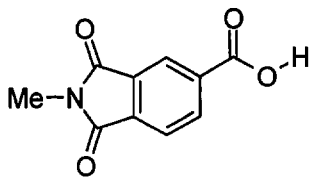
159159

G00001

Reference Example 229

2-Methyl-1,3-dioxo-5-isoindolinecarboxylic acid

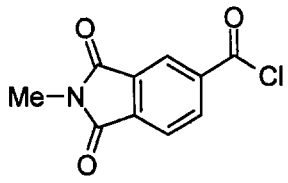


An aqueous methyl amine solution (50 ml) was added to a solution of trimellitic anhydride (30 g) in tetrahydrofuran (100 ml). After stirred at room temperature for 15 hours, the solvent was evaporated by heating under a normal pressure. 1N hydrochloric acid was added to the residue to adjust to pH 1, the precipitates were filtered, and washed successively with water, ethanol and diethyl ether. Further air-drying afforded the title compound as colorless crystals (11.6 g) having a melting point of 226 to 232°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 3.06 (3H, s), 7.97 (1H, d, J = 7.6 Hz), 8.19 (1H, s), 8.33 (1H, dd, J = 7.7, 1.6 Hz), 8.00-10.00 (1H, br).

Reference Example 230

2-Methyl-1,3-dioxo-5-isoindolinecarbonyl chloride

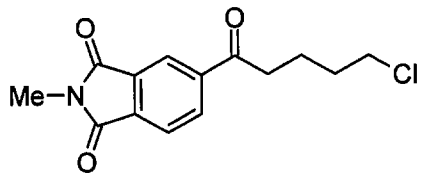


Oxalyl chloride (5.86 ml) was added by portions to a solution of 2-methyl-1,3-dioxo-5-isoindolinecarboxylic acid (9.2 g) obtained in Reference Example 229 and dimethylformamide (catalytic amount) in tetrahydrofuran (100 ml) at room temperature. After stirred at room temperature for 1 hour, the solvent was evaporated under reduced pressure. The resulting residue was filtered, washed with diethyl ether and dried under reduced pressure to give the title compound as a white solid.

^{1}H NMR (200MHz, DMSO-d_6) δ 3.06 (3H, s), 7.97 (1H, d, J = 7.6 Hz), 8.19 (1H, s), 8.33 (1H, dd, J = 7.9, 1.0 Hz).

Reference Example 231

5-(5-Chloropentanoyl)-2-methyl-1H-isoindole-1,3(2H)-dione



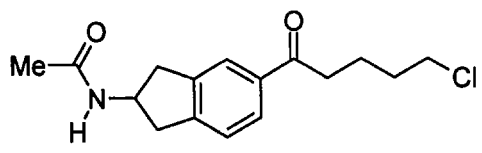
Synthesis of the present compound was carried out according to the method of Y. Tamaru et al. (Tetrahedron Lett. 1985, 26(45), 5529). That is, a solution of Zn-Cu couple (4.6 g) and 1-chloro-4-iodobutane (10.0 g) in toluene (60 ml) and dimethylfomamide (6 ml) was stirred at 60°C for 3 hours under nitrogen atmosphere. Then, a suspension of 2-methyl-1,3-dioxo-5-isoindolinecarbonyl chloride (6.82 g) obtained in Reference Example 230 and

tetrakistriphenylphosphinepalladium (1.4 g) in toluene (30 ml) was added to the aforementioned solution at room temperature. After stirring at room temperature for 1 hour, the reaction was quenched with water, and the solvent was evaporated under reduced pressure. Water was added to the residue, extracted with ethyl acetate, and washed successively with 1N hydrochloric acid, water, aqueous potassium carbonate, water and saturated brine. The organic layer was dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure to give the title compound as colorless crystals (5.15 g) having a melting point of 97 to 98°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.65-1.90 (4H, m), 3.06 (3H, s), 3.22 (2H, t, J = 6.8 Hz), 3.71 (2H, t, J = 6.2 Hz), 7.98 (1H, d, J = 7.6 Hz), 8.25-8.40 (2H, m).

Reference Example 232

N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]acetamide



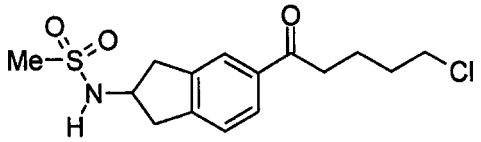
Using N-(2,3-dihydro-1H-inden-2-yl)acetamide and 5-chlorovaleryl chloride according to the same method as that of Reference Example 1, the title compound was obtained as

colorless crystals having a melting point of 106 to 108°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.75 (4H, m), 1.79 (3H, s), 2.77 (2H, dd, J = 10.8, 3.0 Hz), 3.04 (2H, t, J = 6.6 Hz), 3.21 (2H, dd, J = 11.2, 5.2 Hz), 3.69 (2H, t, J = 6.3 Hz), 4.46 (1H, m), 7.35 (1H, d, J = 7.6 Hz), 7.80 (2H, m), 8.16 (1H, d, J = 5.4 Hz).

Reference Example 233

N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]methanesulfonamide



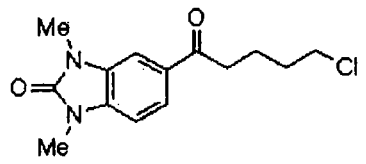
Using N-(2,3-dihydro-1H-inden-2-yl)nethanesulfonamide and 5-chlorovaleryl chloride according to the same method as that of Reference Example 1, the title compound was obtained as colorless crystals having a melting point of 71 to 72°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.86 (4H, m), 2.96 (4H, m), 3.01 (3H, s), 3.35 (2H, dd, J = 10.8, 4.6 Hz), 3.58 (2H, t, J = 4.2 Hz), 4.29 (1H, m), 5.21 (1H, m), 7.27 (1H, d, J = 5.4 Hz), 7.79 (2H, m).

Reference Example 234

5-(5-Chloropentanoyl)-1,3-dimethyl-1,3-dihydro-2H-

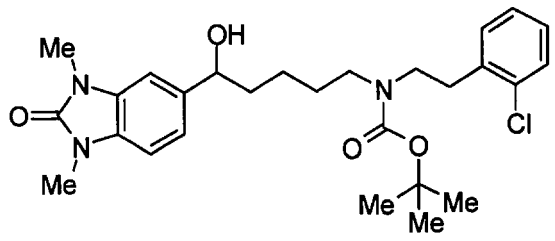
benzimidazol-2-one (compound of Reference Example 10)



25.00g Of 1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one was dissolved in 250 mL of acetonitrile, and 25.9 mL (1.3 equivalent) of 5-chlorovaleryl chloride and 4.20 g (0.2 equivalent) of zinc chloride were added. After stirring at 80°C for 6 hours, the reaction mixture was concentrated under reduced pressure. 250 mL of Ethyl acetate and 250 mL of water were added, and the layers were separated. The organic layer was washed with 125 mL of water two times, and concentrated under reduced pressure to give 53.16 g of pale yellow brown crystals. 125 mL of ethanol was added, and warmed to 55°C to dissolve crystals. 375 mL of diisopropyl ether was added dropwise to crystallize the material, which was cooled to 25°C, and stirred at the same temperature for 1 hour. After cooling to 5°C or lower and stirring for 1 hour, crystals were collected by filtration. Crystals were washed with 125 mL of cold ethanol-diisopropyl ether (1:3), and dried under reduced pressure to give 27.16 g of the title compound as fine yellow brown crystals.

Reference Example 235

tert-Butyl 2-(2-chlorophenyl)ethyl[5-(1,3-dimethyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-hydroxypentyl]carbamate



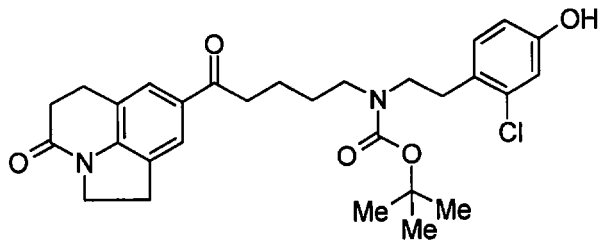
95 mg of Sodium tetrahydroborate was added to a solution of tert-butyl 2-(2-chlorophenyl)ethyl[5-(1,3-dimethyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-oxopentyl]carbamate obtained in Reference Example 60 in methanol (10 ml) at room temperature. After stirring at room temperature for 2 hours, 20 ml of an aqueous saturated ammonium chloride solution was added. The liberated oil was extracted with chloroform (30 ml×2), dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure to give the title compound as a pale yellow oil (1.25 g).

^{1}H NMR (400MHz, $CDCl_3$) δ 1.21-1.52 (4H, m), 1.40 (9H, s), 1.63-1.90 (2H, m), 2.92 (2H, br.s), 3.08-3.23 (2H, m), 3.31-3.41 (2H, m), 3.36 (6H, s), 4.68 (1H, br.s), 5.10-5.18 (1H, m), 6.85 (1H, d, J = 8.1Hz), 6.96 (1H, m), 7.02 (1H, d, J = 8.1Hz), 7.16-7.34 (4H, m).

Reference Example 236

tert-Butyl 2-(2-chloro-4-hydroxyphenyl)ethyl[5-oxo-5-(4-

oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate

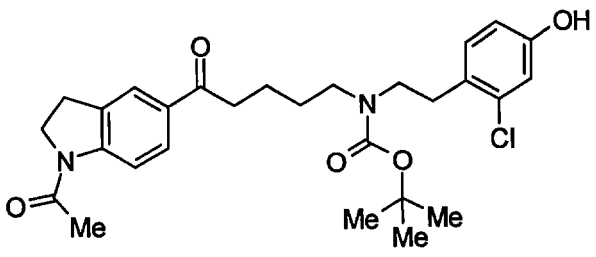


Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (584 mg) obtained in Reference Example 1 and 4-(2-aminoethyl)-3-chlorophenol hydrobromide (1.1 g) according to the same method as that of Reference Example 82, the title compound was obtained as a pale yellow oil (250 mg).

^{1}H NMR (400MHz, $CDCl_3$) δ 1.41 (9H, s), 1.51-1.73 (4H, m), 2.72 (2H, t, J = 7.5Hz), 2.85 (2H, br.s), 2.92 (2H, t, J = 6.8Hz), 3.01 (2H, t, J = 7.5Hz), 3.15-3.24 (4H, m), 3.36 (2H, br.s), 4.13 (2H, t, J = 8.3Hz), 6.69 (1H, d, J = 7.0Hz), 6.89-7.07 (3H, m), 7.66 (1H, s), 7.71 (1H, s).

Reference Example 237

tert-Butyl 5-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-oxopentyl[2-(2-chloro-4-hydroxyphenyl)ethyl]carbamate

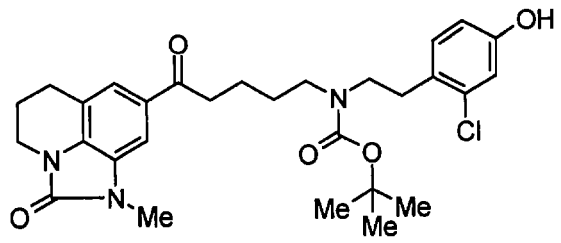


Using 1-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-5-chloropentan-1-one (560 mg) obtained in Reference Example 11 and 4-(2-aminoethyl)-3-chlorophenol-hydrobromide (1.1 g) according to the same method as that of Reference Example 82, the title compound was obtained as a pale yellow oil (320 mg).

^{1}H NMR (400MHz, $CDCl_3$) δ 1.41 (9H, s), 1.51-1.72 (4H, m), 2.26 (3H, s), 2.85 (2H, br.s), 2.95 (2H, t, J = 7.3Hz), 3.15 (2H, br.s), 3.23 (2H, t, J = 8.0Hz), 3.36 (2H, t, J = 7.0Hz), 4.11 (2H, t, J = 7.0Hz), 6.69 (1H, d, J = 6.3Hz), 6.90-7.07 (3H, m), 7.80 (1H, s), 7.81 (1H, d, J = 8.0Hz), 8.22 (1H, d, J = 8.0Hz).

Reference Example 238

tert-Butyl 2-(2-chloro-4-hydroxyphenyl)ethyl[5-(1-methyl-2-oxo-1,2,5,6-tetrahydro-4H-imidazo[4,5,1-ij]quinolin-8-yl)-5-oxopentyl]carbamate



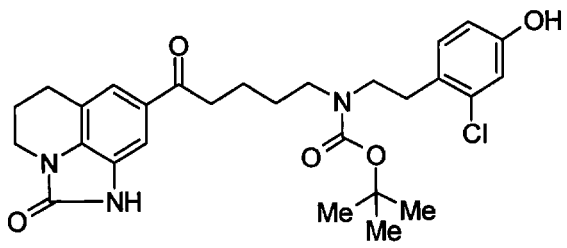
Using 8-(5-chloropentanoyl)-1-methyl-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (460 mg) obtained in Reference Example 134 and 4-(2-aminoethyl)-3-chlorophenol hydrobromide (796 mg) according to the same method as that

of Reference Example 82, the title compound was obtained as a pale yellow oil (114 mg).

^{1}H NMR (400MHz, $CDCl_3$) δ 1.40 (9H, s), 1.60 (2H, br.s), 1.66-1.75 (2H, m), 2.10-2.16 (2H, m), 2.84-3.00 (6H, m), 3.16-3.22 (2H, m), 3.31-3.38 (2H, m), 3.45 (3H, s), 3.82-3.89 (2H,m), 6.70 (1H, d, J = 7.8Hz), 6.83-7.02 (2H, m), 7.23 (1H, br.), 7.49 (1H, s), 7.56 (1H, s).

Reference Example 239

tert-Butyl 2-(2-chloro-4-hydroxyphenyl)ethyl[5-oxo-5-(2-oxo-1,2,5,6-tetrahydro-4H-imidazo[4,5,1-ij]quinolin-8-yl)pentyl]carbamate



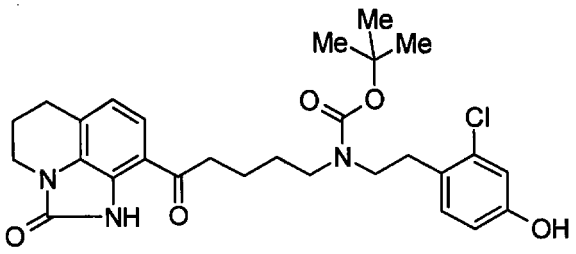
Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (439 mg) obtained in Reference Example 133 and 4-(2-aminoethyl)-3-chlorophenol hydrobromide (796 mg) according to the same method as that of Reference Example 82, the title compound was obtained as a pale yellow oil (55 mg).

^{1}H NMR (400MHz, $CDCl_3$) δ 1.43 (9H, s), 1.49-1.72 (4H, m), 2.13 (2H, br.s), 2.83-2.89 (6H, m), 3.08 (2H, br.s), 3.35 (2H, t, J = 6.8Hz), 3.88 (2H, br.s), 6.88-7.02 (3H, m),

7.51 (1H, s), 7.56 (1H, br.s), 8.09 (1H, br), 9.95 (1H, s).

Reference Example 240

tert-Butyl 2-(2-chloro-4-hydroxyphenyl)ethyl[5-oxo-5-(2-oxo-1,2,5,6-tetrahydro-4H-imidazo[4,5,1-ij]quinolin-9-yl)pentyl]carbamate

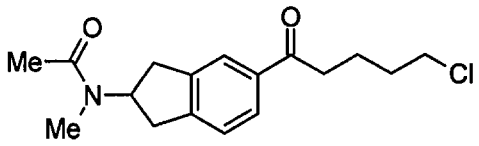


Using 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one obtained in Reference Example 131 according to the same method as those of Reference Example 1 and Reference Example 82, the title compound was obtained as a pale yellow oil.

^{1}H NMR (400MHz, $CDCl_3$) δ 1.43 (9H, s), 1.56 (2H, br.s), 1.68 (2H, br.s), 2.09-2.16 (2H, m), 2.84-2.90 (4H, m), 2.95 (2H, t, J = 7.0Hz), 3.13 (2H, t, J = 7.3Hz), 3.35 (2H, t, J = 7.0Hz), 3.87 (2H, t, J = 6.0Hz), 6.88-7.04 (4H, m), 7.44 (1H, d, J = 8.0Hz), 7.89 (1H, br.), 9.34 (1H, s).

Reference Example 241

N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]acetamide

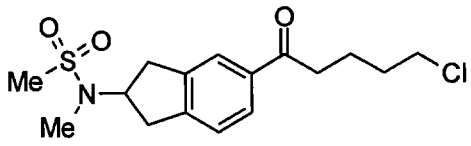


Using N-(2,3-dihydro-1H-inden-2-yl)-N-methylacetamide and 5-chlorovaleryl chloride according to the same method as that of Example 1, the title compound was obtained as colorless crystals.

^{1}H NMR (200MHz, $CDCl_3$) δ 1.81 (4H, m), 2.20 (3H, s), 2.41 (2H, m), 2.79 (3H, s), 3.06 (2H, t, J = 6.6 Hz), 3.18-3.22 (2H, m), 3.59 (2H, t, J = 6.3 Hz), 5.46 (1H, m), 7.30 (1H, m), 7.81 (2H, m).

