, d, J=3.5Hz), 7.04(1H, d, J=2.0Hz), 7.20(1H, dd, J=2.1, 8.6Hz), 7.37-7.62(7H, m), 7.68(1H, dd, J=1.4, 7.6Hz), 8.33(1H, d, J=8.4Hz), 9.17(1H, brs)
2-110	 mp. 121.5-124.7	1.22(6H, t, J=7.1Hz), 2.85(3H, brs), 2.94(3H, brs), 3.46(2H, s), 4.23(4H, q, J=7.1Hz), 4.97(2H, s), 7.00(1H, d, J=2.2Hz), 7.13-7.16(1H, m), 7.36(1H, d, J=3.3Hz), 7.38-7.71(8H, m), 7.75(1H, d, J=3.3Hz), 8.31(1H, d, J=8.5Hz), 9.16(1H, brs)

Table 40

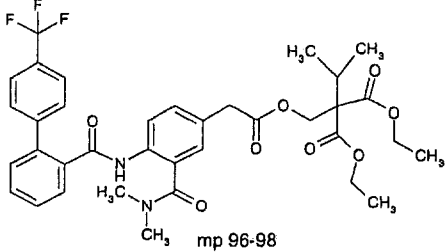
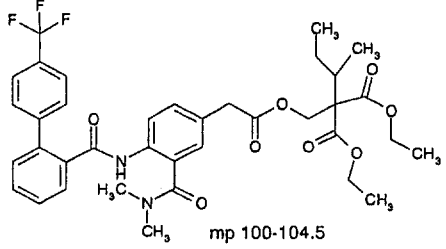
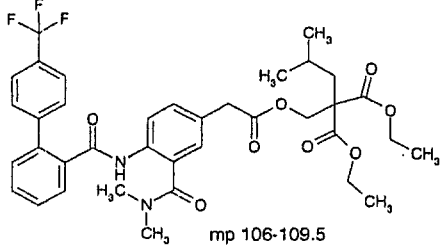
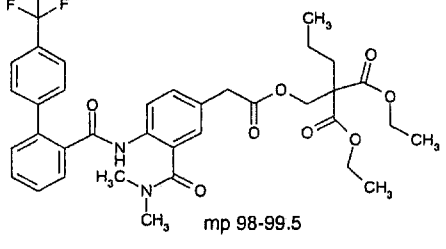
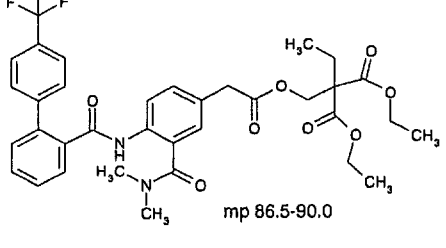
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
2-111	 mp 96-98	0.97(6H, d, J=7.2Hz), 1.21(6H, t, J=7.2Hz), 2.45(1H, sep. J=7.2Hz), 2.88(3H, brs), 2.94(2H, brs), 3.52(2H, s), 4.15(4H, q, J=7.2Hz), 4.50(2H, s), 7.09(1H, d, J=2.3Hz), 7.20-7.72(9H, m), 8.34(1H, d, J=8.3Hz), 9.15(1H, brs).
2-112	 mp 100-104.5	0.90(3H, t, J=7.2Hz), 0.92(3H, t, J=6.5Hz), 0.95-1.10(1H, m), 1.21(3H, t, J=7.2Hz), 1.48-1.62(1H, m), 2.05-2.16(1H, m), 2.88(3H, brs), 2.94(3H, brs), 3.52(2H, s), 4.14(4H, q, J=7.2Hz), 4.51(2H, s), 7.09(1H, d, J=1.9Hz), 7.21-7.72(9H, m), 8.36(1H, d, J=8.3Hz), 9.16(1H, brs).
2-113	 mp 106-109.5	0.83(6H, d, J=6.4Hz), 1.21(6H, t, J=7.2Hz), 1.51-1.65(1H, m), 1.88(2H, d, J=6.4Hz), 2.88(3H, brs), 2.94(3H, brs), 3.53(2H, s), 4.15(4H, q, J=7.2Hz), 4.52(2H, s), 7.08(1H, d, J=2.3Hz), 7.22-7.71(9H, m), 8.37(1H, d, J=8.3Hz), 9.16(1H, brs).
2-114	 mp 98-99.5	0.88(3H, t, J=7.2Hz), 1.09-1.31(2H, m), 1.21(6H, t, J=7.2Hz), 1.79-1.93(2H, m), 2.89(3H, brs), 2.94(3H, brs), 3.53(2H, s), 4.15(4H, q, J=7.2Hz), 4.49(2H, s), 7.09(1H, brs), 7.21-7.74(9H, m), 8.37(1H, d, J=8.3Hz), 9.15(1H, brs).
2-115	 mp 86.5-90.0	0.83(3H, t, J=7.5Hz), 1.21(6H, t, J=7.2Hz), 1.96(2H, q, J=7.5Hz), 2.88(3H, brs), 2.94(3H, brs), 3.53(2H, s), 4.16(4H, q, J=7.2Hz), 4.50(2H, s), 7.08(1H, d, J=1.9Hz), 7.21-7.74(9H, m), 8.36(1H, d, J=8.7Hz), 9.15(1H, brs).

Table 41

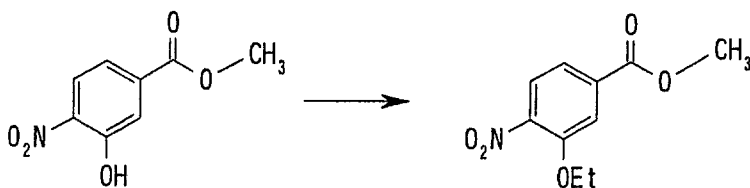
Example	Structure	NMR (δ , 300MHz, CDCl_3)
2-116	mp 97.5-98.0	0.86(3H, t, $J=7.2\text{Hz}$), 1.06-1.36(4H, m), 1.21(6H, t, $J=7.2\text{Hz}$), 1.84-1.94(2H, m), 2.88(3H, brs), 2.94(3H, brs), 3.53(2H, s), 4.15(4H, q, $J=7.2\text{Hz}$), 4.49(2H, s), 7.08(1H, d, $J=2.3\text{Hz}$), 7.21-7.71(9H, m), 8.37(1H, d, $J=8.6\text{Hz}$), 9.16(1H, brs).
2-117	mp. 76.9-82.0	1.21(6H, t, $J=7.1\text{Hz}$), 2.67(2H, d, $J=7.4\text{Hz}$), 2.87(3H, brs), 2.95(3H, brs), 3.54(2H, s), 4.10-4.20(4H, m), 4.47(2H, s), 5.00-5.10(2H, m), 5.56-5.67(1H, m), 7.09(1H, d, $J=2.1\text{Hz}$), 7.24(1H, d, $J=2.0\text{Hz}$), 7.38-7.68(7H, m), 7.70(1H, d, $J=1.4\text{Hz}$), 8.37(1H, d, $J=8.4\text{Hz}$), 9.14(1H, brs)
2-118		1.25(9H, t, $J=7.2\text{Hz}$), 2.89(3H, brs), 2.95(3H, brs), 3.56(2H, s), 4.23(6H, q, $J=7.2\text{Hz}$), 4.70(2H, s), 7.11(1H, d, $J=1.8\text{Hz}$), 7.24(1H, d, $J=2.2\text{Hz}$), 7.28-7.70(8H, m), 8.36(1H, d, $J=8.8\text{Hz}$), 9.16(1H, brs)
2-119	mp. 72.3-78.6	0.87(3H, t, $J=7.2\text{Hz}$), 0.92(3H, t, $J=6.8\text{Hz}$), 1.00-1.16(2H, m), 1.20(6H, t, $J=7.1\text{Hz}$), 1.38-1.53(2H, m), 2.18-2.23(1H, m), 2.88(3H, brs), 2.95(3H, brs), 3.52(2H, s), 4.08-4.18(4H, m), 4.50(2H, d, $J=2.1\text{Hz}$), 7.08(1H, d, $J=1.9\text{Hz}$), 7.22-7.69(9H, m), 8.35(1H, d, $J=8.6\text{Hz}$), 9.15(1H, brs)

Example 3

2-(2-{3-Ethoxy-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetoxymethyl)-2-phenylmalonic acid diethyl ester

5

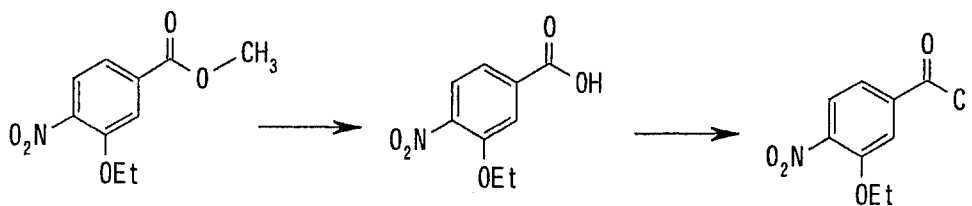
a) 3-Ethoxy-4-nitrobenzoic acid methyl ester



To a suspension of sodium hydride (60% mineral oil: 1.20g) in dimethylformamide (50 mL) was added 3-hydroxy-4-nitrobenzoic acid methyl ester (4.93 g) under ice-cooling, and the mixture was stirred at room temperature for 30 minutes. After addition of ethyl iodide (4.4 g), the solution was stirred at 60°C overnight, cooled down to room temperature, poured into saturated aqueous ammonium chloride, and extracted with ethyl acetate-tetrahydrofuran. The organic layer was washed with saturated aqueous ammonium chloride and saturated brine, dried over sodium sulfate and concentrated to give a solid, which was washed with ethyl acetate-hexane to afford 3-ethoxy-4-nitrobenzoic acid methyl ester (3.30 g) as a pale yellow solid.

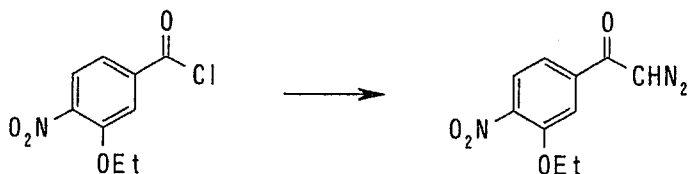
20

b) 3-Ethoxy-4-nitrobenzoic acid chloride



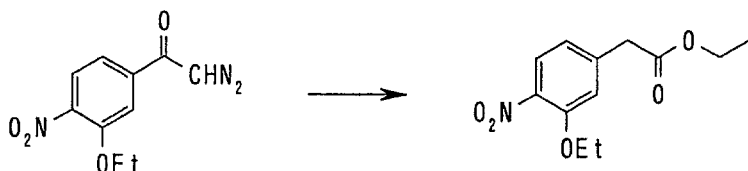
3-Ethoxy-4-nitrobenzoic acid chloride was obtained in a similar manner to Example 1 f) and Example 1 d) from the 3-ethoxy-4-nitrobenzoic acid methyl ester obtained in Example 3 a).

5 c) 2'-Diazo-3-ethoxy-4-nitroacetophenone



A solution of the 3-ethoxy-4-nitrobenzoic acid chloride (2.06 g) obtained in Example 3 b) in diethyl ether (30 mL) was added dropwise to a mixture of diazomethane in diethyl ether
 10 (0.35M, 64 mL) and triethylamine (3.12 mL) under ice-cooling. The mixture was stirred for 2 hours under ice-cooling and the temperature was raised to room temperature, followed by stirring overnight. After addition of acetic acid (1 mL), the mixture was stirred at room temperature for one hour and
 15 evaporated to remove the solvent. The residue was purified by column chromatography on silica gel with hexane:ethyl acetate=5:2 to give the title compound (1.80 g) as a yellow solid.

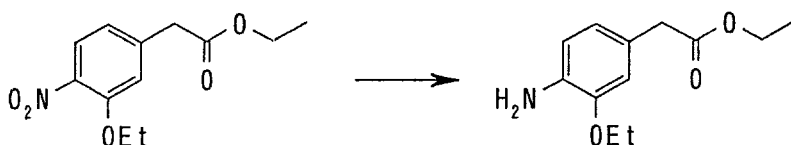
20 d) 3-Ethoxy-4-nitrophenylacetic acid ethyl ester



A solution of silver benzoate (270 mg) in triethylamine

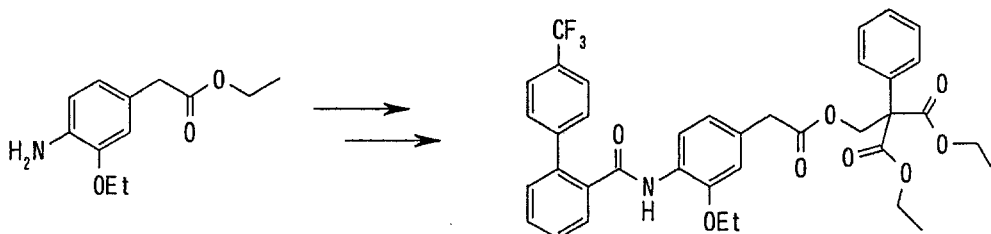
(2.7 ml) was added dropwise in 10 divided doses to a solution of the 2'-diazo-3-ethoxy-4-nitroacetophenone (1.80 g) obtained in Example 3 c) in ethanol (25 mL) under reflux. The mixture was refluxed for 9 hours and the reaction solution was filtered through a Celite pad. The filtrate was concentrated, and the concentrate was diluted with diethyl ether and washed with 10% aqueous sodium carbonate, water and saturated brine. The organic layer was dried over sodium sulfate and purified by column chromatography on silica gel with hexane:ethyl acetate=4:1 to give the title compound (1.27 g) as a yellow solid.

e) 4-Amino-3-ethoxyphenylacetic acid ethyl ester



The 3-ethoxy-4-nitroacetic acid methyl ester (1.27 g) obtained in Example 3 d) was subjected to reactions similar to those in Example 1-3 d) to give the title compound (1.12 g) as a brown oil.

f) 2-(2-{3-Ethoxy-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetoxymethyl)-2-phenylmalonic acid diethyl ester

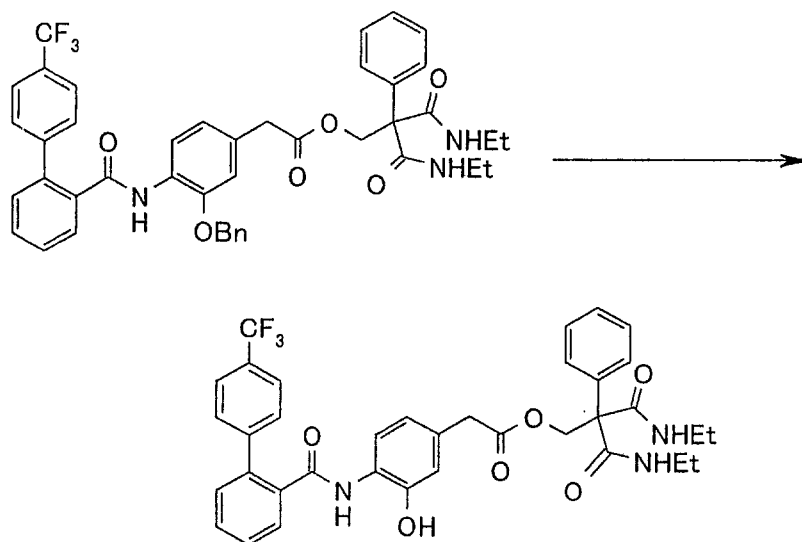


The 4-amino-3-ethoxyphenylacetic acid ethyl ester obtained in Example 3 e) and the 2-hydroxymethyl-2-

phenylmalonic acid diethyl ester obtained in Example 1-2 a) were subjected to reactions similar to those in Examples 1 e), 1 f) and 1 g) to give the title compound (0.159 g) (see Table 42).

5 Example 3-2

{3-Hydroxy-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetic acid 2,2-bisethylcarbamoyl-2-phenylethyl ester



10 {3-Benzoyloxy-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetic acid 2,2-bisethylcarbamoyl-2-phenylethyl ester (300 mg) obtained in a similar manner to Example 3, except that reduction of the nitro group was carried out with iron dust, was subjected to reactions in a similar
15 manner to Example 1-2 c) to give the title compound (244 mg) (See Table 42).

Examples 3-3 to 3-16

Compounds of Examples 3-3 to 3-16 were obtained in a

similar manner to Examples 3 to 3-2. The compounds thus obtained were shown in Tables 42 to 45.

Table 42

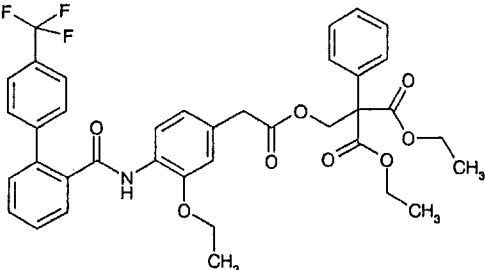
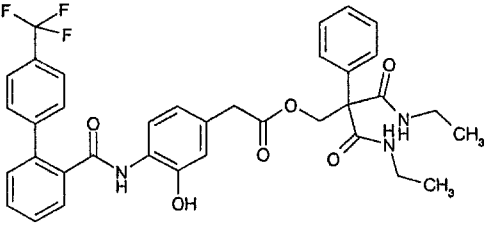
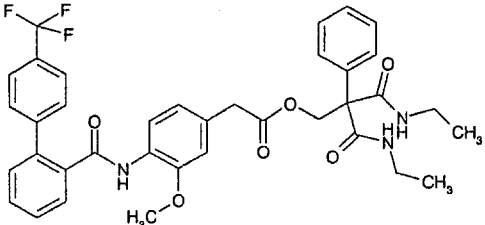
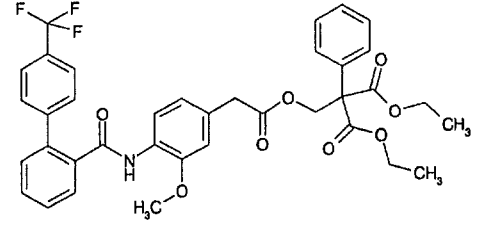
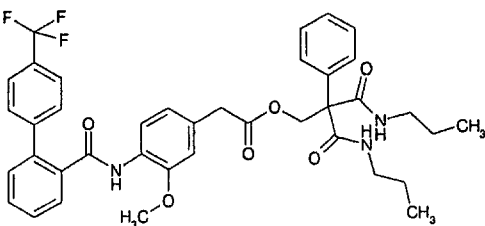
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
3		1.19(6H, t, J=7.1Hz), 1.20(3H, t, J=7.1Hz), 3.49(2H, s), 3.77(2H, q, J=7.1Hz), 4.18(4H, q, J=7.1Hz), 4.83(2H, s), 6.59(1H, d, J=1.5Hz), 6.75(1H, dd, J=1.5, 8.2Hz), 7.25-7.33(5H, m), 7.44(1H, dd, J=1.5, 7.2Hz), 7.49-7.66(6H, m), 7.70-7.76(1H, m), 7.80(1H, dd, J=1.5, 7.2Hz), 8.35(1H, d, J=8.0Hz)
3-2		1.05(6H, t, J=7.2Hz), 3.23(4H, dq, J=7.2, 7.2Hz), 3.48(2H, s), 4.83(2H, s), 6.48(1H, d, J=7.9Hz), 6.55(1H, dd, J=7.9, 1.9Hz), 6.77(1H, d, J=1.5Hz), 7.17-7.86(15H, m), 8.58(1H, s)
3-3	 m.p. 112-114	1.05(6H, t, J=7.2Hz), 3.23(4H, dq, J=7.2, 7.2Hz), 3.53(2H, s), 3.55(3H, s), 4.83(2H, s), 6.60(1H, s), 6.73(1H, d, J=7.9Hz), 7.10-7.66(15H, m), 7.85(1H, dd, J=7.5, 1.5Hz), 8.33(1H, d, J=7.9Hz)
3-4		1.19(6H, t, J=6.9Hz), 3.50(5H, s), 4.17(4H, q, J=6.9Hz), 4.83(2H, s), 6.58(1H, s), 6.75(1H, d, J=6.9Hz), 7.26-7.65(13H, m), 7.85(1H, d, J=7.3Hz), 8.31(1H, d, J=8.1Hz)
3-5		0.84(6H, t, J=7.2Hz), 1.43(4H, tq, J=7.2, 7.2Hz), 3.16(4H, m), 3.52(2H, s), 3.55(3H, s), 4.83(2H, s), 6.60(1H, br.s), 6.72(1H, dd, J=8.3, 1.5Hz), 7.12-7.66(15H, m), 7.84(1H, dd, J=7.9, 1.9Hz), 8.33(1H, d, J=7.9Hz)

Table 43

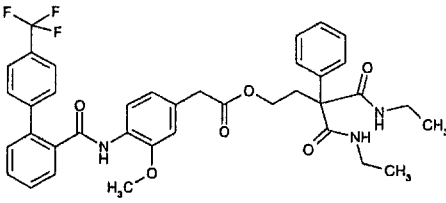
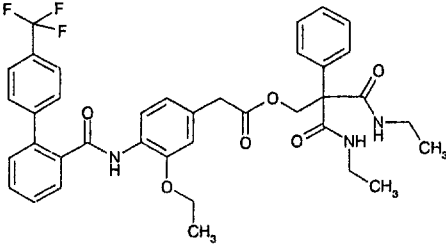
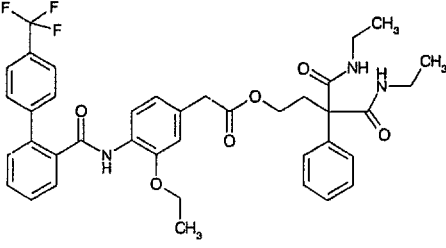
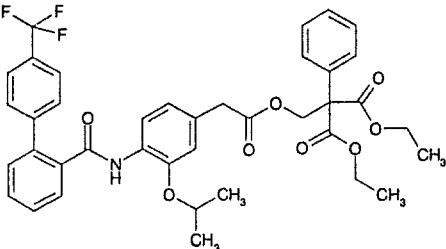
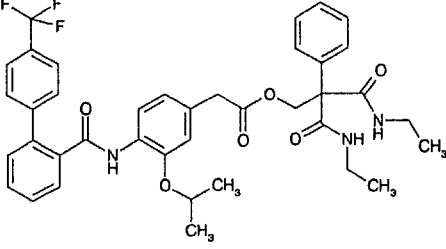
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
3-6		1.13(6H, t, J=7.2Hz), 2.55(2H, t, J=8.0Hz), 3.30(4H, dq, J=7.2, 7.2Hz), 3.53(2H, s), 3.57(3H, s), 4.12(2H, t, J=8.0Hz), 6.67(1H, br.s), 6.82(1H, dd, J=8.3, 1.9Hz), 7.26-7.66(15H, m), 7.84(1H, dd, J=7.9, 1.9Hz), 8.33(1H, d, J=7.9Hz)
3-7		1.05(6H, t, J=7.2Hz), 1.24(3H, t, J=7.1Hz), 3.17-3.29(4H, m), 3.52(2H, s), 3.83(2H, q, J=7.1Hz), 4.82(2H, s), 6.60(1H, d, J=1.5Hz), 6.69-6.76(1H, m), 7.04-7.14(2H, m), 7.15-7.22(2H, m), 7.25-7.34(3H, m), 7.41-7.47(1H, m), 7.49-7.66(6H, m), 7.73(1H, br.s), 7.77-7.83(1H, m), 8.37(1H, d, J=8.3Hz)
3-8		1.13(6H, t, J=7.2Hz), 1.24(3H, t, J=7.1Hz), 2.49-2.59(2H, m), 3.31(4H, dq, J=5.3, 7.2Hz), 3.52(2H, s), 3.87(2H, q, J=7.1Hz), 4.07-4.17(2H, m), 6.68(1H, d, J=1.5Hz), 6.78-6.84(1H, m), 7.23-7.37(5H, m), 7.41-7.47(1H, m), 7.48-7.66(8H, m), 7.73(1H, s), 7.76-7.82(1H, m), 8.37(1H, d, J=8.3Hz)
3-9		1.10(6H, d, J=6.0Hz), 1.19(6H, t, J=7.2Hz), 3.48(2H, s), 4.19(4H, q, J=7.2Hz), 4.36(1H, sept, J=6.0Hz), 4.82(2H, s), 6.62(1H, d, J=1.5Hz), 6.70-6.77(1H, m), 7.27-7.32(5H, m), 7.41-7.46(1H, m), 7.49-7.67(6H, m), 7.72-7.82(2H, m), 8.39(1H, d, J=8.2Hz)
3-10		1.05(6H, t, J=7.2Hz), 1.13(6H, d, J=6.1Hz), 3.23(4H, dq, J=5.6, 7.2Hz), 3.52(2H, s), 4.40(1H, sept, J=6.1Hz), 4.82(2H, s), 6.62(1H, d, J=1.5Hz), 6.67-6.75(1H, m), 7.10(2H, br-t, J=5.6Hz), 7.16-7.23(2H, m), 7.24-7.35(3H, m), 7.44(1H, dd, J=1.5, 7.6Hz), 7.50-7.70(6H, m), 7.71-7.82(2H, m), 8.40(1H, d, J=8.3Hz)

Table 44

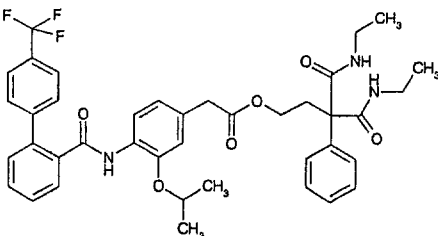
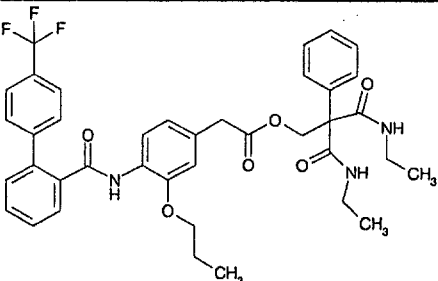
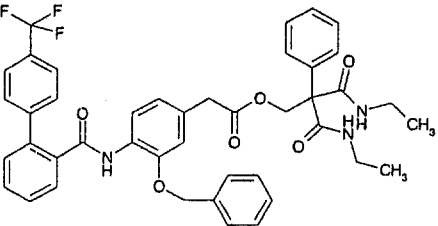
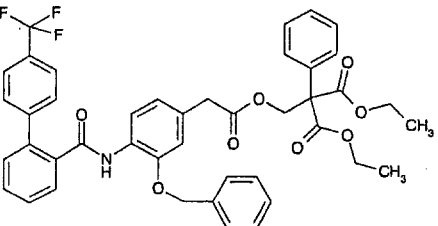
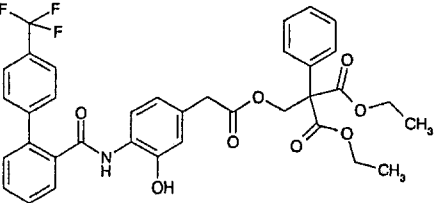
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
3-11		1.13(6H, t, J=7.2Hz), 1.13(6H, d, J=6.1Hz), 2.48-2.60(2H, m), 3.31(4H, dq, J=5.7, 7.2Hz), 3.52(2H, s), 4.07-4.17(2H, m), 4.43(1H, sept, J=6.1Hz), 6.69(1H, d, J=1.5Hz), 6.79(1H, dd, J=1.5, 8.3Hz), 7.23-7.37(5H, m), 7.41-7.46(1H, m), 7.48-7.68(8H, m), 7.72-7.82(2H, m), 8.40(1H, d, J=8.3Hz)
3-12		0.90(3H, t, J=7.4Hz), 1.05(6H, t, J=7.3Hz), 1.53-1.69(2H, m), 3.16-3.30(4H, m), 3.53(2H, s), 3.75(2H, t, J=6.7Hz), 4.82(2H, s), 6.58-6.74(2H, m), 7.02-7.78(16H, m), 8.36(1H, d, J=8.2Hz)
3-13		1.04(6H, t, J=7.2Hz), 3.23(4H, dq, J=7.2, 7.2Hz), 3.52(2H, s), 4.82-4.86(4H, m), 6.70-7.79(16H, m), 7.71(1H, br.s), 7.78(1H, dd, J=7.1, 1.9Hz), 8.40(1H, d, J=8.3Hz)
3-14		1.19(6H, t, J=7.1Hz), 3.49(2H, s), 4.17(4H, q, J=7.1Hz), 4.78(2H, s), 4.83(2H, s), 6.70(1H, d, J=1.9Hz), 6.78(1H, dd, J=8.3, 1.5Hz), 7.21-7.57(12H, m), 7.70(1H, br.s), 7.77(1H, dd, J=7.2, 1.9Hz), 8.38(1H, d, J=8.3Hz)
3-15		1.20(6H, t, J=7.2Hz), 3.47(2H, s), 4.19(4H, q, J=7.2Hz), 4.82(2H, s), 6.29(1H, d, J=7.9Hz), 6.57(1H, dd, J=7.9, 1.9Hz), 6.79(1H, d, J=1.9Hz), 7.18(1H, br.s), 7.28-7.74(11H, m), 7.86(1H, dd, J=7.9, 1.5Hz), 8.39(1H, s)

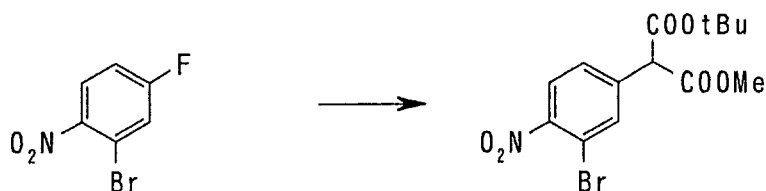
Table 45

Example	Structure	NMR (δ , 300MHz, CDCl_3)
3-16		1.17(6H, t, $J=7.1\text{Hz}$), 3.54(2H, s), 3.72(3H, s), 4.16(4H, q, $J=7.1\text{Hz}$), 4.83(2H, s), 6.72-6.80(3H, m), 7.29-7.66(12H, m), 8.14(1H, dd, $J=1.3$, 7.7Hz)

Example 4

2-{3-Dimethylamino-4-[(4'-trifluoromethylbiphenyl-2-
 5 carbonyl)amino]phenyl}acetic acid 2,2-bisethoxycarbonyl-2-
 phenylethyl ester

a) 2-(3-Bromo-4-nitrophenyl)malonic acid tert-butyl ester
 methyl ester

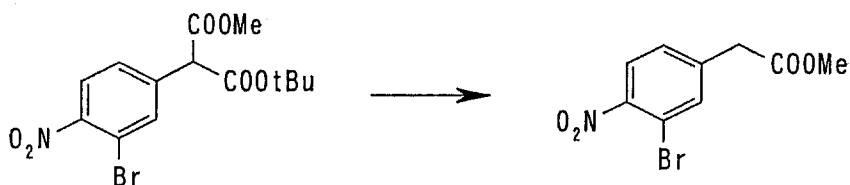


10

Sodium hydride (60%, mineral oil; 0.985 g) was suspended
 in dimethylformamide (20 mL), and a solution of tert-butyl
 methyl malonate (4.29 g) in dimethylformamide (5 mL) was added
 dropwise thereto under ice-cooling. After foam generation is
 15 stopped, a solution of 2-bromo-4-fluoro-1-nitrobenzene (2.71
 g) in dimethylformamide (5 mL) was added dropwise thereto at
 the same temperature, and the mixture was further stirred at

60°C for 3 hours, and then concentrated. The residue was neutralized with 1N hydrochloric acid and extracted with ethyl acetate. The extract was washed with saturated brine, dried over sodium sulfate and concentrated. The residue was purified
 5 by column chromatography on silica gel with ethyl acetate:hexane=1:4 to 1:5 to give the title compound (7.54 g) as an oil.

b) (3-Bromo-4-nitrophenyl)acetic acid methyl ester



10 The 2-(3-bromo-4-nitrophenyl)malonic acid tert-butyl ester methyl ester (1.18 g) obtained in Example 4 a) was dissolved in chloroform (10 mL), trifluoroacetic acid (10 g) was added thereto under ice-cooling, and the mixture was stirred at room temperature for 5 hours. The reaction mixture was
 15 poured gradually into ice and saturated aqueous sodium bicarbonate, and extracted with ethyl acetate. The extract was washed with water and saturated brine, dried over sodium sulfate and concentrated to give the title compound (0.820 g) as a pale yellow oil.