Reference Example 242

N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]methanesulfonamide

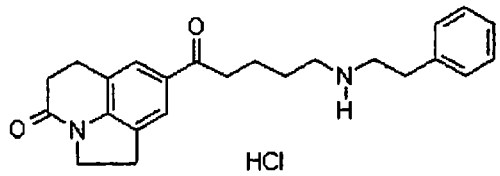


Using N-(2,3-dihydro-1H-inden-2-yl)methanesulfonamide and 5-chlorovaleryl chloride according to the same method as that of Example 1, the title compound was obtained as colorless crystals.

MS m/z: 344 $[M+H]^+$

Example 1

8-[5-[(2-Phenylethyl)amino]pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



A 4N hydrogen chloride-ethyl acetate solution was added to a solution of tert-butyl 5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl(2-phenylethyl)carbamate (3.00 g) obtained in Reference Example 19 in ethanol (5 ml), and the mixture was stirred at room temperature for 1 hour. The solvent was evaporated under reduced pressure, and the resulting residue was crystallized from ethanol-ethyl acetate to give the title compound as colorless crystals (1.98 g) having a melting point of 166 to 168°C.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.60-1.75 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.90-3.20 (10H, m), 3.18 (2H, t, J = 8.4Hz), 3.99 (2H, t, J = 8.4Hz), 7.20-7.40 (5H, m), 7.73 (1H, s), 7.74 (1H, s), 8.95-9.10 (2H, br).

elementary analysis as $C_{24}H_{28}N_2O_2 \cdot HCl$

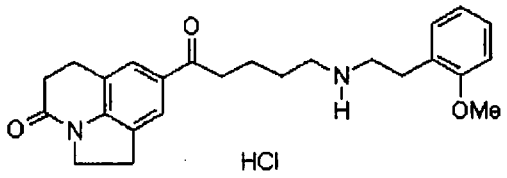
calculation value:C, 69.80; H, 7.08; N, 6.78.

experimental value:C, 69.43; H, 7.06; N, 6.72.

Example 2

8-(5-[[2-(2-Methoxyphenyl)ethyl]amino]pentanoyl)-1,2,5,6-

tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(2-methoxyphenyl)ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (1.16 g) obtained in Reference Example 20 according to the same method as that of Example 1, the title compound (835 mg) was obtained as colorless crystals having a melting point of 94 to 96°C.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.60-1.80 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.85-3.15 (10H, m), 3.18 (2H, t, J = 8.4Hz), 3.80 (3H, s), 3.99 (2H, t, J = 8.4Hz), 6.91 (1H, dt, J = 7.3, 1.0Hz), 7.00 (1H, d, J = 7.6Hz), 7.15-7.30 (2H, m), 7.74 (2H, s), 8.95-9.15 (2H, br).

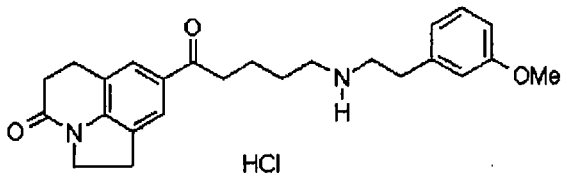
elementary analysis as $C_{25}H_{30}N_2O_3 \cdot HCl \cdot H_2O$

calculation value:C, 62.69; H, 7.36; N, 5.85.

experimental value:C, 63.02; H, 7.15; N, 5.82.

Example 3

8-(5-[[2-(3-Methoxyphenyl)ethyl]amino]pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(3-methoxyphenyl)ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (3.02 g) obtained in Reference Example 21 according to the same method as that of Example 1, the title compound (2.32 g) was obtained as colorless crystals having a melting point of 97 to 100°C.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.85-3.20 (10H, m), 3.17 (2H, t, J = 8.4Hz), 3.75 (3H, s), 3.99 (2H, t, J = 8.4Hz), 6.75-6.85 (3H, m), 7.25 (1H, t, J = 8.2 Hz), 7.74 (2H, s), 9.15-9.35 (2H, br).

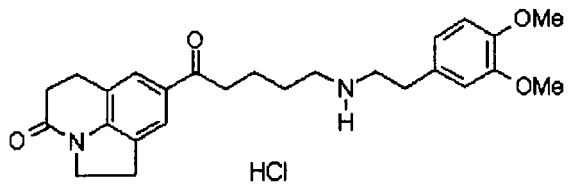
elementary analysis as $C_{25}H_{30}N_2O_3 \cdot HCl \cdot 1.5H_2O$

calculation value:C, 63.89; H, 7.29; N, 5.96.

experimental value:C, 64.01; H, 7.01; N, 6.01.

Example 4

8-(5-[[2-(3, 4-Dimethoxyphenyl)ethyl]amino]pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

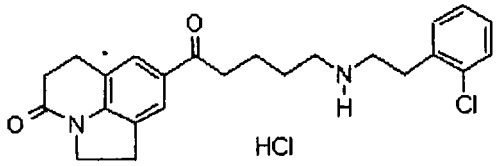


Using tert-butyl 2-(3,4-dimethoxyphenyl)ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (408 mg) obtained in Reference Example 22 according to the same method as that of Example 1, the title compound (290 mg) was obtained as colorless crystals having a melting point of 175 to 176°C.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.80-3.20 (10H, m), 3.18 (2H, t, J = 8.4Hz), 3.72 (3H, s), 3.75 (3H, s), 3.99 (2H, t, J = 8.4Hz), 6.76 (1H, dd, J = 8.2, 1.8Hz), 6.90 (2H, d, J = 8.2Hz), 7.73 (2H, s), 8.85-9.05 (2H, br).

Example 5

8-(5-[[2-(2-Chlorophenyl)ethyl]amino]pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 2-(2-chlorophenyl)ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (1.50 g) obtained in Reference Example

23 according to the same method as that of Example 1, the title compound (989 mg) was obtained as colorless crystals having a melting point of 128 to 130°C.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.90-3.25 (12H, m), 3.99 (2H, t, J = 8.4Hz), 7.00-7.55 (4H, m), 7.73 (2H, s), 9.10-9.30 (2H, br).

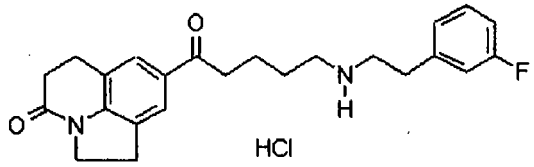
elementary analysis as $C_{24}H_{27}ClN_2O_2 \cdot HCl \cdot H_2O$

calculation value:C, 60.76; H, 6.59; N, 5.90.

experimental value:C, 61.15; H, 6.20; N, 5.77.

Example 6

8-(5-[[2-(3-Fluorophenyl)ethyl]amino]pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 2-(3-fluorophenyl) ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (1.40 g) obtained in Reference Example 24 according to the same method as that of Example 1, the title compound (905 mg) was obtained as colorless crystals having a melting point of 172 to 173°C.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.85-3.25 (12H, m), 3.99 (2H, t, J = 8.4Hz), 7.00-7.20 (3H, m), 7.50-7.65 (1H, m), 7.74 (2H, s), 8.90-

9.10 (2H, br).

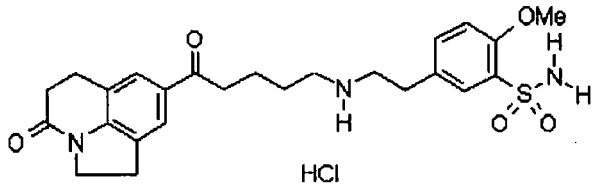
elementary analysis as $C_{24}H_{27}FN_2O_2 \cdot HCl$

calculation value:C, 66.89; H, 6.55; N, 6.50.

experimental value:C, 66.50; H, 6.21; N, 6.20.

Example 7

2-Methoxy-5-(2-[[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]amino]ethyl)benzenesulfonamide hydrochloride



Using tert-butyl 2-[3-(aminosulfonyl)-4-methoxyphenyl]ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (605 mg) obtained in Reference Example 25 according to the same method as that of Example 1, the title compound (325 mg) was obtained as colorless crystals having a melting point of 145°C (dec).

^{1}H NMR(200MHz, DMSO-d_6) δ 1.55-1.75 (4H, m), 2.60 (2H, t, J = 7.6Hz), 2.85-3.20 (10H, m), 3.18 (2H, t, J = 8.4Hz), 3.89 (3H, s), 3.99 (2H, t, J = 8.4Hz), 7.08 (2H, s), 7.18 (1H, d, J = 8.8Hz), 7.47 (1H, dd, J = 8.6, 2.2Hz), 7.63 (1H, d, J = 2.2Hz), 7.74 (2H, s), 8.85-9.05 (2H, br).

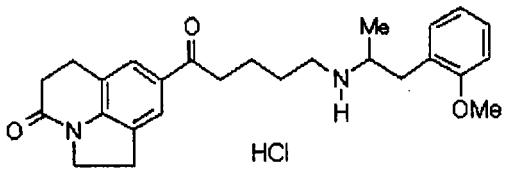
elementary analysis as $C_{25}H_{31}N_3O_5S \cdot HCl \cdot H_2O$

calculation value:C, 55.60; H, 6.35; N, 7.78.

experimental value:C, 55.51; H, 6.50; N, 7.46.

Example 8

8-(5-[[2-(2-Methoxyphenyl)-1-methylethyl]amino]pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(2-methoxyphenyl)-1-methylethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (641 mg) obtained in Reference Example 26 according to the same method as that of Example 1, the title compound (438 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.10 (3H, d, J = 6.2Hz), 1.60-1.90 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.80-3.20 (9H, m), 3.18 (2H, t, J = 8.4Hz), 3.80 (3H, s), 3.99 (2H, t, J = 8.4Hz), 6.80-7.60 (4H, m), 7.73 (2H, s), 8.90-9.25 (2H, br).

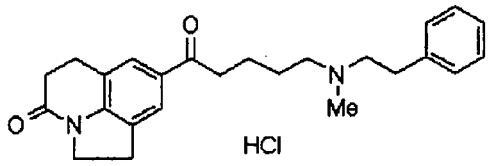
elementary analysis as $C_{26}H_{32}N_2O_3 \cdot HCl \cdot H_2O$

calculation value:C, 65.74; H, 7.43; N, 5.90.

experimental value:C, 65.44; H, 7.09; N, 5.72.

Example 9

8-[5-[Methyl(2-phenylethyl)amino]pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochlride



A mixed solution of 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (800 mg) obtained in Reference Example 1, N-methyl-N-(2-phenylethyl)amine (0.438 ml) and potassium carbonate (830 mg) in toluene (10 ml) was heated under reflux for 12 hours with stirring. After cooling, water (15 ml) and ethyl acetate (20 ml) were added to the reaction mixture, and the mixture was extracted with ethyl acetate. The extract was washed with brine, dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure. The resulting residue was purified by silica gel chromatography (eluting solvent; ethyl acetate) to give a free base compound of the title compound as a pale yellow oil (519 mg).

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.50-1.65 (2H, m), 1.74 (2H, tt, J = 7.5, 7.5Hz), 2.31 (3H, s), 2.45 (2H, t, J = 7.5Hz), 2.55-2.65 (2H, m), 2.65-2.80 (4H, m), 2.92 (2H, t, J = 7.5Hz), 3.01 (2H, t, J = 8.1Hz), 3.21 (2H, t, J =

8.1Hz), 4.12 (2H, t, J = 8.4Hz), 7.15-7.30 (5H, m), 7.67 (1H, s), 7.72 (1H, s).

A solution of the free base compound (519 mg) in ethanol was treated with 1 equivalent or more of hydrogen chloride (ethyl acetate solution) to give the title compound as pale yellow amorphous powders (539 mg).

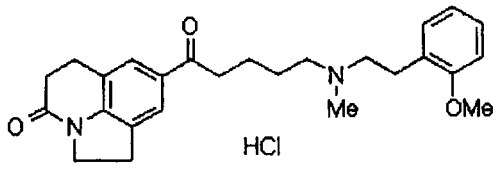
elementary analysis as $C_{25}H_{30}N_2O_2 \cdot HCl$

calculation value:C, 70.32; H, 7.32; N, 6.56.

experimental value:C, 70.04; H, 7.56; N, 6.59.

Example 10

8-[5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 1 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine (322 mg) according to the same method as that of Example 9, the title compound (280 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.50-1.65 (2H, m), 1.75 (2H, tt, J = 7.5, 7.5Hz), 2.32 (3H, s), 2.47 (2H, t, J =

7.5Hz), 2.50-2.60 (2H, m), 2.65-2.80 (4H, m), 2.93 (2H, t, J = 7.5Hz), 3.02 (2H, t, J = 8.1Hz), 3.22 (2H, t, J = 8.1Hz), 3.81 (3H, s), 4.13 (2H, t, J = 8.4Hz), 6.84 (1H, d, J = 7.5Hz), 6.88 (1H, d, J = 7.8Hz), 7.10-7.20 (2H, m), 7.68 (1H, s), 7.72 (1H, s).

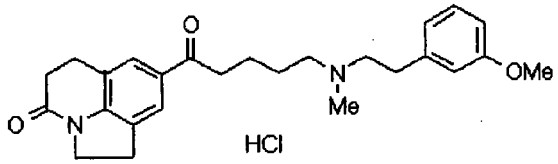
elementary analysis as $C_{26}H_{32}N_2O_3 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 67.01; H, 7.35; N, 6.01.

experimental value:C, 67.03; H, 7.68; N, 5.97.

Example 11

8-[5-[[2-(3-Methoxyphenyl)ethyl](methyl)amino]pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



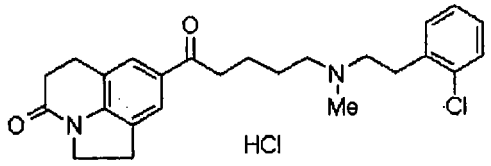
Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 1 and N-[2-(3-methoxyphenyl)ethyl]-N-methylamine (322 mg) according to the same method as that of Example 9, the title compound (160 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.50-1.65 (2H, m), 1.75 (2H, tt, J = 7.5, 7.5Hz), 2.30 (3H, s), 2.45 (2H, t, J = 7.5Hz), 2.55-2.65 (2H, m), 2.65-2.80 (4H, m), 2.92 (2H, t,

J = 7.2Hz), 3.01 (2H, t, J = 7.8Hz), 3.21 (2H, t, J = 8.1Hz), 3.78 (3H, s), 4.12 (2H, t, J = 8.4Hz), 6.70-6.80 (3H, m), 7.16 (1H, t, J = 7.8Hz), 7.67 (1H, s), 7.72 (1H, s).

Example 12

8-[5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

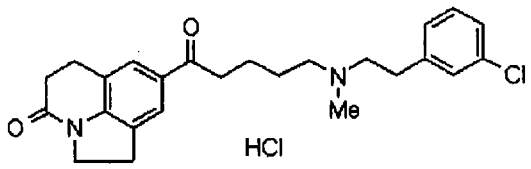


Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 1 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine (330 mg) according to the same method as that of Example 9, the title compound (310 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.50-1.65 (2H, m), 1.74 (2H, tt, J = 7.5, 7.5Hz), 2.34 (3H, s), 2.47 (2H, t, J = 7.5Hz), 2.55-2.65 (2H, m), 2.71 (2H, t, J = 7.5Hz), 2.85-2.95 (4H, m), 3.02 (2H, t, J = 7.8Hz), 3.22 (2H, t, J = 8.1Hz), 4.13 (2H, t, J = 8.4Hz), 7.05-7.35 (4H, m), 7.68 (1H, s), 7.72 (1H, s).

Example 13

8-[5-[[2-(3-Chlorophenyl)ethyl](methyl)amino]pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 1 and N-[2-(3-chlorophenyl)ethyl]-N-methylamine (345 mg) according to the same method as that of Example 9, the title compound (298 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.50-1.65 (2H, m), 1.73 (2H, tt, J = 7.4, 7.4Hz), 2.29 (3H, s), 2.44 (2H, t, J = 7.4Hz), 2.50-2.80 (6H, m), 2.92 (2H, t, J = 7.2Hz), 3.02 (2H, t, J = 7.8Hz), 3.22 (2H, t, J = 8.4Hz), 4.13 (2H, t, J = 8.4Hz), 7.00-7.20 (4H, m), 7.67 (1H, s), 7.71 (1H, s).

elementary analysis as $C_{25}H_{29}ClN_2O_2 \cdot HCl \cdot H_2O$

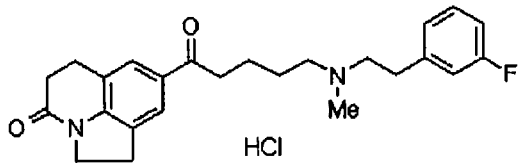
calculation value:C, 62.63; H, 6.73; N, 5.84.

experimental value:C, 62.51; H, 6.53; N, 5.70.

Example 14

8-[5-[[2-(3-Fluorophenyl)ethyl](methyl)amino]pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one

hydrochloride



Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 1 and N-[2-(3-fluorophenyl)ethyl]-N-methylamine (276 mg) according to the same method as that of Example 9, the title compound (340 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.50-1.65 (2H, m), 1.74 (2H, tt, J = 7.5, 7.5Hz), 2.29 (3H, s), 2.44 (2H, t, J = 7.5Hz), 2.55-2.65 (2H, m), 2.65-2.80 (4H, m), 2.92 (2H, t, J = 7.5Hz), 3.01 (2H, t, J = 7.8Hz), 3.22 (2H, t, J = 8.1Hz), 4.12 (2H, t, J = 8.4Hz), 6.80-7.00 (3H, m), 7.15-7.25 (1H, m), 7.67 (1H, s), 7.72 (1H, s).

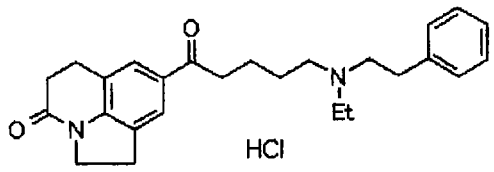
elementary analysis as $C_{25}H_{29}FN_2O_2 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 66.14; H, 6.88; N, 6.17.

experimental value:C, 66.23; H, 6.38; N, 5.74.

Example 15

8-[5-[Ethyl(2-phenylethyl)amino]pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 1 and N-ethyl-N-(2-phenylethyl)amine (281 mg) according to the same method as that of Example 9, the title compound (230 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.06 (3H, t, J = 7.2Hz), 1.56 (2H, tt, J = 7.5, 7.5Hz), 1.73 (2H, tt, J = 7.5, 7.5Hz), 2.50-2.80 (10H, m), 2.92 (2H, t, J = 7.2Hz), 3.01 (2H, t, J = 7.8Hz), 3.22 (2H, t, J = 8.4Hz), 4.12 (2H, t, J = 8.4Hz), 7.10-7.30 (5H, m), 7.67 (1H, s), 7.72 (1H, s).

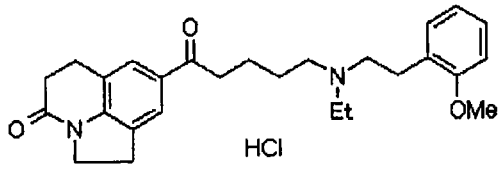
elementary analysis as $C_{26}H_{32}N_2O_2 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 69.39; H, 7.62; N, 6.23.

experimental value:C, 69.50; H, 7.69; N, 6.17.

Example 16

8-(5-[Ethyl[2-(2-methoxyphenyl)ethyl]amino]pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 1 and N-ethyl-N-[2-(2-methoxyphenyl)ethyl]amine (337 mg) according to the same method as that of Example 9, the title compound (300 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.07 (3H, t, J = 7.2Hz), 1.35-1.45 (2H, m), 1.75 (2H, tt, J = 7.5, 7.5Hz), 2.50-2.80 (10H, m), 2.93 (2H, t, J = 7.2Hz), 3.02 (2H, t, J = 7.8Hz), 3.22 (2H, t, J = 8.4Hz), 3.81 (3H, s), 4.13 (2H, t, J = 8.4Hz), 6.84 (1H, d, J = 8.1Hz), 6.88 (1H, d, J = 7.2Hz), 7.10-7.20 (2H, m), 7.68 (1H, s), 7.73 (1H, s).

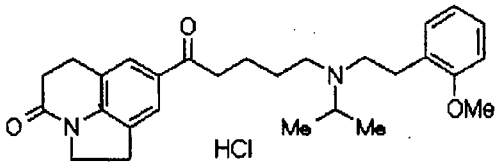
elementary analysis as $C_{27}H_{34}N_2O_3 \cdot HCl \cdot H_2O$

calculation value:C, 66.31; H, 7.63; N, 5.73.

experimental value:C, 66.58; H, 7.36; N, 5.49.

Example 17

8-(5-[Isopropyl[2-(2-methoxyphenyl)ethyl]amino]pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



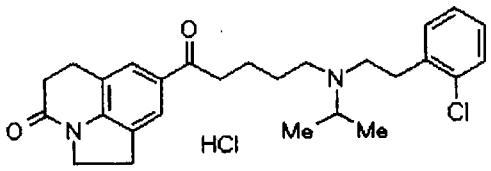
Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (700 mg) obtained in

Reference Example 1 and N-isopropyl-N-[2-(2-methoxyphenyl)ethyl]amine (510 mg) according to the same method as that of Example 9, the title compound (310 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.00 (6H, d, J = 6.6Hz), 1.50-1.60 (2H, m), 1.74 (2H, tt, J = 7.4, 7.4Hz), 2.51 (2H, t, J = 7.5Hz), 2.55-3.05 (11H, m), 3.22 (2H, t, J = 8.4Hz), 3.81 (3H, s), 4.12 (2H, t, J = 8.4Hz), 6.80-6.95 (2H, m), 7.10-7.20 (2H, m), 7.69 (1H, s), 7.73 (1H, s).

Example 18

8-[5-[[2-(2-Chlorophenyl)ethyl](isopropyl)amino]pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



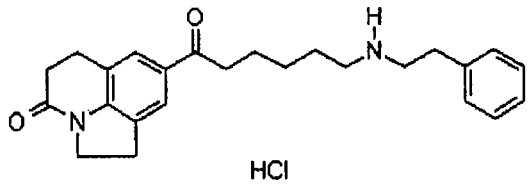
Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (700 mg) obtained in Reference Example 1 and N-[2-(2-chlorophenyl)ethyl]-N-isopropylamine (522 mg) according to the same method as that of Example 9, the title compound (70 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.00 (6H, d, J = 6.6Hz), 1.50-1.60 (2H, m), 1.73 (2H, tt, J = 7.4, 7.4Hz), 2.51 (2H,

t, J = 7.5Hz), 2.55-2.65 (2H, m), 2.71 (2H, t, J = 7.5Hz), 2.80-3.05 (5H, m), 3.22 (2H, t, J = 8.4Hz), 4.13 (2H, t, J = 8.4Hz), 7.05-7.40 (4H, m), 7.68 (1H, s), 7.72 (1H, s).

Example 19

8-[6-[(2-Phenylethyl)amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

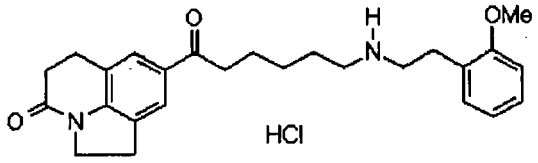


Using tert-butyl 6-oxo-6-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)hexyl(2-phenylethyl)carbamate (450 mg) obtained in Reference Example 27 according to the same method as that of Example 1, the title compound (283 mg) was obtained as colorless crystals having a melting point of 144 to 146°C.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.25-1.45 (2H, m), 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.80-3.25 (12H, m), 3.99 (2H, t, J = 8.4Hz), 7.20-7.40 (5H, m), 7.73 (2H, s), 8.90-9.10 (2H, br).

Example 20

8-(6-[[2-(2-Methoxyphenyl)ethyl]amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(2-methoxyphenyl)ethyl[6-oxo-6-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)hexyl]carbamate (1.51 g) obtained in Reference Example 28 according to the same method as that of Example 1, the title compound (1.04 g) was obtained as colorless crystals having a melting point of 105 to 107°C.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.30-1.45 (2H, m), 1.55-1.75 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.85-3.10 (10H, m), 3.17 (2H, t, J = 8.4Hz), 3.80 (3H, s), 3.99 (2H, t, J = 8.4Hz), 6.91 (1H, t, J = 7.5Hz), 7.00 (1H, d, J = 8.4Hz), 7.15-7.30 (2H, m), 7.73 (2H, s), 8.75-9.00 (2H, br).

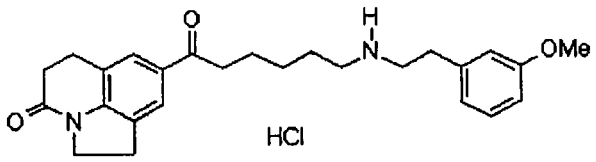
elementary analysis as $C_{26}H_{32}N_2O_3 \cdot HCl \cdot H_2O$

calculation value:C, 65.74; H, 7.43; N, 5.90.

experimental value:C, 66.09; H, 7.01; N, 5.80.

Example 21

8-(6-[[2-(3-Methoxyphenyl)ethyl]amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(3-methoxyphenyl)ethyl[6-oxo-6-(4-

oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)hexyl]carbamate (562 mg) obtained in Reference Example 29 according to the same method as that of Example 1, the title compound (435 mg) was obtained as colorless crystals having a melting point of 136 to 138°C.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.25-1.45 (2H, m), 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.85-3.25 (12H, m), 3.75 (3H, s), 3.99 (2H, t, J = 8.4Hz), 6.80-6.85 (3H, m), 7.25 (1H, t, J = 7.8Hz), 7.73 (2H, s), 9.00-9.20 (2H, br).

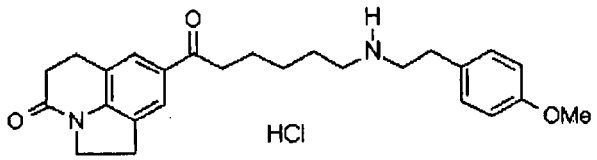
elementary analysis as $C_{26}H_{32}N_2O_3 \cdot HCl \cdot H_2O$

calculation value:C, 65.74; H, 7.43; N, 5.90.

experimental value:C, 65.54; H, 7.28; N, 5.80.

Example 22

8-(6-[[2-(4-Methoxyphenyl)ethyl]amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(4-methoxyphenyl)ethyl[6-oxo-6-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)hexyl]carbamate (900 mg) obtained in Reference Example 30 according to the same method as that of Example 1, the title compound (707 mg) was obtained as colorless crystals having a melting point of 179 to 180°C.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.25-1.45 (2H, m), 1.55-1.75 (4H, m), 2.59 (2H, t, J = 7.5Hz), 2.80-3.10 (10H, m), 3.17 (2H, t, J = 8.4Hz), 3.73 (3H, s), 3.98 (2H, t, J = 8.4Hz), 6.89 (2H, d, J = 7.5Hz), 7.18 (2H, d, J = 7.5Hz), 7.73 (2H, s), 8.90-9.10 (2H, br).

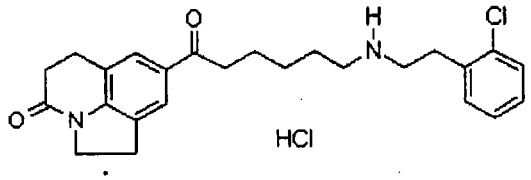
elementary analysis as $C_{26}H_{32}N_2O_3 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 67.01; H, 7.35; N, 6.01.

experimental value:C, 67.53; H, 7.40; N, 6.03.

Example 23

8-(6-[[2-(2-Chlorophenyl)ethyl]amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl[6-oxo-6-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)hexyl]carbamate (540 mg) obtained in Reference Example 31 according to the same method as that of Example 1, the title compound (368 mg) was obtained as colorless crystals having a melting point of 172 to 174°C.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.25-1.45 (2H, m), 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.85-3.25 (12H, m), 3.99 (2H, t, J = 8.4Hz), 7.25-7.50 (4H, m), 7.73 (2H, s), 9.05-9.30 (2H, br).

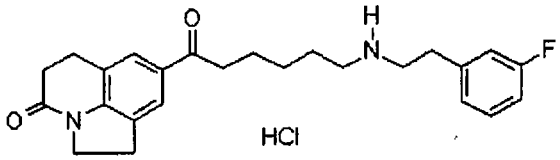
elementary analysis as $C_{25}H_{29}ClN_2O_2 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 63.83; H, 6.64; N, 5.95.

experimental value:C, 63.68; H, 6.57; N, 5.80.

Example 24

8-(6-[[2-(3-Fluorophenyl)ethyl]amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

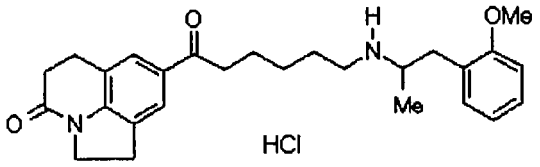


Using tert-butyl 2-(3-fluorophenyl)ethyl[6-oxo-6-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)hexyl]carbamate (613 mg) obtained in Reference Example 32 according to the same method as that of Example 1, the title compound (493 mg) was obtained as colorless crystals having a melting point of 182 to 184°C.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.25-1.45 (2H, m), 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.85-3.25 (12H, m), 3.99 (2H, t, J = 8.4Hz), 7.00-7.20 (3H, m), 7.30-7.45 (1H, m), 7.73 (2H, s), 9.00-9.20 (2H, br).

Example 25

8-(6-[[2-(2-Methoxyphenyl)-1-methylethyl]amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(2-methoxyphenyl)-1-methylethyl[6-oxo-6-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)hexyl]carbamate (467 mg) obtained in Reference Example 33 according to the same method as that of Example 1, the title compound (348 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.10 (3H, d, J = 6.3Hz), 1.25-1.50 (2H, m), 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.5Hz), 2.60-2.80 (1H, m), 2.80-3.40 (10H, m), 3.80 (3H, s), 3.99 (2H, t, J = 8.4Hz), 6.91 (1H, t, J = 7.2Hz), 7.00 (1H, d, J = 8.4Hz), 7.18 (1H, d, J = 7.2Hz), 7.26 (1H, t, J = 8.4Hz), 7.74 (2H, s), 9.00-9.20 (2H, br).

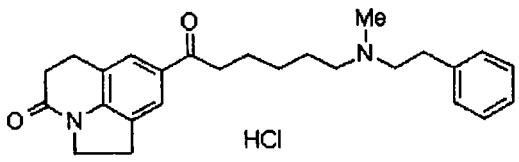
elementary analysis as $C_{27}H_{34}N_2O_3 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 67.55; H, 7.56; N, 5.84.

experimental value:C, 67.40; H, 7.55; N, 5.63.

Example 26

8-[6-[Methyl(2-phenylethyl)amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (650 mg) obtained in Reference Example 2 and N-methyl-N-(2-phenylethyl)amine (0.297 ml) according to the same method as that of Example 9, the title compound (326 mg) was obtained as colorless crystals having a melting point of 83 to 85°C.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.35-1.50 (2H, m), 1.56 (2H, tt, J = 7.5, 7.5Hz), 1.75 (2H, tt, J = 7.5, 7.5Hz), 2.32 (3H, s), 2.44 (2H, t, J = 7.5Hz), 2.55-2.65 (2H, m), 2.71 (2H, t, J = 7.5Hz), 2.75-2.85 (2H, m), 2.91 (2H, t, J = 7.2Hz), 3.02 (2H, t, J = 7.8Hz), 3.22 (2H, t, J = 8.4Hz), 4.12 (2H, t, J = 8.4Hz), 7.15-7.35 (5H, m), 7.67 (1H, s), 7.71 (1H, s).

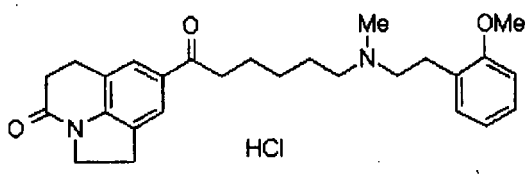
elementary analysis as $C_{26}H_{32}N_2O_2 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 69.39; H, 7.62; N, 6.23.

experimental value:C, 69.08; H, 7.41; N, 6.09.

Example 27

8-[6-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



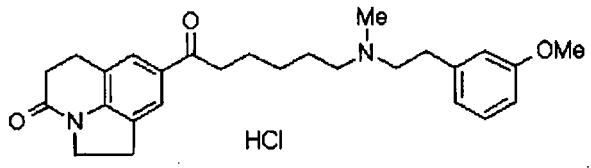
Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-

pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 2 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine (282 mg) according to the same method as that of Example 9, the title compound (100 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.35-1.45 (2H, m), 1.50-1.60 (2H, m), 1.75 (2H, tt, J = 7.5, 7.5Hz), 2.32 (3H, s), 2.43 (2H, t, J = 7.5Hz), 2.50-2.60 (2H, m), 2.71 (2H, t, J = 7.5Hz), 2.75-2.85 (2H, m), 2.91 (2H, t, J = 7.2Hz), 3.02 (2H, t, J = 7.8Hz), 3.22 (2H, t, J = 8.4Hz), 3.82 (3H, s), 4.13 (2H, t, J = 8.4Hz), 6.80-6.85 (2H, m), 7.10-7.20 (2H, m), 7.67 (1H, s), 7.72 (1H, s).