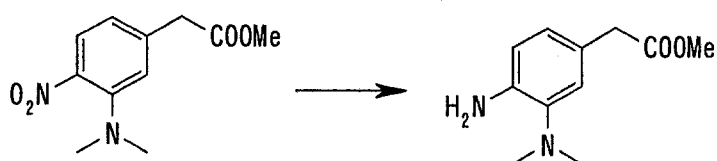
20 c) (3-Dimethylamino-4-nitrophenyl)acetic acid methyl ester



The (3-bromo-4-nitrophenyl)acetic acid methyl ester (0.320 g) obtained in Example 4 b) was dissolved in

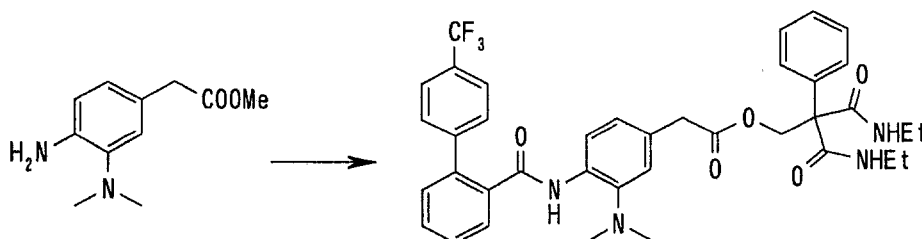
tetrahydrofuran (10 mL). To this solution were added triethylamine (0.237 g) and dimethylamine (2M tetrahydrofuran ; 0.58 mL), and stirred overnight while heating. The reaction mixture was concentrated and purified by column chromatography on silica gel with ethyl acetate:hexane=1:4 to give the title compound (0.145 g) as an orange oil.

d) (4-Amino-3-dimethylaminophenyl)acetic acid methyl ester



The (3-dimethylamino-4-nitrophenyl)acetic acid methyl ester (0.245 g) obtained in Example 4 c) was subjected to reactions similar to those in Example 1-3 d) to give the title compound (0.188 g) as a red oil.

e) 2-{3-Dimethylamino-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetic acid 2,2-bisethylester



The (4-amino-3-dimethylaminophenyl)acetic acid methyl ester (0.188 g) obtained in Example 4 d) was subjected to reactions similar to those in Example 1 e), 1 f) and 1 g) to give the title compound (0.058 g) (See Table 46).

Examples 4-2 to 4-8

Compounds of Examples 4-2 to 4-8 were obtained in a similar manner to Example 4. The compounds thus obtained were shown in Tables 46 to 47.

Table 46

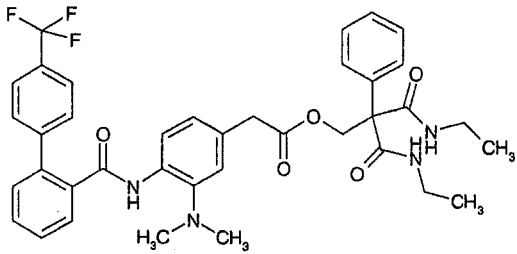
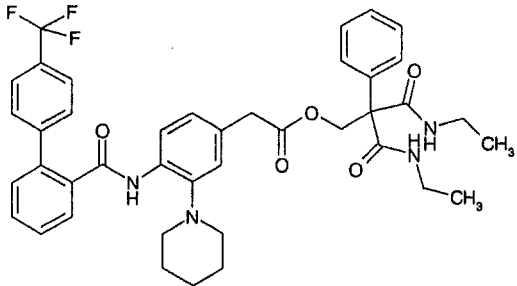
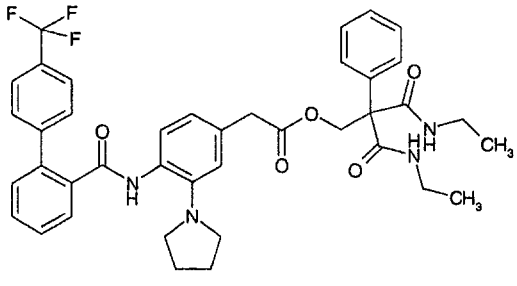
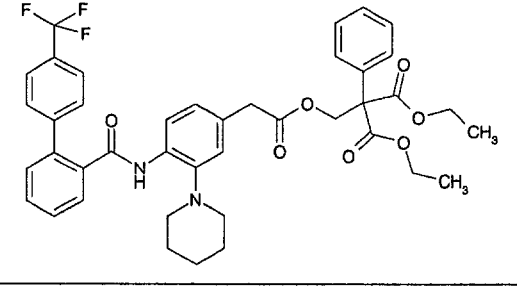
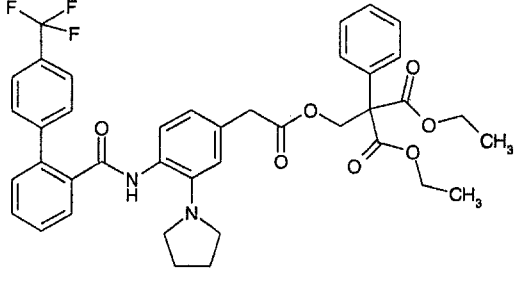
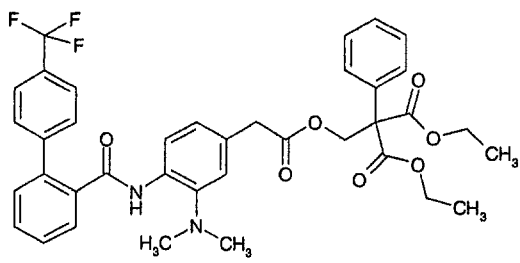
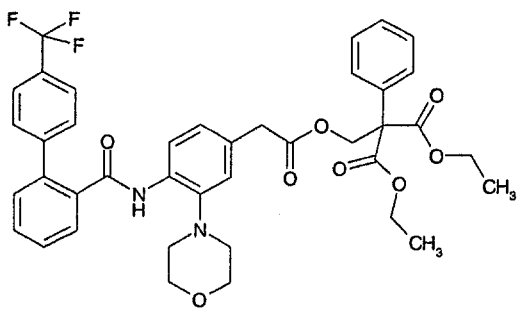
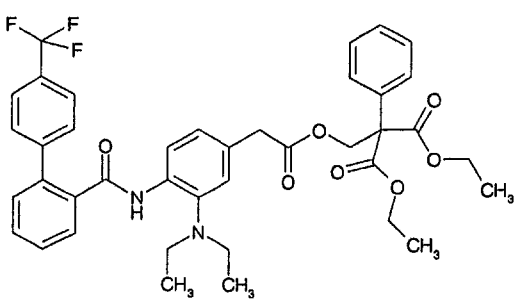
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
4		1.04(6H, t, J=7.2Hz), 2.26(6H, s), 3.23(4H, m), 3.51(2H, s), 4.83(2H, s), 6.86-7.80(15H, m), 8.40(1H, d, J=8.7Hz), 8.45(1H, brs).
4-2		1.05(6H, t, J=7.3Hz), 1.49(6H, br.s), 2.48(4H, br.s), 3.24(4H, dq, J=7.3, 7.3Hz), 3.53(2H, s), 4.84(2H, s), 6.93(2H, m), 7.20-7.70(15H, m), 8.40(1H, d, J=9.2Hz), 8.54(1H, br.s)
4-3		1.04(6H, t, J=7.3Hz), 1.76(4H, m), 2.59(4H, t, J=6.2Hz), 3.23(4H, dq, J=7.3, 7.3Hz), 3.51(2H, s), 4.83(2H, s), 4.82(2H, m), 7.12-7.63(14H, m), 7.74(1H, dd, J=7.3, 1.4Hz), 8.18(1H, br.s), 8.30(1H, d, J=8.1Hz)
4-4		1.20(6H, t, J=7.1Hz), 1.48(6H, br.s), 2.47(4H, br.s), 3.49(2H, s), 4.19(4H, q, J=7.1Hz), 4.83(2H, s), 6.92-7.72(15H, m), 8.39(1H, d, J=8.3Hz), 8.56(1H, br.s)
4-5		1.20(6H, t, J=7.2Hz), 1.74(4H, m), 2.57(4H, m), 3.48(2H, s), 4.19(4H, q, J=7.2Hz), 4.82(2H, s), 6.90(2H, m), 7.30-7.63(12H, m), 7.74(1H, dd, J=7.2, 1.5Hz), 8.20(1H, br.s), 8.29(1H, d, J=8.7Hz)

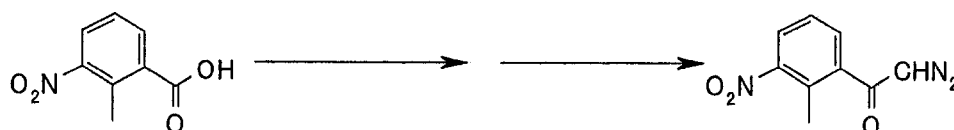
Table 47

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
4-6		1.20(6H, t, J=7.1Hz), 2.24(6H, s), 3.48(2H, s), 4.19(4H, q, J=7.1Hz), 4.82(2H, s), 6.88-6.98(2H, m), 7.29(5H, brs), 7.43(1H, d, J=7.2Hz), 7.48-7.60(2H, m), 7.62(4H, s), 7.77(1H, d, J=7.5Hz), 8.39(1H, d, J=8.3Hz), 8.47(1H, brs).
4-7		1.21(6H, t, J=7.0Hz), 2.38-2.47(4H, m), 3.51(2H, s), 3.53-3.60(4H, m), 4.20(4H, q, J=7.0Hz), 4.84(2H, s), 6.93(1H, s), 7.00(1H, dd, J=1.5, 7.4Hz), 7.29-7.35(5H, m), 7.47-7.68(7H, m), 7.73(1H, dd, J=1.8, 7.4Hz), 8.42-8.51(2H, m)
4-8		0.67(6H, t, J=7.0Hz), 1.21(6H, t, J=7.2Hz), 2.64(4H, q, J=7.0Hz), 3.49(2H, s), 4.21(4H, q, J=7.2Hz), 4.83(2H, s), 6.90-7.01(2H, m), 7.28-7.35(5H, m), 7.42-7.65(7H, m), 7.69(1H, dd, J=1.5, 7.2Hz), 8.41(1H, d, J=8.3Hz), 8.85(1H, br-s)

Example 5

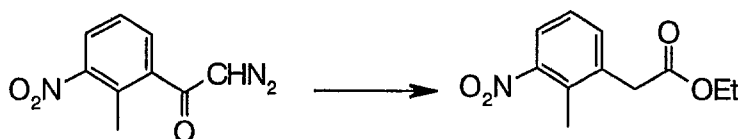
2-[2-(2-{2-methyl-3-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetoxy)ethyl]-2-phenylmalonic acid diethyl ester

5 a) 2'-Diazo-3-nitro-2-methylacetophenone



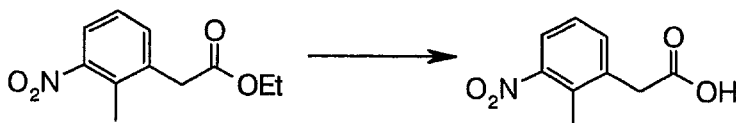
2-Methyl-3-nitrobenzoic acid (500 mg) was subjected to reactions similar to those in Example 3 b) and 3 c) to give the title compound (377 mg).

10 b) 2-Methyl-3-nitrophenylacetic acid ethyl ester



The 2'-diazo-3-nitro-2-methylacetophenone (377 mg) obtained in Example 5 a) was subjected to reactions similar to those in Example 3 d) to give the title compound (363 mg).

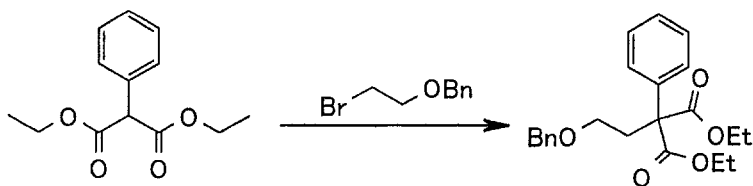
15 c) 2-Methyl-3-nitrophenylacetic acid



The 2-methyl-3-nitrophenylacetic acid ethyl ester (352 mg) obtained in Example 5 b) was subjected to reactions similar to those in Example 1 f) to give the title compound (307 mg).

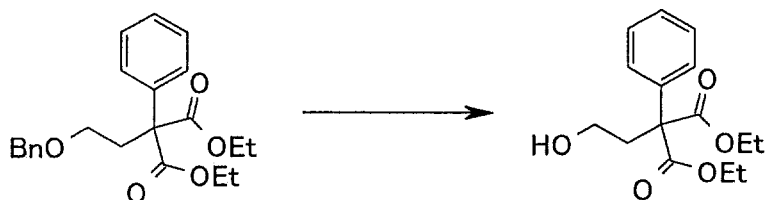
20

d) 2-(2-Benzoyloxyethyl)-2-phenylmalonic acid diethyl ester



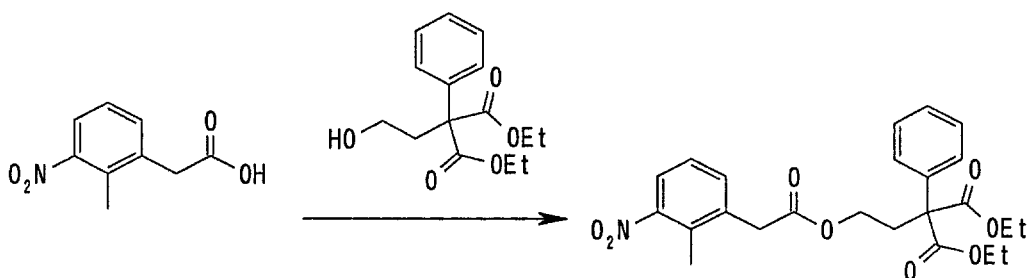
Sodium hydride (406 mg) was dissolved in dimethylformamide (20 mL) and the solution was cooled to 0°C. To this solution was added phenylmalonic acid diethyl ester (2.0 g), and the mixture was stirred at room temperature for 30 minutes. Bromoethyl benzyl ether (2.0 g) was further added thereto, stirred at 60°C for 4 hours, and water was added thereto. The reaction mixture was concentrated, diluted with ethyl acetate, washed with water, dried over sodium sulfate and purified by column chromatography on silica gel with ethyl acetate:hexane=1:9 to give the title compound (1.2 g).

e) 2-(2-Hydroxyethyl)-2-phenylmalonic acid diethyl ester

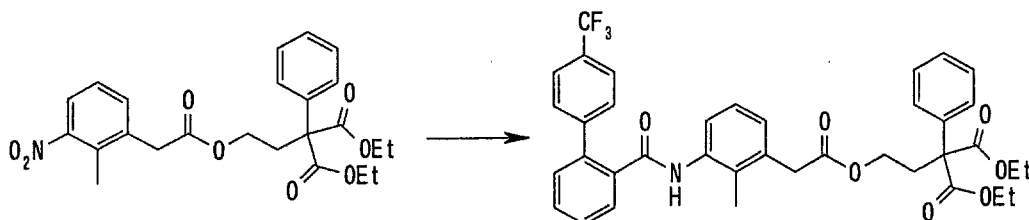


The 2-(2-benzyloxyethyl)-2-phenylmalonic acid diethyl ester (1.2 g, not purified) obtained in Example 5 d) was subjected to reactions similar to those in Example 1-2 c) to give the title compound (726 mg).

f) 2-{2-[2-(2-Methyl-3-nitrophenyl)acetoxy]ethyl}-2-phenylmalonic acid diethyl ester



The 2-methyl-3-nitrophenylacetic acid (307 mg) obtained in Example 5 c), 4-dimethylaminopyridine (217 mg) and the 2-(2-hydroxyethyl)-2-phenylmalonic acid diethyl ester (250 mg) obtained in Example 5 e) were subjected to reactions similar to those in Example 1-3 c) to give the title compound (366 mg).
 g) 2-[2-(2-{2-methyl-3-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetoxy)ethyl]-2-phenylmalonic acid diethyl ester



The 2-{2-[2-(2-methyl-3-nitrophenyl)acetoxy]ethyl}-2-phenylmalonic acid diethyl ester (345 mg) obtained in Example 5 f) was subjected to reactions similar to those in Example 1-3 d) and 1-3 e) to give the title compound (318 mg) (See Table 48).

Examples 5-2 to 5-18

Compounds of Examples 5-2 to 5-18 were obtained in a similar manner to Example 5. The compounds thus obtained were shown in Tables 48 to 51.

Table 48

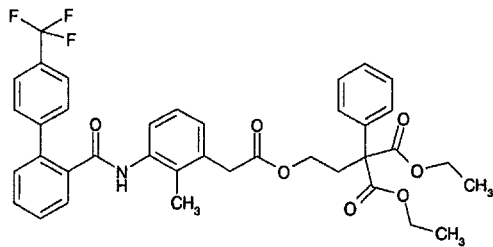
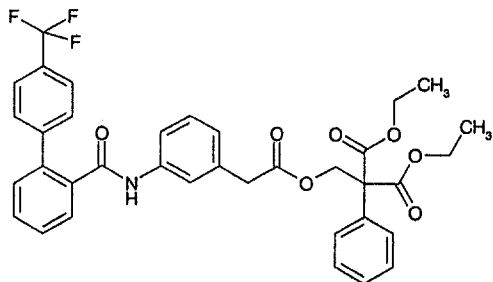
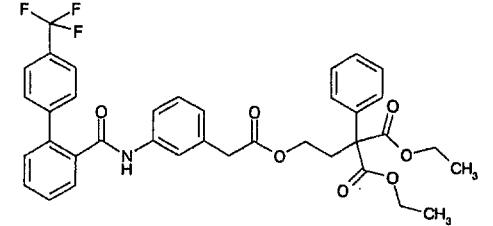
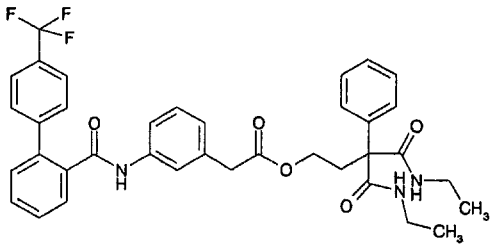
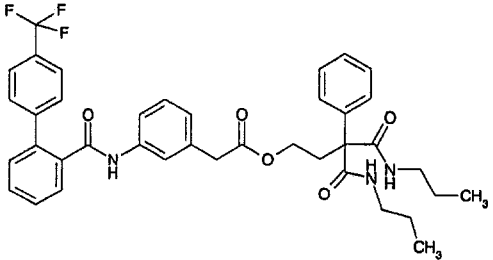
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
5		1.23(6H, t, J=7.0Hz), 1.70(3H, s), 2.61(2H, t, J=7.0Hz), 3.50(2H, s), 4.07(2H, t, J=7.0Hz), 4.21(4H, q, J=7.0Hz), 6.84(1H, br.s), 6.98(1H, d, J=7.7Hz), 7.13-7.71(15H, m), 7.80(1H, d, J=7.0Hz)
5-2		1.17(6H, t, J=7.1Hz), 3.50(2H, s), 4.14(4H, q, J=7.1Hz), 4.83(2H, s), 6.83(1H, s), 6.91(1H, d, J=7.5Hz), 6.99(1H, br.s), 7.16-7.81(15H, m)
5-3	 m.p. 88-91	1.23(6H, t, J=7.2Hz), 2.62(2H, t, J=7.2Hz), 3.41(2H, s), 4.13(2H, t, J=7.2Hz), 4.20(4H, q, J=7.2Hz), 7.05-7.79(18H, m)
5-4		1.10(6H, t, J=7.2Hz), 2.53-2.61(2H, m), 3.28(4H, dq, J=5.3, 7.2Hz), 3.54(2H, s), 4.07-4.17(2H, m), 6.95-7.10(3H, m), 7.14-7.36(7H, m), 7.40-7.46(1H, m), 7.46-7.62(6H, m), 7.64-7.71(2H, m), 7.77(1H, dd, J=1.5, 7.5Hz)
5-5		0.85(6H, t, J=7.2Hz), 1.49(4H, tq, J=7.2, 7.2Hz), 2.54-2.63(2H, m), 3.16-3.25(4H, m), 3.53(2H, s), 4.08-4.17(2H, m), 6.96-7.01(1H, m), 7.03-7.10(2H, m), 7.15-7.36(7H, m), 7.40-7.46(1H, m), 7.47-7.62(6H, m), 7.65-7.71(2H, m), 7.77(1H, dd, J=1.5, 7.5Hz)

Table 49

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
5-6		1.24(6H, t, J=7.4Hz), 1.68(3H, s), 2.65(2H, t, J=7.3Hz), 3.50(2H, s), 4.09(2H, t, J=7.3Hz), 4.22(4H, q, J=7.4Hz), 6.81(1H, br.s), 6.92-7.83(16H, m)
5-7		1.23(6H, t, J=7.4Hz), 2.20(3H, s), 2.63(2H, t, J=7.0Hz), 3.47(2H, s), 4.08(2H, t, J=7.0Hz), 4.21(4H, q, J=7.4Hz), 6.94(1H, s), 7.07-7.81(16H, m)
5-8		1.11(6H, d, J=6.4Hz), 1.13(6H, d, J=6.8Hz), 2.49-2.58(2H, m), 3.53(2H, s), 3.98-4.15(4H, m), 6.96-7.01(1H, m), 7.03-7.16(3H, m), 7.17-7.37(8H, m), 7.40-7.61(5H, m), 7.64-7.71(2H, m), 7.77(1H, dd, J=1.5, 7.6Hz)
5-9		1.11(6H, t, J=7.0Hz), 1.72(3H, s), 2.52(2H, t, J=7.9Hz), 3.29(4H, dq, J=7.0, 7.0Hz), 3.57(2H, s), 4.08(2H, t, J=7.9Hz), 6.85(1H, br.s), 6.99-7.81(18H, m)
5-10		0.98(6H, t, J=7.1Hz), 1.53(2H, m), 1.79(3H, s), 1.95(2H, m), 3.09(4H, dq, J=7.1, 7.1Hz), 3.64(2H, s), 4.06(2H, t, J=5.7Hz), 7.03-7.62(18H, m), 8.02(1H, br.s)

Table 50

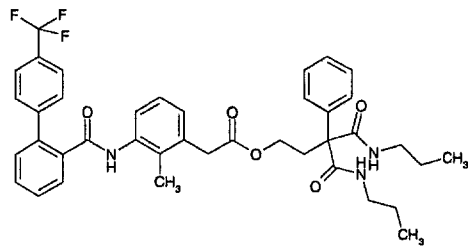
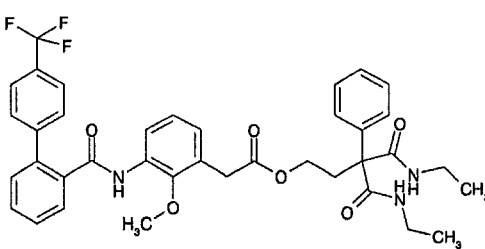
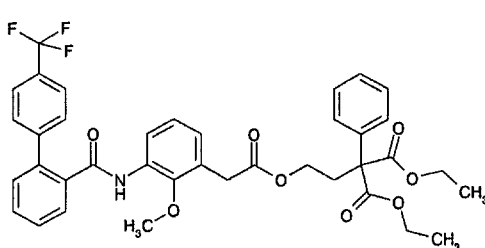
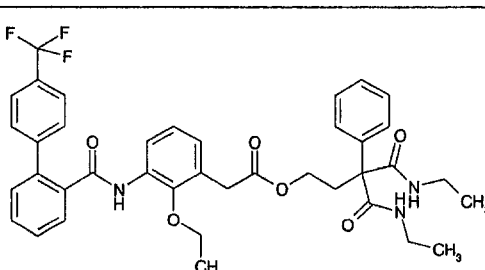
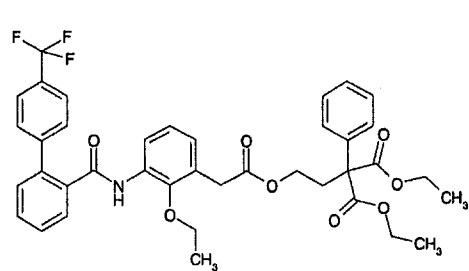
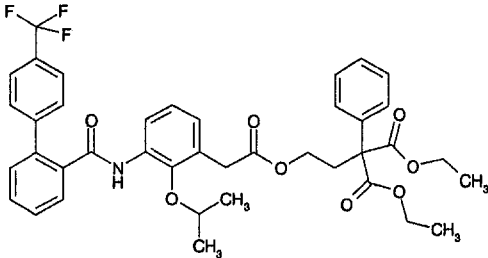
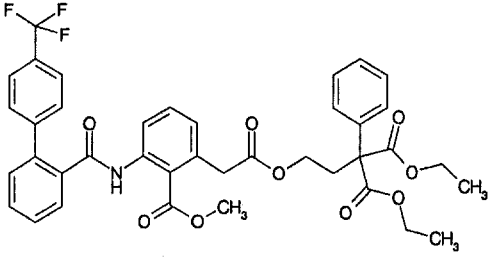
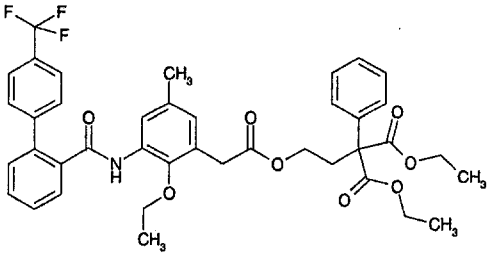
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
5-11		0.86(6H, t, J=7.1Hz), 1.50(4H, tq, J=7.1, 7.1Hz), 2.53(2H, t, J=7.9Hz), 3.21(4H, m), 3.57(2H, s), 4.08(2H, t, J=7.9Hz), 6.85(1H, br.s), 7.00(1H, d, J=7.5Hz), 7.14-7.80(17H, m)
5-12		1.11(6H, t, J=7.2Hz), 2.53(2H, t, J=7.9Hz), 3.22(3H, d), 3.29(4H, dq, J=7.2, 7.2Hz), 3.55(2H, s), 4.09(2H, t, J=7.9Hz), 6.95(1H, dd, J=7.5, 1.5Hz), 7.04-7.64(16H, m), 7.74(1H, dd, J=7.5, 1.5Hz), 8.34(1H, dd, J=8.3, 1.1Hz)
5-13	 m.p. 78-81	1.23(6H, t, J=7.1Hz), 2.61(2H, t, J=7.2Hz), 3.22(3H, s), 3.49(2H s), 4.08(2H, t, J=7.2Hz), 4.21(4H, q, J=7.1Hz), 6.93(1H, dd, J=7.6, 1.5Hz), 7.28-7.75(14H, m), 7.73(1H, dd, J=7.6, 1.5Hz), 8.33(1H, dd, J=8.3, 1.5Hz)
5-14		1.11(6H, t, J=7.4Hz), 1.15(3H, t, J=7.2Hz), 2.52(2H, t, J=7.5Hz), 3.29(6H, m), 3.54(2H, s), 4.09(2H, t, J=7.5Hz), 6.95(1H, dd, J=7.9, 1.1Hz), 7.10(1H, dd, J=7.9, 7.9Hz), 7.24-7.73(16H, m), 8.36(1H, d, J=8.3Hz)
5-15		1.15(3H, t, J=7.2Hz), 1.23(6H, t, J=7.2Hz), 2.61(2H, t, J=7.2Hz), 3.29(2H, q, J=7.2Hz), 3.49(2H s), 4.07(2H, t, J=7.2Hz), 4.21(4H, q, J=7.2Hz), 6.94(1H, dd, J=7.5, 1.5Hz), 7.08(1H, dd, J=7.9, 7.9Hz), 7.30-7.73(14H, m), 8.34(1H, dd, J=7.9, 1.5Hz)

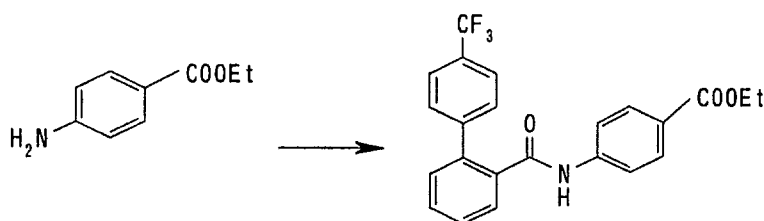
Table 51

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
5-16		1.05(6H, d, J=6.4Hz), 1.23(6H, t, J=7.2Hz), 2.62(2H, t, J=7.2Hz), 3.51(2H s), 3.85(1H, sep, J=6.4Hz), 4.10(2H, t, J=7.2Hz), 4.20(4H, q, J=7.2Hz), 6.95(1H, dd, J=7.9, 1.5Hz), 7.07(1H, dd, J=7.9, 7.9Hz), 7.30-7.61(12H, m), 7.68(1H, dd, J=7.9, 1.5Hz), 7.75(1H, br.s), 8.28(1H, dd, J=7.9, 1.5Hz)
5-17		1.23(6H, t, J=7.1Hz), 2.61(2H, t, J=7.1Hz), 3.71(3H, s), 3.75(2H, s), 4.07(2H, t, J=7.1Hz), 4.22(4H, q, J=7.1Hz), 6.94(1H, d, J=7.6Hz), 7.29-7.62(13H, m), 7.72(1H, dd, J=1.4, 7.6Hz), 8.47(1H, d, J=8.3Hz), 10.25(1H, brs)
5-18		1.13(3H, t, J=7.2Hz), 1.23(6H, t, J=7.1Hz), 2.30(3H, s), 2.61(2H, t, J=7.1Hz), 3.25(2H, q, J=7.2Hz), 3.44(2H, s), 4.07(2H, t, J=7.1Hz), 4.21(4H, q, J=7.1Hz), 6.75(1H, s), 7.30-7.69(14H, m), 8.19(1H, brs)

Example 6

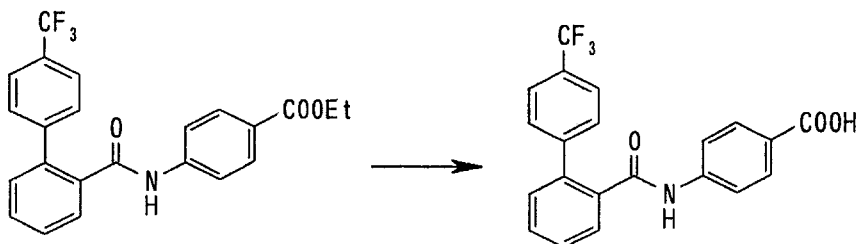
4-[(4'-Trifluoromethylbiphenyl-2-carbonyl)amino]benzoic
acid 2-[9-(2,2,2-trifluoroethylcarbamoyl)-9H-fluoren-
9-yl]ethyl ester

- 5 a) 4-[(4'-Trifluoromethylbiphenyl-2-carbonyl)amino]benzoic
acid ethyl ester



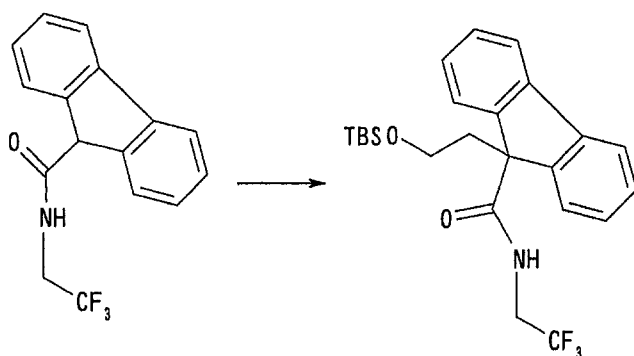
4-Aminobenzoic acid ethyl ester (0.568 g) and
triethylamine (0.570 g) were dissolved in methylene chloride
10 (20 mL), and to this solution was dropwise added a solution of
4'-trifluoromethylbiphenyl-2-carboxylic acid chloride, which
is prepared from 4'-trifluoromethylbiphenyl-2-carboxylic acid
(1.00 g) in a similar manner to Example 1 d), in methylene
chloride under ice-cooling. The solution was stirred at room
15 temperature for 2 hours, followed by addition of methylene
chloride (100 mL). The reaction mixture was washed with 2N
hydrochloric acid and saturated brine, dried over sodium
sulfate and concentrated to give the title compound (1.43 g).

- b) 4-[(4'-Trifluoromethylbiphenyl-2-carbonyl)amino]benzoic
20 acid

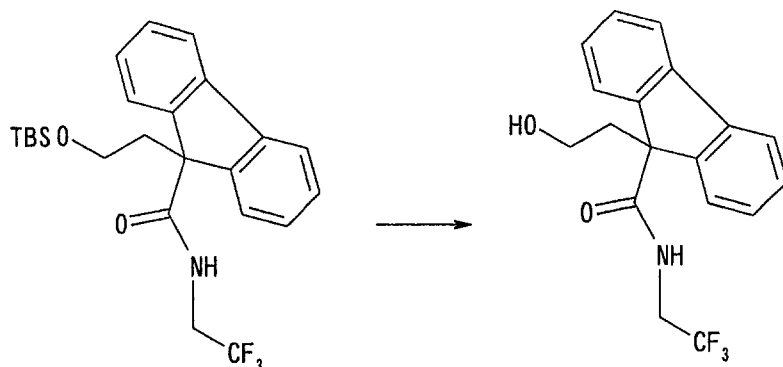


The 4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]benzoic acid ethyl ester (0.700 g) obtained in Example 6 a) was subjected to reactions similar to Example 1 f) to give the title compound (0.680 g) as a white solid.