Example 28

8-[6-[[2-(3-Methoxyphenyl)ethyl](methyl)amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 2 and N-[2-(3-methoxyphenyl)ethyl]-N-methylamine (282 mg) according to the same method as that of Example 9, the title compound (528 mg) was obtained as

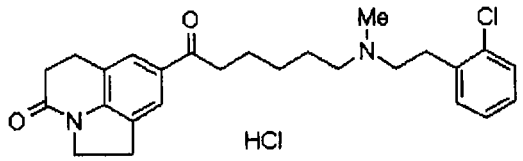
colorless crystals having a melting point of 116 to 118°C. ^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.30-1.45 (2H, m), 1.50-1.60 (2H, m), 1.74 (2H, tt, J = 7.5, 7.5Hz), 2.30 (3H, s), 2.42 (2H, t, J = 7.5Hz), 2.55-2.80 (6H, m), 2.91 (2H, t, J = 7.2Hz), 3.01 (2H, t, J = 7.8Hz), 3.21 (2H, t, J = 8.4Hz), 3.78 (3H, s), 4.11 (2H, t, J = 8.4Hz), 6.70-6.85 (3H, m), 7.18 (1H, dt, J = 7.5, 0.9Hz), 7.67 (1H, s), 7.71 (1H, s). elementary analysis as $C_{27}H_{34}N_2O_3 \cdot HCl \cdot H_2O$

calculation value:C, 66.31; H, 7.63; N, 5.73.

experimental value:C, 66.27; H, 7.49; N, 5.55.

Example 29

8-[6-[[2-(2-Chlorophenyl)ethyl](methyl)amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 2 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine (288 mg) according to the same method as that of Example 9, the title compound (330 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.35-1.65 (4H, m), 1.75

(2H, quintet, J = 7.4Hz), 2.33 (3H, s), 2.44 (2H, t, J = 7.5Hz), 2.55-2.65 (2H, m), 2.71 (2H, t, J = 7.5Hz), 2.85-2.95 (4H, m), 3.02 (2H, t, J = 7.8Hz), 3.22 (2H, t, J = 8.4Hz), 4.13 (2H, t, J = 8.4Hz), 7.05-7.40 (4H, m), 7.67 (1H, s), 7.72 (1H, s).

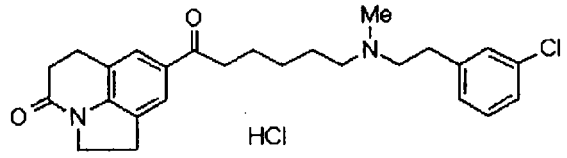
elementary analysis as $C_{26}H_{31}ClN_2O_2 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 64.46; H, 6.87; N, 5.78.

experimental value:C, 64.75; H, 6.70; N, 5.65.

Example 30

8-[6-[[2-(3-Chlorophenyl)ethyl](methyl)amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



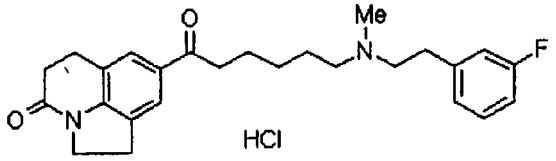
Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 2 and N-[2-(3-chlorophenyl)ethyl]-N-methylamine (288 mg) according to the same method as that of Example 9, the title compound (235 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.35-1.60 (4H, m), 1.74 (2H, tt, J = 7.4, 7.4Hz), 2.29 (3H, s), 2.40 (2H, t, J = 7.5Hz), 2.50-2.80 (6H, m), 2.91 (2H, t, J = 7.5Hz), 3.02

(2H, t, J = 7.8Hz), 3.22 (2H, t, J = 8.4Hz), 4.13 (2H, t, J = 8.4Hz), 7.00-7.40 (4H, m), 7.67 (1H, s), 7.71 (1H, s).

Example 31

8-[6-[[2-(3-Fluorophenyl)ethyl](methyl)amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



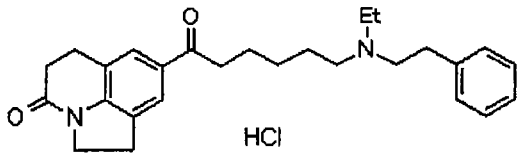
Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 2 and N-[2-(3-fluorophenyl)ethyl]-N-methylamine (241 mg) according to the same method as that of Example 9, the title compound (120 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.35-1.65 (4H, m), 1.74 (2H, quintet, J = 7.4Hz), 2.29 (3H, s), 2.41 (2H, t, J = 7.5Hz), 2.55-2.65 (2H, m), 2.65-2.80 (4H, m), 2.91 (2H, t, J = 7.5Hz), 3.02 (2H, t, J = 7.8Hz), 3.22 (2H, t, J = 8.4Hz), 4.12 (2H, t, J = 8.4Hz), 6.80-7.00 (3H, m), 7.20-7.30 (1H, m), 7.67 (1H, s), 7.72 (1H, s).

Example 32

8-[6-[Ethyl-(2-phenylethyl)amino]hexanoyl]-1,2,5,6-

tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

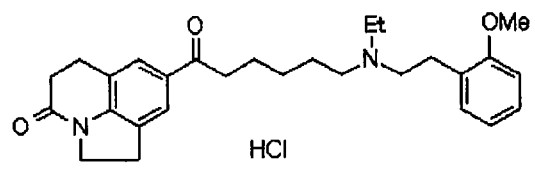


Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 2 and N-ethyl-N-(2-phenylethyl)amine (234 mg) according to the same method as that of Example 9, the title compound (140 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.05 (3H, t, J = 7.2Hz), 1.30-1.65 (4H, m), 1.75 (2H, tt, J = 7.5, 7.5Hz), 2.51 (2H, t, J = 7.5Hz), 2.61 (2H, q, J = 7.2Hz), 2.65-2.80 (6H, m), 2.91 (2H, t, J = 7.2Hz), 3.02 (2H, t, J = 7.8Hz), 3.23 (2H, t, J = 8.4Hz), 4.12 (2H, t, J = 8.4Hz), 7.15-7.30 (5H, m), 7.67 (1H, s), 7.72 (1H, s).

Example 33

8-(6-[Ethyl-[2-(2-methoxyphenyl)ethyl]amino]hexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



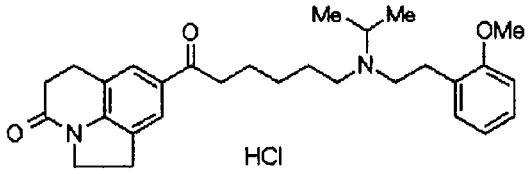
Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-

pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 2 and N-ethyl-N-[2-(2-methoxyphenyl)ethyl]amine (281 mg) according to the same method as that of Example 9, the title compound (190 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.07 (3H, t, J = 7.2Hz), 1.30-1.65 (4H, m), 1.76 (2H, tt, J = 7.4, 7.4Hz), 2.40-2.80 (10H, m), 2.91 (2H, t, J = 7.4Hz), 3.02 (2H, t, J = 7.8Hz), 3.22 (2H, t, J = 8.4Hz), 3.81 (3H, s), 4.13 (2H, t, J = 8.4Hz), 6.80-6.95 (2H, m), 7.10-7.20 (2H, m), 7.67 (1H, s), 7.72 (1H, s).

Example 34

8-(6-[Isopropyl-[2-(2-methoxyphenyl)ethyl]amino]hexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



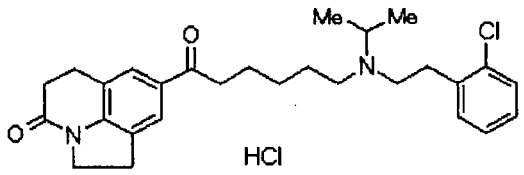
Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (700 mg) obtained in Reference Example 2 and N-isopropyl-N-[2-(2-methoxyphenyl)ethyl]amine (425 mg) according to the same method as that of Example 9, the title compound (400 mg)

was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.00 (6H, d, J = 6.6Hz), 1.30-1.60 (4H, m), 1.75 (2H, tt, J = 7.4, 7.4Hz), 2.47 (2H, t, J = 7.5Hz), 2.55-2.75 (6H, m), 2.85-3.05 (5H, m), 3.21 (2H, t, J = 8.4Hz), 3.81 (3H, s), 4.12 (2H, t, J = 8.4Hz), 6.80-6.90 (2H, m), 7.10-7.20 (2H, m), 7.67 (1H, s), 7.72 (1H, s).

Example 35

8-[6-[[2-(2-Chlorophenyl)ethyl](isopropyl)amino]hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



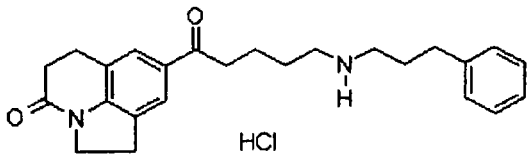
Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (700 mg) obtained in Reference Example 2 and N-[2-(2-chlorophenyl)ethyl]-N-isopropylamine (435 mg) according to the same method as that of Example 9, the title compound (350 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.00 (6H, d, J = 6.6Hz), 1.30-1.55 (4H, m), 1.73 (2H, tt, J = 7.4, 7.4Hz), 2.46 (2H, t, J = 7.5Hz), 2.55-2.65 (2H, m), 2.71 (2H, t, J = 7.8Hz),

2.75-3.05 (7H, m), 3.21 (2H, t, J = 8.4Hz), 4.12 (2H, t, J = 8.4Hz), 7.05-7.35 (4H, m), 7.67 (1H, s), 7.72 (1H, s).

Example 36

8-[5-[(3-Phenylpropyl)amino]pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl(3-phenylpropyl)carbamate (660 mg) obtained in Reference Example 34 according to the same method as that of Example 1, the title compound (443 mg) was obtained as colorless crystals having a melting point of 116 to 118°C.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.50-1.80 (4H, m), 1.94 (2H, tt, J = 7.5, 7.5Hz), 2.50-2.70 (4H, m), 2.75-3.05 (8H, m), 3.17 (2H, t, J = 8.4Hz), 3.99 (2H, t, J = 8.4Hz), 7.15-7.35 (5H, m), 7.73 (2H, s), 8.80-9.10 (2H, br).

elementary analysis as $C_{25}H_{30}N_2O_2 \cdot HCl \cdot H_2O$

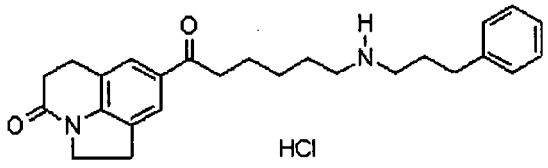
calculation value:C, 67.48; H, 7.47; N, 6.30

experimental value:C, 67.45; H, 7.71; N, 6.26.

Example 37

8-[6-[(3-Phenylpropyl)amino]hexanoyl]-1,2,5,6-tetrahydro-

4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

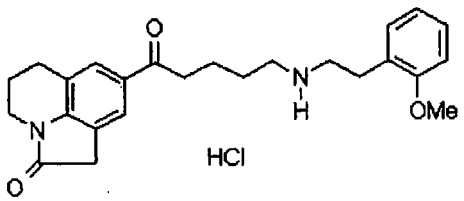


Using tert-butyl 6-oxo-6-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)hexyl(3-phenylpropyl)carbamate (906 mg) obtained in Reference Example 35 according to the same method as that of Example 1, the title compound (350 mg) was obtained as colorless crystals having a melting point of 132 to 134°C.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.30-1.45 (2H, m), 1.50-1.75 (4H, m), 1.94 (2H, tt, J = 7.5, 7.5Hz), 2.59 (2H, t, J = 7.8Hz), 2.65 (2H, t, J = 7.8Hz), 2.75-3.00 (8H, m), 3.17 (2H, t, J = 8.4Hz), 3.98 (2H, t, J = 8.4Hz), 7.15-7.35 (5H, m), 7.72 (2H, s), 8.80-9.10 (2H, br).

Example 38

8-(5-[[2-(2-Methoxyphenyl)ethyl]amino]pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(2-methoxyphenyl)ethyl[5-oxo-5-(2-

oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate obtained in Reference Example 36 according to the same method as that of Example 1, the title compound (535 mg) was obtained as pale yellow crystals having a melting point of 169 to 170°C.

^{1}H NMR(400MHz, DMSO-d_6) δ 1.61-1.73 (4H, m), 1.88-1.97 (2H, m), 2.76 (2H, t, J = 6Hz), 2.93-3.00 (8H, m), 3.57 (2H, s), 3.60 (2H, t, J = 6Hz), 3.79 (3H, s), 6.89 (1H, t, J = 7.5Hz) 6.98 (1H, d, J = 7.5Hz), 7.17 (1H, d, J = 7.4Hz), 7.24 (1H, t, J = 7.4Hz), 7.71 (1H, s), 7.75 (1H, s), 9.12 (2H, br s).

IR (KBr) νcm^{-1}: 3418, 2951, 2771, 1708, 1670, 1604, 1498, 1343, 1251, 1150.

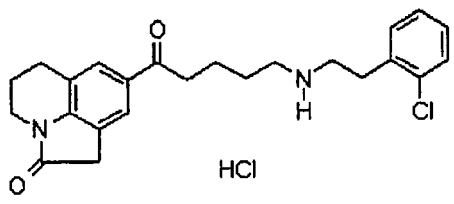
elementary analysis as $C_{25}H_{30}N_2O_3 \cdot HCl$

calculation value:C, 67.78; H, 7.05; N, 6.32.

experimental value:C, 67.45; H, 7.01; N, 6.27.

Example 39

8-(5-[[2-(2-Chlorophenyl)ethyl]amino]pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl[5-oxo-5-(2-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate obtained in Reference Example 37 according to the same method as that of Example 1, the title compound (585 mg) was obtained as pale yellow crystals having a melting point of 179 to 180°C.

^{1}H NMR(400MHz, DMSO-d_6) δ 1.66-1.72 (4H, m), 1.88-1.94 (2H, m), 2.76 (2H, t, J = 6Hz), 2.96-3.01 (4H, m), 3.11-3.15 (4H, m), 3.57 (2H, s), 3.60 (2H, t, J = 6Hz), 7.27-7.34 (2H, m), 7.38-7.41 (1H, m), 7.44-7.46 (1H, m), 7.71 (1H, s), 7.75 (1H, s), 9.25 (2H, br s).

IR (KBr)νcm^{-1}: 3424, 2952, 2772, 1709, 1666, 1602, 1499, 1341, 1149.

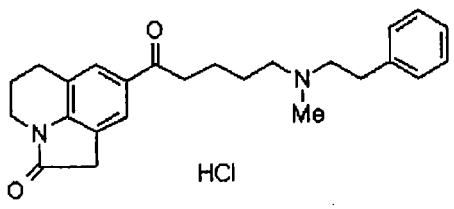
elementary analysis as $C_{24}H_{27}ClN_2O_2$•HCl

calculation value:C, 64.43; H, 6.31; N, 6.26.

experimental value:C, 64.08; H, 6.36; N, 5.96.

Example 40

8-[5-[Methyl(2-phenylethyl)amino]pentanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-

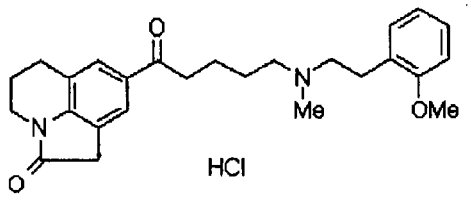
pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 3 and N-methyl-N-(2-phenylethyl)amine according to the same method as that of Example 9, the title compound (297 mg) was obtained as colorless amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.53-1.61 (2H, m), 1.71-1.78 (2H, m), 2.00-2.06 (2H, m), 2.30 (3H, s), 2.45 (2H, t, J = 7.4Hz), 2.58-2.62 (2H, m), 2.75-2.83 (4H, m), 2.93 (2H, t, J = 7.4Hz), 3.54 (2H, s), 3.74 (2H, t, J = 6Hz), 7.16-7.29 (5H, m), 7.73 (2H, s).

IR (free base; KBr)νcm^{-1}: 1718, 1673, 1604, 1496, 1343, 1151.

Example 41

8-(5-[[2-(2-Methoxyphenyl)ethyl]amino]pentanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one (292 mg) obtained in Reference Example 3 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine (363 mg) according to the same method as that of Example 9, the title compound (130 mg) was obtained as W

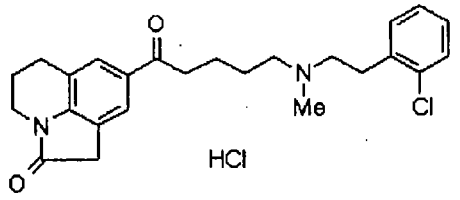
yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.55-1.63 (2H, m), 1.72-1.79 (2H, m), 2.00-2.06 (2H, m), 2.32 (3H, s), 2.47 (2H, t, J = 7.5Hz), 2.56-2.60 (2H, m), 2.77-2.83 (4H, m), 2.94 (2H, t, J = 7.5Hz), 3.54 (2H, s), 3.74 (2H, t, J = 6Hz), 3.81 (3H, s), 6.83-6.89 (2H, m), 7.12-7.19 (2H, m), 7.74 (2H, s).

IR (free base; KBr) νcm^{-1}: 1716, 1672, 1603, 1495, 1343, 1243, 1152.

Example 42

8-[(5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 3 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound (85 mg) was obtained as pale yellow amorphous powders.

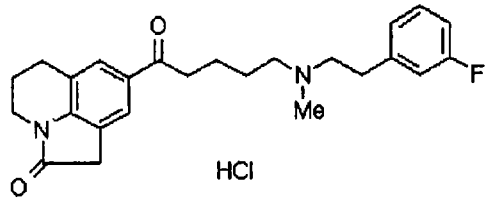
^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.56-1.64 (2H, m), 1.71-1.79 (2H, m), 2.00-2.07 (2H, m), 2.36 (3H, s), 2.50 (2H, t,

J = 7.5Hz), 2.61-2.67 (2H, m), 2.82 (2H, t, J = 6Hz), 2.90-2.95 (4H, m), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 7.11-7.25 (3H, m), 7.32 (1H, dd, J = 5.5, 2Hz) 7.74 (2H, s).

IR (free base; KBr) νcm^{-1}: 1716, 1672, 1604, 1496, 1343, 1151.

Example 43

8-[(5-[[2-(3-Fluorophenyl)ethyl](methyl)amino]pentanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 3 and N-[2-(3-fluorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound (68 mg) was obtained as pale yellow amorphous powders.

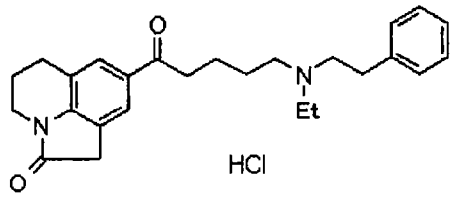
^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.52-1.60 (2H, m), 1.72-1.78 (2H, m), 2.00-2.08 (2H, m), 2.29 (3H, s), 2.44 (2H, t, J = 7.5Hz), 2.58-2.62 (2H, m), 2.74-2.78 (2H, m), 2.82 (2H, t, J = 6Hz), 2.93 (2H, t, J = 7Hz), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 6.85-6.93 (2H, m), 6.96 (1H, d, J = 7.5Hz),

7.20-7.25 (1H, m), 7.73 (2H, s).

IR (free base; KBr) νcm^{-1}: 1717, 1673, 1604, 1496, 1343, 1151.

Example 44

8-[5-[Ethyl(2-phenylethyl)amino]pentanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



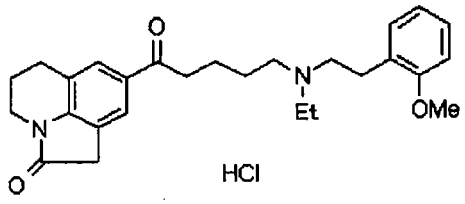
Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 3 and N-ethyl-N-(2-phenylethyl)amine according to the same method as that of Example 9, the title compound (45 mg) was obtained as pale yellow amorphous powders.

^1H NMR(free base; 400MHz, $CDCl_3$) δ 1.05 (3H, t, J = 7Hz), 1.54-1.59 (2H, m), 1.70-1.78 (2H, m), 2.00-2.06 (2H, m), 2.54 (2H, t, J = 7Hz), 2.61 (2H, q, J = 7Hz), 2.68-2.75 (4H, m), 2.82 (2H, t, J = 6Hz), 2.92 (2H, t, J = 6Hz), 3.54 (2H, s), 3.74 (2H, t, J = 6Hz), 7.16-7.19 (3H, m) 7.25-7.30 (2H, m), 7.73 (2H, s).

IR (free base; KBr) νcm^{-1}: 1718, 1671, 1603, 1496, 1342, 1150.

Example 45

8-(5-[Ethyl[2-(2-methoxyphenyl)ethyl]amino]pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 3 and N-ethyl-N-[2-(2-methoxyphenyl)ethyl]amine according to the same method as that of Example 9, the title compound (44 mg) was obtained as pale yellow amorphous powders.

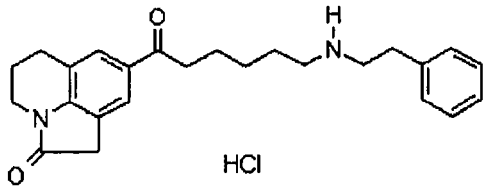
^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.07 (3H, t, J = 7Hz), 1.57-1.62 (2H, m), 1.71-1.79 (2H, m), 1.99-2.06 (2H, m), 2.57 (2H, t, J = 7Hz), 2.62 (2H, q, J = 7Hz), 2.66-2.70 (2H, m), 2.73-2.78 (2H, m), 2.82 (2H, t, J = 6Hz), 2.93 (2H, t, J = 6Hz), 3.54 (2H, s), 3.74 (2H, t, J = 6Hz), 3.81 (3H, s), 6.83-6.89 (3H, m), 7.11-7.19 (2H, m), 7.74 (2H, s).

IR (free base; KBr) νcm^{-1}: 1717, 1672, 1602, 1494, 1342, 1243, 1150.

Example 46

8-[6-[(2-Phenylethyl)amino]hexanoyl]-5,6-dihydro-4H-

pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



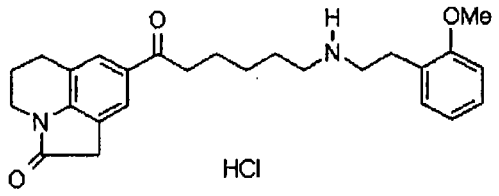
Using 8-(6-bromohexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one (350 mg) obtained in Reference Example 4 and 2-phenylethylamine (364 mg) according to the same method as that of Example 9, the title compound (73 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.35-1.42 (2H, m), 1.49-1.56 (2H, m), 1.60 (1H, br s), 1.69-1.77 (2H, m), 2.00-2.06 (2H, m), 2.63 (2H, t, J = 7.4Hz), 2.75-2.97 (8H, m), 3.54 (2H, s), 3.74 (2H, t, J = 6Hz), 7.18-7.31 (5H, m), 7.72 (2H, s).

IR (free base; KBr) νcm^{-1}: 1716, 1672, 1604, 1496, 1343, 1150.

Example 47

8-(6-[[2-(2-Methoxyphenyl)ethyl]amino]hexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



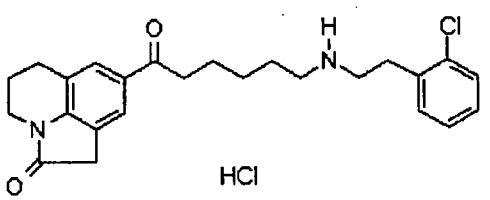
Using 8-(6-bromohexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 4 and 2-(2-methoxyphenyl)ethylamine according to the same method as that of Example 9, the title compound (190 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.36-1.43 (2H, m), 1.49-1.57 (3H, m), 1.70-1.77 (2H, m), 2.00-2.06 (2H, m), 2.64 (2H, t, J = 7.4Hz), 2.80-2.83 (6H, m), 2.90 (2H, t, J = 7.4Hz), 3.54 (2H, s), 3.73 (2H, t, J = 6Hz), 3.81 (3H, s), 6.86 (1H, t, J = 8.3Hz), 6.89 (1H, d, J = 7.3Hz), 7.13-7.21 (2H, m), 7.72 (2H, s).

IR (free base; KBr) νcm^{-1}: 1715, 1672, 1603, 1495, 1343, 1242, 1150.

Example 48

8-(6-[[2-(2-Chlorophenyl)ethyl]amino]hexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



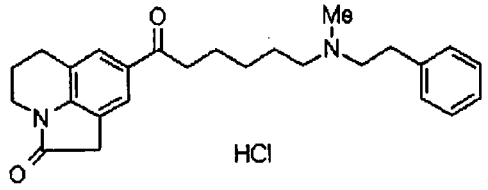
Using 8-(6-bromohexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 4 and 2-(2-chlorophenyl)ethylamine according to the same method as that of Example 9, the title compound (30 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.37-1.45 (2H, m), 1.51-1.58 (2H, m), 1.56 (1H, br s), 1.71-1.78 (2H, m), 2.00-2.07 (2H, m), 2.66 (2H, t, J = 7.5Hz), 2.82 (2H, t, J = 6Hz), 2.85-2.98 (6H, m), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 7.13-7.25 (3H, m), 7.34 (1H, dd, J = 7, 2Hz), 7.73 (2H, s).

IR (free base; KBr) νcm^{-1}: 1715, 1671, 1604, 1496, 1343, 1150.

Example 49

8-[6-[(2-Phenylethyl]amino]hexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 8-(6-bromohexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 4 and N-methyl-N-(2-phenylethyl)amine according to the same method as that of Example 9, the title compound (30 mg) was obtained as pale yellow amorphous powders.

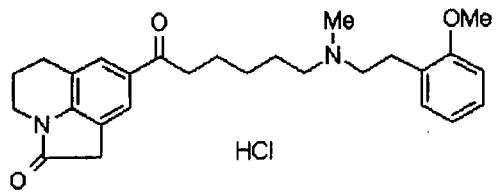
^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.36-1.42 (2H, m), 1.50-

1.58 (2H, m), 1.71-1.78 (2H, m), 2.00-2.06 (2H, m), 2.30 (3H, s), 2.41 (2H, t, J = 7Hz), 2.58-2.62 (2H, m), 2.75-2.83 (4H, m), 2.91 (2H, t, J = 7Hz), 3.54 (2H, s), 3.73 (2H, t, J = 6Hz), 7.16-7.29 (5H, m), 7.73 (2H, s).

IR (free base; KBr) νcm^{-1}: 1718, 1673, 1604, 1496, 1343, 1151.

Example 50

8-(6-[[2-(2-Methoxyphenyl)ethyl]amino]hexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 8-(6-bromohexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 4 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound (250 mg) was obtained as pale yellow amorphous powders.

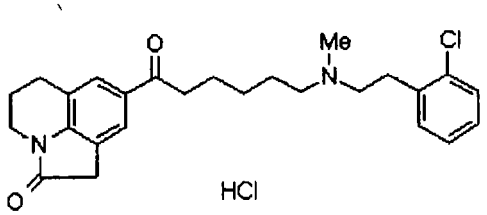
^1H NMR(free base; 400MHz, $CDCl_3$) δ 1.37-1.43 (2H, m), 1.51-1.59 (2H, m), 1.71-1.79 (2H, m), 2.00-2.06 (2H, m), 2.31 (3H, s), 2.42 (2H, t, J = 7.5Hz), 2.55-2.59 (2H, m), 2.76-2.83 (4H, m), 2.92 (2H, t, J = 7.5Hz), 3.54 (2H, s), 3.73

(2H, t, J = 6Hz), 3.81 (3H, s), 6.83-6.89 (2H, m), 7.12-7.19 (2H, m), 7.74 (2H, s).

IR (free base; KBr) νcm^{-1}: 1715, 1672, 1603, 1495, 1343, 1242, 1150.

Example 51

8-(6-[[2-(2-Chlorophenyl)ethyl]amino]hexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 8-(6-bromohexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 4 and N-[2-(2-chlorophenyl)ethyl] -N-methylamine according to the same method as that of Example 9, the title compound (256 mg) was obtained as pale yellow amorphous powders.

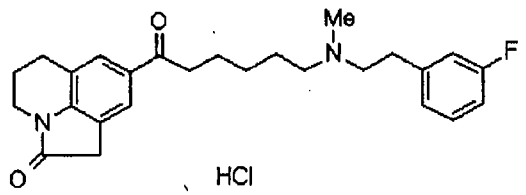
^1H NMR(free base; 400MHz, $CDCl_3$) δ 1.37-1.43 (2H, m), 1.51-1.58 (2H, m), 1.71-1.79 (2H, m), 2.00-2.06 (2H, m), 2.33 (3H, s), 2.44 (2H, t, J = 7.5Hz), 2.58-2.62 (2H, m), 2.82 (2H, t, J = 6Hz), 2.88-2.94 (4H, m), 3.54 (2H, s), 3.74 (2H, t, J = 6Hz), 7.11-7.24 (3H, m), 7.32 (1H, dd, J = 5.5, 2Hz), 7.73 (2H, s).

IR (free base; KBr) νcm^{-1}: 1718, 1673, 1604, 1496, 1343,

1151.

Example 52

8-(6-[[2-(3-Fluorophenyl)ethyl]amino]hexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



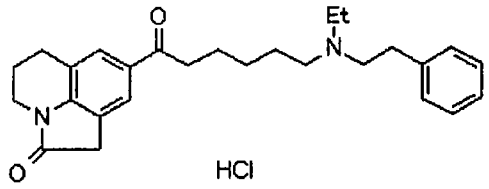
Using 8-(6-bromohexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 4 and N-[2-(3-fluorophenyl)ethyl] -N-methylamine according to the same method as that of Example 9, the title compound (190 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.36-1.42 (2H, m), 1.49-1.57 (2H, m), 1.71-1.78 (2H, m), 2.00-2.07 (2H, m), 2.29 (3H, s), 2.40 (2H, t, J = 7.5Hz), 2.57-2.61 (2H, m), 2.74-2.79 (2H, m), 2.84 (2H, t, J = 6Hz), 3.54 (2H, s), 3.74 (2H, t, J = 6Hz), 6.85-6.91 (2H, m), 6.97 (1H, d, J = 7.5Hz), 7.20-7.25 (1H, m), 7.74 (2H, s).

IR (free base; KBr) νcm^{-1}: 1717, 1672, 1604, 1496, 1343, 1150.

Example 53

8-[6-[Ethyl(2-phenylethyl)amino]hexanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



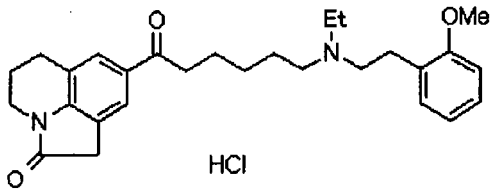
Using 8-(6-bromohexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 4 and N-ethyl-N-(2-phenylethyl]amine according to the same method as that of Example 9, the title compound (137 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.05 (3H, t, J = 7Hz), 1.36-1.42 (2H, m), 1.49-1.56 (2H, m), 1.71-1.79 (2H, m), 2.00-2.06 (2H, m), 2.50 (2H, t, J = 7.5Hz), 2.61 (2H, q, J = 7Hz), 2.67-2.76 (4H, m), 2.82 (2H, t, J = 6Hz), 2.91 (2H, t, J = 6Hz), 3.54 (2H, s), 3.73 (2H, t, J = 6Hz), 7.16-7.19 (3H, m), 7.25-7.29 (2H, m), 7.73 (2H, s).

IR (free base; KBr) νcm^{-1}: 1718, 1673, 1604, 1496, 1342, 1150.

Example 54

8-(6-[Ethyl[2-(2-methoxyphenyl)ethyl]amino]hexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



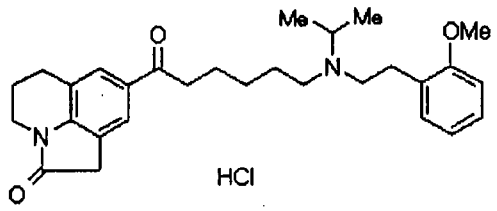
Using 8-(6-bromohexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 4 and N-[2-(2-methoxyphenyl)ethyl]amine according to the same method as that of Example 9, the title compound (145 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.06 (3H, t, J = 7Hz), 1.37-1.43 (2H, m), 1.51-1.59 (2H, m), 1.72-1.80 (2H, m), 2.00-2.06 (2H, m), 2.52 (2H, t, J = 7.5Hz), 2.61 (2H, q, J = 7Hz), 2.66-2.69 (2H, m), 2.72-2.77 (2H, m), 2.82 (2H, t, J = 6Hz), 2.92 (2H, t, J = 6Hz), 3.54 (2H, s), 3.73 (2H, t, J = 6Hz), 3.81(3H, s), 6.83-6.89(2H, m), 7.11-7.19 (2H, m), 7.73 (2H, s).

IR (free base; KBr) νcm^{-1}: 1718, 1673, 1603, 1495, 1342, 1242, 1150.

Example 55

8-(6-[Isopropyl[2-(2-methoxyphenyl)ethyl]amino]hexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



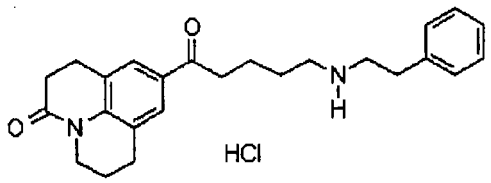
Using 8-(6-bromohexanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 4 and N-isopropyl-N-[2-(2-methoxyphenyl)ethyl]amine (425 mg) according to the same method as that of Example 9, the title compound (45 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.00 (6H, d, J = 6.3Hz), 1.36-1.42 (2H, m), 1.48-1.55 (2H, m), 1.71-1.78 (2H, m), 1.99-2.05 (2H, m), 2.46 (2H, t, J = 7.3Hz), 2.56-2.60 (2H, m), 2.69-2.73 (2H, m), 2.81 (2H, t, J = 6Hz), 2.91 (2H, t, J = 7.3Hz), 2.99 (1H, q, J = 6.3Hz), 3.53 (2H, s), 3.72 (2H, t, J = 6Hz), 3.81 (3H, s), 6.82-6.88 (2H, m), 7.10-7.18 (2H, m), 7.73 (2H, s).