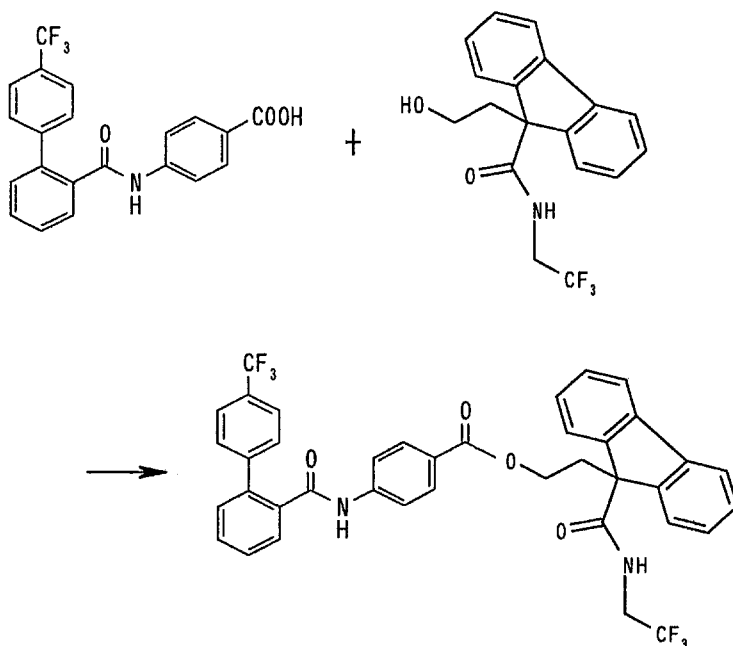
- 5 c) 9-[2-(Tert-butyldimethylsilanyloxy)ethyl]-9H-fluorene-9-carboxylic acid (2,2,2-trifluoroethyl)amide



- 9H-Fluorene-9-carboxylic acid (2,2,2-trifluoroethyl)amide (3.00 g) was dissolved in tetrahydrofuran (100 mL), and to this solution was added dropwise a 1.5M solution (13.7 mL) of lithium diisopropylamide under ice-cooling. The mixture was stirred for one hour under ice-cooling, and to this was added a solution of tert-butyldimethylsilanyloxyethyl bromide (2.46 g) in tetrahydrofuran (5 mL). The temperature was gradually raised from under ice-cooling to room temperature, and the mixture was stirred overnight. Saturated aqueous ammonium chloride was added to the reaction mixture under ice-cooling and then extracted with ethyl acetate (50 mL x 2). The extract was washed with saturated brine, dried over sodium sulfate and purified by column chromatography on silica gel with ethyl acetate:hexane=1:2.5 to give the title compound (6.00 g).
- 20 d) 9-(2-Hydroxyethyl)-9H-fluorene-9-carboxylic acid (2,2,2-trifluoroethyl)amide



- The 9-[2-(Tert-butyldimethylsilyloxy)ethyl]-9H-fluorene-9-carboxylic acid (2,2,2-trifluoroethyl)amide (6.00 g) obtained in Example 6 c) was dissolved in tetrahydrofuran (13 mL) - acetic acid (39 mL) - water (13 mL). The solution was stirred at room temperature for 20 hours and concentrated in vacuo. The residue was purified by column chromatography on silica gel with ethyl acetate:hexane=1:1 to give the title compound (3.80 g).
- 10 e) 4-[(4'-Trifluoromethylbiphenyl-2-carbonyl)amino]benzoic acid 2-[9-(2,2,2-trifluoromethylcarbamoyl)-9H-fluorene-9-yl]ethyl ester



The 4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]benzoic acid (0.345 g) obtained in Example 6 b) and the 9-(2-hydroxyethyl)-9H-fluoren-9-carboxylic acid (2,2,2-trifluoroethyl)amide (0.300 g) obtained in Example 6 d) were subjected to reactions similar to those in Example 1 g) to give the title compound (0.390 g) as a colorless solid (see Table 52).

10

Examples 6-2 to 6-22

Compounds of Examples 6-2 to 6-22 were obtained in a similar manner to Examples 6, 1-2 b), 1-2 c) and 1-2 d). The compounds thus obtained were shown in Tables 52 to 56.

15

Table 52

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
6		2.98(2H, t, J=6.8Hz), 3.63-3.71(2H, m), 3.81(2H, t, J=6.8Hz), 5.27(1H, br), 7.00(1H, brs), 7.12(2H, m), 7.30-7.84(18H, m).
6-2		2.45-2.58(2H, m), 4.10-4.18(1H, m), 4.21(2H, t, J=7.5Hz), 7.02(1H, brs), 7.14-7.88(20H, m).
6-3		3.01(2H, t, J=6.6Hz), 3.60-3.74(2H, m), 3.86(2H, t, J=6.6Hz), 5.27(18H, m), 7.20-7.61(18H, m), 7.75(2H, d, J=6.6Hz), 7.97(1H, brs), 8.52(1H, d, J=8.4Hz).
6-4		3.56(2H, t, J=5.2Hz), 4.06(2H, t, J=5.2Hz), 5.52(1H, br), 7.08(1H, brs), 7.15-7.98(21H, m).
6-5		3.42-3.54(2H, m), 3.55(2H, s), 4.26(2H, t, J=6.6Hz), 5.52(1H, br), 7.06(1H, brs), 7.18-7.88(21H, m).

Table 53

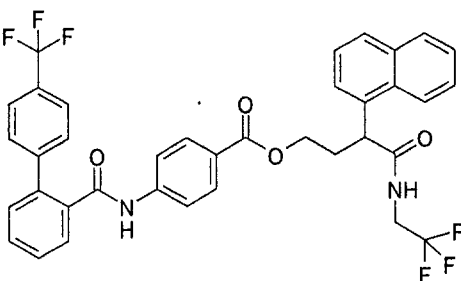
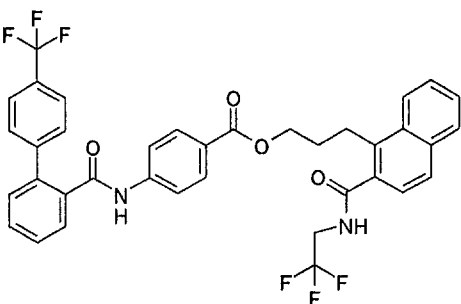
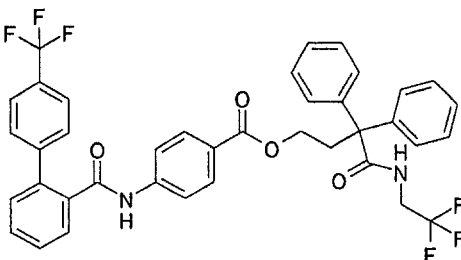
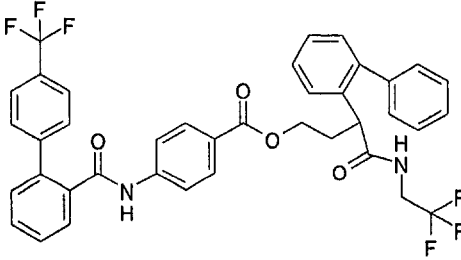
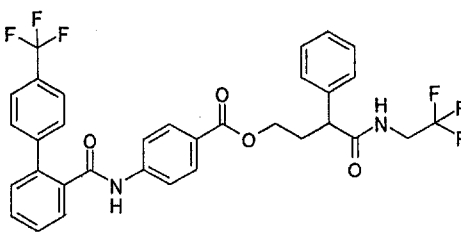
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
6-6		2.31-2.42(1H, m), 2.80-2.93(1H, m), 3.73-3.89(2H, m), 4.16-4.26(1H, m), 4.32-4.43(2H, m), 5.62(1H, br), 7.09((1H, brs), 7.26-8.01(19H, m).
6-7		2.15-2.29(2H, m), 3.34(2H, t, J=7.9Hz), 4.01-4.18(2H, m), 4.40(2H, t, J=6.2Hz), 6.15(1H, br), 7.08(1H, brs), 7.18-7.98(17H, m), 7.92(1H, d, J=8.4Hz).
6-8		2.88(2H, t, J=8.3Hz), 3.83-3.93(2H, m), 4.23(2H, t, J=8.3Hz), 5.90(1H, t, J=6.4Hz), 7.01(1H, brs), 7.16-7.86(22H, m).
6-9		2.12-2.29(1H, m), 2.56-2.68(1H, m), 3.70-3.80(3H, m), 4.09-4.29(2H, m), 5.41(1H, br), 7.05(1H, brs), 7.12-7.78(20H, m), 7.86(1H, d, J=7.5Hz).
6-10		2.16-2.30(2H, m), 2.62-2.72(2H, m), 3.61(1H, t, J=7.5Hz), 3.71-3.99(4H, m), 4.12-4.37(4H, m), 5.67(1H, br), 7.05(1H, brs), 7.15-7.91(17H, m).

Table 54

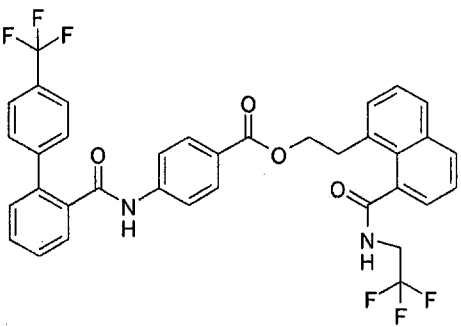
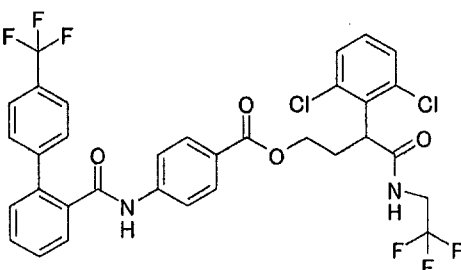
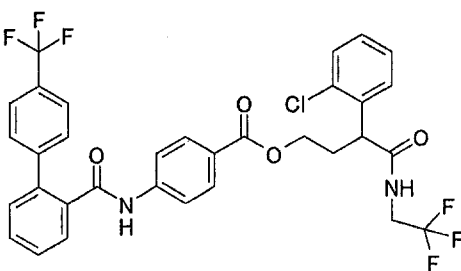
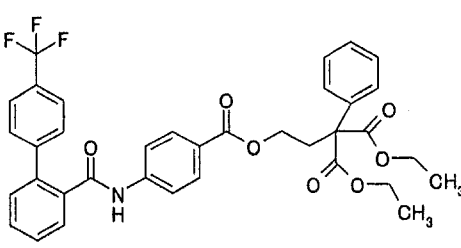
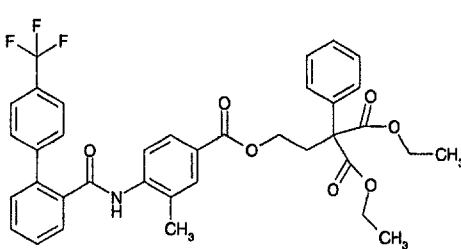
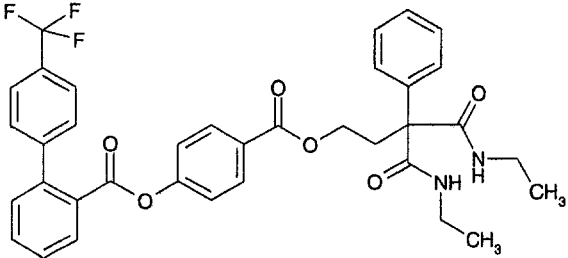
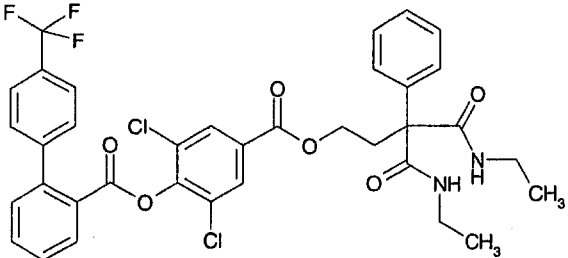
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
6-11		3.49(2H, t, J=6.9Hz), 4.09-4.29(2H, m), 4.56(2H, t, J=6.9Hz), 6.34(1H, br). 7.08(1H, brs), 7.12-8.00(18H, m).
6-12		2.39-2.50(1H, m), 2.93-3.05(1H, m), 3.78-4.06(2H, m), 4.20-4.41(2H, m), 4.77(1H, d, J=6.4Hz, J=9.6Hz), 5.42(1H, 1H, t, J=6.4Hz), 7.07(1H, brs), 7.19-7.88(15H, m).
6-13		2.19-2.30(1H, m), 2.62-2.73(1H, m), 3.79-3.82(2H, m), 4.20-4.40(3H, m), 5.80(1H, t, J=6.4Hz), 7.06(1H, brs), 7.16-7.92(16H, m).
6-14		1.22(6H, t, J=7.1Hz), 2.77(2H, t, J=6.9Hz), 4.21(4H, q, J=7.1Hz), 4.30(2H, t, J=6.9Hz), 7.06(1H, brs), 7.19-7.88(17H, m)
6-15		1.23(6H, t, J=7.1Hz), 1.64(3H, s), 2.77(2H, t, J=6.9Hz), 4.21(4H, q, J=7.1Hz), 4.29(2H, t, J=6.9Hz), 6.93(1H, brs), 7.20-8.24(16H, m)

Table 55

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
6-16		1.22(3H, t, J=7.1Hz), 1.23(3H, t, J=7.1Hz), 2.76(2H, t, J=6.9Hz), 4.20(2H, q, J=7.1Hz), 4.21(2H, q, J=7.1Hz), 4.29(2H, t, J=6.9Hz), 6.95-7.91(17H, m)
6-17		1.22(6H, t, J=7.1Hz), 2.77(2H, t, J=6.9Hz), 4.20(4H, q, J=7.1Hz), 4.31(2H, t, J=6.9Hz), 6.93(2H, d, J=8.8Hz), 7.26-8.13(13H, m), 7.92(2H, d, J=8.8Hz)
6-18		1.22(6H, t, J=7.1Hz), 2.76(2H, t, J=6.9Hz), 4.20(4H, q, J=7.1Hz), 4.30(2H, t, J=6.9Hz), 6.85(2H, d, J=8.8Hz), 7.21-7.81(13H, m), 7.88(2H, d, J=8.8Hz), 8.21(1H, dd, J=7.6, 1.3)
6-19		1.14(6H, t, J=7.2Hz), 2.63-2.71(2H, m), 3.31(4H, dq, J=5.6, 7.2Hz), 4.29-4.38(2H, m), 7.15-7.20(1H, m), 7.23-7.38(7H, m), 7.41-7.47(1H, m), 7.49-7.63(4H, m), 7.64-7.75(4H, m), 7.81(1H, dd, J=1.5, 7.5Hz), 7.86-7.93(2H, m)
6-20		1.14(6H, t, J=7.1Hz), 2.65-2.74(2H, m), 3.31(4H, dq, J=5.7, 7.1Hz), 4.33-4.43(2H, m), 7.08(1H, d, J=8.3Hz), 7.27-7.44(6H, m), 7.47-7.61(5H, m), 7.63-7.72(3H, m), 7.90(1H, dd, J=1.9, 8.6Hz), 8.07(1H, d, J=1.9Hz), 8.23(1H, dd, J=1.5, 7.9Hz)

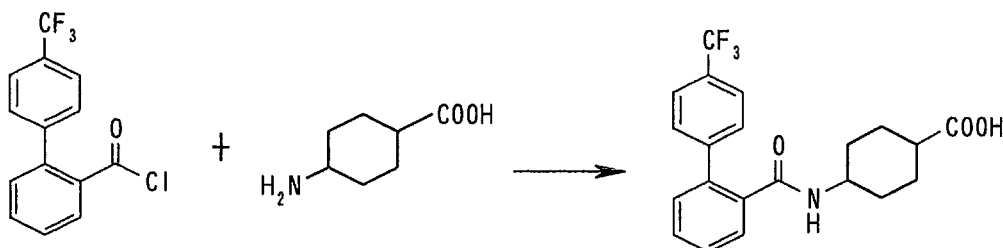
Table 56

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
6-21		1.14(6H, t, J=7.2Hz), 2.64-2.73(2H, m), 3.32(4H, dq, J=5.6, 7.2Hz), 4.31-4.41(2H, m), 6.91-6.98(2H, m), 7.26-7.36(5H, m), 7.41(1H, dd, J=1.1, 7.5Hz), 7.47-7.59(3H, m), 7.60-7.71(5H, m), 7.94-8.01(2H, m), 8.11(1H, dd, J=1.1, 7.5Hz)
6-22		1.13(6H, t, J=7.2Hz), 2.66-2.75(2H, m), 3.32(4H, dq, J=5.3, 7.2Hz), 4.36-4.45(2H, m), 7.27-7.46(8H, m), 7.48-7.54(2H, m), 7.55-7.73(4H, m), 7.99(2H, s), 8.32(1H, dd, J=1.1, 7.9Hz)

Example 7

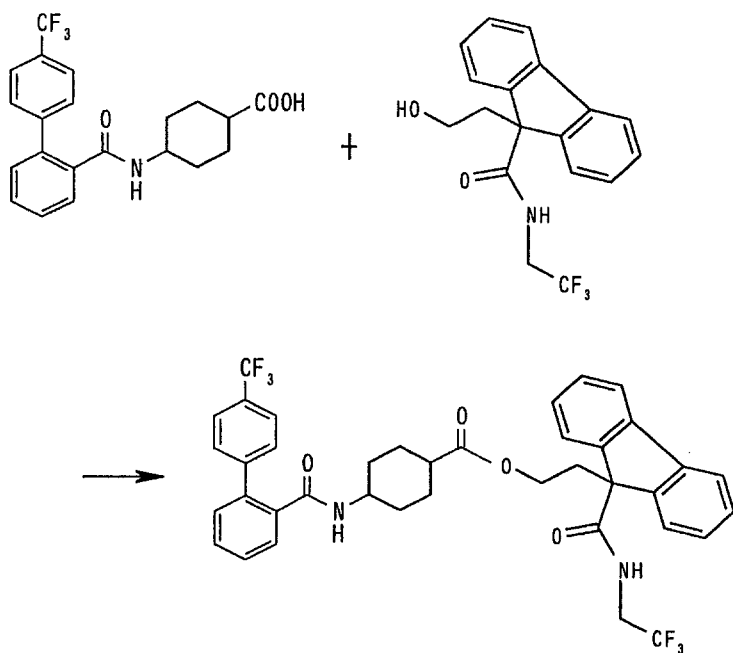
Trans-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]cyclohexanecarboxylic acid 2-[9-(2,2,2-trifluoroethylcarbamoyl)-9H-fluoren-9-yl]ethyl ester

- 5 a) 4-[(4'-Trifluoromethylbiphenyl-2-carbonyl)amino]cyclohexanecarboxylic acid



- 4-Amino-cyclohexanecarboxylic acid (0.538 g) was dissolved in 4N sodium hydroxide (0.933 mL), and to this solution were dropwise added simultaneously a solution of the acid chloride which is obtained from 4'-trifluoromethylbiphenyl-2-carboxylic acid (1.0 g) in a similar manner to Example 1 d) in tetrahydrofuran (5 mL) and 4N aqueous sodium hydroxide (0.933 mL) under ice-cooling. The mixture was stirred at room temperature for one hour, acidified with 2N hydrochloric acid and extracted with ethyl acetate. The extract was washed with saturated brine and dried over sodium sulfate to give the title compound (1.20 g) as a colorless powdery solid.

- 20 b) Trans-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]cyclohexanecarboxylic acid 2-[9-(2,2,2-trifluoroethylcarbamoyl)-9H-fluoren-9-yl]ethyl ester

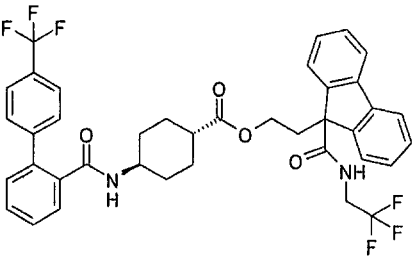
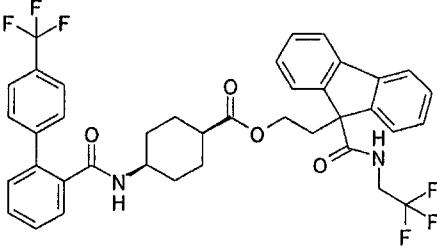
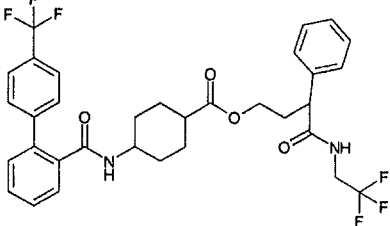
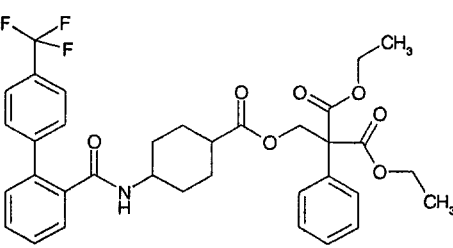
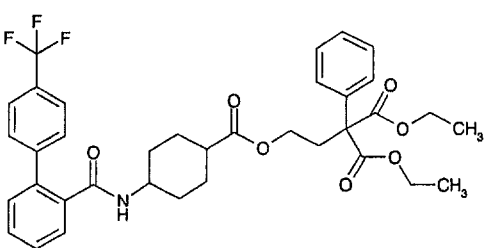


The 4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]cyclohexanecarboxylic acid (0.570 g) obtained in Example 7 a) and 9-(2-hydroxyethyl)-9H-fluoren-9-carboxylic acid (2,2,2-trifluoroethyl)amide (0.500 g) obtained in Example 6 d) were treated in a similar manner to Example 1 g) to give the title compound (0.534 g) as a colorless solid (see Table 57).

10 Examples 7-2 to 7-5

Compounds of Examples of 7-2 to 7-5 were obtained in a similar manner to Example 7. The compounds thus obtained were shown in Table 57.

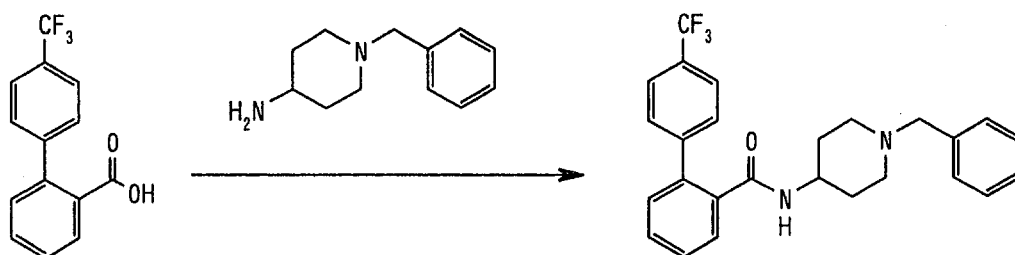
Table 57

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
7	 m.p. 156.3-158.0	1.08-1.20(4H, m), 1.28-1.42(4H, m), 1.87-1.94(1H, m), 2.80(2H, t, J=7.1Hz), 3.52(2H, t, J=7.1Hz), 3.65(1H, m), 3.89(1H, br), 5.18(1H, d, J=8.3Hz), 5.25(1H, t, J=6.4Hz), 7.29-7.79(16H, m).
7-2		0.60-0.71(2H, m), 1.05-1.27(2H, m), 1.53-1.86(4H, m), 2.82(2H, t, 6.9Hz), 3.54(2H, t, J=6.9Hz), 3.56-3.73(2H, m), 4.96(1H, d, J=8.3Hz), 5.27(1H, t, J=7.7Hz), 7.30-7.80(16H, m).
7-3		1.33-1.73(8H, m), 2.00-2.13(1H, m), 2.22-2.37(1H, m), 2.45-2.60(1H, m), 3.52(1H, t, J=7.0Hz), 3.66-4.11(4H, m), 5.30(1H, d, J=11.3Hz), 5.72(1H, t, J=8.2Hz), 7.20-7.33(13H, m).
7-4		1.09-1.20(2H, m), 1.24(6H, t, J=7.2Hz), 1.36-1.53(6H, m), 2.27-2.38(1H, m), 3.82-3.95(1H, m), 4.22(4H, q, J=7.2Hz), 4.80(2H, s), 5.21(1H, br-d, J=7.9Hz), 7.22-7.39(6H, m), 7.42-7.57(4H, m), 7.60-7.70(3H, m)
7-5		1.12-2.27(9H, m), 1.24(6H, t, J=7.2Hz), 2.60(2H, t, J=7.2Hz), 3.59-3.78 and 3.90-4.15(1H, m), 4.02(2H, t, J=7.2Hz), 4.22(4H, q, J=7.2Hz), 4.98 and 5.30(1H, each d, J=8.4Hz), 7.18-7.22(13H, m) cis,trans mixture

Example 8

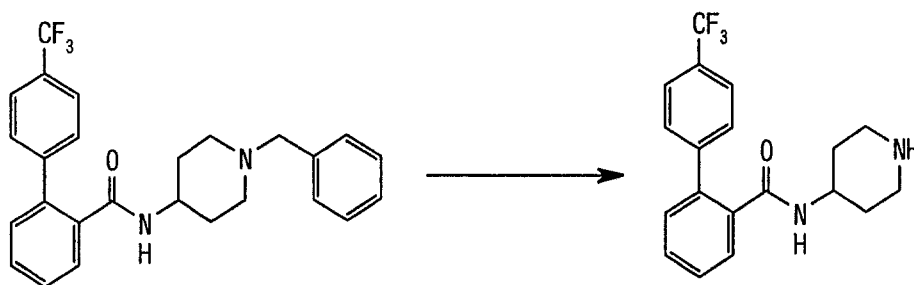
2-Phenyl-2-(2-{4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]piperidin-1-yl}acetoxymethyl)malonic acid diethyl ester

- 5 a) 1-Benzyl-4-(4'-trifluoromethylbiphenyl-2-carbonylamino)piperidine



4'-Trifluoromethyl-biphenyl-carboxylic acid (5.0 g) was dissolved in dimethylformamide (50 mL), and to this solution were added at room temperature 4-amino-1-benzylpiperidine (3.55 g), 1-hydroxybenzotriazole hydrate (3.0 g) and 1-ethyl-3-(3'-dimethylaminopropyl)carbodiimide hydrochloride (3.58 g). The mixture was stirred at room temperature overnight, and the reaction solution was concentrated in vacuo to precipitate crystals. The crystals were washed successively with saturated aqueous sodium bicarbonate and water, and dried in vacuo to give the title compound (7.42 g).

- b) 4-(4'-Trifluoromethylbiphenyl-2-carbonylamino)piperidine

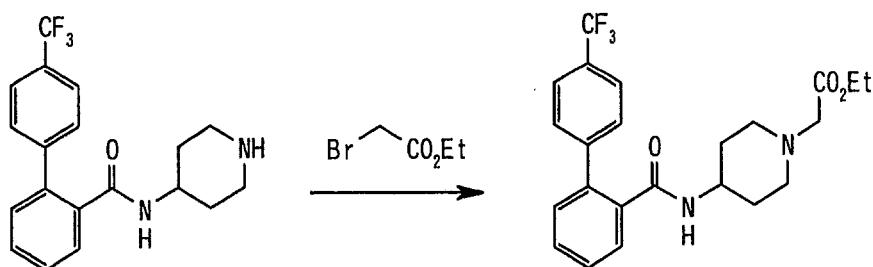


20 To a solution of the 1-benzyl-4-(4'-

trifluoromethylbiphenyl-2-carboxylamino)piperidine (1.47 g) obtained in Example 8 a) in tetrahydrofuran-methanol (1:1; 50 mL) was added palladium hydroxide (300 mg) in a stream of argon under ice-cooling. The mixture was stirred for one day at
 5 normal pressure under hydrogen atmosphere, and further stirred for one day at normal pressure under hydrogen atmosphere after further addition of palladium hydroxide (300 mg). The reaction mixture was filtered through a Celite pad and washed with methanol. The filtrate and the washings were combined,
 10 concentrated in vacuo and purified by column chromatography on silica gel with chloroform:methanol:aqueous ammonia=100:10:1 to give the title compound (1.03 g).

c) [4-(4'-Trifluoromethylbiphenyl-2-carboxylamino)piperidin-1-yl]acetic acid ethyl ester

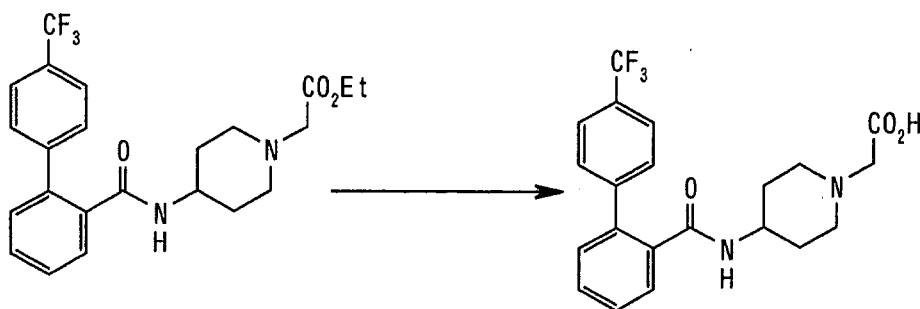
15



To a solution of 4-(4'-trifluoromethylbiphenyl-2-carboxylamino)piperidine (1.03 g) obtained in Example 8 b) in dimethylformamide (5 mL) were added potassium carbonate (276
 20 mg) and bromoacetic acid ethyl ester (223 μ L). The mixture was stirred overnight at ambient temperature of 90°C, and then concentrated in vacuo. The residue was distributed with water and chloroform, and the aqueous layer was further extracted with chloroform. The organic layers were combined, washed with

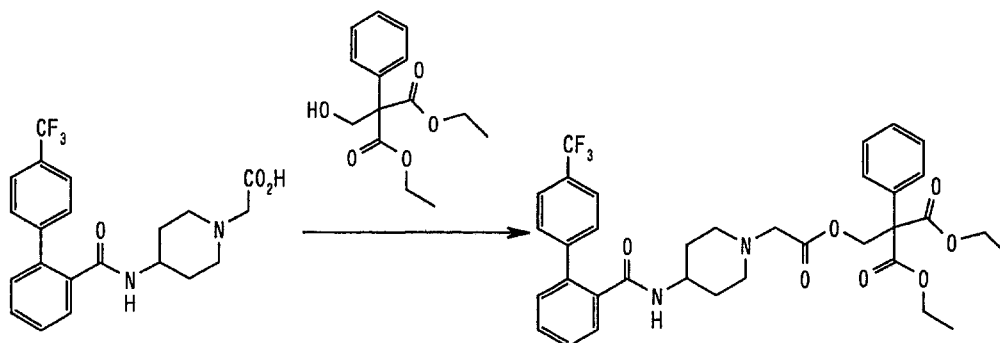
saturated brine, dried over sodium sulfate, concentrated in vacuo and purified by column chromatography on silica gel with chloroform:methanol=30:1 to give the title compound (598 mg).

- d) [4-(4'-Trifluoromethylbiphenyl-2-carbonyl-
5 amino)piperidin-1-yl]acetic acid



To a solution of [4-(4'-trifluoromethylbiphenyl-
2-carbonylamino)piperidin-1-yl]acetic acid ethyl ester (595
10 mg) obtained in Example 8 c) in tetrahydrofuran-methanol (1:2;
10.2 mL) was added 1M aqueous lithium hydroxide (6.8 mL), and
the mixture was stirred at room temperature for 6 hours. The
reaction solution was concentrated in vacuo and 2N hydrochloric
acid was added to the residue to adjust the pH to about 3, thereby
15 crystals were precipitated. The crystals were collected by
filtration, washed with cold water and dried in vacuo to give
the title compound (411 mg).

- e) 2-Phenyl-2-(2-{4-[(4'-trifluoromethylbiphenyl-2-
carbonyl)amino]piperidin-1-yl}acetoxymethyl)malonic acid
20 diethyl ester



The [4-(4'-trifluoromethylbiphenyl-2-carbonyl-amino)piperidin-1-yl]acetic acid obtained in Example 8 d) and the 2-hydroxymethyl-2-phenylmalonic acid diethyl ester obtained in Example 1-2 a) were subjected to reactions similar to those in Example 1 g) to give the title compound (90 mg) (see Table 58).

Table 58

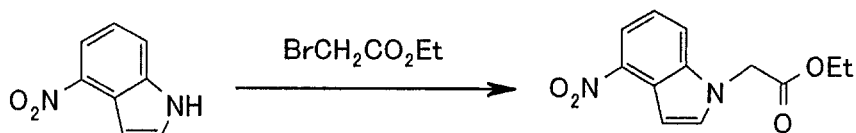
Example	structure	NMR(δ , 300MHz, CDCl ₃)
8		1.07-1.19(2H, m), 1.25(6H, t, J=7.0Hz), 1.54-1.68(2H, m), 2.10-2.22(2H, m), 2.52-2.62(2H, m), 3.08(2H, s), 3.70-3.85(1H, m), 4.24(4H, q, J=7.0Hz), 4.85(2H, s), 5.07-5.16(1H, m), 7.28-7.39(6H, m), 7.42-7.57(4H, m), 7.61-7.71(3H, m)

10

Example 9

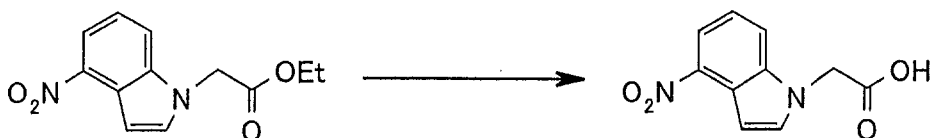
2-Phenyl-2-(2-{4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]indol-1-yl}acetoxymethyl)malonic acid diethyl ester

a) (4-Nitroindol-1-yl)acetic acid ethyl ester



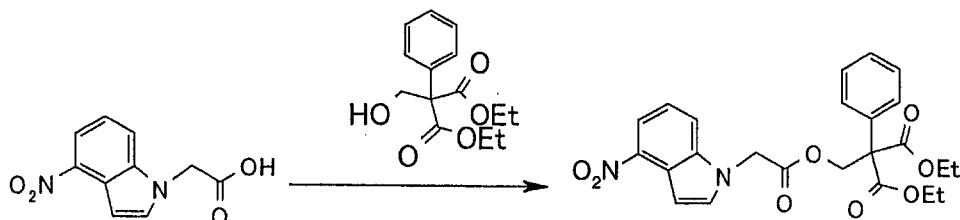
Sodium hydride (60% /mineral oil:81 mg) was dissolved in dimethylformamide (5 mL), and the solution was cooled to 0°C .
 5 After addition of 4-nitroindole (300 mg), the mixture was stirred for one hour, and bromoacetic acid ethyl ester (340 mg) was added thereto, followed by stirring at 0°C for 4 hours. Water was added thereto and the mixture was concentrated, diluted with ethyl acetate, washed with water, dried over sodium
 10 sulfate, then concentrated to give the title compound (367 mg).

b) (4-Nitroindol-1-yl)acetic acid



The (4-nitroindol-1-yl)acetic acid ethyl ester obtained in Example 9 a) was subjected to reactions similar to those in Example 1 f) to give the title compound (243 mg).
 15

c) 2-[2-(3-Nitroindol-1-yl)acetoxymethyl]-2-phenylmalonic acid diethyl ester



The (4-nitroindol-1-yl)acetic acid (229 mg) obtained in
 20 Example 9 b), 4-dimethylaminopyridine (143 mg) and the

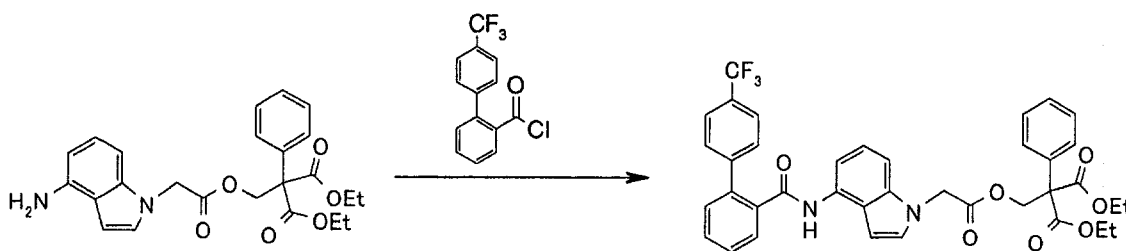
2-hydroxymethyl-2-phenylmalonic acid diethyl ester (240 mg) obtained in Example 1-2 a) were subjected to reactions similar to those in Example 1-3 c) to give the title compound (301 mg).

d) 2-[2-(4-Aminoindol-1-yl)acetoxymethyl]-2-phenylmalonic acid diethyl ester



The 2-[2-(3-Nitroindol-1-yl)acetoxymethyl]-2-phenylmalonic acid diethyl ester (100 mg) obtained in Example 9 c) was dissolved in tetrahydrofuran (2 mL), ethanol (4 mL) and water (1 mL), and to the solution were added ammonium chloride (57 mg) and reduced iron (60 mg). The mixture was stirred at 100°C for 2 hours, cooled, and filtered through a Celite pad. The filtrate was concentrated and diluted with ethyl acetate. The extract was washed successively with saturated aqueous sodium bicarbonate, water and saturated brine, dried over sodium sulfate, and concentrated to give the title compound (93 mg).

e) 2-Phenyl-2-(2-{4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]indol-1-yl}acetoxymethyl)malonic acid diethyl ester



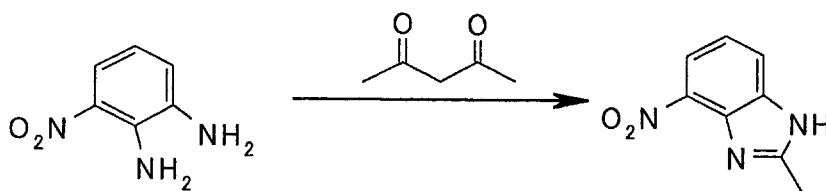
The 2-[2-(4-aminoindol-1-yl)acetoxymethyl]-2-

phenylmalonic acid diethyl ester obtained in Example 9 d) was treated in a similar manner to Example 1 e) to give the title compound (119 mg)(see Table 59).

5 Example 9-2

2-(2-{2-methyl-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]benzimidazol-1-yl}acetoxymethyl)-2-phenylmalonic acid diethyl ester

a) 2-Methyl-4-nitro-1H-benzimidazole

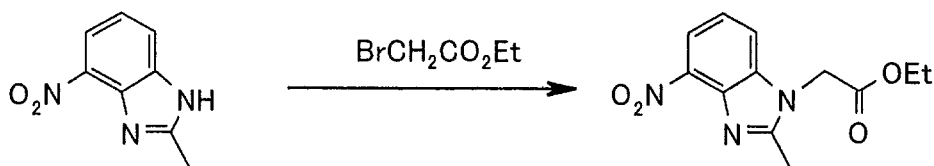


10

3-Nitrobenzene-1,2-diamine (1.0 g) was dissolved in ethanol (90 mL) and 5N hydrochloric acid (24 mL), and to this solution was added 2,4-pentanedione (1.3 g). The mixture was heated for 3 hours under reflux, cooled down to room temperature and concentrated. To this concentrate was added ethyl acetate, and the mixture was washed successively with saturated aqueous sodium bicarbonate and water, and dried over sodium sulfate to give the title compound (1.1 g).

15

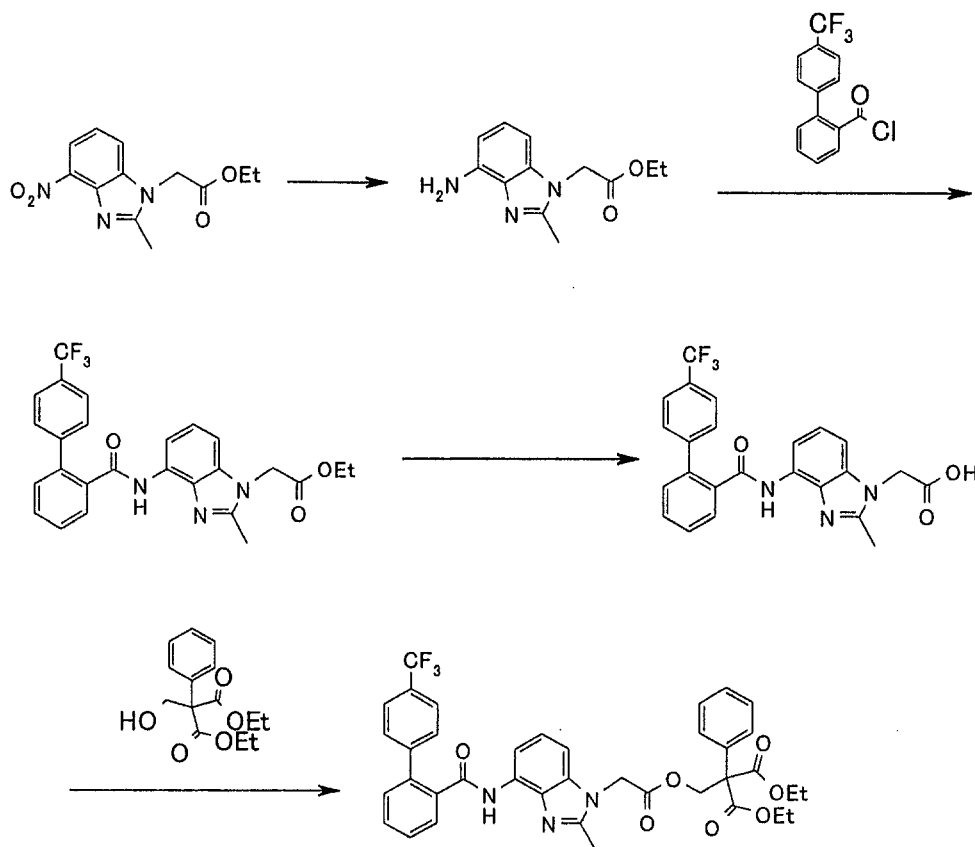
b) (2-Methyl-4-nitrobenzimidazol-1-yl)acetic acid ethyl ester



20

The 2-methyl-4-nitro-1H-benzimidazole (1.1 g) obtained in Example 9-2 a) was subjected to reactions similar to those in Example 9 a) to give the title compound (1.44 g).

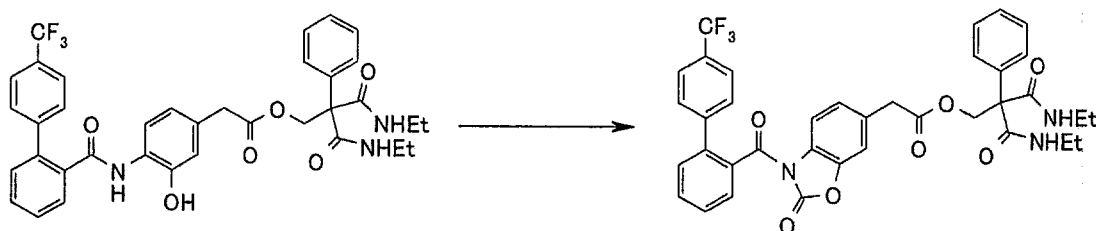
c) 2-(2-{2-Methyl-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]benzimidazol-1-yl}acetoxymethyl)-2-phenylmalonic acid diethyl ester



5 The (2-Methyl-4-nitrobenzimidazol-1-yl)acetic acid ethyl ester (500 mg) obtained in Example 9-2 b) was subjected to reactions similar to those in Examples 9 d), 1 d), 1 e), 1 f) and 1 g) to give the title compound (152 mg) (see Table 59).

10 Example 9-3

[2-Oxo-3-(4'-trifluoromethylbiphenyl-2-carbonyl)-2,3-dihydrobenzoxazol-6-yl]acetic acid 2,2-bisethylethyl ester



The {3-Hydroxy-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetic acid 2,2-bisethoxycarbonyl-2-phenylethyl ester (195 mg) obtained in Example 3-2 was dissolved
 5 in chloroform (5 mL), and to this solution was added triethylamine (72 mg). The solution was cooled to 0°C and triphosgene (35 mg) was added thereto. After stirring for one hour, the reaction solution was washed with water, dried over sodium sulfate and purified by column chromatography on silica
 10 gel with hexane:ethyl acetate=1:1 to give the title compound (173 mg)(see Table 59).

Example 9-4

2-(2-{3-Ethoxycarbonyl-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetoxymethyl)-2-phenylmalonic acid
 15 diethyl ester

a) 5-Chloro-2-nitrobenzoic acid chloride



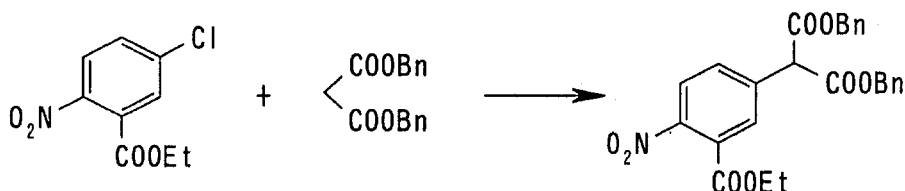
5-Chloro-2-nitrobenzoic acid was subjected to reactions
 20 similar to those in Example 1 d) to give the title compound.

b) 5-Chloro-2-nitrobenzoic acid ethyl ester



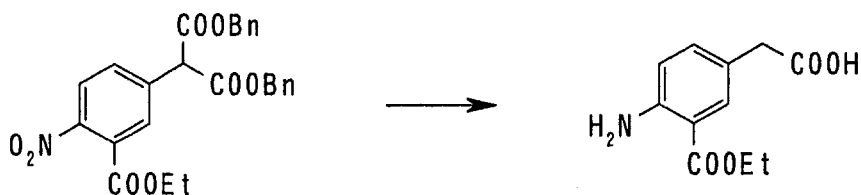
To a mixture of ethanol (1.23 mL), triethylamine (3.05 mL) and tetrahydrofuran (35 mL) was dropwise added a solution of 5-chloro-2-nitrobenzoic acid chloride (4.44 g) obtained in
 5 Example 9-4 a) in tetrahydrofuran (10 mL) under ice-cooling. The mixture was stirred at room temperature overnight, and water was then added. The solution was diluted with ethyl acetate, and the organic layer was washed successively with saturated aqueous sodium bicarbonate and saturated brine, dried over
 10 sodium sulfate and concentrated to give the title compound (4.43 g) as a pale brown solid.

c) 3-Ethoxycarbonyl-4-nitrophenylmalonic acid dibenzyl ester



The 5-chloro-2-nitrobenzoic acid ethyl ester (4.40 g)
 15 obtained in Example 9-4 b) and malonic acid dibenzyl ester were subjected to reactions similar to those in Example 1-3 a) to give the title compound (4.61 g).

d) 4-Amino-3-ethoxycarbonylphenylacetic acid

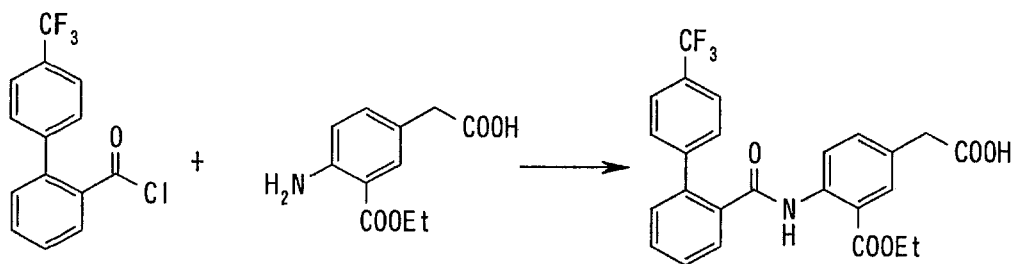


20

The 3-ethoxycarbonyl-4-nitrophenylmalonic acid

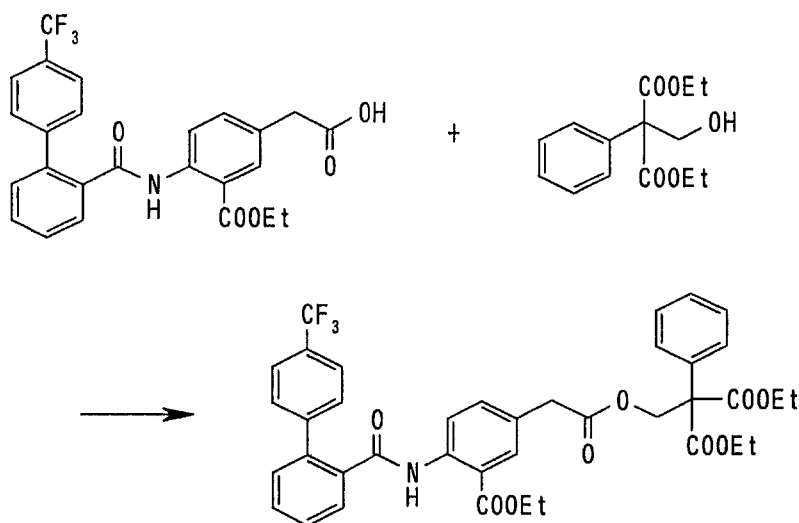
dibenzyl ester (1.51 g) obtained in Example 9-4 c) was subjected to reactions similar to those in Example 1-3 d) to give the title compound (4.59 g).

e) 3-Ethoxycarbonyl-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenylacetic acid



The 4-amino-3-ethoxycarbonylphenylacetic acid (1.51 g) obtained in Example 9-4 d) and 4'-trifluoromethylbiphenyl-2-carboxylic acid chloride (1.99 g) were subjected to reactions similar to those in Example 7 a) with the proviso that sodium bicarbonate was used as a base, thereby the title compound (1.87 g) was given as a pale yellow amorphous powder.

f) 2-(2-{3-Ethoxycarbonyl-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}acetoxymethyl)-2-phenylmalonic acid diethyl ester



The 3-ethoxycarbonyl-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenylacetic acid (0.532 g) obtained in Example 9-4 e) and the 2-hydroxymethyl-2-phenylmalonic acid diethyl ester (1.04 g) obtained in Example 1-2 a) were treated in a similar manner to Example 1 g) to give the title compound (0.524 g) (see Table 59).

Example 9-5

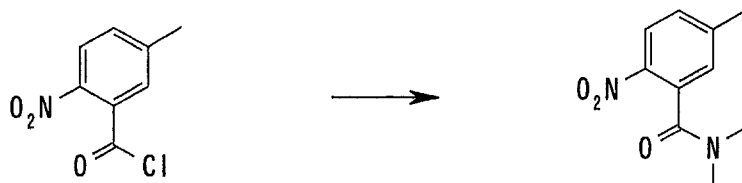
2-(3-{3-Dimethylcarbamoyl-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)-amino]-phenyl}-propionyloxymethyl)-2-phenylmalonic acid diethyl ester

a) 5-Methyl-2-nitrobenzoic acid chloride



5-Methyl-2-nitrobenzoic acid was treated in a similar manner to Example 1 d) to give the title compound.

b) 5, N, N-Trimethyl-2-nitrobenzamide



The 5-methyl-2-nitrobenzoic acid chloride obtained in Example 9-5 a) was treated in a similar manner to Example 1 e) to give the title compound.

5 c) 5-Bromomethyl-N,N-dimethyl-2-nitrobenzamide



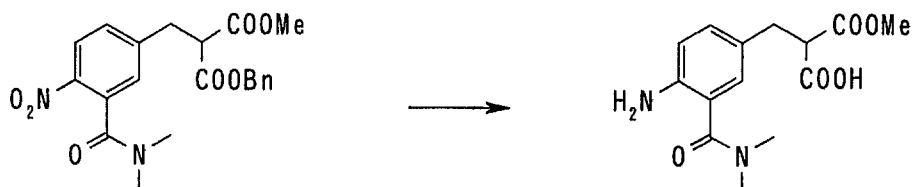
The 5, N, N-Trimethyl-2-nitrobenzamide (4.16 g) obtained in Example 9-5 b), N-bromosuccinimide (3.56 g) and 2,2'-azobisisobutyronitrile (328 mg) were suspended in carbon tetrachloride (80 mL). The suspension was stirred at 90°C for 2 hours, filtered through a Celite pad and purified by column chromatography on silica gel with hexane:ethyl acetate=5:4 to give the title compound (602 mg).

15 d) 3-(3-Dimethylcarbamoyl-4-nitrophenyl)-2-methoxy-carbonylpropionic acid benzyl ester



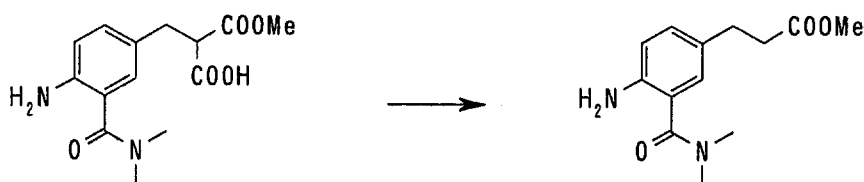
The 5-bromomethyl-N,N-dimethyl-2-nitrobenzamide (0.597 g) obtained in Example 9-5 c) and malonic acid benzyl ester methyl ester were subjected to reactions similar to those in Example 9-4 c) to give the title compound (0.491 g).

e) 3-(4-Amino-3-dimethylcarbamoylphenyl)-2-methoxycarbonyl-propionic acid



The 3-(3-dimethylcarbamoyl-4-nitrophenyl)-2-methoxycarbonyl-propionic acid benzyl ester (0.490 g) obtained in Example 9-5 d) was subjected to reactions similar to those in Example 1-2 c) to give the title compound (0.353 g).

f) 3-(4-Amino-3-dimethylcarbamoylphenyl)propionic acid methyl ester

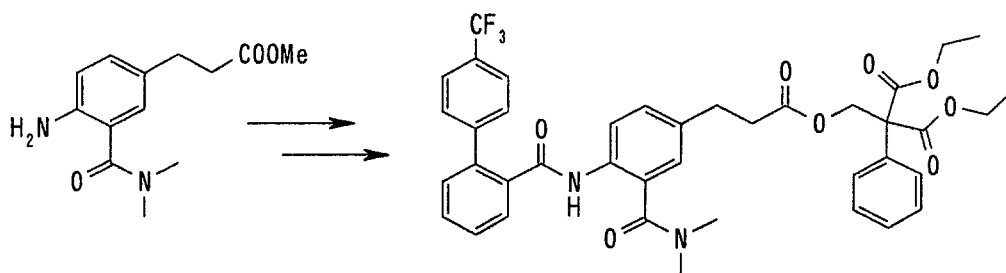


10

The 3-(4-amino-3-dimethylcarbamoylphenyl)-2-methoxycarbonylpropionic acid (347 mg) obtained in Example 9-5 e) was stirred at 150°C for 40 minutes, cooled down to room temperature, and purified by column chromatography on silica gel with hexane:ethyl acetate (1:1 to 0:1) to give the title compound (180 mg).

g) 2-(3-{3-Dimethylcarbamoyl-4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]phenyl}propionyloxymethyl)-2-phenylmalonic acid diethyl ester

20



The 3-(4-amino-3-dimethylcarbamoylphenyl)propionic acid methyl ester (0.138 g) obtained in Example 9-5 f) was subjected to reactions similar to those in Examples 1 e), 1 f) and 1 g) to give the title compound (0.158 g) (see Table 59).

Examples 9-6 to 9-29

Compounds of Examples 9-6 to 9-29 were obtained in a similar manner to Examples 9 to 9-5. The compounds thus obtained were shown in Tables 59 to 64.

Table 59

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
9		1.18(6H, t, J=7.2Hz), 4.15(4H, q, J=7.2Hz), 4.73(2H, s), 4.85(2H, s), 5.56(1H, d, J=3.0Hz), 6.85(1H, d, J=3.4Hz), 6.91(1H, d, J=8.3Hz), 7.16-7.78(16H, m), 7.92(1H, d, J=6.8Hz)
9-2		1.17(6H, t, J=7.1Hz), 2.38(3H, s), 4.13(4H, q, J=7.1Hz), 4.70(2H, s), 4.87(2H, s), 6.84(1H, d, J=7.9Hz), 7.12-7.63(13H, m), 7.80(1H, dd, J=7.5, 1.5Hz), 8.18(1H, d, J=7.9Hz), 8.41(1H, s)
9-3		1.08(6H, t, J=7.2Hz), 3.27(4H, dq, J=7.2, 7.2Hz), 3.61(2H, s), 4.88(2H, s), 6.93(1H, d, J=1.1Hz), 7.04(1H, dd, J=8.3, 1.5Hz), 7.11-7.70(15H, m), 7.79(1H, d, J=8.3Hz)
9-4		1.21(6H, t, J=7.1Hz), 1.35(3H, t, J=7.1Hz), 3.55(2H, s), 4.15-4.30(6H, m), 4.83(2H, s), 7.24-7.38(6H, m), 7.41-7.47(1H, m), 7.48-7.62(6H, m), 7.76(1H, dd, J=1.5, 7.5Hz), 7.81(1H, d, J=1.9Hz), 8.68(1H, d, J=8.7Hz), 11.23(1H, br-s)
9-5		1.24(6H, t, J=7.0Hz), 2.53(2H, t, J=7.3Hz), 2.76-3.00(6H, br), 2.81(2H, t, J=7.3Hz), 4.24(4H, q, J=7.0Hz), 4.81(2H, s), 6.96(1H, d, J=2.3Hz), 7.17(1H, dd, J=1.2, 8.4Hz), 7.29-7.37(5H, m), 7.37-7.42(1H, m), 7.44-7.57(2H, m), 7.60-7.64(4H, m), 7.68(1H, dd, J=1.5, 7.4Hz), 8.28(1H, d, J=8.4Hz), 9.05(1H, br-s)

Table 60

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
9-6		1.12(6H, t, J=7.2Hz), 3.30(4H, dq, J=5.7, 7.2Hz), 4.39(2H, d, J=6.0Hz), 5.08(2H, s), 5.59(1H, br-t, J=6.0Hz), 6.97-7.03(2H, m), 7.28-7.42(8H, m), 7.43-7.55(4H, m), 7.56-7.61(2H, m), 7.66-7.71(1H, m), 7.76-7.83(2H, m)
9-7		1.08(3H, t, J=7.2Hz), 2.64-2.82(2H, m), 2.86-2.97(2H, m), 3.13-3.36(2H, m), 5.87-5.98(1H, br), 6.03(1H, s), 7.00(1H, s), 7.04-7.15(4H, m), 7.30-7.40(5H, m), 7.43(1H, dd, J=1.1, 7.5Hz), 7.48-7.63(4H, m), 7.63-7.71(2H, m), 7.78(1H, dd, J=1.5, 7.5Hz)
9-8		1.04(6H, t, J=7.2Hz), 3.23(4H, dq, J=5.7, 7.2Hz), 3.55(2H, s), 4.85(2H, s), 5.23(2H, s), 7.08(2H, br-t, J=5.7Hz), 7.14-7.22(2H, m), 7.23-7.47(10H, m), 7.48-7.64(6H, m), 7.76(1H, dd, J=1.5, 7.1Hz), 7.81(1H, d, J=2.2Hz), 8.69(1H, d, J=8.7Hz), 11.17(1H, br-s)
9-9		1.05(6H, t, J=7.2Hz), 3.25(4H, dq, J=5.6, 7.2Hz), 3.58(2H, s), 4.86(2H, s), 7.11-7.63(15H, m), 7.72(1H, dd, J=1.5, 7.5Hz), 7.83(1H, d, J=1.9Hz), 8.66(1H, d, J=8.6Hz), 11.10(1H, br-s)
9-10		1.07(6H, t, J=7.2Hz), 1.36(3H, t, J=7.2Hz), 3.26(4H, dq, J=5.4, 7.2Hz), 3.58(2H, s), 4.26(2H, q, J=7.2Hz), 4.86(2H, s), 7.10(2H, br-t, J=5.4Hz), 7.16-7.37(6H, m), 7.40-7.64(7H, m), 7.77(1H, dd, J=1.9, 7.1Hz), 7.80(1H, d, J=1.9Hz), 8.69(1H, d, J=8.7Hz), 11.22(1H, br-s)

Table 61

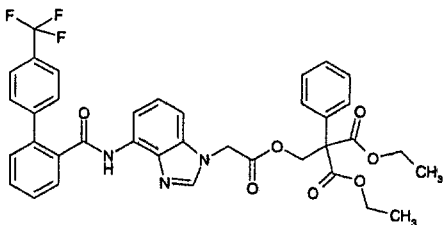
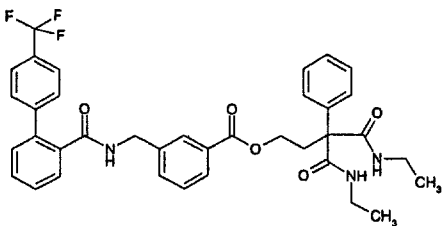
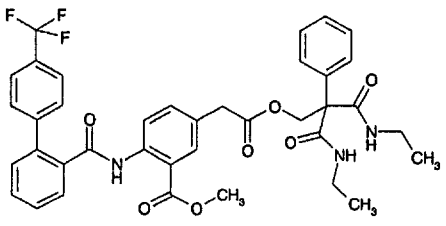
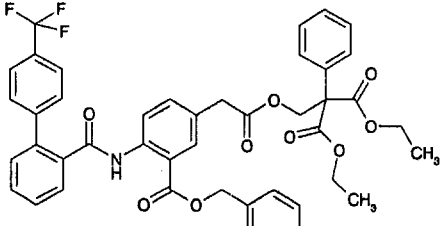
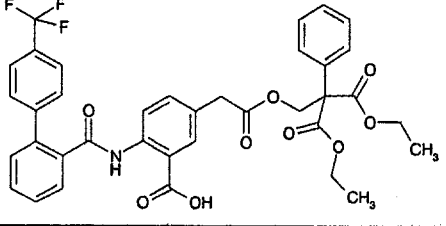
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
9-11		1.19(6H, t, J=7.2Hz), 4.17(4H, q, J=7.2Hz), 4.79(2H, s), 4.90(2H, s), 6.94(1H, d, J=8.0Hz), 7.15-7.63(13H, m), 7.82(1H, d, J=7.5Hz), 8.25(1H, d, J=8.0Hz), 8.47(1H, br.s)
9-12	 m.p 139.4-141.0	1.15(6H, t, J=7.2Hz), 2.64-2.73(2H, m), 3.32(4H, dq, J=5.3, 7.2Hz), 4.31-4.44(2H, m), 4.41(2H, d, J=6.0Hz), 5.62(1H, br-t, J=6.0Hz), 7.10-7.16(1H, m), 7.24-7.39(7H, m), 7.42-7.58(6H, m), 7.62-7.72(3H, m), 7.74-7.78(1H, m), 7.85-7.92(1H, m)
9-13		1.07(6H, t, J=7.1Hz), 3.26(4H, dq, J=5.7, 7.1Hz), 3.57(2H, s), 3.81(3H, s), 4.86(2H, s), 7.10(6H, br-t, J=5.7Hz), 7.16-7.23(2H, m), 7.25-7.37(4H, m), 7.41-7.63(7H, m), 7.77(1H, dd, J=1.5, 7.5Hz), 7.80(1H, d, J=2.2Hz), 8.68(1H, d, J=8.3Hz), 11.15(1H, br-s)
9-14		1.19(6H, t, J=7.0Hz), 3.52(2H, s), 4.19(4H, q, J=7.0Hz), 4.82(2H, s), 5.23(2H, s), 7.24-7.29(5H, m), 7.32-7.46(7H, m), 7.49-7.62(6H, m), 7.74-7.79(1H, m), 7.82(1H, d, J=2.2Hz), 8.67(1H, d, J=8.8Hz), 11.17(1H, br-s)
9-15		1.21(6H, t, J=7.1Hz), 3.56(2H, s), 4.21(4H, q, J=7.1Hz), 4.85(2H, s), 7.23-7.32(5H, m), 7.36-7.63(8H, m), 7.77(1H, dd, J=1.5, 7.5Hz), 7.86(1H, d, J=1.9Hz), 8.70(1H, br-d, J=8.3Hz), 10.89(1H, br-s)

Table 62

Example	Structure	NMR (δ , 300MHz, CDCl ₃)
9-16		1.22(6H, t, J=7.1Hz), 3.57(2H, s), 4.23(4H, q, J=7.1Hz), 4.85(2H, s), 6.92(1H, s), 7.03(1H, d, J=8.3Hz), 7.30-7.69(13H, m), 7.77(1H, d, J=8.3Hz)
9-17		1.23(6H, t, J=7.1Hz), 3.67(2H, s), 4.23(4H, q, J=7.1Hz), 4.85(2H, s), 7.23-7.34(6H, m), 7.42-7.49(3H, m), 7.52-7.67(5H, m), 7.95(1H, d, J=1.9Hz), 8.05(1H, dd, J=1.5, 7.5Hz)
9-18		1.21(6H, t, J=7.2Hz), 1.31(6H, d, J=6.4Hz), 3.55(2H, s), 4.21(4H, q, J=7.2Hz), 4.83(2H, s), 5.09(1H, sept, J=6.4Hz), 7.24-7.38(6H, m), 7.41-7.47(1H, m), 7.48-7.62(6H, m), 7.73-7.81(2H, m), 8.68(1H, d, J=8.6Hz), 11.33(1H, br-s)
9-19		1.21(6H, t, J=7.1Hz), 3.54(2H, s), 3.80(3H, s), 4.21(4H, q, J=7.1Hz), 4.83(2H, s), 7.24-7.38(6H, m), 7.44(1H, dd, J=1.6, 7.1Hz), 7.50-7.62(6H, m), 7.77(1H, dd, J=1.5, 7.1Hz), 7.81(1H, d, J=2.3Hz), 8.67(1H, d, J=8.7Hz), 11.15(1H, br-s)
9-20		1.21(6H, t, J=7.1Hz), 1.85-2.03(2H, m), 2.05-2.27(2H, m), 2.50-2.67(1H, m), 2.81(3H, brs), 2.88(3H, brs), 3.02-3.16(1H, m), 3.51(2H, s), 3.71-3.86(1H, m), 4.20(4H, q, J=7.1Hz), 4.82(2H, s), 6.99(1H, d, J=9.0Hz), 7.05(1H, d, J=1.8 Hz), 7.18(1H, dd, J=1.9Hz, J=8.3Hz), 7.22-7.37(5H, m), 7.56(1H, dd, J=1.8Hz, J=9.0Hz), 8.01(1H, brs), 8.08(1H, d, J=8.3Hz), 9.29(1H, brs).