IR (free base; neat) νcm^{-1}: 1713, 1674, 1603, 1495, 1344, 1243, 1150.

Example 56

9-[5-[(2-Phenylethyl)amino]pentanolyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



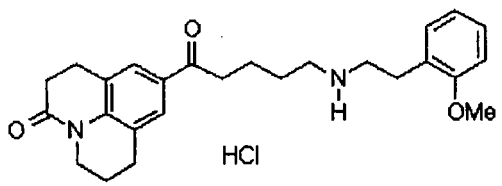
Using tert-butyl 5-oxo-5-(3-oxo-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-9-yl)pentyl(2-phenylethyl)carbamate (315 mg) obtained in Reference Example 38 according to the same method as that of Example 1, the title compound (264 mg) was obtained as yellow amorphous powders.

^{1}H NMR(400MHz, CD_3OD) δ 1.71-1.85 (4H, m), 1.98-2.02 (2H, m), 2.69 (2H, t, J = 7Hz), 2.90 (2H, t, J = 6Hz), 3.00 (2H, t, J = 7Hz), 3.04-3.08 (2H, m), 3.10-3.14 (4H, m), 3.28-3.32 (2H, m), 3.90 (2H, t, J = 6Hz), 4.88 (2H, s), 7.29-7.41 (5H, m), 7.74 (2H, d, J = 4Hz).

IR (neat) νcm^{-1}: 3427, 1670, 1604, 1484, 1366, 1298, 1165.

Example 57

9-(5-[[2-(2-Methoxyphenyl)ethyl]amino]pentanolyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using tert-butyl 2-(2-methoxyphenyl)ethyl[5-oxy-5-(3-oxo-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-9-

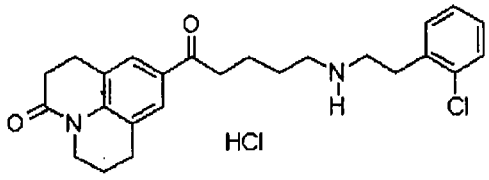
yl)pentyl]carbamate (410 mg) obtained in Reference Example 39 according to the same method as that of Example 1, the title compound (315 mg) was obtained as yellow amorphous powders.

^{1}H NMR(400MHz, CD_3OD) δ 1.71-1.78 (4H, m), 1.85-1.91 (2H, m), 2.57 (2H, t, J = 7Hz), 2.79 (2H, t, J = 7Hz), 2.88 (2H, t, J = 7Hz), 2.96-3.03 (6H, m), 3.17 (2H, t, J = 7Hz), 3.77 (2H, t, J = 7.5Hz), 3.81 (3H, s), 4.79 (2H, s), 6.87 (1H, t, J = 7Hz), 6.93 (1H, d, J = 8Hz), 7.17 (1H, d, J = 7Hz), 7.22 (1H, t, J = 7Hz), 7.64 (2H, d, J = 4Hz).

IR (neat) νcm^{-1}: 3431, 1671, 1603, 1496, 1439, 1366, 1247, 1165.

Example 58

9-(5-[[2-(2-Chlorophenyl)ethyl]amino]pentanolyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl[5-oxy-5-(3-oxo-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-9-yl)pentyl]carbamate (380 mg) obtained in Reference Example 40 according to the same method as that of Example 1, the title compound (235 mg) was obtained as colorless powders

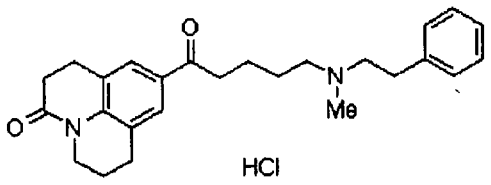
having a melting point of 108 to 109°C.

^{1}H NMR(400MHz, DMSO-d_6) δ 1.62-1.72 (4H, m), 1.81-1.87 (2H, m), 2.56 (2H, t, J = 6Hz), 2.79 (2H, t, J = 6Hz), 2.90 (2H, t, J = 7Hz), 2.92-3.02 (4H, m), 3.05-3.16 (4H, m), 3.75 (2H, t, J = 6Hz), 7.24-7.35 (2H, m), 7.39 (1H, dd, J = 7, 2Hz), 7.45 (1H, dd, J = 7, 2Hz), 7.66 (2H, s), 9.18 (2H, s).

IR (KBr) νcm^{-1}: 3429, 2948, 1671, 1603, 1363, 1338, 1165.

Example 59

9-[5-[Methyl(2-phenylethyl]amino]pentanolyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-(5-chloropentanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 5 and N-methyl-N-(2-phenylethyl)amine according to the same method as that of Example 9, the title compound (310 mg) was obtained as pale yellow amorphous powders.

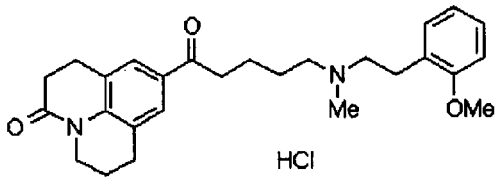
^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.53-1.61 (2H, m), 1.71-1.78 (2H, m), 1.93-1.99 (2H, m), 2.31 (3H, s), 2.45 (2H, t, J = 7.4Hz), 2.59-2.63 (2H, m), 2.66-2.69 (2H, m), 2.75-2.79 (2H, m), 2.84 (2H, t, J = 6Hz), 2.91-2.95 (4H, m), 3.89 (2H,

t, J = 6Hz), 7.17-7.29 (5H, m), 7.61 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1676, 1604, 1590, 1484, 1361, 1339, 1161.

Example 60

9-[5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanolyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-(5-chloropentanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 5 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound (165 mg) was obtained as pale yellow amorphous powders.

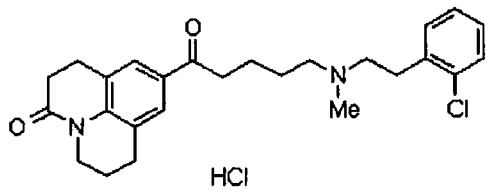
^1H NMR(free base; 400MHz, $CDCl_3$) δ 1.55-1.62 (2H, m), 1.71-1.79 (2H, m), 1.93-1.99 (2H, m), 2.32 (3H, s), 2.46 (2H, t, J = 7.4Hz), 2.56-2.60 (2H, m), 2.68 (2H, t, J = 6Hz), 2.77-2.80 (2H, m), 2.84 (2H, t, J = 6Hz), 2.91-2.95 (4H, m), 3.81 (3H, s), 3.89 (2H, t, J = 6Hz), 6.83-6.89 (2H, m), 7.12-7.19 (2H, m), 7.62 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1674, 1603, 1494, 1361, 1339,

1243, 1160.

Example 61

9-[5-[[2-(2-Chlorophenyl)ethyl](methyl) amino pentanolyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



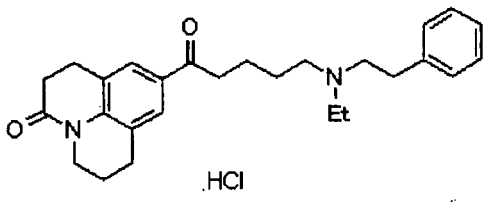
Using 9-(5-chloropentanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 5 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound (188 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.54-1.62 (2H, m), 1.71-1.78 (2H, m), 1.93-1.99 (2H, m), 2.32 (3H, s), 2.48 (2H, t, J = 7Hz), 2.59-2.63 (2H, m), 2.67 (2H, t, J = 6Hz), 2.84 (2H, t, J = 6Hz), 2.88-2.96 (6H, m), 3.89 (2H, t, J = 6Hz), 7.11-7.24 (3H, m), 7.32 (1H, d, d, J = 5, 2Hz), 7.62 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1673, 1604, 1483, 1438, 1361, 1159.

Example 62

9-[5-[Ethyl(2-phenylethyl]amino)pentanolyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-(5-chloropentanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 5 and N-ethyl-N-(2-phenylethyl)amine according to the same method as that of Example 9, the title compound (45 mg) was obtained as pale yellow amorphous powders.

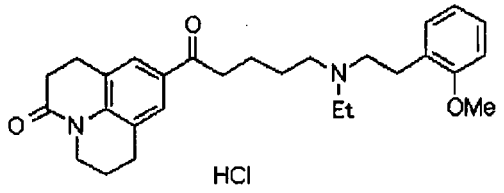
^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.05 (3H, t, J = 7Hz), 1.52-1.60 (2H, m), 1.70-1.77 (2H, m), 1.95-1.99 (2H, m), 2.55 (2H, t, J = 7Hz), 2.61 (2H, q, J = 7Hz), 2.65-2.77 (6H, m), 2.84 (2H, t, J = 6Hz), 2.89-2.97 (4H, m), 3.89 (2H, t, J = 6Hz), 7.16-7.19 (3H, m), 7.25-7.30 (2H, m), 7.62 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1675, 1604, 1484, 1361, 1299, 1160.

Example 63

9-(5-[Ethyl[2-(2-methoxyphenyl)ethyl]amino]pentanolyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one

hydrochloride



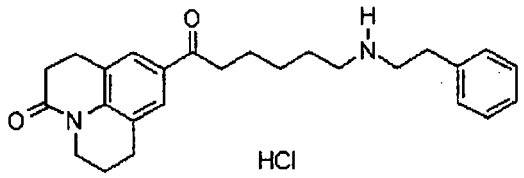
Using 9-(5-chloropentanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 5 and N-ethyl-N-[2-(2-methoxyphenyl)ethyl]amine according to the same method as that of Example 9, the title compound (70 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.07 (3H, t, J = 7Hz), 1.55-1.62 (2H, m), 1.71-1.78 (2H, m), 1.93-1.99 (2H, m), 2.56 (2H, t, J = 7.5Hz), 2.62 (2H, q, J = 7Hz), 2.66-2.69 (4H, m), 2.73-2.78 (2H, m), 2.84 (2H, t, J = 6Hz), 2.93 (4H, t, J = 6Hz), 3.81 (3H, s), 3.89 (2H, t, J = 6Hz), 6.83-6.89 (2H, m), 7.11-7.19 (2H, m), 7.62 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1674, 1603, 1494, 1361, 1339, 1243, 1160.

Example 64

9-[6-[(2-Phenylethyl)amino]hexanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride

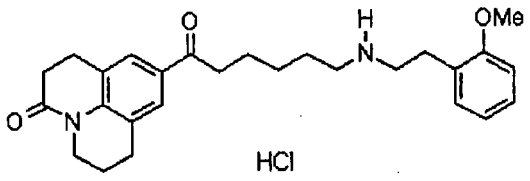


Using 9-(6-bromohexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 6 and 2-phenylethylamine according to the same method as that of Example 9, the title compound (123 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.35-1.42 (2H, m), 1.49-1.56 (3H, m), 1.69-1.77 (2H, m), 1.93-1.99 (2H, m), 2.61-2.69 (4H, m), 2.78-2.95 (10H, m), 3.89 (2H, t, J = 6Hz), 7.18-7.31 (5H, m), 7.61 (2H, d, J = 5Hz).IR (free base; KBr) νcm^{-1}: 1675, 1604, 1484, 1437, 1362, 1339, 1161.

Example 65

9-(6-[[2-(2-Methoxyphenyl)ethyl]amino]hexanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-(6-bromohexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference

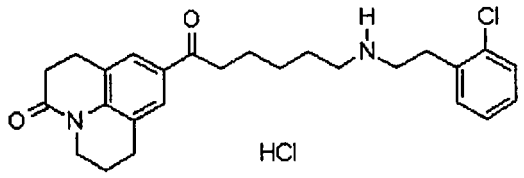
Example 6 and 2-(2-methoxyphenyl)ethylamine according to the same method as that of Example 9, the title compound (190 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.36-1.44 (2H, m), 1.50-1.57 (3H, m), 1.70-1.77 (2H, m), 1.92-1.99 (2H, m), 2.62-2.69 (4H, m), 2.82-2.85 (6H, m), 2.89-2.96 (4H, m), 3.81 (3H, s), 3.89 (2H, t, J = 6Hz), 6.85 (1H, d, J = 8.3Hz), 6.89 (1H, d, J = 7.4Hz), 7.14-7.20 (2H, m), 7.61 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1673, 1603, 1494, 1362, 1339, 1243, 1160.

Example 66

9-(6-[[2-(2-Chlorophenyl)ethyl]amino]hexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-(6-bromohexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 6 and 2-(2-chlorophenyl)ethylamine according to the same method as that of Example 9, the title compound (148 mg) was obtained as pale yellow amorphous powders.

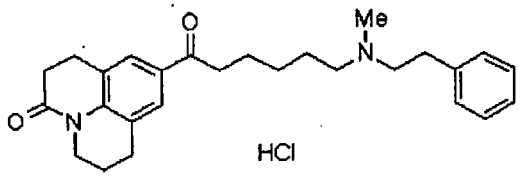
^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.37-1.43 (2H, m), 1.51-

1.58 (3H, m), 1.71-1.78 (2H, m), 1.95-2.00 (2H, m), 2.65-2.70 (4H, m), 2.84 (2H, t, J = 7.5Hz), 2.86-2.96 (8H, m), 3.89 (2H, t, J = 6Hz), 7.13-7.25 (3H, m), 7.34 (1H, dd, J = 7, 2Hz), 7.61 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1673, 1603, 1483, 1361, 1159.

Example 67

9-(6-[(2-Phenylethyl]amino]hexanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



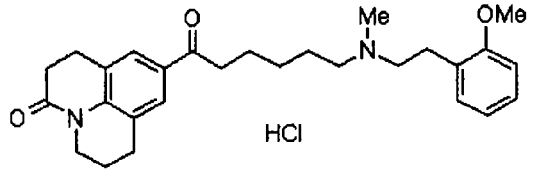
Using 9-(6-bromohexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 6 and N-methyl-N-(2-phenylethyl)amine according to the same method as that of Example 9, the title compound (225 mg) was obtained as pale yellow amorphous powders.

^1H NMR(free base; 400MHz, $CDCl_3$) δ 1.37-1.43 (2H, m), 1.51-1.58 (2H, m), 1.71-1.78 (2H, m), 19.3-1.99 (2H, m), 2.30 (3H, s), 2.41 (2H, t, J = 7Hz), 2.58-2.62 (2H, m), 2.67 (2H, t, J = 6Hz), 2.75-2.79 (2H, m), 2.84 (2H, t, J = 6Hz), 2.89-2.95 (4H, m), 3.89 (2H, t, J = 6Hz), 7.18-7.29 (5H, m), 7.61 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1676, 1604, 1590, 1484, 1361, 1338, 1161.

Example 68

9-(6-[[2-(2-Methoxyphenyl)ethyl]amino]hexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-(6-bromohexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 6 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound (255 mg) was obtained as pale yellow amorphous powders.

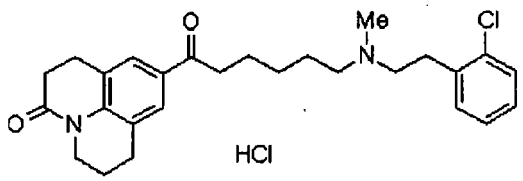
^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.36-1.43 (2H, m), 1.51-1.58 (2H, m), 1.71-1.79 (2H, m), 1.93-1.99 (2H, m), 2.32 (3H, s), 2.42 (2H, t, J = 7Hz), 2.55-2.59 (2H, m), 2.67 (2H, t, J = 6Hz), 2.76-2.80 (2H, m), 2.83 (2H, t, J = 6Hz), 2.90-2.95 (4H, m), 3.81 (3H, s), 3.89 (2H, t, J = 6Hz), 6.83-6.89 (2H, m), 7.12-7.19 (2H, m), 7.61 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1676, 1603, 1589, 1494, 1361, 1243, 1161.

Example 69

9-[6-[[2-(2-Chlorophenyl)ethyl](methyl)amino]hexanoyl]-

2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



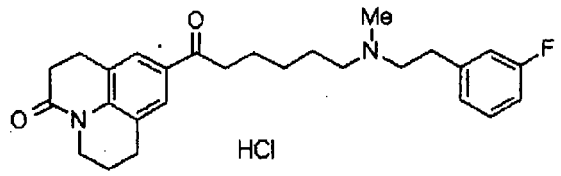
Using 9-(6-bromohexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 6 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound (372 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.35-1.43 (2H, m), 1.51-1.59 (2H, m), 1.71-1.78 (2H, m), 1.93-1.99 (2H, m), 2.33 (3H, s), 2.44 (2H, t, J = 7.5Hz), 2.58-2.62 (2H, m), 2.68 (2H, t, J = 6Hz), 2.84 (2H, t, J = 6Hz), 2.88-2.99 (6H, m), 3.89 (2H, t, J = 6Hz), 7.11-7.24 (3H, m), 7.32 (1H, d, d, J = 5, 2Hz), 7.62 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1674, 1604, 1438, 1360, 1299, 1159.