Table 63

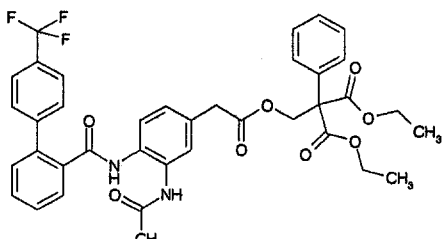
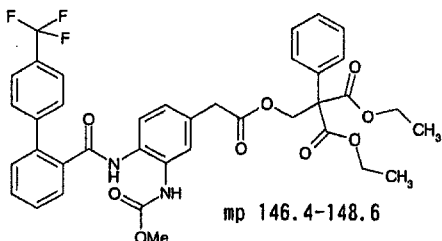
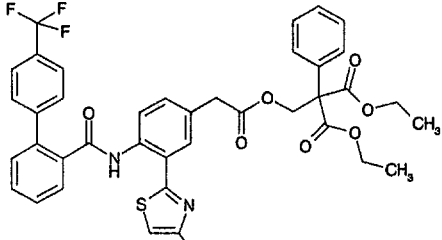
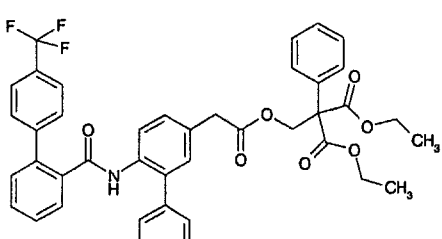
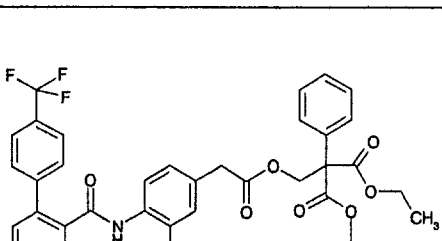
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
9-21		1.18(6H, t, J=7.1Hz), 2.03(3H, s), 3.53(2H, s), 4.14(4H, q, J=7.1Hz), 4.86(2H, s), 6.97-7.05(2H, m), 7.24-7.81(15H, m), 8.35(1H, brs).
9-22	 mp 146.4-148.6	1.20(6H, t, J=7.2Hz), 3.52(2H, s), 3.72(3H, s), 4.18(4H, q, J=7.2Hz), 4.83(2H, s), 6.83(1H, brs), 4.95(1H, dd, J=1.8Hz, J=8.3Hz), 7.14(1H, d, J=8.3Hz), 7.18-7.80(15H, m).
9-23		1.20(6H, t, J=7.1Hz), 2.36(3H, s), 3.57(2H, s), 4.20(4H, q, J=7.1Hz), 4.85(2H, s), 6.85(1H, s), 7.18-7.59(14H, m), 8.67 (1H, d, J=8.6Hz), 12.71(1H, brs)
9-24		1.19(6H, t, J=7.2Hz), 3.53(2H, s), 4.17(4H, q, J=7.2Hz), 4.82(2H, s), 6.89-6.96(3H, m), 7.17-7.55(17H, m), 7.67 (1H, dd, J=1.5, 7.5Hz), 8.45(1H, d, J=8.7Hz)
9-25		1.21(6H, t, J=7.1Hz), 3.59(2H, s), 4.21(4H, q, J=7.1Hz), 4.87(2H, s), 7.27-7.78(15H, m), 8.67(1H, d, J=8.3Hz), 9.61(1H, brs), 11.1(1H, brs)

Table 64

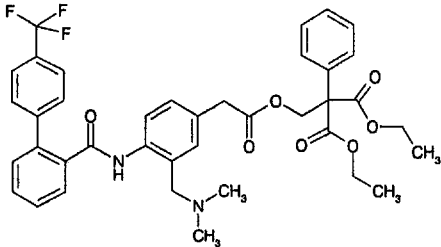
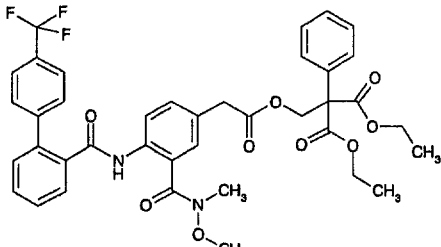
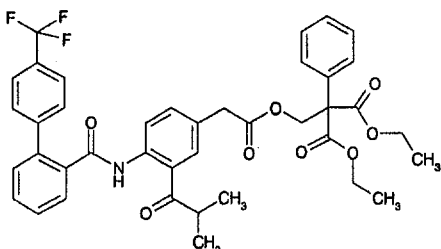
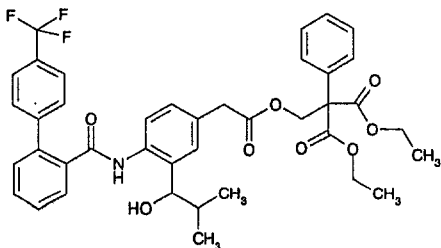
Example	Structure	NMR (δ , 300MHz, CDCl ₃)
9-26		1.20(6H, t, J=7.0Hz), 1.94(6H, s), 3.14(2H, s), 3.48(2H, s), 4.19(4H, q, J=7.0Hz), 4.81(2H, s), 6.83(1H, d, J=2.0Hz), 7.08(1H, dd, J=2.0, 8.0Hz), 7.27-7.59(12H, m), 7.68(1H, dd, J=1.2, 7.6Hz), 8.22(1H, d, J=8.5Hz), 10.7(1H, brs)
9-27		1.21(6H, t, J=7.1Hz), 3.20(3H, s), 3.36(3H, s), 3.52(2H, s), 4.21(4H, q, J=7.1Hz), 4.82(2H, s), 7.23-7.61(14H, m), 7.71(1H, d, J=7.3Hz), 8.31(1H, d, J=8.4Hz), 9.49(1H, brs)
9-28		1.06(6H, d, J=6.9Hz), 1.20(6H, t, J=7.2Hz), 3.42-3.51(1H, m), 3.56(2H, s), 4.20(4H, q, J=7.2Hz), 4.85(2H, s), 7.28-7.77(15H, m), 8.72(1H, d, J=8.7Hz), 11.81(1H, brs)
9-29		0.49(3H, d, J=6.6Hz), 0.90(3H, d, J=6.6Hz), 1.14-1.25(6H, m), 1.40-1.58(1H, m), 2.41(1H, d, J=3.6Hz), 3.51(2H, d, J=3.6Hz), 3.81-3.88(1H, m), 4.02-4.18(4H, m), 4.83(2H, s), 6.79(1H, s), 7.05-7.69(14H, m), 8.19(1H, d, J=8.1Hz), 9.01(1H, brs)

Table 65

Compound	structure	NMR(δ , 300MHz, CDCl ₃)
2 e)	 The structure shows a central benzene ring with a trifluoromethyl group (-CF ₃) at the top position. At the bottom position, there is a carboxylic acid group (-COOH). At the 3-position, there is a dimethylamino group (-N(CH ₃) ₂). At the 4-position, there is an amide group (-NH-C(=O)-C ₆ H ₅).	2.86(3H, brs), 2.93(3H, brs), 3.56(2H, s), 7.09(1H, d, J=2.0Hz), 7.23-7.71(9H, m), 8.29(1H, d, J=8.7Hz), 9.06(1H, brs).
2-17 e)	 The structure is similar to compound 2 e), but the dimethylamino group is replaced by a pyrrolidine ring attached to the carbonyl carbon of the amide group.	1.75-1.99(4H, m), 3.32-3.52(4H, m), 3.53(2H, s), 7.20-7.69(10H, m), 8.22(1H, d, J=4.4Hz), 9.74(1H, brs).

Formulation

Hereinafter, the present invention will be illustrated
5 specifically by references of formulations.

Formulation 1

A film with a controlled thickness was prepared by use
of a gelatin shell composition (a) in accordance with the
10 conventional method. Two sheets of the film were inserted into
a rotating left-right symmetric metallic die rolls and molded
into outer shells of soft capsules, while a filling solution
(b) was injected into the outer shells of the soft capsules,
and simultaneously the outer shells of the softcapsules were

melted and sealed by the rotation of the die rolls, then the capsules were cut from the film. The capsules were dried in a rotary dryer, and allowed to dry for 4 days to give soft capsules. Hereinafter, specific examples of formulations were
 5 given.

Formulation 1-1

(a) film composition

	gelatin	100 parts
	sugar alcohol solution derived from corn starch	
10		30 parts
	purified water	100 parts

(b) filling solution (per capsule)

	propylene glycol fatty acid ester	295 mg
	ethanol	105 mg

15 Formulation 1-2

(a) film composition

	gelatin	100 parts
	sugar alcohol solution derived from corn starch	
		30 parts
20	purified water	100 parts

(b) filling solution (per capsule)

	compound of Example 2-5	5 mg
	propylene glycol fatty acid ester	291 mg
	ethanol	104 mg

25 Formulation 1-3

(a) film composition

	gelatin	100 parts
	sugar alcohol solution derived from corn starch	
		30 parts

	purified water	100 parts
(b)	filling solution (per capsule)	
	compound of Example 2-5	5 mg
	propylene glycol fatty acid ester	277 mg
5	ethanol	148 mg

Formulation 2

The compound of Example 2-22, an excipient and a binder were mixed in a usual method to prepare granulated powder. The powder obtained was blended with a disintegrator and a lubricant to prepare a powder for tablets in a usual method. The powder was compressed to give tablets in a usual method. Specific examples of formulations were hereinafter given.

Formulation 2-1

	compound of Example 2-22	5 mg
15	lactose	133.06 mg
	crystalline cellulose	18 mg
	hydroxypropyl methylcellulose 2910	5.4 mg
	crospovidone	18 mg
	magnesium stearate	0.54 mg

20 Formulation 2-2

	compound of Example 2-22	5 mg
	lactose	92.44 mg
	corn starch	15 mg
	hydroxypropyl methylcellulose 2910	3.6 mg
25	carboxymethyl starch	3.6 mg
	magnesium stearate	0.36 mg

Formulation 2-3

	compound of Example 2-22	5 mg
	D-mannitol	158.4 mg

hydroxypropyl methylcellulose 2910	6 mg
calcium silicate	20 mg
crospovidone	10 mg
magnesium stearate	0.6 mg

5

Pharmacological test

Test Example 1

Inhibition of interliposomal triglyceride (TG) transfer activity by MTP

10 Microsomal triglyceride transfer protein (MTP) from bovine liver was partially purified in such a way described below. A buffer (50 mM Tris, 250 mM sucrose, 1 mM EDTA, 0.02% NaN₃ (pH 7.4)) for making a homogenate preparation was added to bovine liver, and the mixture was homogenated under
15 ice-cooling, then centrifuged at 10,000 × g (4°C, 30 minutes). The supernatant was adjusted to pH 5.1 with hydrochloric acid, and stirred for 30 minutes. The solution was further centrifuged at 10,000 × g (4°C, 30 minutes), and 1 mM Tris buffer was added to the precipitated residue, and the mixture was
20 adjusted to pH 8.6 with sodium hydroxide. After addition of 2.7M ammonium sulfate solution, the mixture was stirred for 30 minutes, then centrifuged at 10,000 × g (4°C, 40 minutes). The resulting supernatant was served as a crude extraction fraction of MTP and stored at -80°C under freezing. In its practical
25 use, the crude extraction fraction of MTP was purified by column chromatography on diethylaminoethyl (DEAE) Sepharose using FPLC (Fast Performance Liquid Chromatography) system, and the purified MTP was used for the test.

Small unilamellar-vesicle (SUV) liposome (donor, 0.25

mol% triolein, 5 mol% cardiolipin) labeled with ^{14}C -triolein and non-labeled SUV liposome (acceptor, 0.25 mol% triolein) were prepared. A fixed amount of donor and acceptor, and MTP were mixed with a sample dissolved in DMSO or with DMSO. The mixture was incubated in a 15 mM Tris hydrochloride buffer (pH 7.4) containing 40 mM sodium chloride, 1 mM EDTA (ethylenediaminetetraacetic acid), 0.02% NaN_3 and 0.5% bovine serum albumin at 37°C for one hour. After completion of the incubation, a suspension of DEAE cellulose (50% v/v) in 15 mM Tris hydrochloride buffer (pH 7.4) was added to the above solution, and the mixture was centrifuged to separate the donor and the acceptor. The radioactivity in the acceptor was measured by liquid scintillation counter. The value obtained by subtracting the radioactivity in the blank from the amount of radioactivity in the acceptor of a DMSO group was determined as MTP-mediated TG transfer activity, and it was compared with the value obtained by subtracting the radioactivity in the blank from the radioactivity in a sample group. The blank was prepared by adding 15 mM Tris-HCl buffer (pH 7.4) in place of MTP. Inhibition rate (%) was calculated from the values obtained according to the following equation.

$$\text{Inhibition rate (\%)} = 100 \times (1 \text{ minus } ((\text{radioactivity of sample group minus radioactivity of blank group}) / (\text{radioactivity of DMSO group minus radioactivity of blank group})))$$

50% Inhibition rate (IC_{50}) was determined on the basis of the above equation. The results were shown in Table 66 to 70.

Test Example 2

Inhibition of apolipoprotein B secretion from HepG2 cells

HepG2 cells were suspended in Dulbecco's Modified Eagle's Medium (DMEM) (containing 10% fetal bovine serum, 100 units/mL penicillin and 100 µg/mL streptomycin), and placed on a 96-well plate (4×10^4 cells/well), then incubated for 24 hours. After removal of the medium, DMEM was replaced by a medium containing a sample dissolved in DMSO or a medium containing DMSO (concentration of DMSO: 0.5%) and incubation was further performed for 24 hours, after which the supernatant was recovered, and concentration of apo B in the supernatant was assayed by Enzyme-Linked Immunosorbent Assay (ELISA)

ELISA was carried out as follows. Anti-human apo B monoclonal antibody (0.5 µg/well) diluted with a solution of sodium carbonate in sodium bicarbonate buffer (50 mL, pH 9.6) was placed in a 96-well plate for ELISA, and allowed to stand at room temperature for 15 hours. After washing the plate, a blocking solution (250 µL/well) was placed in the well, and allowed to stand at room temperature for 1.5 hours. After washing the plate, a standard and a sample (100 µL/well) were placed in the well and allowed to stand at room temperature for one hour. The standard was prepared by adjusting the concentration of the purified human apo B with the DMEM to 0 to 1000ng/mL. After washing the plate, an anti-human apo B polyclonal antibody labeled with a horse radish peroxidase which was diluted in 1:1000 with DEME (100 µL/well), and allowed to stand at room temperature for one hour. After washing the plate, 2,2-azinobis(3-ethylbenzothiazoline-6-sulfonic acid) solution (100 µL/well) was placed in the well, and allowed to

stand at room temperature for one hour. The reaction was stopped by addition of 2% oxalic acid (100 µL/well), and absorbency at 405 nm was measured. Concentration of apo B in the sample was calculated on the basis of a standard curve of the standard. Inhibition rate (%) was calculated from the assayed values in accordance with the following equation.

Inhibition rate (%) = $100 \times (1 - \text{concentration of apo B in sample group} / \text{concentration of apo B in DMSO group})$.

Based on the above equation, 50% inhibition concentration (IC₅₀) was determined.

The results were shown in Tables 66 to 70.

Table 66

Example	Test Example 1 MTP inhibition IC ₅₀ (nM)	Test Example 2 Inhibition of apo B secretion IC ₅₀ (nM)	Example	Test Example 1 MTP inhibition IC ₅₀ (nM)	Test Example 2 Inhibition of apo B secretion IC ₅₀ (nM)
1	0.6	5.8	1-2	42	850
1-3	4.7	5.75	1-4	3.4	190
1-5	0.66	0.65	1-6	1.2	5.5
1-7	7.55	330	1-8	37.5	720
1-9	5.95	3.2	1-11	32	22
1-12	7.6	63	1-13	5.8	170
1-14	82	55	1-22	66.5	179.5
1-23	54	63	1-25	5	8.4
1-26	630	620	1-27	7	26
1-28	35	640	1-31	84.5	61
1-32	8.6	720	1-34	23	100
1-35	1.0	6.9	1-36	2.0	150
1-37	3.5	47	1-38	6.0	320
1-39	0.66	160	1-40	6.9	2.1
1-42	4.4	35	1-45	0.39	0.46
1-47	4.5	750	1-48	3.2	9.7
1-49	20	5.3	1-51	0.96	530
1-52	10	690	1-53	0.43	860
1-62	5.0	46	1-63	16	90
1-66	16	9.3	1-67	27	28
1-69	9.1	90	1-70	1.6	270
1-71	1.8	120	1-72	0.44	2.7
1-73	-	39	1-74	-	680

Table 67

Example	Test Example 1 MTP inhibition IC ₅₀ (nM)	Test Example 2 Inhibition of apo B secretion IC ₅₀ (nM)	Example	Test Example 1 MTP inhibition IC ₅₀ (nM)	Test Example 2 Inhibition of apo B secretion IC ₅₀ (nM)
1-75	-	97	1-76	-	120
1-77	-	360	1-78	-	11
1-79	-	0.59	1-80	-	8.2
1-81	-	0.49	1-82	-	0.53
1-83	-	0.23	1-84	-	4.4
1-85	-	3.2	-	-	-
2	0.62	1.5	2-2	1.4	1.3
2-3	2.1	2.0	2-4	0.94	0.29
2-5	1.4	0.55	2-7	1.1	0.56
2-8	-	0.99	2-10	-	1.4
2-12	-	7.0	2-13	-	0.74
2-14	-	1.2	2-15	-	0.53
2-16	-	2.7	2-18	-	0.69
2-19	-	26	2-20	-	0.64
2-21	1.8	8.9	2-22	1.4	0.44
2-23	2.7	0.61	2-24	-	28
2-25	-	6.3	2-26	-	8.2
2-29	-	91	2-30	-	27
2-31	-	43	2-32	-	1.7
2-33	-	13	2-34	-	2.0
2-35	-	38	2-36	-	7.4
2-39	0.74	0.76	2-40	-	0.99
2-41	-	1.2	2-42	-	1.8

Table 68

Example	Test Example 1 MTP inhibition IC ₅₀ (nM)	Test Example 2 Inhibition of apo B secretion IC ₅₀ (nM)	Example	Test Example 1 MTP inhibition IC ₅₀ (nM)	Test Example 2 Inhibition of apo B secretion IC ₅₀ (nM)
2-43	-	9.0	2-44	-	33
2-45	-	39	2-46	-	2.9
2-47	-	1.2	2-48	-	0.36
2-49	-	49	2-50	-	21
2-51	-	47	2-53	-	4.6
2-54	-	8.5	2-55	-	4.2
2-56	-	0.93	2-57	-	0.56
2-58	-	1.9	2-59	-	0.99
2-60	-	1.3	2-61	-	0.38
2-62	-	0.37	2-66	-	57
2-67	-	43	2-68	-	2.25
2-69	-	0.91	2-70	-	2.34
2-71	-	0.54	2-72	-	0.95
2-73	-	2.93	2-74	-	0.84
2-75	-	12.54	2-76	-	0.85
2-77	-	2.74	2-78	-	0.14
2-79	-	1.3	2-80	-	0.79
2-81	-	0.96	2-82	-	4.41
2-83	-	8.87	2-84	-	2.01
2-85	-	0.49	2-86	-	0.42
2-88	-	1.92	2-90	-	1.74
2-91	-	0.54	2-92	-	1.47
2-93	-	45.8	2-95	-	18

Table 69

Example	Test Example 1 MTP inhibition IC ₅₀ (nM)	Test Example 2 Inhibition of apo B secretion IC ₅₀ (nM)	Example	Test Example 1 MTP inhibition IC ₅₀ (nM)	Test Example 2 Inhibition of apo B secretion IC ₅₀ (nM)
2-96	-	81	2-97	-	22
2-98	-	0.97	2-99	-	19
2-102	-	14.63	2-103	-	42.6
2-104	-	3.58	2-105	-	0.2
2-106	-	0.44	2-107	-	50
2-108	-	0.82	2-109	-	0.93
2-110	-	0.65	2-114	-	3.1
2-115	-	44	2-117	-	38
2-118	-	49	-	-	-
3	-	1.9	3-2	-	4.2
3-3	0.40	1.0	3-4	2.1	13
3-5	-	2.2	3-6	5.0	0.63
3-7	-	0.35	3-8	3.7	0.38
3-9	-	1.6	3-10	2.7	0.31
3-11	-	0.36	3-12	-	0.72
3-13	-	1.9	3-14	13	64
3-15	-	49.9	-	-	-
4	-	0.33	4-2	-	16
4-3	-	7.9	4-5	-	5.2
4-6	-	7.5	4-7	-	15
4-8	-	10	-	-	-
5	3.1	19	5-2	66.5	875
5-3	6.2	86.5	5-4	2.4	57

Table 70

Example	Test Example 1 MTP inhibition IC ₅₀ (nM)	Test Example 2 Inhibition of apo B secretion IC ₅₀ (nM)	Example	Test Example 1 MTP inhibition IC ₅₀ (nM)	Test Example 2 Inhibition of apo B secretion IC ₅₀ (nM)
5-5	4.5	5.0	5-6	3.9	260
5-8	14	340	5-9	4.0	28
5-10	6.2	300	5-11	1.3	5.1
5-12	-	1.3	5-13	-	2.4
5-14	-	0.34	5-15	-	6.4
5-16	-	3.0	5-17	-	5.2
6	3.47	58.3	6-3	5.2	510
6-8	50	630	6-10	22	870
6-14	6.3	87	6-15	2.0	57
6-16	2.9	170	6-17	2.3	420
6-19	3.2	35	6-21	9.8	920
7	4.97	60.0	7-2	2.46	26
7-5	16.2	237	-	-	-
8	33	595	-	-	-
9	11	260	9-2	4.2	450
9-3	-	59	9-4	-	9.9
9-5	-	3.1	9-6	-	59
9-8	-	6.9	9-10	-	2.3
9-11	20	210	9-13	-	0.53
9-14	-	41	9-17	-	23
9-21	-	20	9-22	-	0.96
9-23	-	8.77	9-24	-	7.86
9-27	-	0.82	-	-	-

Test Example 3

Olive oil-loading test

Syrian hamsters (9-11 weeks of age) under non-fasted conditions were used in the test. Blood was collected previously from orbital venous plexus, and a sample was suspended in 0.5% methyl cellulose (vehicle) and the suspension was forced to be administered orally to the hamsters at a dose of 0.3, 1, 3 or 10 mg/2 mL/kg. Only vehicle in the same volume was administered to the control group. Olive oil (2 mL/kg) was forced to be administered orally 30 minutes after the administration of the sample, and blood was collected from orbital venous plexus 4 hours later. Plasma was recovered from the blood, and the amount of triglyceride (TG) in the plasma was determined by automatic analyzer (Hitachi Co.). The data was expressed in terms of $\Delta\text{TG}(\text{mg/dL}) = \text{the value at 4}^{\text{th}} \text{ hr minus the value before administration}$. Inhibition rate (%) was calculated from the data obtained on the basis of the following equation.

Inhibition rate (%) = $100 \times (1 \text{ minus } \Delta\text{TG of sample group} / \Delta\text{TG of control group})$. The results were shown in Table 71.

Table 71

Example	Test Example 3 Inhibition of fat absorption after olive oil-loading in Hamster ()mg/kg.p.o) Inhibition rate (%)	Example	Test Example 3 Inhibition of fat absorption after olive oil-loading in Hamster ()mg/kg.p.o) Inhibition rate (%)
1	57(100)	1-3	65(100)
1-5	59(3)	1-6	54(10)
1-35	59(100)	1-45	71(100)
1-66	52(100)	1-72	54(100)
2	95(10)	2-2	96(10)
2-3	68(3)	2-4	78(3)
2-5	70(3)	2-7	58(3)
2-8	89(3)	2-13	70(3)
2-14	80(3)	2-15	81(3)
2-18	58(3)	2-22	61(3)
2-25	55(3)	2-39	68(3)
2-48	87(3)	-	-
3-3	78(10)	3-5	80(10)
3-6	52(3)	3-7	74(3)
3-8	60(3)	3-10	85(3)
3-11	75(3)	-	-
5-9	78(100)	5-11	64(100)
9-10	54(3)	9-13	70(3)

Test Example 4

Liver TG release inhibition test

Syrian hamsters (9 to 11 weeks of age) which were fasted for one day were used in the test.

5 Blood was collected previously from orbital venous plexus, and a sample was forced to be administered orally to the hamsters at a dose of 30, 100 or 300 mg/2 mL/kg, and the same amount of vehicle was administered to the control group. Triton WR 1339 (2 mL/kg) was intravenously administered to the hamsters 30
10 minutes after the above administration. Two hours later, blood was collected from orbital venous plexus, and plasma was separated from the blood. The amount of TG in the plasma was determined by automatic analyzer (Hitachi Co.). The data was expressed in terms of TG release velocity (mg/dL/min)=(value
15 at 2nd hour minus value before administration)/120. Inhibition rate (%) was calculated from the data obtained on the basis of the following equation.

Inhibition rate (%) = $100 \times (1 - \text{TG release velocity of sample} / \text{TG release velocity of control group})$. The results were
20 shown in Table 72.

Table 72

Example No.	Test Example 4 Inhibition of liver TG release in Hamster (())mg/kg.p.o) Inhibition rate(%)	Example No.	Test Example 4 Inhibition of liver TG release in Hamster (())mg/kg.p.o) Inhibition rate(%)
1	19(300)	1-6	0(100)
1-35	9(300)	2-5	0(100)
2-22	0(30)	2-39	6(100)
3-3	18(100)	-	-

Test Example 5

Combination use test

- 5 Japanese white rabbits (male, 19 weeks of age, JW, purchased from Kitayama Labes Co., Ltd.) were fed previously in such a way that they were fed a high cholesterol diet (0.3 % cholesterol + 3 % peanut oil-added RC-4, Product of Oriental Yeast Co., Ltd.) of 70 g/day under limited feeding for one day.
- 10 The rabbits thus fed were used as a cholesterol-loaded rabbit model, and the grouping of such model was carried out in such a way that there might be no variation in the amount of plasma cholesterol among each group (five rabbits/group). After collection of blood from auricular artery, compounds of
- 15 Examples 2 to 5, simvastatin, and compounds of Examples 2 to 5 plus simvastatin were added to a high cholesterol diet in the dose as shown in Table below, and the rabbits were fed such diet. The rabbits were fed 70 g of each diet every morning. Blood

was collected from auricular artery 6 hours after the feeding on the 4th day of the administration, and cholesterol level in plasma was assayed. In the table, increased amount of plasma cholesterol during from the time of grouping to the 4th day was shown.

Table 73

	Increased amount of total cholesterol (mg/dl)
Control	80.0
Simvastatin (1 mg/kg)	48.6
Example 2-5 (10 mg/kg)	8.6
Example 2-5 (10 mg/kg) + Simvastatin (1 mg/kg)	2.1

Test Example 6

Determination of the concentration in plasma

10 Syrian hamsters (9-15 weeks of age) under non-fasted conditions were used in the test. A sample was suspended in 0.5% methyl cellulose (vehicle), and the suspension was forced to be administered orally to the hamsters at a dose of 30 or 100 mg/2 mL/kg. After a fixed period of time, blood was partly
 15 collected from orbital venous plexus, and the hamsters were subjected to laparotomy under ether anesthesia, and then blood was collected from portal vein. The blood was immediately cooled with ice to separate plasma. A portion of the plasma was extracted with an organic solvent and the supernatant was
 20 recovered. Concentration of the sample (unchanged form) and

that of the metabolite in the supernatant were determined quantitatively by high performance liquid chromatography/mass spectrometry (LC/MS) comparing with chromatogram of synthetic standard.

5 Table 74

Compound	Dose (mg/kg)	Component	Blood of portal vein (μM)			Peripheral blood (μM)		
			1h	2h	4h	1h	2h	4h
1-2	30	Unaltered form	<0.2	<0.2	<0.2	<0.2	<0.2	<0.2
		Metabolite	1.6	2.2	6.7	0.9	1.3	2.9
1-12	30	Unaltered form	<0.2	<0.2	<0.2	<0.2	<0.2	<0.2
		Metabolite	11.8	18.2	24.4	7.3	12.1	15.4
1-13	30	Unaltered form	<0.2	<0.2	<0.2	<0.2	<0.2	<0.2
		Metabolite	11.8	20.6	27.3	10.9	16.9	18.3
2-5	30	Unaltered form	0.01	0.03	0.01	<0.02	<0.02	<0.02
		Metabolite	0.33	0.73	0.38	0.01	0.01	0.02

Test Example 7

Metabolic stability test in liver S9 and small intestine S9

Human and hamster liver S9 (final concentration: 2 mg protein/mL), and human and hamster small intestine S9 (final concentration: 2 mg protein/mL) were each suspended in 100 mM potassium phosphate buffer (pH 7.4, containing β -nicotinamide adenine dinucleotide phosphate: 1.3 mM, D-glucose-6-phosphate: 3.3 mM, magnesium chloride: 3.3 mM, glucose-6-phosphate dehydrogenase: 0.4 U/mL). The suspensions were mixed with a solution of a sample (Example 2-5) in DMSO.

The solutions were incubated at 37°C for 0, 10 and 60 minutes, and an organic solvent was added thereto. The solutions were centrifuged, and the concentration of the sample (unchanged form) in the supernatant was determined by high performance liquid chromatography/mass spectrometry (LC/MS). Based on the data obtained, remaining rate (%) was calculated according to the following equation.

$$\text{Remaining rate(\%)} = \frac{\text{amount of sample 10 or 60 minutes after incubation}}{\text{amount of sample at zero time after incubation}} \times 100$$

10 Table 75

	human		hamster	
	Remaining rate (%) after 10 min.	Remaining rate (%) after 60 min.	Remaining rate (%) after 10 min.	Remaining rate (%) after 60 min.
Small intestine S9	97.6	91.5	29.0	14.1
Liver S9	7.9	4.3	2.4	0

Test Example 8

Inhibitory activity on MTP and apo B secretion inhibition by metabolites

In a similar manner to Test Examples 1 and 2, the activity of metabolites was assayed. The results were shown in Table

below.

Table 76

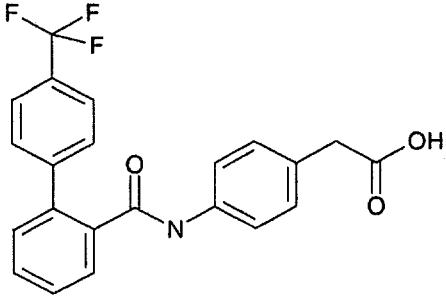
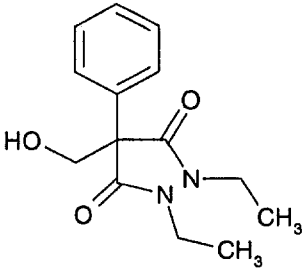
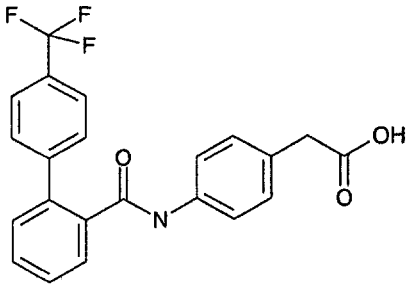
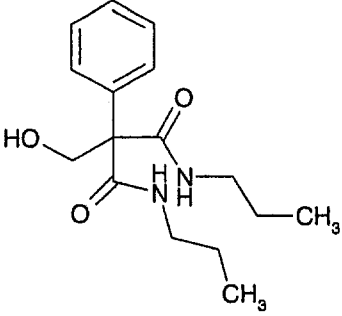
Compound	Main metabolite	MTP inhibition IC ₅₀ (nM)	Inhibition of apo B secretion IC ₅₀ (nM)
1		>1000	>10000
		>1000	>10000
1-35		>1000	>10000
		>1000	>10000

Table 77

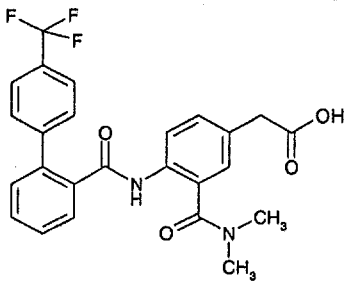
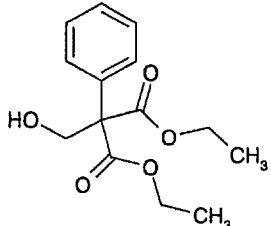
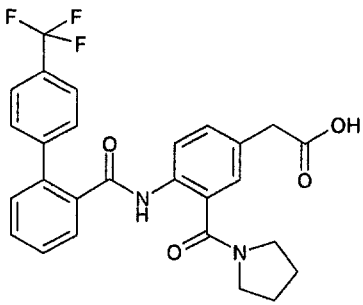
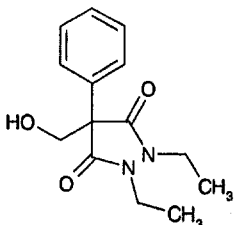
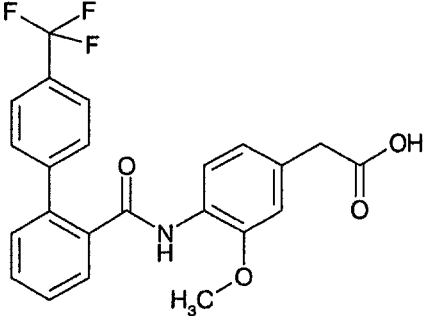
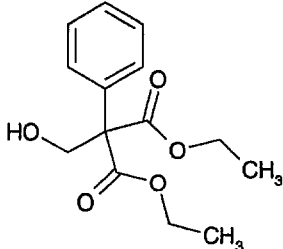
Compound	Main metabolite	MTP inhibition IC ₅₀ (nM)	Inhibition of apo B secretion IC ₅₀ (nM)
2-5		>10000	>10000
		>1000	>10000
2-17		-	>10000
		>1000	>10000

Table 78

Compound	Main metabolite	MTP inhibition IC ₅₀ (nM)	Inhibition of apo B secretion IC ₅₀ (nM)
3-4		>1000	>10000
		>1000	>10000

Industrial Applicability

It is apparent from the above Test Examples 1 to 3 that novel compounds and their pharmaceutically acceptable salts of the present invention possess excellent MTP inhibition activity and also strongly inhibit absorption of triglyceride. In addition, as is apparent from Test Example 4, even when compounds of the present invention are administered at high dose, inhibition rate of liver TG release is 18-19 % or lower, more effectively 9 % or lower, especially effectively 0 % or lower, and thus the compounds of the present invention inhibit little of liver TG release. Further, Test Example 6 reveals that active compounds after absorption in the small intestine

are present in portal vein in a very small amount, and since most (8-fold to 80-fold amount) of such active compounds are metabolites, they do not reach the liver. Furthermore, it is deduced from Test Example 7 that a small amount of active
5 compound which has reached the liver is metabolized rapidly to a metabolite. In addition, Test Example 8 proves that ester moiety of these metabolites is cleaved by hydrolysis and thus they have little or no MTP inhibitory activity. Further, Test Example 5 reveals that combination use of the compounds of the
10 present invention with other agents for treating hyperlipidemia (statin type agents) can remarkably inhibit the increase of cholesterol and exhibit extremely excellent synergistic effect. These facts elucidate that the compounds of the present invention can be used in combination with other
15 agents, particularly other agents for treating hyperlipidemia, arteriosclerosis, coronary artery diseases, obesity, diabetes or hypertension.

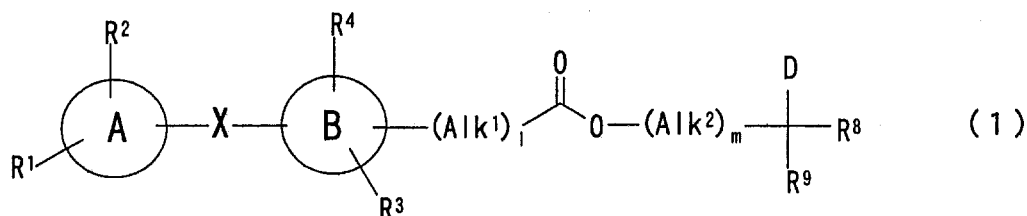
From the fact as mentioned above, it is understood that novel compounds of the present invention and their
20 pharmaceutically acceptable salts can inhibit lipid absorption in the small intestine and further do not inhibit TG release in the liver. This means that the compounds of this invention do not inhibit MTP in the liver, but selectively inhibit MTP in the small intestine.

25 Therefore, selective inhibition of MTP activity in the small intestine by the compounds of the present invention should lower lipid absorption, which makes it possible to control lipoproteins such as triglyceride, cholesterol and LDL, etc. in blood or to control lipid in cells. Further, since the

compounds of the present invention do not affect liver MTP, accumulation of triglyceride does not occur in the liver. Consequently, inhibition of fatty liver generation as an adverse effect might be expected. Therefore, the compounds of
5 the present invention can be said novel MTP inhibitors having no side effects such as a fatty liver, etc. or, in other words, they are novel agents for the treatment or prophylaxis of hyperlipidemia, arteriosclerosis, coronary artery diseases, obesity, diabetes or hypertension, and further for the
10 treatment or prophylaxis of pancreatitis, hypercholesterolemia, hypertriglyceridemia, etc., which rarely act on MTP in the liver and do substantially inhibit only MTP in the small intestine.

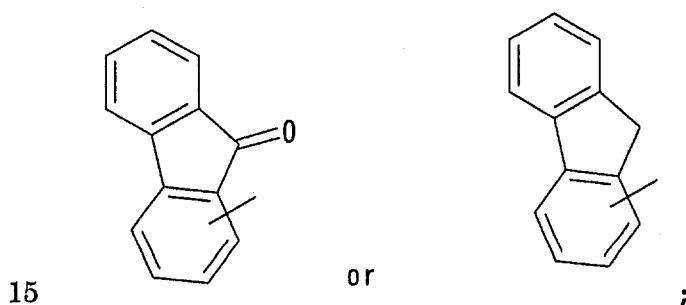
Claims

1. An ester compound represented by the formula (1)



5 wherein

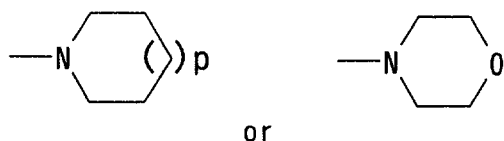
R^1 and R^2 are each hydrogen, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_3\text{-C}_7$ cycloalkyl, $\text{C}_1\text{-C}_6$ alkoxy, halo $\text{C}_1\text{-C}_6$ alkyl, halo $\text{C}_1\text{-C}_6$ alkyloxy, optionally substituted $\text{C}_6\text{-C}_{14}$ aryl, optionally substituted $\text{C}_7\text{-C}_{16}$ aralkyl, optionally substituted $\text{C}_6\text{-C}_{14}$ aryloxy, optionally substituted $\text{C}_7\text{-C}_{16}$ aralkyloxy, optionally substituted $\text{C}_7\text{-C}_{15}$ arylcarbonyl, optionally substituted heterocycle, $\text{C}_2\text{-C}_7$ alkoxy carbonyl, halogen, $\text{C}_2\text{-C}_6$ alkenyl, $-\text{N}(\text{R}^{40})(\text{R}^{41})$ wherein R^{40} and R^{41} are each independently hydrogen or optionally substituted $\text{C}_6\text{-C}_{14}$ aryl; ring A is $\text{C}_6\text{-C}_{14}$ aryl, heterocycle, or



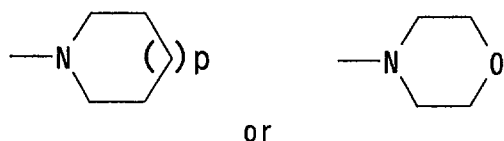
X is $-\text{COO}-(\text{CH}_2)_n-$, $-\text{CON}(\text{R}^{10})-(\text{CH}_2)_n-$ or $-\text{N}(\text{R}^{10})-\text{CO}-(\text{CH}_2)_n-$ wherein R^{10} is hydrogen, $\text{C}_1\text{-C}_6$ alkyl or $\text{C}_3\text{-C}_7$ cycloalkyl and n is an integer of 0 to 3;

R^3 and R^4 are each independently hydrogen, hydroxy, halogen, optionally substituted $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ alkoxy, halo $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_7\text{-C}_{16}$ aralkyloxy, $\text{C}_1\text{-C}_6$ acyl, optionally

substituted heterocycle, $-\text{CON}(\text{R}^{11})(\text{R}^{12})$ (wherein R^{11} and R^{12} are each independently hydrogen, $\text{C}_1\text{-C}_6$ alkyl, optionally substituted $\text{C}_6\text{-C}_{14}$ aryl, optionally substituted $\text{C}_7\text{-C}_{16}$ aralkyl, $\text{C}_1\text{-C}_6$ alkoxy, or R^{11} and R^{12} may be taken together with the nitrogen to which they are attached to form

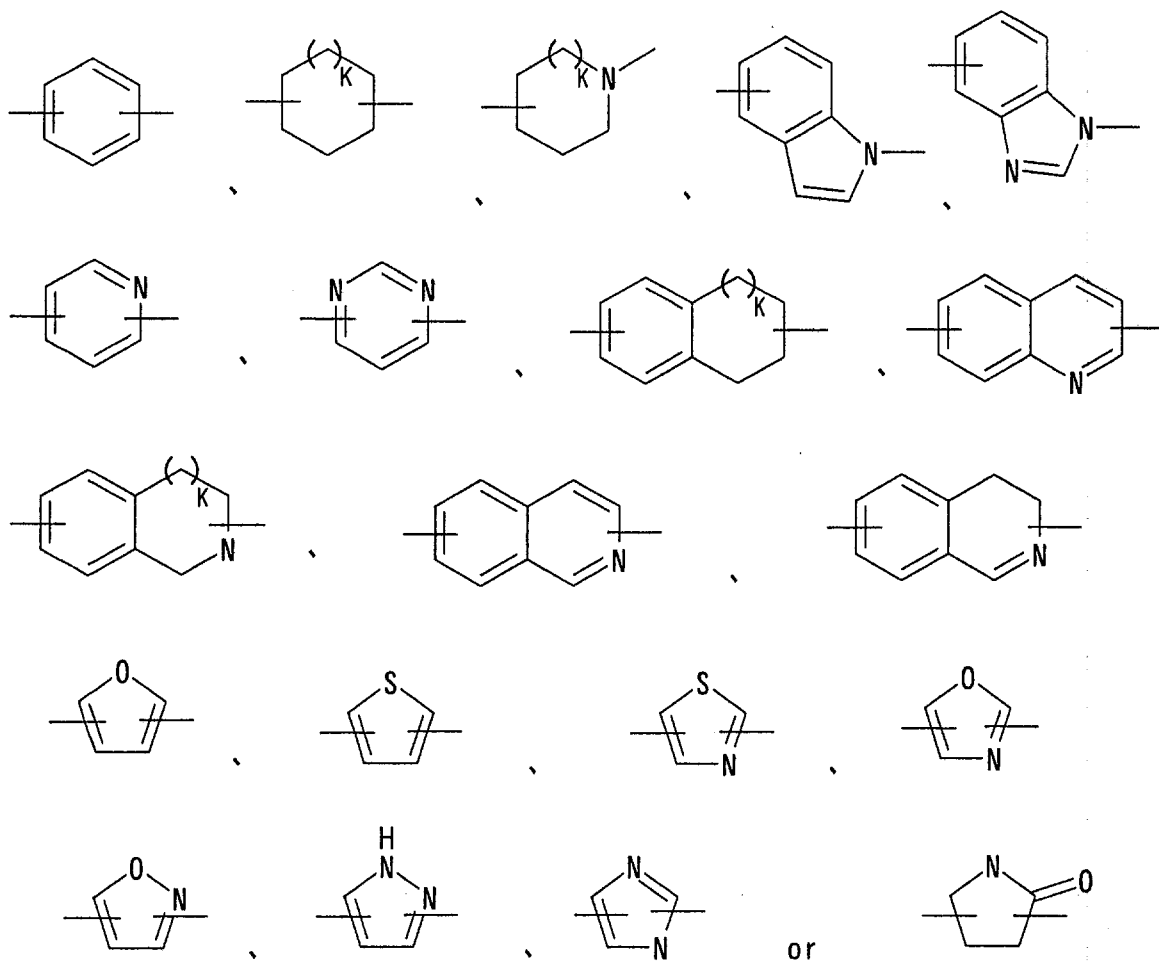


, wherein p is an integer of 0 to 2), $-(\text{CH}_2)_q\text{-N}(\text{R}^{13})(\text{R}^{14})$ (wherein R^{13} and R^{14} are each independently hydrogen, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_2\text{-C}_7$ alkoxy, carbonyl, $\text{C}_1\text{-C}_6$ acyl, or R^{13} and R^{14} may be taken together with the nitrogen to which they are attached to form

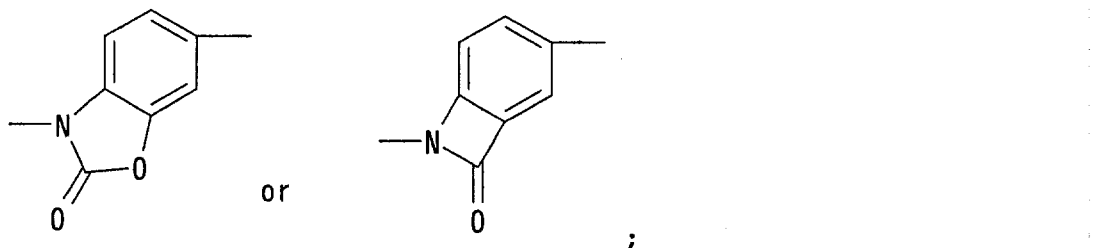


, wherein p has the same meaning as defined above and q is an integer of 0 to 3), or $-\text{CO}(\text{R}^{15})$ (wherein R^{15} is hydroxy, $\text{C}_1\text{-C}_6$ alkoxy, optionally substituted $\text{C}_6\text{-C}_{14}$ aryloxy, optionally substituted $\text{C}_7\text{-C}_{16}$ aralkyloxy or $\text{C}_1\text{-C}_6$ alkyl);

ring B is



, wherein K is an integer of 0 to 2, or ring B may be taken together with R^3 , R^{10} and the nitrogen bound to R^{10} to form



5

$Alk1^1$ is alkanediyl or alkenediyl;

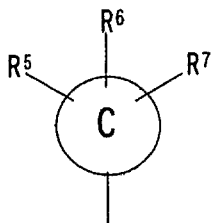
$Alk1^2$ is alkanediyl or alkenediyl;

l is an integer of 0 to 3;

m is an integer of 0 to 3;

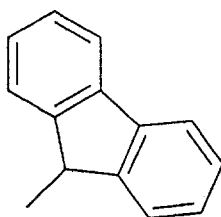
D is C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_7 alkoxy carbonyl,

$-N(R^{42})-CO(R^{43})$ (wherein R^{42} is hydrogen or C_1-C_6 alkyl and R^{43} is C_6-C_{14} aryl or C_7-C_{16} aralkyl), or the group represented by the following formula



- 5 (wherein R^5 , R^6 and R^7 are each independently hydrogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_7 alkoxycarbonyl, carboxyl, halogen, cyano, nitro, halo C_1-C_6 alkyl, C_1-C_6 acyl, hydroxy, amino, optionally substituted C_6-C_{14} aryl, or $-(CH_2)_r-CON(R^{16})(R^{17})$ (wherein R^{16} and R^{17} are each independently
 10 hydrogen, C_1-C_6 alkyl or halo C_1-C_6 alkyl and r is an integer of 0 to 3);

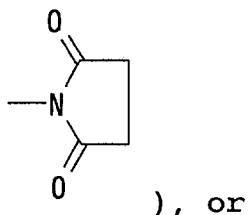
ring C is C_6-C_{14} aryl, C_7-C_{15} arylcarbonylamino, C_8-C_{17} aralkylcarbonylamino, heterocycle residue, C_3-C_7 cycloalkyl or C_7-C_{16} aralkyl, or ring C may be taken together with R^7 and R^8
 15 to form



); and

- R^8 and R^9 are each independently hydrogen, C_1-C_6 alkyl, optionally substituted C_6-C_{14} aryl, hydroxy C_1-C_6 alkyl, $-CON(R^{18})(R^{19})$ (wherein R^{18} and R^{19} are each independently
 20 hydrogen, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, halo C_1-C_6 alkyl, C_2-C_{12} alkoxyalkyl or optionally substituted C_6-C_{14} aryl), $-COO(R^{20})$ or

$-(CH_2)_s-OCO(R^{20})$ (wherein R^{20} is hydrogen, C_1-C_6 alkyl or C_3-C_7 cycloalkyl; s is an integer of 0 to 3), $-N(R^{21})(R^{22})$ (wherein R^{21} and R^{22} are each independently hydrogen, C_1-C_6 alkyl, C_1-C_6 acyl, C_1-C_6 alkylsulfonyl, or R^{21} and R^{22} may be taken together with the nitrogen to which they are attached to form



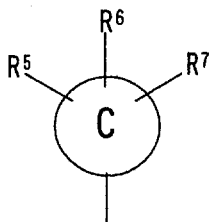
R^8 and R^9 may be taken together to form C_3-C_7 cycloalkyl, or a prodrug thereof, or a pharmaceutically acceptable salt of either.

10

2. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 1, wherein D is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_7 alkoxycarbonyl or $-N(R^{42})-CO(R^{43})$ in which R^{42} and R^{43} each has the same meaning as defined above.

15

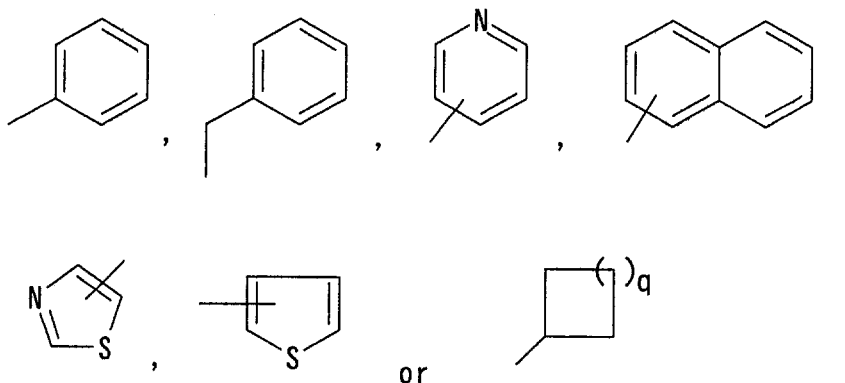
3. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 1, wherein D is the group represented by the formula



20

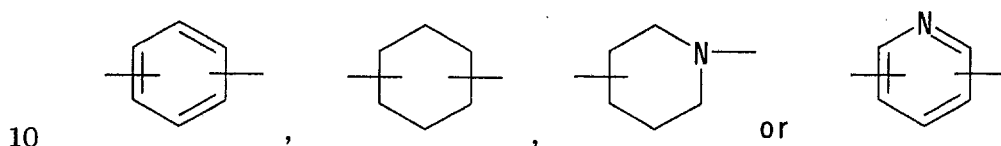
in which R^5 , R^6 and R^7 each has the same meaning as defined above.

4. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 3, wherein the ring C is

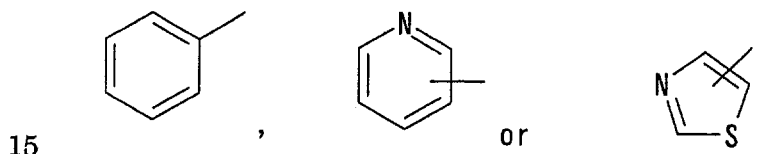


5, in which q is an integer of 0 to 3.

5. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 2 or claim 4, wherein ring B is



6. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 5, wherein ring A is



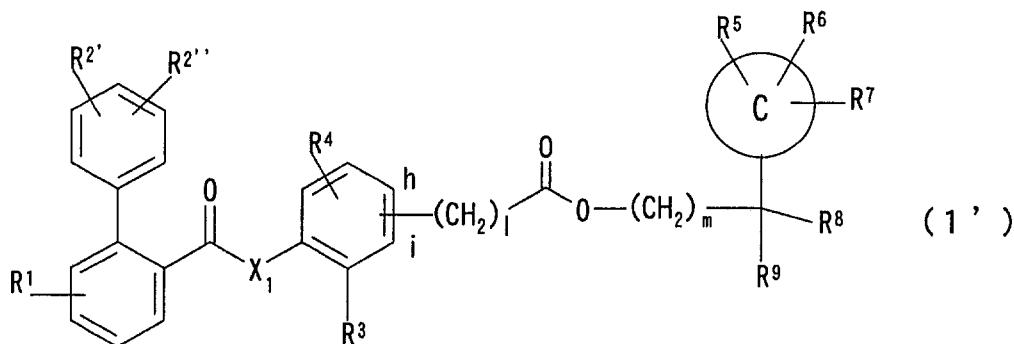
7. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 6, wherein X is $-\text{CON}(\text{R}^{10})-(\text{CH}_2)_n-$ in which R^{10} and n each has the

same meaning as defined above.

8. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 5 6, wherein X is $-\text{COO}-(\text{CH}_2)_n-$ in which n has the same meaning as defined above;

9. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 10 7 or claim 8, wherein n is 0.

10. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 1, which is represented by the formula (1')



wherein

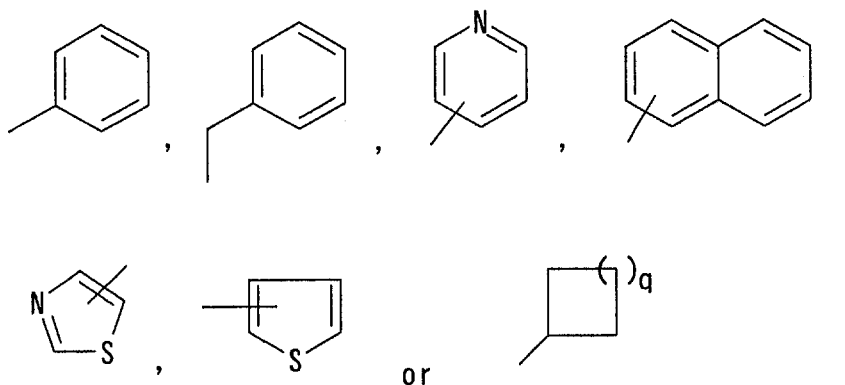
$\text{R}^{2'}$ and $\text{R}^{2''}$ are each independently hydrogen, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, C_1 - C_6 alkoxy, halogen, halo C_1 - C_6 alkyl, C_1 - C_6 acyl, C_2 - C_6 alkenyl or cyano;

20 X_1 is $-\text{O}-$ or $-\text{NR}^{10}-$ wherein R^{10} is hydrogen, C_1 - C_6 alkyl or C_3 - C_7 cycloalkyl; and

R^1 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , ring C, l and m each has the same meaning as defined above,

or a prodrug thereof, or a pharmaceutically acceptable salt of either.

11. The ester compound or a prodrug thereof, or a
5 pharmaceutically acceptable salt of either according to claim 10, wherein the ring C is



, in which q is an integer of 0 to 3.

- 10 12. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 11, wherein X_1 is $-NR^{10}-$ in which R^{10} has the same meaning as defined above.

- 15 13. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 11, wherein X_1 is $-O-$.

14. The ester compound or a prodrug thereof, or a
20 pharmaceutically acceptable salt of either according to claims 10 to 13, wherein the group $-(CH_2)_1-$ is located at the h-position of the benzene ring in the formula (1').

15. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claims 10 to 13, wherein the group $-(CH_2)_1-$ is located at the i-position of the benzene ring in the formula (1').

5

16. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claims 10 to 15, wherein R^8 and R^9 are each independently $-\text{CON}(R^{18})(R^{19})-$ in which R^{18} and R^{19} each has the same meaning as defined above.

10

17. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claims 10 to 15, wherein R^8 and R^9 are each independently $-\text{COO}(R^{20})-$ in which R^{20} has the same meaning as defined above.

15

18. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claims 12 to 17, wherein the ring C is C_6-C_{14} aryl.

20

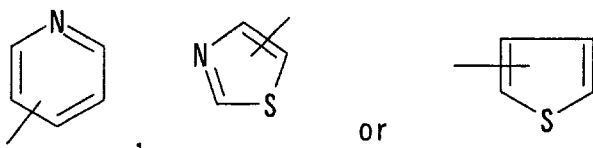
19. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 18, wherein C_6-C_{14} aryl is phenyl.

25

20. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claims 12 to 17, wherein the ring C is C_3-C_7 cycloalkyl.

21. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claims

12 to 17, wherein the ring C is



22. The ester compound or a prodrug thereof, or a
5 pharmaceutically acceptable salt of either according to claim
1, which is selected from the group consisting of

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl
ester,

10 2-phenyl-2-{2-[4-(4'-trifluoromethyl-biphenyl-2-
carbonyloxy)-phenyl]-acetoxymethyl}-malonic acid diethyl
ester,

2-(2-{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
15 acid diethyl ester,

2-(2-{4-[methyl-(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

{3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-
20 amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-
phenyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
phenyl}-acetic acid 9-(2,2,2-trifluoro-ethylcarbamoyl)-9H-
fluoren-9-ylmethyl ester,

25 2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-
amino]-phenyl}-propionic acid 9-(2,2,2-trifluoro-
ethylcarbamoyl)-9H-fluoren-9-ylmethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 1-(2,2,2-trifluoro-ethylcarbamoyl)-cyclopentylmethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diisopropyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid dimethyl ester,

2-cyclopentyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 1-(2,2,2-trifluoro-ethylcarbamoyl)-cyclohexylmethyl ester,

2-phenyl-2-{2-[4-(2-trifluoromethyl-benzoylamino)-phenyl]-acetoxymethyl}-malonic acid diethyl ester,

2-{2-[4-(2-phenoxy-benzoylamino)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

2-{2-[4-(2-butoxy-benzoylamino)-phenyl]-

- acetoxymethyl}-2-phenyl-malonic acid diethyl ester,
 2-phenyl-2-{2-[4-(2-trifluoromethyl-benzoyloxy)-
 phenyl]-acetoxymethyl}-malonic acid diethyl ester,
 2-{2-[4-(2-benzoyl-benzoyloxy)-phenyl]-
 5 acetoxymethyl}-2-phenyl-malonic acid diethyl ester,
 2-{2-[4-(2-benzoyl-benzoylamino)-phenyl]-
 acetoxymethyl}-2-phenyl-malonic acid diethyl ester,
 2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-
 carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
 10 dicyclohexyl ester,
 {4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
 phenyl}-acetic acid 2,2-bis-cyclohexylcarbamoyl-2-phenyl-
 ethyl ester,
 {4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
 15 phenyl}-acetic acid 2-phenyl-2,2-bis-phenylcarbamoyl-ethyl
 ester,
 {4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
 phenyl}-acetic acid 2,2-bis-isopropylcarbamoyl-2-phenyl-
 ethyl ester,
 20 2-benzyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-
 carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl
 ester,
 2-(2-{2-methyl-4-[(4'-trifluoromethyl-biphenyl-2-
 carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
 25 acid diethyl ester,
 4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-[2-
 phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-
 ethoxycarbonylmethyl]-phenyl ester,
 biphenyl-2-carboxylic acid 4-[2-phenyl-2,2-bis-(2,2,2-

trifluoro-ethylcarbamoyl)-ethoxycarbonylmethyl]-phenyl ester,

2-butoxy-benzoic acid 4-[2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethoxycarbonylmethyl]-phenyl
5 ester,

2-cyclohexyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

{4-[(biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid
10 2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

[4-(2-phenoxy-benzoylamino)-phenyl]-acetic acid 2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

15 2-phenyl-2-(2-{2-trifluoromethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-propylcarbamoyl-ethyl
20 ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-methylcarbamoyl-2-phenyl-ethyl ester,

2-pyridin-2-yl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
25 diethyl ester,

2-pyridin-3-yl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-phenyl ester,

2-phenyl-2-(2-{3-trifluoromethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

[4-(2-butoxy-benzoylamino)-phenyl]-acetic acid 2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-butylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{4-[(9-oxo-9h-fluorene-1-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(9h-fluorene-1-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{4-[(4'-methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(4'-methoxy-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-phenyl-3,3-bis-propylcarbamoyl-propyl ester,

- {4-[(biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid
2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
- [4-(2-phenoxy-benzoylamino)-phenyl]-acetic acid
2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
- 5 [4-(2-butoxy-benzoylamino)-phenyl]-acetic acid
2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
- 2-phenyl-2-(2-{4-[(3'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,
- 10 2-(2-{4-[2-(4-fluoro-benzoyl)-benzoylamino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-chloro-phenyl ester,
- 15 2-phenyl-2-{2-[4-(2-thiophen-3-yl-benzoylamino)-phenyl]-acetoxymethyl}-malonic acid diethyl ester,
- 2-(2-{4-[(biphenyl-3-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 2-(2-{4-[isopropyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 20 2-(2-{4-[cyclohexyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 25 2-{2-[4-(2-isopropyl-benzoylamino)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,
- 2-{2-[4-(2-benzyl-benzoylamino)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,
- 2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-

carbonyl)-amino]-phenyl]-acetoxymethyl)-malonic acid
dipropyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-phenyl]-acetoxymethyl)-malonic acid
5 diisobutyl ester,

2-phenyl-2-{2-[4-(2-trifluoromethoxy-benzoylamino)-
phenyl]-acetoxymethyl}-malonic acid diethyl ester,

2-{2-[4-(2-butoxycarbonyl-benzoylamino)-phenyl]-
acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

10 {4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
phenyl}-acetic acid 2,2-bis-isobutylcarbamoyl-2-phenyl-ethyl
ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
phenyl}-acetic acid 2,2-bis-(3-methyl-butylcarbamoyl)-2-
15 phenyl-ethyl ester,

2-(2-{4-[ethyl-(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-phenyl]-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

[4-(2-cyclohexyl-benzoylamino)-phenyl]-acetic acid
20 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{4-[(4'-chloro-biphenyl-2-carbonyl)-amino]-phenyl}-
acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{4-[(3',4'-dichloro-biphenyl-2-carbonyl)-amino]-
phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl
25 ester,

{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-
propylcarbamoyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-

phenyl}-acetic acid 2,2-bis-(2-methoxy-ethylcarbamoyl)-2-phenyl-ethyl ester,

2-[2-(4-{[2-methyl-4-(4-trifluoromethyl-phenyl)-thiazole-5-carbonyl]-amino}-phenyl)-acetoxymethyl]-2-phenyl-malonic acid diethyl ester,

(4-{[2-methyl-4-(4-trifluoromethyl-phenyl)-thiazole-5-carbonyl]-amino}-phenyl)-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

(4-{[2-(4-trifluoromethyl-phenyl)-pyridine-3-carbonyl]-amino}-phenyl)-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

(3-methyl-4-{[2-(4-trifluoromethyl-phenyl)-pyridine-3-carbonyl]-amino}-phenyl)-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-isopropyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{3-isopropyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-isobutyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{3-isobutyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{3-chloro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-bromo-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

10 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-propylcarbamoyl-ethyl ester,

15

{3-methylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

20

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

25 {3-benzylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 4,4-bis-

ethylcarbamoyl-4-phenyl-butyl ester,

{3-diethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

5 {3-diisopropylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{3-diethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

10 2-(2-{3-diisopropylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-(isopropyl-methyl-carbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

15 2-(2-{3-(ethyl-methyl-carbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

20 {3-(ethyl-methyl-carbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(ethyl-methyl-carbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

25 {3-(piperidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(pyrrolidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

5 {3-(pyrrolidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(methyl-propyl-carbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

10 {3-(methyl-propyl-carbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-ethylcarbamoyl-15 2-phenyl-ethyl ester,

2-phenyl-2-(2-{3-(pyrrolidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-(2-{3-(piperidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester, 20

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2-propionylamino-ethyl ester,

25 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2-propionylamino-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-(2,5-dioxo-

pyrrolidin-1-yl)-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-ethylcarbamoyl-benzyl ester,

5 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-ethylcarbamoylmethyl-benzyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-isopropylamino-2-phenyl-ethyl ester hydrochloride,

10 [3-dimethylcarbamoyl-4-(2-trifluoromethyl-benzoylamino)-phenyl]-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-{2-[3-dimethylcarbamoyl-4-(2-trifluoromethyl-benzoylamino)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

20 2-(2-{3-dimethylcarbamoyl-4-[(4'-fluoro-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(4'-bromo-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

25 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-acetylamino-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-

2-carbonyl)-amino]-phenyl}-acetic acid 2-butyrylamino-2-phenyl-ethyl ester,

[4-(2-benzoyl-benzoylamino)-3-dimethylcarbamoyl-phenyl]-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl
5 ester,

2-{2-[4-(2-benzoyl-benzoylamino)-3-dimethylcarbamoyl-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
10 phenyl-malonic acid dimethyl ester,

2-cyclopentyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-cyclohexyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,
15

2-(2-{4-[(4'-chloro-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(4'-acetyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
20

[3-dimethylcarbamoyl-4-(2-phenoxy-benzoylamino)-phenyl]-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl
25 ester,

2-{2-[3-dimethylcarbamoyl-4-(2-phenoxy-benzoylamino)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(4'-cyano-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic

acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{3-dimethylcarbamoyl-4-[(5-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-methanesulfonylamino-2-phenyl-ethyl ester,

3-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-2-phenyl-propionic acid ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-(methyl-propionyl-amino)-2-phenyl-ethyl ester,

2-[3-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-propyl]-2-phenyl-malonic acid diethyl ester,

20 2-(2-{3-dimethylcarbamoyl-4-[(5-methoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(5-chloro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

25 2-(2-{3-dimethylcarbamoyl-4-[(6-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester) ,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-

- biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid di-2,2,2-trifluoroethyl ester,
- 2-(2-{3-dimethylcarbamoyl-4-[(2'-fluoro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 5 2-(2-{5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 2-(2-{3-bromo-5-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 10 2-(2-{3-chloro-5-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 2-(2-{3-dimethylcarbamoyl-4-[(3'-fluoro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 15 2-(2-{4-[(3'-chloro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 20 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(5-nitro-pyridin-2-yl)-malonic acid diethyl ester,
- 2-(5-amino-pyridin-2-yl)-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,
- 25 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-pyridin-2-yl-malonic acid diethyl ester,

2-(2-{3-chloro-5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-bromo-5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-ortho-tolyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-m-tolyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-p-tolyl-malonic acid diethyl ester,

2-(2-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(3-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(4-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-succinic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(2-

methoxy-phenyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(3-methoxy-phenyl)-malonic acid diethyl ester,

5 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(4-methoxy-phenyl)-malonic acid diethyl ester,

2-(2-{4-[(5,4'-bis-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(6-chloro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

15 2-(2-{3-dimethylcarbamoyl-4-[(6-fluoro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{3-dimethylcarbamoyl-4-[(5-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

20 2-(2-{3-dimethylcarbamoyl-4-[(5-ethoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-isopropoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