Example 70

9-[6-[[2-(3-Flurophenyl)ethyl](methyl)amino]hexanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



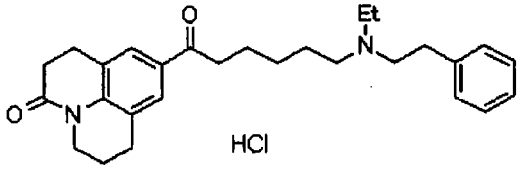
Using 9-(6-bromohexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 6 and N-[2-(3-fluorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound (303 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.36-1.42 (2H, m), 1.49-1.55 (2H, m), 1.70-1.78 (2H, m), 1.93-1.99 (2H, m), 2.29 (3H, s), 2.40 (2H, t, J = 7.5Hz), 2.58-2.62 (2H, m), 2.68 (2H, t, J = 6Hz), 2.74-2.78 (2H, m), 2.85 (2H, t, J = 6Hz), 2.89-2.96 (4H, m), 3.89 (2H, t, J = 6Hz), 6.86-6.92 (2H, m), 6.97 (1H, d, J = 7.5Hz), 7.20-7.25 (1H, m), 7.62 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1676, 1604, 1589, 1486, 1361, 1339, 1161.

Example 71

9-[6-[Ethyl(2-phenylethyl)amino]hexanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



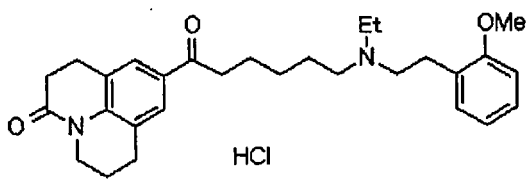
Using 9-(6-bromohexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 6 and N-ethyl-N-(2-phenylethyl)amine according to the same method as that of Example 9, the title compound (285 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.05 (3H, t, J = 7Hz), 1.34-1.42 (2H, m), 1.49-1.56 (2H, m), 1.71-1.78 (2H, m), 1.93-1.99 (2H, m), 2.50 (2H, t, J = 7.5Hz), 2.61 (2H, q, J = 7Hz), 2.66-2.77 (6H, m), 2.84 (2H,t,J = 6Hz), 2.89-2.95 (4H, m), 3.89 (2H, t, J = 6Hz), 7.16-7.20 (3H, m), 7.25-7.29 (2H, m), 7.62 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1676, 1603, 1589, 1494, 1361, 1243, 1161.

Example 72

9-(6-[Ethyl[2-(2-methoxyphenyl)ethyl]amino]hexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-(6-bromohexanoyl)-2,3,6,7-tetrahydro-1H,5H-

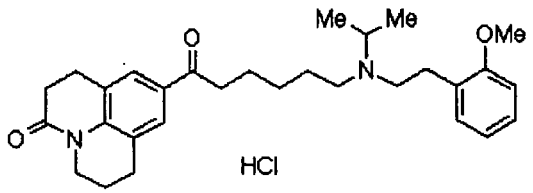
pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 6 and N-ethyl-N-[2-(2-methoxyphenyl)ethyl]amine according to the same method as that of Example 9, the title compound (395 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.07 (3H, t, J = 7Hz), 1.37-1.43 (2H, m), 1.51-1.59 (2H, m), 1.72-1.79 (2H, m), 1.93-1.99 (2H, m), 2.52 (2H, t, J = 7.5Hz), 2.62 (2H, q, J = 7Hz), 2.66-2.69 (4H, m), 2.72-2.82 (2H, m), 2.85 (2H, t, J = 6Hz), 2.92 (4H, t, J = 6Hz), 3.81 (3H, s), 3.89 (2H, t, J = 6Hz), 6.83-6.89 (2H, m), 7.11-7.19 (2H, m), 7.62 (2H, d, J = 5Hz).

IR (free base; KBr) νcm^{-1}: 1675, 1603, 1493, 1361, 1242, 1159.

Example 73

9-(6-[Isopropyl[2-(2-methoxyphenyl)ethyl]amino]hexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-(6-bromohexanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference

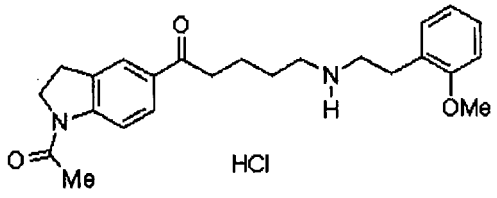
Example 6 and N-isopropyl-N-[2-(2-methoxyphenyl)ethyl]amine according to the same method as that of Example 9, the title compound (147 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.00 (6H, d, J = 6.3Hz), 1.36-1.42 (2H, m), 1.48-1.54 (2H, m), 1.71-1.78 (2H, m), 1.93-1.99 (2H, m), 2.47 (2H, t, J = 7.3Hz), 2.57-2.60 (2H, m), 2.65-2.74 (4H, m), 2.82-2.85 (2H, m), 2.89-2.95 (4H, m), 2.98 (1H, q, J = 6.3Hz), 3.81 (3H, s), 3.89 (2H, t, J = 6Hz), 6.82-6.88 (2H, m), 7.11-7.19 (2H, m), 7.62 (2H, d, J = 5Hz).

IR (free base; neat) νcm^{-1}: 1676, 1604, 1494, 1360, 1243, 1162.

Example 74

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-5-[[2-(2-methoxyophenyl)ethyl]amino]-1-pentanone hydrochloride



Using tert-butyl 5-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (170 mg) obtained in Reference Example 7 according to the same method as that of Example 1, the title compound (87 mg) was

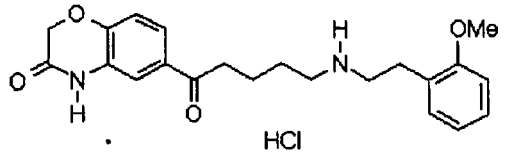
obtained as colorless crystals having a melting point of 175 to 176°C.

^{1}H NMR(400MHz, DMSO-d_6) δ 1.58-1.72 (4H, m), 2.18 (3H, s), 2.90-3.05 (8H, m), 3.17 (2H, t, J = 8.5Hz), 3.79 (3H, s), 4.14 (2H, t, J = 8.5Hz), 6.90 (1H, t, J = 7.5Hz), 6.99 (1H, d, J = 7.5Hz), 7.16 (1H, d, J = 7.5Hz), 7.24 (1H, t, J = 8.3Hz), 7.82-7.85 (2H, m), 8.08 (1H, d, J = 8.3Hz), 8.91 (2H, br s).

IR (KBr) νcm^{-1}: 2955, 2789, 1680, 1661, 1603, 1496, 1441, 1440, 1255.

Example 75

6-(5-[[2-(2-Methoxyphenyl)ethyl]amino]pentanoyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride



Using 6-(5-chloropentanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 7 and 2-(2-methoxyphenyl)ethylamine according to the same method as that of Example 1, the title compound was obtained as colorless amorphous powders.

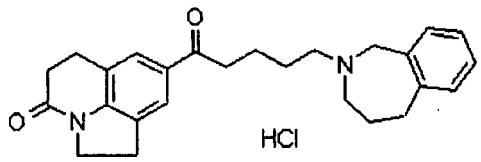
^{1}H NMR(300MHz, DMSO-d_6) δ 1.66 (4H, s), 2.93-3.02 (8H, m), 3.80 (3H, s), 4.69 (2H, s), 6.89-6.94 (1H, m), 6.99-7.07 (2H, m), 7.18 (1H, d, J = 6.3Hz), 7.23-7.28 (1H, m), 7.52

(1H, d, J = 2.1Hz), 7.63 (1H, dd, J = 8.6, 2.1Hz), 8.98 (2H, br s), 10.94 (1H, s).

MS m/z: 383 $[M+H]^+$

Example 76

8-[5-(1,3,4,5-Tetrahydro-2H-2-benzazepin-2-yl)pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one



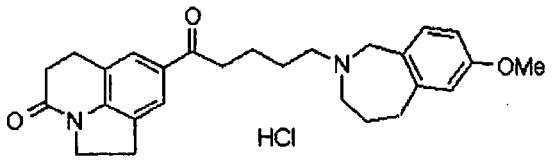
Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (600 mg) obtained in Reference Example 1 and 2,3,4,5-tetrahydro-1H-2-benzazepine (332 mg) according to the same method as that of Example 9, the title compound (407 mg) was obtained as colorless amorphous powders.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.45-1.80 (6H, m), 2.39 (2H, t, J = 7.4Hz), 2.71 (2H, t, J = 7.6Hz), 2.80-3.30 (10H, m), 3.88 (2H, s), 4.12 (2H, t, J = 8.4Hz), 7.00-7.20 (4H, m), 7.65 (1H, s), 7.69 (1H, s).

Example 77

8-[5-(7-Methoxy-1,3,4,5-tetrahydro-2H-2-benzazepin-2-yl)pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-

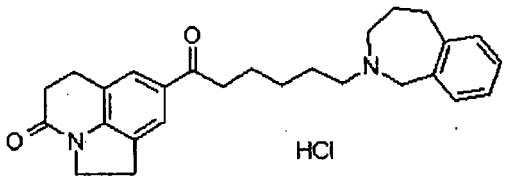
ij]quinolin-4-one hydrochloride



Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 1 and 7-methoxy-2,3,4,5-tetrahydro-1H-2-benzazepine (304 mg) according to the same method as that of Example 9, the title compound (294 mg) was obtained as colorless crystals having a melting point of 167 to 168°C. ^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.45-1.80 (6H, m), 2.38 (2H, t, J = 7.4Hz), 2.71 (2H, t, J = 7.6Hz), 2.80-3.15 (8H, m), 3.21 (2H, t, J = 8.4Hz), 3.78 (3H, s), 3.84 (2H, s), 4.13 (2H, t, J = 8.4Hz), 6.61 (1H, dd, J = 8.0, 2.6Hz), 6.69 (1H, d, J = 2.6Hz), 7.02 (1H, d, J = 8.4Hz), 7.66 (1H, s), 7.70 (1H, s).

Example 78

8-[6-(1,3,4,5-Tetrahydro-2H-2-benzazepin-2-yl)pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 2 and 2,3,4,5-tetrahydro-1H-2-benzazepine (252 mg) according to the same method as that of Example 9, the title compound (463 mg) was obtained as colorless crystals having a melting point of 195 to 197°C.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.25-1.85 (8H, m), 2.35 (2H, t, J = 7.4Hz), 2.71 (2H, t, J = 7.6Hz), 2.80-3.00 (4H, m), 3.02 (2H, t, J = 7.6Hz), 3.11 (2H, t, J = 5.4Hz), 3.22 (2H, t, J = 8.4Hz), 3.88 (2H, s), 4.13 (2H, t, J = 8.4Hz), 7.00-7.20 (4H, m), 7.66 (1H, s), 7.70 (1H, s).

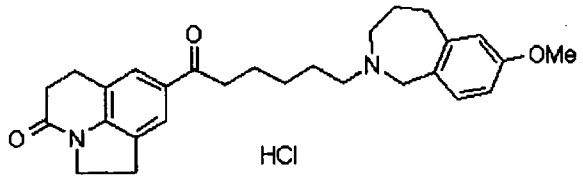
elementary analysis as $C_{27}H_{32}N_2O_2 \cdot HCl$

calculation value:C, 70.58; H, 7.34; N, 6.18.

experimental value:C, 70.16; H, 7.32; N, 6.08.

Example 79

8-[6-(7-Methoxy-1,3,4,5-tetrahydro-2H-2-benzazepin-2-yl)pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



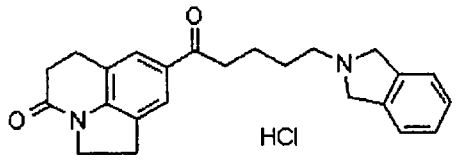
Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in

Reference Example 2 and 7-methoxy-2,3,4,5-tetrahydro-1H-2-benzazepine (253 mg) according to the same method as that of Example 9, the title compound (366 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.24-1.80 (8H, m), 2.34 (2H, t, J = 7.4Hz), 2.71 (2H, t, J = 7.6Hz), 2.80-3.15 (8H, m), 3.22 (2H, t, J = 8.4Hz), 3.78 (3H, s), 3.84 (2H, s), 4.13 (2H, t, J = 8.4Hz), 6.61 (1H, dd, J = 8.0, 2.6Hz), 6.69 (1H, d, J = 2.6Hz), 7.02 (1H, d, J = 8.4Hz), 7.66 (1H, s), 7.70 (1H, s).

Example 80

8-[5-(1,3-Dihydro-2H-isoindol-2-yl)pentanolyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



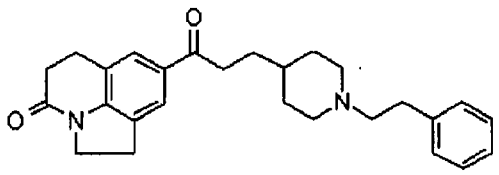
Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (600 mg) obtained in Reference Example 1 and isoindiline (269 mg) according to the same method as that of Example 9, the title compound (191 mg) was obtained as colorless crystals having a melting point of 219 to 221°C.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.60-1.95 (4H, m), 2.60-

2.80 (4H, m), 2.90-3.05 (4H, m), 3.19 (2H, t, J = 8.4Hz), 3.92 (4H, s), 4.12 (2H, t, J = 8.4Hz), 7.10 (4H, s), 7.69 (1H, s), 7.73 (1H, s).

Example 81

8-[3-[1-(2-Phenylethyl)-4-piperidinyl]propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



(2-Bromoethyl)benzene (0.22 ml) was added dropwise to a suspension of 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and potassium carbonate (500 mg) in acetonitrile (10 ml) at room temperature. After stirring at room temperature for 12 hours, the reaction mixture was concentrated under reduced pressure. Water (15 ml) and ethyl acetate (20 ml) were added to the residue, and extracted with ethyl acetate. The extract was washed with brine, dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure. The resulting residue was purified by silica gel chromatography (eluting solvent; ethyl acetate-methanol (9:1)) to give a free base compound of the title compound as a pale yellow oil (617 mg).

^{1}H NMR(200MHz, $CDCl_3$) δ 1.20-1.50(3H, m), 1.60-1.85(4H, m),

1.90-2.10(2H, m), 2.50-3.10(12H, m), 3.21(2H, t, J = 8.4Hz), 4.12(2H, t, J = 8.4Hz), 7.10-7.35(5H, m), 7.68(1H, s), 7.72(1H, s).

A solution of the above free base compound (610 mg) in ethanol was treated with 1 equivalent or more of hydrogen chloride (ethyl acetate solution) to give the title compound as colorless crystals having a melting point of 219 to 221°C.

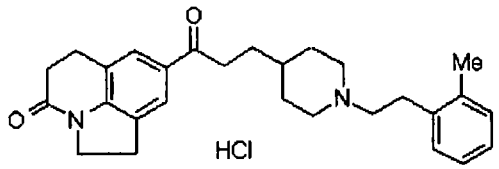
elementary analysis as $C_{27}H_{32}N_2O_2 \cdot HCl$

calculation value:C, 71.58; H, 7.34; N, 6.18.

experimental value:C, 71.27; H, 7.13; N, 6.20.

Example 82

8-(3-[1-[2-(2-Methylphenyl)ethyl]-4-piperidinyl]propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (600 mg) and 1-(2-bromoethyl)-2-methylbenzene (432 mg) according to the same method as that of Example 81, the title compound (624 mg) was obtained as colorless crystals having a melting point of 215 to 216°C.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.25-1.50 (3H, m), 1.55-1.85 (4H, m), 1.90-2.20 (2H, m), 2.33 (3H, s), 2.45-2.60 (2H, m), 2.65-3.10 (10H, m), 3.23 (2H, t, J = 8.4Hz), 4.14 (2H, t, J = 8.4Hz), 7.13 (4H, s), 7.68 (1H, s), 7.72 (1H, s).

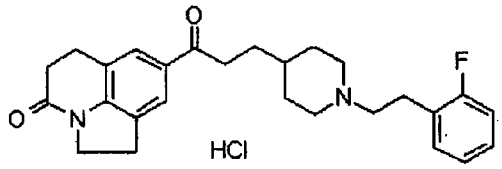
elementary analysis as $C_{28}H_{34}N_2O_2 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 70.64; H, 7.62; N, 5.88.

experimental value:C, 70.24; H, 7.66; N, 5.81.

Example 83

8-(3-[1-[2-(2-Fluorophenyl)ethyl]-4-piperidinyl]propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (600 mg) and 1-(2-bromoethyl)-2-fluorobenzene (367 mg) according to the same method as that of Example 81, the title compound (380 mg) was obtained as colorless crystals having a melting point of 210 to 212°C.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.20-1.45 (3H, m), 1.55-2.10 (6H, m), 2.50-3.10 (12H, m), 3.23 (2H, t, J = 8.4Hz), 4.14 (2H, t, J = 8.4Hz), 6.95-7.30 (4H, m), 7.68 (1H, s),

7.72 (1H, s).