25 2-[2-(2-{4-[(5,4'-bis-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(6-methoxy-4'-

trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(3-methyl-4'-
trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
5 acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-{2-[4-(2,4-bis-trifluoromethyl-benzoylamino)-3-
dimethylcarbamoyl-phenyl]-acetoxymethyl}-2-phenyl-malonic
acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-methyl-biphenyl-2-
10 carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

2-ethyl-4-trifluoromethyl-benzoylamino)-phenyl]-
acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-ethyl-biphenyl-2-
15 carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-isopropenyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-isopropyl-biphenyl-
20 2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

2-{2-[3-dimethylcarbamoyl-4-(2-isopropenyl-4-
trifluoromethyl-benzoylamino)-phenyl]-acetoxymethyl}-2-
25 phenyl-malonic acid diethyl ester,

2-{2-[3-dimethylcarbamoyl-4-(2-isopropyl-4-
trifluoromethyl-benzoylamino)-phenyl]-acetoxymethyl}-2-
phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[2-(3-trifluoromethyl-

phenylamino)-benzoylamino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-{2-[3-dimethylcarbamoyl-4-(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[2-(3-trifluoromethyl-phenoxy)-benzoylamino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-ethyl-2-phenyl-butyl ester,

2-(2-{3-dimethylcarbamoyl-4-[2-(4-trifluoromethyl-phenoxy)-benzoylamino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 1-phenyl-cyclopropylmethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-diphenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 1-phenyl-cyclopentylmethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-hydroxy-2-hydroxymethyl-2-phenyl-propyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-acetoxy-2-acetoxymethyl-2-phenyl-propyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-thiophen-2-yl-malonic acid diethyl ester,

5 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-thiophen-3-yl-malonic acid diethyl ester,

2-(2-{4-dimethylcarbamoyl-5-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-pyridin-2-yl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

10 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(3-methyl-thiophen-2-yl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(5-methyl-thiophen-2-yl)-malonic acid diethyl ester,

15 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-thiazol-2-yl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-isopropyl-malonic acid diethyl ester,

2-sec-butyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

25 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-isobutyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-

propyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-ethyl-malonic acid diethyl ester,

5 2-butyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-allyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

3-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-2,2-bis-ethoxycarbonyl-propionic acid ethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(1-methyl-butyl)-malonic acid diethyl ester,

2-(2-{3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

20 {3-hydroxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-

carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-propylcarbamoyl-ethyl ester,

{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-
5 3-phenyl-propyl ester,

{3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-
10 3-phenyl-propyl ester,

2-(2-{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-
15 2-phenyl-ethyl ester,

{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-
20 3-phenyl-propyl ester,

{3-propoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-benzyloxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-
25 2-phenyl-ethyl ester,

2-(2-{3-benzyloxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-hydroxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-{2-[3-methoxy-4-(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

{3-dimethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

10 {3-piperidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-pyrrolidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-15 2-phenyl-ethyl ester,

2-phenyl-2-(2-{3-piperidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-(2-{3-pyrrolidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester, 20

2-(2-{3-dimethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

25 2-(2-{3-morpholin-4-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-diethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic

acid diethyl ester,

2-[2-(2-{2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

5 2-phenyl-2-(2-{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-[2-(2-{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-malonic acid
10 diethyl ester,

{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-phenyl-3,3-bis-propylcarbamoyl-propyl
15 ester,

2-[2-(2-{4-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

20 2-[2-(2-{2-methyl-5-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-isopropylcarbamoyl-3-phenyl-
25 propyl ester,

{2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-

carbonyl)-amino]-phenyl}-acetic acid 4,4-bis-ethylcarbamoyl-4-phenyl-butyl ester,

{2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-phenyl-3,3-bis-propylcarbamoyl-propyl ester,

{2-methoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

2-[2-(2-{2-methoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

{2-ethoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

2-[2-(2-{2-ethoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-isopropoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-methoxycarbonyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-ethoxy-5-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid 2-[9-(2,2,2-trifluoro-ethylcarbamoyl)-9h-fluoren-9-yl]-ethyl ester,

- 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 2-(9h-fluoren-9-yl)-ethyl ester,
- n-biphenyl-2-yl-terephthalamic acid 2-[9-(2,2,2-
trifluoro-ethylcarbamoyl)-9h-fluoren-9-yl]-ethyl ester,
- 5 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 2-[(biphenyl-2-carbonyl)-amino]-ethyl ester,
- 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 2-(2-biphenyl-2-yl-acetylamino)-ethyl ester,
- 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
10 benzoic acid 3-naphthalen-1-yl-3-(2,2,2-trifluoro-
ethylcarbamoyl)-propyl ester,
- 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-[2-(2,2,2-trifluoro-ethylcarbamoyl)-
naphthalen-1-yl]-propyl ester,
- 15 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3,3-diphenyl-3-(2,2,2-trifluoro-
ethylcarbamoyl)-propyl ester,
- 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-biphenyl-2-yl-3-(2,2,2-trifluoro-
20 ethylcarbamoyl)-propyl ester,
- 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-phenyl-3-(2,2,2-trifluoro-ethylcarbamoyl)-
propyl ester,
- 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
25 benzoic acid 2-[8-(2,2,2-trifluoro-ethylcarbamoyl)-
naphthalen-1-yl]-ethyl ester,
- 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-(2,6-dichloro-phenyl)-3-(2,2,2-trifluoro-
ethylcarbamoyl)-propyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-(2-chloro-phenyl)-3-(2,2,2-trifluoro-
ethylcarbamoyl)-propyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-
5 carbonyl)-amino]-benzoyloxy}-ethyl)-malonic acid diethyl
ester,

2-(2-{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-benzoyloxy}-ethyl)-2-phenyl-malonic acid
diethyl ester,

10 2-(2-{2-chloro-4-[(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-benzoyloxy}-ethyl)-2-phenyl-malonic acid
diethyl ester,

2-phenyl-2-{2-[4-(4'-trifluoromethyl-biphenyl-2-
carbonyloxy)-benzoyloxy]-ethyl}-malonic acid diethyl ester,

15 2-{2-[4-(2-benzoyl-benzoyloxy)-benzoyloxy]-ethyl}-2-
phenyl-malonic acid diethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid
20 4-(3,3-bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-2-
chloro-phenyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid
4-(3,3-bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-phenyl
ester,

25 4'-trifluoromethyl-biphenyl-2-carboxylic acid
4-(3,3-bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-2,6-
dichloro-phenyl ester,

4-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-
amino]-cyclohexanecarboxylic acid 2-[9-(2,2,2-trifluoro-

ethylcarbamoyl)-9h-fluoren-9-yl]-ethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-cyclohexanecarboxylic acid 2-[9-(2,2,2-trifluoro-ethylcarbamoyl)-9h-fluoren-9-yl]-ethyl ester,

5 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-cyclohexanecarboxylic acid 3-phenyl-3-(2,2,2-trifluoro-ethylcarbamoyl)-propyl ester,

2-phenyl-2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-cyclohexanecarbonyloxymethyl}-malonic acid
10 diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-cyclohexanecarbonyloxy}-ethyl)-malonic acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-piperidin-1-yl}-acetoxymethyl)-malonic
15 acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-indol-1-yl}-acetoxymethyl)-malonic acid
diethyl ester,

20 2-(2-{2-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoimidazol-1-yl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

[2-oxo-3-(4'-trifluoromethyl-biphenyl-2-carbonyl)-2,3-dihydro-benzooxazol-6-yl]-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
25

2-(2-{3-ethoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(3-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-

biphenyl-2-carbonyl)-amino]-phenyl}-propionyloxymethyl)-2-phenyl-malonic acid diethyl ester,

4-{[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-methyl}-benzoic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl
5 ester,

3-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-propionic acid ethylcarbamoyl-phenyl-methyl ester,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonyl-methyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid benzyl ester,
10

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonyl-methyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid,

15 5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonyl-methyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid ethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoimidazol-1-yl}-acetoxymethyl)-malonic
20 acid diethyl ester,

3-{[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-methyl}-benzoic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonyl-methyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid methyl ester,
25

2-(2-{3-benzyloxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-carboxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-{2-[2-oxo-3-(4'-trifluoromethyl-biphenyl-2-carbonyl)-2,3-dihydro-benzooxazol-6-yl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

2-{2-[8-oxo-7-(4'-trifluoromethyl-biphenyl-2-carbonyl)-7-aza-bicyclo[4.2.0]octa-1(6),2,4-trien-3-yl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

10 2-(2-{3-isopropoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-methoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-[2-(3-dimethylcarbamoyl-4-{[1-(2-nitro-4-trifluoromethyl-phenyl)-pyrrolidine-2-carbonyl]-amino}-phenyl)-acetoxymethyl]-2-phenyl-malonic acid diethyl ester,

20 2-(2-{3-acetyl-amino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-methoxycarbonylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

25 2-(2-{3-(4-methyl-thiazol-2-yl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{6-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-biphenyl-3-yl}-acetoxymethyl)-malonic acid

diethyl ester,

2-(2-{3-formyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{3-dimethylaminomethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-(methoxy-methyl-carbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

10 2-(2-{3-isobutyryl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester, and

2-(2-{3-(1-hydroxy-2-methyl-propyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester.

23. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 1, which is selected from the group consisting of

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-phenyl-2-{2-[4-[(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl}-malonic acid diethyl ester,

2-(2-{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[methyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 {3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 9-(2,2,2-trifluoro-ethylcarbamoyl)-9h-fluoren-9-ylmethyl ester,

10 {4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-propionic acid 9-(2,2,2-trifluoro-ethylcarbamoyl)-9h-fluoren-9-ylmethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

2-phenyl-2-(2-{4-[4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

20 2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diisopropyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

25 2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid dimethyl ester,

2-cyclopentyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid

diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid dicyclohexyl ester,

5 {4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-cyclohexylcarbamoyl-2-phenyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-phenylcarbamoyl-ethyl ester,

10 {4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-isopropylcarbamoyl-2-phenyl-ethyl ester,

2-benzyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{2-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl-2-phenyl-malonic acid diethyl ester,

20 4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-[2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethoxycarbonylmethyl]-phenyl ester,

biphenyl-2-carboxylic acid 4-[2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethoxycarbonylmethyl]-phenyl ester,

25 2-cyclohexyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

{4-[(biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid

2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

2-phenyl-2-(2-{2-trifluoromethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-methylcarbamoyl-2-phenyl-ethyl ester,

2-pyridin-2-yl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-pyridin-3-yl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-phenyl ester,

2-phenyl-2-(2-{3-trifluoromethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-butylcarbamoyl-2-phenyl-ethyl ester,

{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{4-[(4'-methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(4'-methoxy-biphenyl-2-carbonyl)-amino]-

phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
 {4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
 phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl
 ester,

5 {4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
 phenyl}-acetic acid 3-phenyl-3,3-bis-propylcarbamoyl-propyl
 ester,

{4-[(biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid
 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

10 2-phenyl-2-(2-{4-[(3'-trifluoromethyl-biphenyl-2-
 carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl
 ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(2,2-
 bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-
 15 chloro-phenyl ester,

2-(2-{4-[isopropyl-(4'-trifluoromethyl-
 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
 phenyl-malonic acid diethyl ester,

2-(2-{4-[cyclohexyl-(4'-trifluoromethyl-biphenyl-2-
 20 carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
 acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-
 carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
 dipropyl ester,

25 2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-
 carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
 diisobutyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
 phenyl}-acetic acid 2,2-bis-isobutylcarbamoyl-2-phenyl-

ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-(3-methyl-butylcarbamoyl-2-phenyl-ethyl ester,

5 2-(2-{4-[ethyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{4-[(4'-chloro-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-(ethylcarbamoyl-2-phenyl-ethyl ester,

10 {4-[(3',4'-dichloro-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-(ethylcarbamoyl-2-phenyl-ethyl ester,

{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-propylcarbamoyl-ethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-(2-methoxy-ethylcarbamoyl)-2-phenyl-ethyl ester,

20 2-(2-{3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-isopropyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

25 2-(2-{3-isopropyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-

ethylcarbamoyl-3-phenyl-propyl ester,

{3-isobutyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

5 2-(2-{3-isobutyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-chloro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
10 acid diethyl ester,

2-(2-{3-bromo-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-
15 2-phenyl-malonic acid diethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-propylcarbamoyl-ethyl ester,

20 {3-methylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-
25 ethylcarbamoyl-3-phenyl-ethyl ester,

2-(2-{3-diethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-benzylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-

- 2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 4,4'-bis-ethylcarbamoyl-4-phenyl-butyl ester,
- 5 {3-diethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
 {3-diisopropylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
- 10 {3-diisopropylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
 2-(2-{3-diethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 15 2-(2-{3-diisopropylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
 {3-(isopropyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
- 20 2-(2-{3-(ethyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
 {3-(ethyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
- 25 {3-(ethyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-(piperidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

5 {3-(pyrrolidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(methyl-propylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

10 {3-(methyl-propylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-ethyl ester,

{3-(dimethylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-ethyl-15 carbamoyl-2-phenyl-ethyl ester,

2-phenyl-2-(2-{3-(pyrrolidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

20 2-phenyl-2-(2-{3-(piperidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2-propionylamino-ethyl ester,

25 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2-propionylamino-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-(2,5-

dioxo-pyrrolidin-1-yl)-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-
ethylcarbamoyl-benzyl ester,

5 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-
ethylcarbamoylmethyl-benzyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-
10 isopropylamino-2-phenyl-ethyl ester hydrochloride,

2-[2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-ethyl]-2-
phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-fluoro-biphenyl-
15 2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl- malonic
acid diethyl ester,

2-(2-{4-[(4'-bromo-biphenyl-2-carbonyl)-amino]-3-
dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

20 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-
acetylamino-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-
25 butyrylamino-2-phenyl-ethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
phenyl-malonic acid dimethyl ester,

2-cyclopentyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-

trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
acetoxymethyl)-malonic acid diethyl ester,

2-cyclohexyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-
trifluoromethyl-biphenyl-2-carbonyl)-amino]-
5 phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{4-[(4'-chloro-biphenyl-2-carbonyl)-amino]-3-
dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

2-(2-{4-[(4'-acetyl-biphenyl-2-carbonyl)-amino]-3-
10 dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

2-(2-{4-[(4'-cyano-biphenyl-2-carbonyl)-amino]-3-
dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4-methyl-4'-
15 trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-methyl-4'-
trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
20 acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-
methanesulfonylamino-2-phenyl-ethyl ester,

3-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
25 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-2-phenyl-
propionic acid ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-(methyl-
propionyl-amino)-2-phenyl-ethyl ester,

2-[3-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-propyl]-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-methoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[5-chloro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(6-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid di-2,2,2-trifluoroethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(2'-fluoro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-bromo-5-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-chloro-5-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(3'-fluoro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-

acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-(3'-chloro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino}-3-dimethylcarbamoyl-phenyl)-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(5-nitro-pyridin-2-yl)-malonic acid diethyl ester,

2-(5-amino-pyridin-2-yl)-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

10 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-pyridin-2-yl-malonic acid diethyl ester,

2-(2-{3-chloro-5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

15 2-(2-{3-bromo-5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

20 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-o-tolyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-m-tolyl-malonic acid diethyl ester,

25 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-p-tolyl-malonic acid diethyl ester,

2-(2-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-

[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
acetoxymethyl)-malonic acid diethyl ester,

2-(3-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-
[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
5 acetoxymethyl)-malonic acid diethyl ester,

2-(4-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-
[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
acetoxymethyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
10 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
phenyl-succinic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
(2-methoxy-phenyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
15 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
(3-methoxy-phenyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(4-
20 methoxy-phenyl)-malonic acid diethyl ester,

2-(2-{4-(5,4'-bis-trifluoromethyl-biphenyl-2-
carbonyl)- amino}-3-dimethylcarbamoyl-phenyl}-
acetoxymethyl)-2-phenyl}-malonic acid diethyl ester,

2-(2-{4-(6-chloro-4'-trifluoromethyl-biphenyl-2-
25 carbonyl)-amino}-3-dimethylcarbamoyl-phenyl}-
acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(6-fluoro-4'-
trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{3-dimethylcarbamoyl-4-[(5-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{3-dimethylcarbamoyl-4-[(5-ethoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-isopropoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

10 2-[2-(2-{4-[(5,4'-bis-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(6-methoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

15 2-(2-{3-dimethylcarbamoyl-4-[(3-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-{2-[4-(2,4-bis-trifluoromethyl-benzoylamino)-3-dimethylcarbamoyl-phenyl]-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

25 2-{2-[3-dimethylcarbamoyl-4-(2-ethyl-4'-trifluoromethyl-benzoylamino)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-ethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic

acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-isopropenyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{3-dimethylcarbamoyl-4-[(4'-isopropyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-{2-[3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-ethyl-2-phenyl-butyl ester,

15 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 1-phenyl-cyclopropylmethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-diphenyl-ethyl ester,

20 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 1-phenyl-cyclopentylmethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-hydroxy-25 2-hydroxymethyl-2-phenyl-propyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-acetoxy-2-acetoxymethyl-2-phenyl-propyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-

biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-thiophen-2-yl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
5 thiophen-3-yl-malonic acid diethyl ester,

2-(2-{4-dimethylcarbamoyl-5-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-pyridin-2-yl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(3-methyl-thiophen-2-yl)-malonic acid diethyl ester,
10

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(5-methyl-thiophen-2-yl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-thiazol-2-yl-malonic acid diethyl ester,
15

2-(2-{3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
20

{3-hydroxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl- 2-phenyl-ethyl ester,

{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl- 2-phenyl-ethyl ester,
25

2-(2-{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-propylcarbamoyl-ethyl ester,

5 {3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid
3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

10 {3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

2-(2-{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
15 acid diethyl ester,

{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

20 {3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-propoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

25 {3-benzyloxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{3-benzyloxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic

acid diethyl ester,

2-(2-{3-hydroxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-{2-[3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

{3-dimethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-piperidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

15 {3-pyrrolidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-phenyl-2-(2-{3-piperidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

20 2-phenyl-2-(2-{3-pyrrolidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{3-dimethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

(2-{3-morpholin-4-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-diethylamino-4-[(4'-trifluoromethyl-

biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-ethyl]-2-phenyl-malonic
5 acid diethyl ester,

2-phenyl-2-(2-{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-[2-(2-{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-ethyl]-malonic acid
10 diethyl ester,

{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl
15 ester,

{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-phenyl-3,3-bis-propylcarbamoyl-
20 propyl ester,

2-[2-(2-{4-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-methyl-5-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-ethyl]-2-phenyl-malonic
25 acid diethyl ester,

{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-isopropylcarbamoyl-3-phenyl-propyl ester,

{2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

5 {2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 4,4-bis-ethylcarbamoyl-4-phenyl-butyl ester,

{2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-phenyl-3,3-bis-propylcarbamoyl-propyl ester,

10 {2-methoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

2-[2-(2-{2-methoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

{2-ethoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

20 2-[2-(2-{2-ethoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-isopropoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

25 2-[2-(2-{2-methoxycarbonyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-ethoxy-5-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-

phenyl-malonic acid diethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 2-[9-(2,2,2-trifluoro-ethylcarbamoyl)-9h-
fluoren-9-yl]-ethyl ester,

5 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 2-[9h-fluoren-9-yl]-ethyl ester,

n-biphenyl-2-yl-terephthalamic acid 2-[9-(2,2,2-
trifluoro-ethylcarbamoyl)-9h-fluoren-9-yl]-ethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
10 benzoic acid 2-[(biphenyl-2-carbonyl)-amino]-ethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 2-(2-biphenyl-2-yl-acetylamino)-ethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-naphthalen-1-yl-3-(2,2,2-trifluoro-
15 ethylcarbamoyl)-propyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-[2-(2,2,2-trifluoro-ethylcarbamoyl)-
naphthalen-1-yl]-propyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
20 benzoic acid 3,3-diphenyl-3-(2,2,2-trifluoro-
ethylcarbamoyl)-propyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-biphenyl-2-yl-3-(2,2,2-trifluoro-
ethylcarbamoyl)-propyl ester,

25 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-phenyl-3-(2,2,2-trifluoro-
ethylcarbamoyl)-propyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 2-[8-(2,2,2-trifluoro-

ethylcarbamoyl)-naphthalen-1-yl]-ethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-[(2,6-dichloro-phenyl)-3-
(2,2,2-trifluoro-ethylcarbamoyl)-propyl ester,

5 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-(2-chloro-phenyl)-3-(2,2,2-trifluoro-
ethylcarbamoyl)-propyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-benzoyloxy}-ethyl)-malonic acid diethyl
10 ester,

2-(2-{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-benzoyloxy}-ethyl)-2-phenyl-malonic acid
diethyl ester,

2-(2-{2-chloro-4-[(4'-trifluoromethyl-biphenyl-2-
15 carbonyl)-amino]-benzoyloxy}-ethyl)-2-phenyl-malonic acid
diethyl ester,

2-phenyl-2-{2-[4-[(4'-trifluoromethyl-biphenyl-2-
carbonyloxy)-benzoyloxy]-ethyl]-malonic acid diethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
20 benzoic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(3,3-
bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-2-chloro-
phenyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(3,3-
25 bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-phenyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(3,3-
bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-2,6-dichloro-
phenyl ester,

2-(2-{3-ethoxycarbonyl-4-[(4'-trifluoromethyl-

biphenyl-2-carbonyl)-amino]-phenyl-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(3-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-propionyloxymethyl)-2-phenyl-malonic acid diethyl ester,

2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-propionic acid ethylcarbamoyl-phenyl-methyl ester,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid benzyl ester,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid ethyl ester,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid methyl ester,

2-(2-{3-benzyloxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-carboxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-isopropoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-methoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-acetylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-methoxycarbonylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-(4-methyl-thiazol-2-yl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{6-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-biphenyl-3-yl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{3-formyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylaminomethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-3-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-methoxy-methylcarbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-3-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-isobutyryl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-3-acetoxymethyl)-2-phenyl-malonic acid diethyl ester, and

2-(2-{3-(1-hydroxy-2-methyl-propyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-

acetoxymethyl)-2-phenyl-malonic acid diethyl ester.

24. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim
5 1, which is selected from the group consisting of

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl)-2-phenyl-ethyl ester,

2-phenyl-2-{2-[4-[(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl}-malonic acid diethyl
10 ester,

2-(2-{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

15 2-(2-{4-[methyl-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl]-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-2-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-phenyl-ethyl ester,
20

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diisopropyl ester,
25

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid dimethyl ester,

2-cyclopentyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid dicyclohexyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-cyclohexylcarbamoyl-2-phenyl ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-phenylcarbamoyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-isopropylcarbamoyl-2-phenyl-ethyl ester,

2-benzyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{2-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-[2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethoxycarbonylmethyl]-phenyl ester,

biphenyl-2-carboxylic acid 4-[2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethoxycarbonylmethyl]-

phenyl ester,

2-cyclohexyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

5 {4-[(biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

2-phenyl-2-(2-{2-trifluoromethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-methylcarbamoyl-2-phenyl-ethyl ester,

2-pyridin-2-yl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-pyridin-3-yl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

20 4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(2,2-bis-ethylcarbamoyl)-2-phenyl-ethoxycarbonylmethyl)-phenyl ester,

2-phenyl-2-(2-{3-trifluoromethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

25 {4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-butylcarbamoyl-2-phenyl-ethyl ester,

{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-

carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{4-[(4'-methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{4-[(4'-methoxy-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

10 {4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-phenyl-3,3-bis-propylcarbamoyl-propyl ester,

{4-[(biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

15 2-phenyl-2-(2-{4-[(3'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(2,2-bis-ethylcarbamoyl)-2-phenyl-ethoxycarbonylmethyl)-

20 2-chloro-phenyl ester,

2-(2-{4-[isopropyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

25 2-(2-{4-[cyclohexyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid dipropyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diisobutyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-isobutylcarbamoyl-2-phenyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-(3-methyl-butylcarbamoyl)-2-phenyl-ethyl ester,

2-(2-{4-[ethyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{4-[(4'-chloro-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{4-[(3'4'-dichloro-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-propylcarbamoyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-(2-methoxy-ethylcarbamoyl)-2-phenyl-ethyl ester,

2-(2-{3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-isopropyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-

ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{3-isopropyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 {3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-isobutyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-

10 ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{3-isobutyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-chloro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-bromo-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

20 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-

25 2,2-bis-propylcarbamoyl-ethyl ester,

{3-methylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-

biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

2-(2-{3-diethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-benzylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 4,4-bis-ethylcarbamoyl-4-phenyl-butyl ester,

{3-diethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-diisopropylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{3-diethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-diisopropylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-(isopropyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{3-(ethyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-(ethyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

5 {3-(ethyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-(piperidin-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

10 {3-(pyrrolidin-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(methyl-propylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

15 {3-(methyl-propylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-ethylcarbamoyl-2-phenyl-ethyl ester,

2-phenyl-2-(2-{3-pyrrolidin-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

25 2-phenyl-2-(2-{3-piperidin-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2-

propionylamino-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-(2,5-dioxo-pyrrolidin-1-yl)-2-phenyl-ethyl ester,

5 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid
2-ethylcarbamoyl-benzyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid

10 2-ethylcarbamoylmethyl-benzyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid

2-isopropylamino-2-phenyl-ethyl ester hydrochloride,

2-[2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-fluoro-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

20 2-(2-{4-[(4'-bromo-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid

25 2-acethylamino-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid

2-butyrylamino-2-phenyl-ethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-

biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-
2-phenyl-malonic acid dimethyl ester,

2-cyclopentyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-
trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
5 acetoxymethyl)-malonic acid diethyl ester,

2-cyclohexyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-
trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
acetoxymethyl)-malonic acid diethyl ester,

2-(2-{4-[(4'-chloro-biphenyl-2-carbonyl)-amino]-3-
10 dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

2-(2-{4-[(4'-acetyl-biphenyl-2-carbonyl)-amino]-3-
dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

2-(2-{4-[(4'-cyano-biphenyl-2-carbonyl)-amino]-3-
15 dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4-methyl-4'-
trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
20 acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-methyl-4'-
trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
25 biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid
2-methanesulfonylamino-2-phenyl-ethyl ester,

3-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-2-phenyl-
propionic acid ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-(methyl-propionyl-amino)-2-phenyl-ethyl ester,

2-[3-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-methoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(5-chloro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(6-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid di-2,2,2-trifluoroethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(2'-fluoro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-bromo-5-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-chloro-5-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-

- acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
 2-(2-{3-dimethylcarbamoyl-4-[(3'-fluoro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 5 2-(2-{4-[(3'-chloro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(5-nitro-pyridin-2-yl)-malonic acid diethyl ester,
- 10 2-(5-amino-pyridin-2-yl)-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,
- 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-pyridin-2-yl-malonic acid diethyl ester,
- 15 2-(2-{3-chloro-5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 20 2-(2-{3-bromo-5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
- 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-ortho-tolyl-malonic acid diethyl ester,
- 25 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-m-tolyl-malonic acid diethyl ester,
- 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-

biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-p-
tolyl-malonic acid diethyl ester,

2-(2-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-
[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl})-
5 acetoxymethyl)-malonic acid diethyl ester,

2-(3-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-
[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl})-
acetoxymethyl)-malonic acid diethyl ester,

2-(4-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-
10 [(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl})-
acetoxymethyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
phenyl-succinic acid diethyl ester,

15 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(2-
methoxy-phenyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(3-
20 methoxy-phenyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(4-
methoxy-phenyl)-malonic acid diethyl ester,

2-(2-{4-[(5,4'-bis-trifluoromethyl-biphenyl-2-
25 carbonyl)-amino]-3-dimethylcarbamoyl-phenyl})-
acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(6-chloro-4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-3-dimethylcarbamoyl-phenyl})-
acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(6-fluoro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{3-dimethylcarbamoyl-4-[(5-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-ethoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-isopropoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{4-(5,4'-bis-trifluoromethyl-biphenyl-2-carbonyl)-amino}-3-dimethylcarbamoyl-phenyl)-acetoxymethyl)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-(6-methoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(3-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-{2-[4-(2,4-bis-trifluoromethyl-benzoylamino)-3-dimethylcarbamoyl-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-{2-[3-dimethylcarbamoyl-4-[(2-ethyl-4-trifluoromethyl-benzoylamino)-phenyl]-acetoxymethyl]-2-

phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-ethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{3-dimethylcarbamoyl-4-[(4'-isopropenyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-isopropyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

10 2-{2-[3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-ethyl-2-phenyl-butyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 1-phenyl-cyclopropylmethyl ester,

20 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-diphenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 1-phenyl-cyclopentylmethyl ester,

25 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-hydroxy-2-hydroxymethyl-2-phenyl-propyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-

- biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid
 3-acetoxymethyl-2-phenyl-propyl ester,
 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
 5 thiophen-2-yl-malonic acid diethyl ester,
 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
 thiophen-3-yl-malonic acid diethyl ester,
 2-(2-{4-dimethylcarbamoyl-5-[(4'-trifluoromethyl-
 10 biphenyl-2-carbonyl)-amino]-pyridin-2-yl}-acetoxymethyl)-
 2-phenyl-malonic acid diethyl ester,
 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(3-
 methyl-thiophen-2-yl)-malonic acid diethyl ester,
 15 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(5-
 methyl-thiophen-2-yl)-malonic acid diethyl ester,
 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
 20 thiazol-2-yl-malonic acid diethyl ester,
 2-(2-{3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-
 carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
 acid diethyl ester,
 {3-hydroxy-4-[(4'-trifluoromethyl-biphenyl-2-
 25 carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-
 ethylcarbamoyl-2-phenyl-ethyl ester
 {3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-
 carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-
 ethylcarbamoyl-2-phenyl-ethyl ester

2-(2-{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 {3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-propylcarbamoyl-ethyl ester,

{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

10 {3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

15 2-(2-{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

25 {3-propoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-benzyloxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-

ethylcarbamoyl-2-phenyl-ethyl ester,

2-(2-{3-benzyloxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{3-hydroxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-{2-[3-methoxy-4-(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid
10 diethyl ester,

{3-dimethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-piperidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
15

{3-pyrrolidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

20 2-phenyl-2-(2-{3-piperidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-(2-{3-pyrrolidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,
25

2-(2-{3-dimethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-morpholin-4-yl-4-[(4'-trifluoromethyl-

biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-diethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-[2-(2-{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-ethyl]-malonic acid diethyl ester,

{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-phenyl-3,3-bis-propylcarbamoyl-propyl ester,

2-[2-(2-{4-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-methyl-5-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-ethyl]-2-phenyl-malonic acid diethyl ester,

{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-isopropylcarbamoyl-3-phenyl-propyl ester,

{2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

5 {2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 4,4-bis-ethylcarbamoyl-4-phenyl-butyl ester,

{2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-phenyl-3,3-bis-propylcarbamoyl-propyl ester,

10 {2-methoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

2-[2-(2-{2-methoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

{2-ethoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

20 2-[2-(2-{2-ethoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-isopropoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

25 2-[2-(2-{2-methoxycarbonyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-ethoxy-5-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-

phenyl-malonic acid diethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 2-[(biphenyl-2-carbonyl)-amino]-ethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
5 benzoic acid 2-(2-biphenyl-2-yl-acetylamino)-ethyl ester,
4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-naphthalen-1-yl-3-(2,2,2-
trifluoroethylcarbamoyl)-propyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
10 benzoic acid 3-[2-(2,2,2-trifluoro-
ethylcarbamoyl)-naphthalen-1-yl]-propyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3,3-diphenyl-3-(2,2,2-trifluoro-
ethylcarbamoyl)-propyl ester,

15 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-biphenyl-2-yl-3-(2,2,2-trifluoro-
ethylcarbamoyl)-propyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-phenyl-3-(2,2,2-trifluoro-
20 ethylcarbamoyl)-propyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 2-[8-(2,2,2-trifluoro-ethylcarbamoyl)-
naphthalen-1-yl]-ethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
25 benzoic acid 3-(2,6-dichloro-phenyl)-3-(2,2,2-trifluoro-
ethylcarbamoyl)-propyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
benzoic acid 3-(2-chloro-phenyl)-3-(2,2,2-trifluoro-
ethylcarbamoyl)-propyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoyloxy}-ethyl)-malonic acid diethyl ester,

2-(2-{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoyloxy}-ethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{2-chloro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoyloxy}-ethyl)-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-{2-[4-(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-benzoyloxy]-ethyl}-malonic acid diethyl ester,

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(3,3-bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-2-chloro-phenyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(3,3-bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-phenyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(3,3-bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-2,6-dichloro-phenyl ester,

2-(2-{3-ethoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(3-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-propionyloxymethyl)-2-phenyl-malonic acid diethyl ester,

3-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-

amino]-phenyl}-propionic acid ethylcarbamoyl-phenyl-methyl ester,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-

5 amino]-benzoic acid benzyl ester,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid ethyl ester,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid methyl ester,

15 2-(2-{3-benzyloxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-carboxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-isopropoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-methoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-acetylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-methoxycarbonylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-(4-methyl-thiazol-2-yl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-phenyl-(2-{6-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-biphenyl-3-yl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{3-formyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylaminomethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-(methoxy-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-isobutyryl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester, and

2-(2-{3-(1-hydroxy-2-methyl-propyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester.

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25. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 1, which is selected from the group consisting of

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-

phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-cyclohexylcarbamoyl-2-phenyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-phenylcarbamoyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-isopropylcarbamoyl-2-phenyl-ethyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-[2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethoxycarbonylmethyl]-phenyl ester,

biphenyl-2-carboxylic acid 4-[2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethoxycarbonylmethyl]-phenyl ester,

{4-[(biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

2-phenyl-2-(2-{2-trifluoromethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-methylcarbamoyl-2-phenyl-ethyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-phenyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-butylcarbamoyl-2-phenyl-ethyl ester,

10 {3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-phenyl-3,3-bis-propylcarbamoyl-propyl ester,

20 {4-[(biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl ethyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-chlorophenyl ester,

25 {4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-isobutylcarbamoyl-2-phenyl ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-(3-methyl-butylcarbamoyl)-2-phenyl ethyl ester,

{4-[(4'-chloro-biphenyl-2-carbonyl)-amino]-phenyl}-
acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl ethyl ester,

{4-[(3',4'-dichloro-biphenyl-2-carbonyl)-amino]-
phenyl}-acetic acid 2,2-bis-ethylcarbamoyl)-2-phenyl-ethyl
5 ester,

{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-
propylcarbamoyl)-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
10 phenyl}-acetic acid 2,2-bis-(2-methoxy-ethylcarbamoyl)-
2-phenyl-ethyl ester,

{3-isopropyl-4-[(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-
ethylcarbamoyl-phenyl-ethyl ester,

15 {3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-
ethylcarbamoyl-3-phenyl-propyl ester,

{3-isobutyl-4-[(4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-
20 ethylcarbamoyl-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-
2,2-bis-propylcarbamoyl-ethyl ester,

{3-methylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-
25 2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-
ethylcarbamoyl-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-
2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-
ethylcarbamoyl-3-phenyl-propyl ester,

{3-benzylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

5 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 4,4-bis-ethylcarbamoyl-4-phenyl-butyl ester,

{3-diethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

10 {3-diisopropylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(isopropyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(ethyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

20 {3-(ethyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-(piperidin-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

25 {3-(pyrrolidin-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(methyl-propylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-

ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(methyl-propylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

5 {3-hydroxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

10 {3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-propylcarbamoyl-ethyl ester,

{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester;

{3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

20 {3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

25 {3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-propoxy-4-[(4'-trifluoromethyl-biphenyl-2-

- carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
- {3-benzyloxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
- 5 {3-dimethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
- {3-piperidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
- 10 {3-pyrrolidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,
- 15 {3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,
- {3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-phenyl-3,3-bis-propylcarbamoyl-propyl ester,
- 20 {3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-isopropylcarbamoyl-3-phenyl-propyl ester,
- {2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,
- 25 {2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 4,4-bis-ethylcarbamoyl-4-phenyl-butyl ester,

{2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-phenyl-3,3-bis-propylcarbamoyl-propyl ester,

5 {2-methoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{2-ethoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

10 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(3,3-bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-2-chloro-phenyl ester,

15 4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(3,3-bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-phenyl ester,

4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(3,3-bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-2,6-dichloro-phenyl ester,

20 5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid benzyl ester,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-

25 amino]-benzoic acid,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid ethyl ester, and

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonyl-

methyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid methyl ester.

26. The ester compound or a prodrug thereof, or a
5 pharmaceutically acceptable salt of either according to claim 1, which is selected from the group consisting of

2-phenyl-2-{2-[4-(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl}-malonic acid diethyl ester,

10 2-(2-{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[methyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
15 acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
20 diisopropyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
dimethyl ester,

25 2-cyclopentyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid

dicyclohexyl ester,

2-benzyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

5 2-(2-{2-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-cyclohexyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
10 diethyl ester,

2-phenyl-2-(2-{2-trifluoromethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-pyridin-2-yl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
15 diethyl ester,

2-pyridin-3-yl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

20 2-phenyl-2-(2-{3-trifluoromethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{4-[(4'-methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

25 2-(2-{4-[(4'-methoxy-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{4-[(3'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{4-[isopropyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{4-[cyclohexyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid dipropyl ester,

10 2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diisobutyl ester,

2-(2-{4-[ethyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

15 2-(2-{3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

20 2-(2-{3-isopropyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-isobutyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

25 2-(2-{3-chloro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-bromo-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic

acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{3-diethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-diisopropylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-(ethyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

15 {3-(pyrroldine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-phenyl-2-(2-{3-(pyrroldine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

20 2-phenyl-2-(2-{3-(piperidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-[2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(4'-bromo-biphenyl-2-carbonyl)-amino]-3-

dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-cyclopentyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-cyclohexyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{4-[(4'-chloro-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(4'-acetyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(4'-cyano-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-[3-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-propyl]-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-methoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(5-chloro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(6-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid di-2,2,2-trifluoroethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(2'-fluoro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-bromo-5-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-chloro-5-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(3'-fluoro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(3'-chloro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-

acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(5-nitro-pyridin-2-yl)-malonic acid diethyl ester,

5 2-(5-amino-pyridin-2-yl)-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-pyridin-2-yl-malonic acid diethyl ester,

2-(2-{3-chloro-5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-bromo-5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-ortho-tolyl-malonic acid diethyl ester,

20 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-m-tolyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-p-tolyl-malonic acid diethyl ester,

2-(3-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(3-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-

[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
acetoxymethyl)-malonic acid diethyl ester,

2-(4-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-
[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
5 acetoxymethyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
phenyl-succinic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
10 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(2-
methoxy-phenyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(3-
methoxy-phenyl)-malonic acid diethyl ester,

15 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(4-
methoxy-phenyl)-malonic acid diethyl ester,

2-(2-{4-[(5,4'-bis-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-
20 acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(6-chloro-4'-trifluoromethyl-biphenyl-2-
carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-
acetoxymethyl)-2-phenyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(6-fluoro-4'-
25 trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
acetoxymethyl)-2-phenyl)-malonic acid diethyl ester,

2-[2-(2-{3-dimethylcarbamoyl-4-[(5-methyl-4'-
trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
acetoxy)-ethyl]-2-phenyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-ethoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-isopropoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl)-malonic acid diethyl ester,

2-[2-(2-{4-[(5,4'-bis-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(6-methoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(3-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-{2-[4-(2,4-bis-trifluoromethyl-benzoylamino)-3-dimethylcarbamoyl-phenyl]-acetoxymethyl}-2-phenyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-{2-[3-dimethylcarbamoyl-4-[(2-ethyl-4-trifluoromethyl-benzoylamino)-phenyl]-acetoxymethyl]-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-ethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-isopropenyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-

phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-isopropyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-{2-[3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl]-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
10 thiophen-2-yl- malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-thiophen-3-yl- malonic acid diethyl ester,

2-(2-{4-dimethylcarbamoyl-5-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-pyridin-2-yl}-acetoxymethyl)-
15 2-phenyl- malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(3-methyl-thiophen-2-yl)-malonic acid diethyl ester,

20 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(5-methyl-thiophen-2-yl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
25 thiazol-2-yl-malonic acid diethyl ester,

2-(2-{3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-

carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
5 acid diethyl ester,

2-(2-{3-benzyloxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-hydroxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
10 acid diethyl ester,

2-{2-[3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{3-piperidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,
15

2-phenyl-2-(2-{3-pyrrolidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,
20

2-(2-{3-dimethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-morpholin-4-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
25

2-(2-{3-diethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

5 2-phenyl-2-(2-{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-[2-(2-{3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-malonic acid diethyl ester,

10 2-[2-(2-{4-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-methyl-5-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-methoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

20 2-[2-(2-{2-ethoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-isopropoxy-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

25 2-[2-(2-{2-methoxycarbonyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{2-ethoxy-5-methyl-3-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-

phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoyloxy}-ethyl)-malonic acid diethyl ester,

5 2-(2-{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoyloxy}-ethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{2-chloro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoyloxy}-ethyl)-2-phenyl-malonic acid
10 diethyl ester,

2-phenyl-2-{2-[4-(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-benzoyloxy]-ethyl}-malonic acid diethyl ester,

2-(2-{3-ethoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
15 phenyl-malonic acid diethyl ester,

2-(3-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-propionyloxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-benzyloxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
20 phenyl-malonic acid diethyl ester,

2-(2-{3-carboxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

25 2-(2-{3-isopropoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-methoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-

phenyl-malonic acid diethyl ester,

2-(2-{3-acetylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{3-methoxycarbonylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-(4-methyl-thiazol-2-yl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

10 2-phenyl-2-(2-{6-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-biphenyl-3-yl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{3-formyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

15 2-(2-{3-dimethylaminomethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

20 2-(2-{3-(methoxy-methylcarbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-isobutyryl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester, and

25 2-(2-{3-(1-hydroxy-2-methyl-propyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester.

27. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 1, which is selected from the group consisting of

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-cyclohexylcarbamoyl-2-phenyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-phenylcarbamoyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-isopropylcarbamoyl-2-phenyl-ethyl ester,

{4-[(biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethyl ester,

2-phenyl-2-(2-{2-trifluoromethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-methylcarbamoyl-2-phenyl-ethyl

ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-butylcarbamoyl-2-phenyl-ethyl ester,

5 {3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl-
10 ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3-phenyl-3,3-bis-propyl-carbamoyl-propyl ester,

{4-[(biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid
15 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-isobutylcarbamoyl-2-phenyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-(3-methyl-butylcarbamoyl)-2-phenyl-ethyl ester,
20

{4-[(4'-chloro-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{4-[(3',4'-dichloro-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl
25 ester,

{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-propylcarbamoyl-ethyl ester,

{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-(2-methoxy-ethylcarbamoyl)-2-phenyl-ethyl ester,

5 {3-isopropyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-ethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

10 {3-isobutyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-15 2,2-bis-propylcarbamoyl-ethyl ester,

{3-methylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

20 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-benzylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

25 {3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 4,4-bis-ethylcarbamoyl-4-phenyl-butyl ester,

{3-diethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-

ethylcarbamoyl-2-phenyl-ethyl ester,

{3-diisopropylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

5 {3-(isopropyl-methylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(ethyl-methylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-(piperidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

15 {3-(pyrrolidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(methyl-propylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(methyl-propylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

25 {3-hydroxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2-phenyl-2,2-bis-propylcarbamoyl-ethyl ester,

5 {3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

10 {3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

15

{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

{3-propoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

20

{3-benzyloxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

25 {3-dimethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-piperidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-

ethylcarbamoyl-2-phenyl-ethyl ester,

{3-pyrrolidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

5 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid 3,3-bis-ethylcarbamoyl-3-phenyl-propyl ester,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid benzyl ester,

10 5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid,

5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid ethyl ester, and

15 5-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid methyl ester.

20 28. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 1, which is selected from the group consisting of

2-(2-{3-methyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[methyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-

- 2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
diethyl ester,
- 2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-
2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
5 diisopropyl ester,
- 2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-
2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
dimethyl ester,
- 2-cyclopentyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-
10 2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
diethyl ester,
- 2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-
2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
dicyclohexyl ester,
- 15 2-benzyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-
2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
diethyl ester,
- 2-(2-{2-methyl-4-[(4'-trifluoromethyl-biphenyl-
2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
20 acid diethyl ester,
- 2-cyclohexyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-
2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid
diethyl ester,
- 2-phenyl-2-(2-{2-trifluoromethyl-4-[(4'-trifluoro-
25 methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-
malonic acid diethyl ester,
- 2-pyridin-2-yl-2-(2-{4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)- malonic
acid diethyl ester,

2-pyridin-3-yl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)- malonic acid diethyl ester,

2-phenyl-2-(2-{3-trifluoromethyl-4-[(4'-trifluoro-
5 methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)- malonic acid diethyl ester,

2-(2-{4-[(4'-methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(4'-methoxy-biphenyl-2-carbonyl)-amino]-
10 phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{4-[(3'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{4-[isopropyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
15

2-(2-{4-[cyclohexyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid dipropyl ester,
20

2-phenyl-2-(2-{4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diisobutyl ester,
25

2-(2-{4-[ethyl-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-ethyl-4-(4'-trifluoromethyl-biphenyl-2-

carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-isopropyl-4-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
5 acid diethyl ester,

2-(2-{3-isobutyl-4-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-chloro-4-(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
10 acid diethyl ester,

2-(2-{3-bromo-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
15

2-(2-{3-diethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
20

2-(2-{3-diisopropylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-(ethyl-methylcarbamoyl)-4-[(4'-trifluoro-methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
25

{3-(ethyl-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

{3-(pyrrolidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetic acid 2,2-bis-ethylcarbamoyl-2-phenyl-ethyl ester,

2-phenyl-2-(2-{3-(pyrrolidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-(2-{3-(piperidine-1-carbonyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-[2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-fluoro-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(4'-bromo-biphenyl-2-carbonyl)-amino]}-3-dimethylcarbamoyl-phenyl)-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid dimethyl ester,

2-cyclopentyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-cyclohexyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{4-[(4'-chloro-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic

acid diethyl ester,

2-(2-{4-[(4'-acetyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{4-[(4'-cyano-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

15 2-[3-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-propyl]-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(5-methoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

20 2-(2-{4-[(5-chloro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(6-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

25 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid 2,2,2-trifluoroethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(2'-fluoro-4'-

- trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
 acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
 2-(2-{5-dimethylcarbamoyl-2-fluoro-4-[(4'-
 trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
 5 acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
 2-(2-{3-bromo-5-dimethylcarbamoyl-4-[(2'-fluoro-4'-
 trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
 acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
 2-(2-{3-chloro-5-dimethylcarbamoyl-4-[(4'-
 10 trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
 acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
 2-(2-{3-dimethylcarbamoyl-4-[(3'-fluoro-4'-
 trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
 acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
 15 2-(2-{4-[(3'-chloro-4'-trifluoromethyl-biphenyl-2-
 carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-
 acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(5-
 20 nitro-pyridin-2-yl)-malonic acid diethyl ester,
 2-(5-amino-pyridin-2-yl)-2-(2-{3-dimethylcarbamoyl-
 4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-
 phenyl}-acetoxymethyl)-malonic acid diethyl ester,
 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
 25 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
 pyridin-2-yl-malonic acid diethyl ester,
 2-(2-{3-chloro-5-dimethylcarbamoyl-2-fluoro-4-[(4'-
 trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
 acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-bromo-5-dimethylcarbamoyl-2-fluoro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-o-
5 tolyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-m-
tolyl-malonic acid diethyl ester,

10 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-p-
tolyl-malonic acid diethyl ester,

2-(2-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
15 acetoxymethyl)-malonic acid diethyl ester,

2-(3-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
acetoxymethyl)-malonic acid diethyl ester,

2-(4-chloro-phenyl)-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-
20 acetoxymethyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
phenyl-succinic acid diethyl ester,

25 2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(2-
methoxy-phenyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(3-

methoxy-phenyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(4-methoxy-phenyl)-malonic acid diethyl ester,

5 2-(2-{4-[(5,4'-bis-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{4-[(6-chloro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

10 2-(2-{3-dimethylcarbamoyl-4-[(6-fluoro-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{3-dimethylcarbamoyl-4-[(5-methyl-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-ethyl]-2-phenyl-malonic acid diethyl ester,

15 2-(2-{3-dimethylcarbamoyl-4-[(5-ethoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

20 2-(2-{3-dimethylcarbamoyl-4-[(5-isopropoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-[2-(2-{4-(5,4'-bis-trifluoromethyl-biphenyl-2-carbonyl)-amino]-3-dimethylcarbamoyl-phenyl}-acetoxy)-ethyl)-2-phenyl-malonic acid diethyl ester,

25 2-(2-{3-dimethylcarbamoyl-4-(6-methoxy-4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-(3-methyl-4'-trifluoro-

methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-
2-phenyl-malonic acid diethyl ester,

2-{2-{4-(2,4-bis-trifluoromethyl-benzoylamino)-3-
dimethylcarbamoyl-phenyl]-acetoxymethyl}-2-phenyl-malonic
5 acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-methyl-biphenyl-2-
carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

2-{2-[3-dimethylcarbamoyl-4-(2-ethyl-4-trifluoro-
10 methyl-benzoylamino)-phenyl]-acetoxymethyl}-2-phenyl-
malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-ethyl-biphenyl-2-
carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
acid diethyl ester,

15 2-(2-{3-dimethylcarbamoyl-4-[(4'-isopropenyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-isopropyl- biphenyl-
2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
20 acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
thiophen-2-yl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-
25 biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-
thiophen-3-yl-malonic acid diethyl ester,

2-(2-{4-dimethylcarbamoyl-5-[(4'-trifluoromethyl-
biphenyl-2-carbonyl)-amino]-pyridin-2-yl}-acetoxymethyl)-
2-phenyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(3-methyl-thiophen-2-yl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(5-methyl-thiophen-2-yl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-thiazol-2-yl-malonic acid diethyl ester,

2-(2-{3-ethoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-methoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-isopropoxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-benzyloxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-hydroxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{3-piperidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-phenyl-2-(2-{3-pyrrolidin-1-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-

malonic acid diethyl ester,

2-(2-{3-dimethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

5 2-(2-{3-morpholin-4-yl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-diethylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{2-chloro-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoyloxy}-ethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-ethoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(3-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-propionyloxymethyl)-2-phenyl-malonic acid diethyl ester,

20 2-(2-{3-benzyloxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-carboxy-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-isopropoxycarbonyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-methoxycarbonyl-4-[(4'-trifluoromethyl-

biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-acetylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
5 acid diethyl ester,

2-(2-{3-methoxycarbonylamino-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-(4-methyl-thiazol-2-yl)-4-[(4'-trifluoro-
10 methyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-phenyl-2-(2-{6-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-biphenyl-3-yl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{3-formyl-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
15 acid diethyl ester,

2-(2-{3-dimethylaminomethyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,
20

2-(2-{3-(methoxy-methylcarbamoyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester,

2-(2-{3-isobutyryl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic
25 acid diethyl ester, and

2-(2-{3-(1-hydroxy-2-methyl-propyl)-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-phenyl-malonic acid diethyl ester.

29. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 1, which is selected from the group consisting of

5 4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-[2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethoxycarbonylmethyl]-phenyl ester,

 biphenyl-2-carboxylic acid 4-[2-phenyl-2,2-bis-(2,2,2-trifluoro-ethylcarbamoyl)-ethoxycarbonylmethyl]-phenyl ester,

10

 4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-phenyl ester,

 4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(2,2-bis-ethylcarbamoyl-2-phenyl-ethoxycarbonylmethyl)-2-chloro-phenyl ester,

15

 4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(3,3-bis-ethylcarbamoyl-3-phenyl-propoxycarbonylmethyl)-2-chloro-phenyl ester,

20 4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(3,3-bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-phenyl ester, and

 4'-trifluoromethyl-biphenyl-2-carboxylic acid 4-(3,3-bis-ethylcarbamoyl-3-phenyl-propoxycarbonyl)-2,6-dichloro-phenyl ester.

25

30. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 1, which is selected from the group consisting of

2-phenyl-2-{2-[4-(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl}-malonic acid diethyl ester,

2-{2-[3-dimethylcarbamoyl-4-(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl}-2-phenyl-malonic acid diethyl ester,

2-{2-[3-methoxy-4-(4'-trifluoromethyl-biphenyl-2-carbonyloxy)-phenyl]-acetoxymethyl}-2-phenyl malonic acid diethyl ester, and

4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-benzoic acid 3-[2-(2,2,2-trifluoro-ethylcarbamoyl)-naphthalen-1-yl]-propyl ester.

31. The ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to claim 1, which is selected from the group consisting of

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-isopropyl-malonic acid diethyl ester,

2-sec-butyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-isobutyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-propyl-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-

biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-ethyl-malonic acid diethyl ester,

2-butyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)- malonic acid diethyl ester,

2-allyl-2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-malonic acid diethyl ester,

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxy)-2,2-bis-ethoxycarbonyl-propionic acid ethyl ester, and

2-(2-{3-dimethylcarbamoyl-4-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-phenyl}-acetoxymethyl)-2-(1-methyl-butyl)-malonic acid diethyl ester.

15

32. A pharmaceutical composition, which comprises the ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to any of the claims 1 to 31 and a pharmaceutically acceptable carrier.

20

33. An MTP (microsomal triglyceride transfer protein) inhibitor, which comprises the ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to any of claims 1 to 31 as an active ingredient.

25

34. An agent for the treatment or prophylaxis of hyperlipidemia, which comprises the ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to any of claims 1 to 31 as an active ingredient.

35. An agent for the treatment or prophylaxis of arteriosclerosis, which comprises the ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of
5 either according to any of claims 1 to 31 as an active ingredient.

36. An agent for the treatment or prophylaxis of coronary artery diseases, which comprises the ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either
10 according to any of claims 1 to 31 as an active ingredient.

37. An agent for the treatment or prophylaxis of obesity, which comprises the ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to any of
15 claims 1 to 31 as an active ingredient.

38. An agent for the treatment or prophylaxis of diabetes, which comprises the ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to any of
20 claims 1 to 31 as an active ingredient.

39. An agent for the treatment or prophylaxis of hypertension, which comprises the ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to any of
25 claims 1 to 31 as an active ingredient.

40. An agent for the treatment or prophylaxis of hyperlipidemia, arteriosclerosis, coronary artery diseases, obesity, diabetes or hypertension, which comprises MTP inhibitor selectively

inhibiting MTP (microsomal triglyceride transfer protein) in the small intestine and a pharmaceutically acceptable carrier.

41. The agent for the treatment or prophylaxis according to
5 claim 40, wherein the MTP inhibitor does not substantially inhibit MTP in the liver but substantially inhibits only MTP in the small intestine.

42. The agent for the treatment or prophylaxis according to
10 claim 41, wherein after the administered MTP inhibitor inhibits MTP in the small intestine, it is metabolized in the small intestine, blood and liver to the amount at which the remaining MTP inhibitor in the liver does not substantially inhibit the MTP in the liver.

15

43. The agent for the treatment or prophylaxis a according to claim 42, wherein the remaining MTP inhibitor in the liver is metabolized to the state where TG-releasing activity of the liver is kept at the level of about 80% or more of the normal
20 level.

25

44. The agent for the treatment or prophylaxis according to claims 40 to 43, wherein the MTP inhibitor is a compound having at least one ester bond.

45. The agent for the treatment or prophylaxis according to claim 44, wherein after the compound having at least one ester bond exerts MTP inhibitory activity, the ester moiety of the compound is metabolized in blood to become an inactive

substance.

46. The agent for the treatment or prophylaxis according to claims 40 to 45, wherein the MTP inhibitor is the ester compound
5 or a prodrug thereof, or a pharmaceutically acceptable salt of either mentioned in any of claims 1 to 31.

47. A method for the treatment or prophylaxis of hyperlipidemia, arteriosclerosis, coronary artery diseases, obesity, diabetes
10 or hypertension, which comprises administering a compound selectively inhibiting MTP (microsomal triglyceride transfer protein) in the small intestine.

48. The method according to claim 47, wherein after the compound
15 inhibits MTP in the small intestine, it is metabolized in the small intestine, blood and liver to the amount at which remaining said compound in the liver does not substantially inhibit MTP in the liver.

20 47. The method according to claim 47, wherein the remaining compound in the liver is metabolized to the state where TG-releasing activity of the liver is kept at the level of about 80% or more of the normal level.

25 50. The method according to claims 47 to 49, wherein the compound has at least one ester bond.

51. The method according to claim 50, wherein after the compound having at least ester bond exerts MTP inhibitory activity, the

ester moiety of the compound is metabolized in blood to become an inactive substance.

52. The method according to claims 47 to 52, wherein the compound
5 is the ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either mentioned in any of claims 1 to 31.

53. The agent for the treatment or prophylaxis according to
10 claims 40 to 46, wherein the agent is an agent for the treatment or prophylaxis of hyperlipidemia which is used in combination with other antihyperlipidemic drug(s).

54. The agent for the treatment or prophylaxis according to
15 claim 53, wherein other antihyperlipidemic drug is a statin-type drug.

55. The agent for the treatment or prophylaxis according to claim 54, wherein the statin-type drug is one or more drug(s)
20 selected from the group consisting of lovastatin, simvastatin, pravastatin, fluvastatin, atorvastatin and cerivastatin.

56. The agent for the treatment or prophylaxis according to claims 40 to 46, wherein the agent is an agent for the treatment
25 or prophylaxis of obesity which is used in combination with other anti-obesity drug(s).

57. The agent for the treatment or prophylaxis according to claim 56, wherein other anti-obesity drug is mazindol or/and

orlistat.

58. The agent for the treatment or prophylaxis according to claims 40 to 46, wherein the agent is an agent for the treatment
5 or prophylaxis of diabetes which is used in combination with other anti-diabetic drug(s).

59. The agent for the treatment or prophylaxis according to claim 58, wherein other anti-diabetic drug is one or more
10 drug(s) selected from the group consisting of insulin preparations, sulfonylurea drugs, insulin secretagogues, sulfonamide drugs, biguanide drugs, α -glucosidase inhibitors and insulin resistance-improving drugs.

15 60. The agent for the treatment or prophylaxis according to claim 59, wherein other anti-diabetic drug is one or more drug(s) selected from the group consisting of insulin, glibenclamide, tolbutamide, glyclopyramide, acetohexamide, glimepiride, tolazamide, gliclazide, nateglinide, glybuzole,
20 metformin hydrochloride, buformin hydrochloride, boglibose, acarbose and pioglitazone hydrochloride.

61. The agent for the treatment or prophylaxis according to claims 40 to 46, wherein the agent is an agent for the treatment
25 or prophylaxis of hypertension which is used in combination with other anti-hypertension drug(s).

62. The agent for the treatment or prophylaxis according to claim 61, wherein other anti-hypertension drug is one or more

drug(s) selected from the group consisting of loop diuretics, angiotensin converting enzyme inhibitors, angiotensin II receptor antagonists, calcium antagonists, β -blockers, α,β -blockers and α -blockers.

5

63. The agent for the treatment or prophylaxis according to claim 62, wherein other anti-hypertension drug is one or more drug(s) selected from the group consisting of furosemide delayed release, captopril, captopril delayed release, 10 enalapril maleate, alacepril, delapril hydrochloride, silazapril, lisinopril, benazepril hydrochloride, imidapril hydrochloride, temocapril hydrochloride, quinapril hydrochloride, trandolapril, perindopril erbumine, losartan potassium, candesartan cilexetil, nicardipine hydrochloride, 15 nicardipine hydrochloride delayed release, nilvadipine, nifedipine, nifedipine delayed release, benidipine hydrochloride, diltiazem hydrochloride, diltiazem hydrochloride delayed release, nisoldipine, nitrendipine, manidipine hydrochloride, barnidipine hydrochloride, 20 efonidipine hydrochloride, amlodipine besylate, felodipine, cilnidipine, aranidipine, propranolol hydrochloride, propranolol hydrochloride delayed release, pindolol, pindolol delayed release, indenolol hydrochloride, carteolol hydrochloride, carteolol hydrochloride delayed release, 25 bunitrolol hydrochloride, bunitrolol hydrochloride delayed release, atenolol, asebutilol hydrochloride, metoprolol tartrate, metoprolol tartrate delayed release, nipradilol, penbutolol sulfate, tilisolol hydrochloride, carvedilol, bisoprolol fumarate, betaxolol hydrochloride, celiprolol

hydrochloride, bopindolol malonate, bevantolol hydrochloride, labetalol hydrochloride, arotinolol hydrochloride, amosulalol hydrochloride, prazosin hydrochloride, terazosin hydrochloride, doxazosin mesylate, bunazocin hydrochloride, 5 bunazocin hydrochloride delayed release, urapidil and phentolamine mesylate.

64. Use of the agent for the treatment or prophylaxis according to claims 34 to 46 and other antihyperlipidemic drug(s) for the 10 treatment or prophylaxis of hyperlipidemia.

65. The use according to claim 64, wherein other antihyperlipidemic drug is a statin-type drug.

15 66. The use according to claim 64, wherein the statin-type drug is one or more drug(s) selected from the group consisting of lovastatin, simvastatin, pravastatin, fluvastatin, atorvastatin and cerivastatin.

20 67. Use of the agent for the treatment or prophylaxis according to claims 34 to 46 and other anti-obesity drug(s) for the treatment or prophylaxis of obesity.

68. The use according to claim 67, wherein other anti-obesity 25 drug is mazindol or/and orlistat.

69. Use of the agent for the treatment or prophylaxis according to claims 34 to 46 and other anti-diabetic drug(s) for the treatment or prophylaxis of diabetes.

70. The use according to claim 69, wherein other anti-diabetic drugs are one or more drug(s) selected from the group consisting of insulin preparations, sulfonylurea drugs, insulin
5 secretagogues, sulfonamide drugs, biguanide drugs, α -glucosidase inhibitors and insulin resistance improving drugs.

71. The use according to claim 70, wherein other anti-diabetic
10 drug is one or more drug(s) selected from the group consisting of insulin, glibenclamide, tolbutamide, glyclopyramide, acetohexamide, glimepiride, tolazamide, gliclazide, nateglinide, glybuzole, metformin hydrochloride, buformin hydrochloride, boglibose, acarbose and pioglitazone
15 hydrochloride.

72. Use of the agent for the treatment or prophylaxis according to claims 34 to 46 and other anti-hypertension drug(s) for the treatment or prophylaxis of hypertension.
20

73. The use according to claim 72, wherein other anti-hypertension drug is one or more drug(s) selected from the group consisting of loop diuretics, angiotension converting enzyme inhibitors, angiotension II receptor antagonists, calcium antagonists, beta-blockers, alpha/beta blockers and
25 alpha blockers.

74. The use according to claim 73, wherein other anti-hypertension drug is one or more drug(s) selected from the

group consisting of furosemide delayed release, captopril, captopril delayed release, enalapril maleate, alacepril, delapril hydrochloride, silazapril, lisinopril, benazepril hydrochloride, imidapril hydrochloride, temocapril hydrochloride, quinapril hydrochloride, trandolapril, 5 perindopril erbumine, losartan potassium, candesartan cilexetil, nicardipine hydrochloride, nicardipine hydrochloride delayed release, nilvadipine, nifedipine, nifedipine delayed release, benidipine hydrochloride, 10 diltiazem hydrochloride, diltiazem hydrochloride delayed release, nisoldipine, nitrendipine, manidipine hydrochloride, barnidipine hydrochloride, efonidipine hydrochloride, amlodipine besylate, felodipine, cilnidipine, aranidipine, propranolol hydrochloride, propranolol hydrochloride delayed release, 15 pindolol, pindolol delayed release, indenolol hydrochloride, carteolol hydrochloride, carteolol hydrochloride delayed release, bunitrolol hydrochloride, bunitrolol hydrochloride delayed release, atenolol, asebutolol hydrochloride, metoprolol tartrate, metoprolol tartrate delayed release, nipradilol, penbutolol sulfate, 20 tilisolol hydrochloride, carvedilol, bisoprolol fumarate, betaxolol hydrochloride, celiprolol hydrochloride, bopindolol malonate, bevantolol hydrochloride, labetalol hydrochloride, arotinolol hydrochloride, amosulalol hydrochloride, prazosin 25 hydrochloride, terazosin hydrochloride, doxazosin mesylate, bunazocin hydrochloride, bunazocin hydrochloride delayed release, urapidil and phentolamine mesylate.

75. A pharmaceutical composition comprising an effective amount

of the ester compound or a prodrug thereof, or a pharmaceutically acceptable salt of either according to any of claims 1 to 31, a pharmaceutically acceptable, appropriate amount of ethanol and propylene glycol fatty acid ester.

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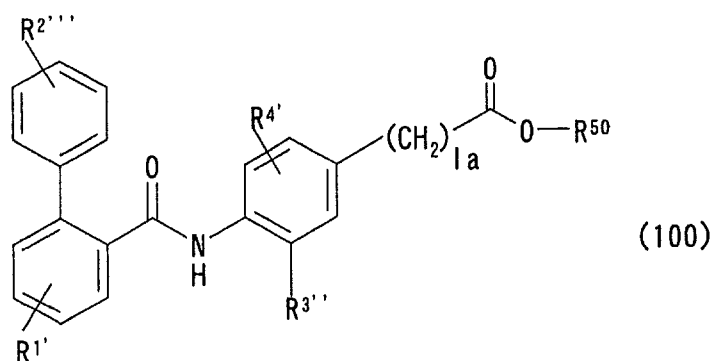
76. The pharmaceutical composition according to claim 75, which comprises 25 to 35% by weight of ethanol and 65 to 75% by weight of propylene glycol fatty acid ester.

10 77. A capsule formulation comprising the pharmaceutical composition according to claim 75 or claim 76.

78. The capsule formulation according to claim 77, wherein the capsule formulation is a hard capsule or soft capsule.

15

79. A biphenyl compound represented by the formula (100)



wherein

$R^{1'}$ is hydrogen, C_1 - C_6 alkyl, halogen, halo C_1 - C_6 alkyl or
20 C_1 - C_6 alkoxy;

$R^{2''}$ is hydrogen, C_1 - C_6 alkyl, halogen, halo C_1 - C_6 alkyl
or C_2 - C_6 alkenyl;

$R^{3''}$ is $-\text{CON}(R^{11a})(R^{12a})$ wherein R^{11a} and R^{12a} are each

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either.