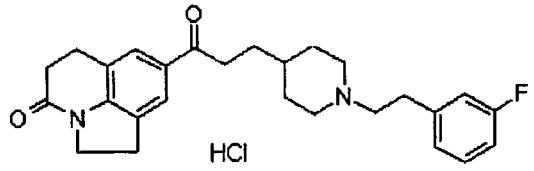
elementary analysis as $C_{27}H_{31}FN_2O_2 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 67.56; H, 6.93; N, 5.84.

experimental value:C, 67.92; H, 6.68; N, 5.79.

Example 84

8-(3-[1-[2-(3-Fluorophenyl)ethyl]-4-piperidinyl]propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



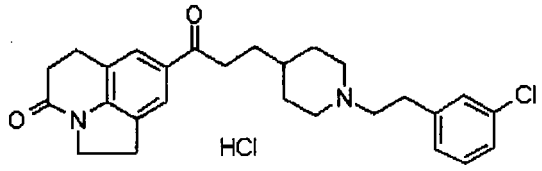
Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and 1-(2-bromoethyl)-3-fluorobenzene (367 mg) according to the same method as that of Example 81, the title compound (351 mg) was obtained as colorless crystals having a melting point of 225 to 227°C.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.20-1.50 (3H, m), 1.60-1.85 (4H, m), 1.90-2.10 (2H, m), 2.50-3.10 (12H, m), 3.23 (2H, t, J = 8.4Hz), 4.14 (2H, t, J = 8.4Hz), 6.80-7.00 (3H, m), 7.15-7.30 (1H, m), 7.68 (1H, s), 7.72 (1H, s).

Example 85

8-(3-[1-[2-(3-Chlorophenyl)ethyl]-4-piperidinyl]propanoyl)-

1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and 1-(2-bromoethyl)-3-chlorobenzene (394 mg) according to the same method as that of Example 81, the title compound (369 mg) was obtained as colorless crystals having a melting point of 223 to 225°C.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.20-1.50 (3H, m), 1.60-1.85 (4H, m), 1.90-2.10 (2H, m), 2.45-3.10 (12H, m), 3.23 (2H, t, J = 8.4Hz), 4.14 (2H, t, J = 8.4Hz), 7.00-7.30 (4H, m), 7.68 (1H, s), 7.73 (1H, s).

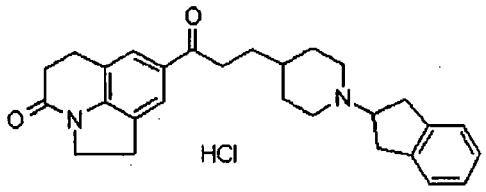
elementary analysis as $C_{27}H_{31}ClN_2O_2 \cdot HCl \cdot H_2O$

calculation value:C, 64.16; H, 6.78; N, 5.54.

experimental value:C, 63.92; H, 6.75; N, 5.52.

Example 86

8-[3-[1-(2,3-Dihydro-1H-inden-2-yl)-4-piperidinyl]propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (600 mg) and 2,3-dihydro-1H-inden-2-yl methanesulfonate (428 mg) according to the same method as that of Example 81, the title compound (326 mg) was obtained as colorless crystals having a melting point of 290°C (dec).

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.10-1.40 (3H, m), 1.45-1.80 (4H, m), 1.85-2.00 (2H, m), 2.61 (2H, t, J = 7.6Hz), 2.70-3.20 (13H, m), 4.03 (2H, t, J = 8.4Hz), 7.00-7.15 (4H, m), 7.57 (1H, s), 7.62 (1H, s).

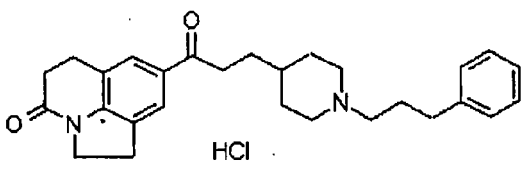
elementary analysis as $C_{28}H_{32}N_2O_2 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 70.94; H, 7.23; N, 5.91.

experimental value:C, 71.19; H, 6.97; N, 5.71.

Example 87

8-[3-[1-(3-Phenylpropyl)-4-piperidinyl]propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-

tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and (3-bromopropyl)benzene (0.245 ml) according to the same method as that of Example 81, the title compound (488 mg) was obtained as colorless crystals having a melting point of 173 to 175°C .

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.20-1.45 (3H, m), 1.60-2.00 (8H, m), 2.35 (2H, t, J = 7.6Hz), 2.61 (2H, t, J = 8.0Hz), 2.70 (2H, t, J = 8.4Hz), 2.80-2.95 (4H, m), 3.01 (2H, t, J = 8.0Hz), 3.21 (2H, t, J = 8.4Hz), 4.12 (2H, t, J = 8.4Hz), 7.05-7.35 (5H, m), 7.67 (1H, s), 7.71 (1H, s).

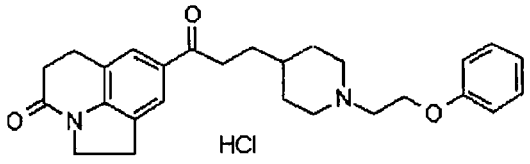
elementary analysis as $C_{28}H_{34}N_2O_2 \cdot HCl$

calculation value:C, 72.01; H, 7.55; N, 6.00.

experimental value:C, 71.68; H, 7.50; N, 5.73.

Example 88

8-[3-[1-(2-Phenoxyethyl)-4-piperidinyl]propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and (2-bromoethoxy)benzene (0.222 ml) according to the same method as that of Example 81, the title compound (190 mg) was obtained as colorless amorphous powders.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.20-1.45 (3H, m), 1.60-1.85 (4H, m), 2.00-2.25 (2H, m), 2.71 (2H, t, J = 7.6Hz), 2.80 (2H, t, J = 6.2Hz), 2.85-3.10 (6H, m), 3.22 (2H, t, J = 8.4Hz), 4.00-4.20 (4H, m), 6.85-7.00 (3H, m), 7.20-7.35 (2H, m), 7.67 (1H, s), 7.71 (1H, s).

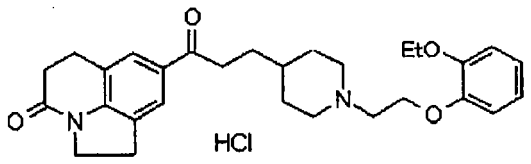
elementary analysis as $C_{27}H_{32}N_2O_3 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 67.84; H, 7.17; N, 5.86.

experimental value:C, 68.10; H, 7.22; N, 5.83.

Example 89

8-(3-[1-[2-(2-Ethoxyphenoxy)ethyl]-4-piperidinyl]propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and 1-(2-bromoethoxy)-2-ethoxybenzene (412 mg) according to the same method as that of Example 81, the title compound (583 mg) was obtained as colorless amorphous powders.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.20-1.50 (6H, m), 1.60-1.80 (4H, m), 2.00-2.25 (2H, m), 2.71 (2H, t, J = 7.6Hz), 2.80-3.15 (8H, m), 3.22 (2H, t, J = 8.4Hz), 4.00-4.20 (6H, m), 6.85-6.95 (4H, m), 7.67 (1H, s), 7.72 (1H, s).

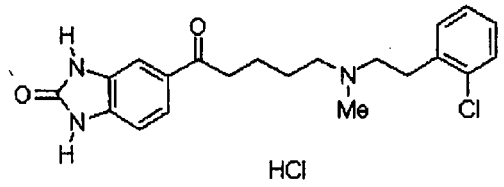
elementary analysis as $C_{29}H_{36}N_2O_4 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 66.72; H, 7.34; N, 5.37.

experimental value:C, 66.75; H, 7.26; N, 5.28.

Example 90

5-[5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl]-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



Using 5-(5-chloropentanoyl)-1,3-dihydro-2H-benzimidazol-2-one obtained in Reference Example 9 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 234 to 235°C.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.68-1.79 (4H, m), 2.83 (3H, d, J = 4.8Hz), 3.04-3.30 (8H, m), 7.03 (1H, d, J = 8.4Hz), 7.31-7.50 (5H, m), 7.69 (1H, dd, J = 8.3, 1.7Hz), 10.46 (1H, br s), 10.96 (1H, s), 11.10 (1H, s).

MS m/z: 386 $[M+H]^+$

elementary analysis as $C_{21}H_{24}ClN_3O_2 \cdot HCl \cdot 0.5H_2O$

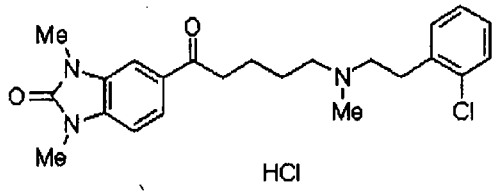
calculation value:C, 58.47; H, 6.08; N, 9.74.

experimental value:C, 58.49; H, 6.05; N, 9.51.

MS m/z: 386 $[M+H]^+$

Example 91

5-[5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl]-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



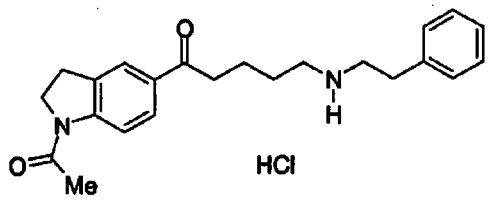
Using 5-(5-chloropentanoyl)-1,3-diemthyl-1,3-dihydro-2H-benzimidazol-2-one obtained in Reference Example 10 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 142 to 143°C.

^{1}H NMR(Free base; 200MHz, $CDCl_3$) δ 1.64-1.83 (4H, m), 2.42 (3H, s), 2.54-2.74 (4H, m), 2.93-3.02 (4H, m), 3.46 (3H, s), 3.47 (3H, s), 6.99 (1H, d, J = 8.4Hz), 7.13-7.35 (4H, m), 7.63 (1H, d, J = 1.2Hz), 7.79 (1H, dd, J = 8.2, 1.6Hz).

MS m/z: 414 $[M+H]^+$

Example 92

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-5-[(2-phenylethyl)amino]-1-pentanone hydrochloride

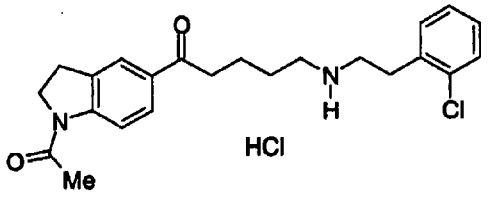


Using tert-butyl 5-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-5-oxopentyl(2-phenylethyl)carbamate (280 mg) obtained in Reference Example 48 according to the same method as that of Example 1, the title compound (220 mg) was obtained as colorless crystals.

^{1}H NMR(400MHz, DMSO-d_6) δ 1.60-1.73(4H, m), 2.18(3H, s), 2.90-3.01(6H, m), 3.05-3.10(2H, m), 3.16(2H, t, J = 8.4Hz), 4.13(2H, t, J = 8.4Hz), 7.21-7.34(5H, m), 7.81(1H, s), 7.82(1H, d, J = 8Hz), 8.08(1H, t, J = 8Hz), 9.21(2H, br.s).
IR (KBr) νcm^{-1}: 3438, 2783, 1679, 1662, 1604, 1495, 1440, 1401, 1334, 1260.

Example 93

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-5-[[2-(2-chlorophenyl)ethyl]amino]-1-pentanone hydrochloride



Using tert-butyl 5-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-5-oxopentyl[2-(2-chlorophenyl)ethyl]carbamate (330 mg)

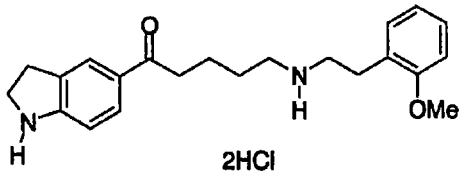
obtained in Reference Example 49 according to the same method as that of Example 1, the title compound (175 mg) was obtained as colorless crystals having a melting point of 185 to 186°C.

^{1}H NMR(400MHz, DMSO-d_6) δ 1.63-1.74(4H, m), 2.17(3H, s), 2.92-3.01(4H, m), 3.08-3.18(6H, m), 4.13(2H, t, J = 8Hz), 7.26-7.34(2H, m), 7.39(1H, d, d, J = 7, 2Hz), 7.44(1H, d, d, J = 7, 2Hz), 7.81(1H, s), 7.82(1H, d, J = 8Hz), 8.07(1H, d, J = 8Hz), 9.35(2H, s).

IR (KBr) νcm^{-1}: 3434, 2947, 2782, 1683, 1660, 1441, 1403, 1335, 1259.

Example 94

1-(2,3-Dihydro-1H-indol-5-yl)-5-[[2-(2-methoxyphenyl)ethyl]amino]-1-pentanone dihydrochloride



Using tert-butyl 5-(2,3-dihydro-1H-indol-5-yl)-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (452 mg) obtained in Reference Example 50 according to the same method as that of Example 1, the title compound (330 mg) was obtained as colorless crystals having a melting point of 164 to 165°C.

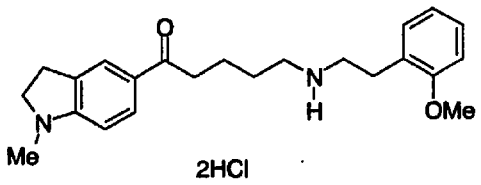
^{1}H NMR(400MHz, DMSO-d_6) δ 1.58-1.72(4H, m), 2.93(6H, t, J =

7Hz), 2.99-3.03(2H, m), 3.05(2H, t, J = 8.2Hz), 3.60(2H, t, J = 8.2Hz), 3.78(3H, s), 6.81(1H, d, J = 8Hz), 6.89(1H, t, J = 7Hz), 6.98(1H, d, J = 7Hz), 7.17(1H, d, J = 7Hz), 7.24(1H, t, J = 7Hz), 7.74(1H, d, J = 8Hz), 7.75(1H, s), 9.06(4H, br.s).

IR (KBr) νcm^{-1}: 3429, 2950, 2781, 2460, 1694, 1497, 1247.

Example 95

1-(2,3-Dihydro-1H-indol-5-yl)-5-[[2-(2-methoxyphenyl)ethyl]amino]-1-pentanone dihydrochloride



Using tert-butyl 5-(2,3-dihydro-1H-indol-5-yl)-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (120 mg) obtained in Reference Example 51 according to the same method as that of Example 1, the title compound (106 mg) was obtained as colorless crystals having a melting point of 150 to 151°C.

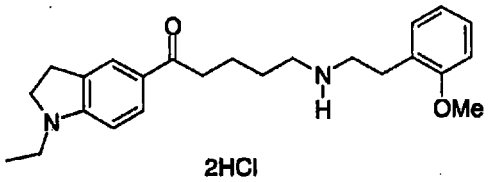
^1H NMR(400MHz, DMSO-d_6) δ 1.60-1.67(4H, m), 2.80(3H, s), 2.85-3.01(10H, m), 3.44(2H, t, J = 8.4Hz), 3.78(3H, s), 6.46(1H, d, J = 8.3Hz), 6.89(1H, t, J = 7.4Hz), 6.98(1H, d, J = 8.3Hz), 7.16(1H, d, J = 7.4Hz), 7.23(1H, t, J = 8.3Hz), 7.60(1H, s), 7.72(1H, d, J = 8.3Hz), 9.10(3H, br.s).

IR (KBr) νcm^{-1}: 3436, 2583, 2424, 1675, 1600, 1494, 1464,

1249, 1032, 760.

Example 96

1-(1-Ethyl-2,3-dihydro-1H-indol-5-yl)-5-[[2-(2-methoxyphenyl)ethyl]amino]-1-pentanone dihydrochloride



Using tert-butyl 5-(1-ethyl-2,3-dihydro-1H-indol-5-yl)-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (165 mg) obtained in Reference Example 52 according to the same method as that of Example 1, the title compound (145 mg) was obtained as colorless crystals having a melting point of 131 to 133°C.

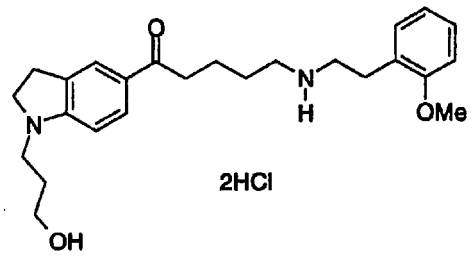
^{1}H NMR(400MHz, DMSO-d_6) δ 1.08(3H, t, J = 7.2Hz), 1.61-1.69(4H, m), 2.84-3.01(10H, m), 3.23(2H, q, J = 7.2Hz), 3.48(2H, t, J = 8.4Hz), 3.78(3H, s), 6.45(1H, d, J = 8.4Hz), 6.89(1H, t, J = 7Hz), 6.98(1H, d, J = 8.4Hz), 7.16(1H, d, J = 7Hz), 7.23(1H, t, J = 8.4Hz), 7.59(1H, s), 7.70(1H, d, J = 8.4Hz), 9.11(3H, br).

IR (KBr) νcm^{-1}: 3426, 2777, 2458, 1697, 1602, 1496, 1442, 1317, 1248, 1051, 763.

Example 97

1-[1-(3-Hydroxypropyl)-2,3-dihydro-1H-indol-5-yl]-5-[[2-(2-

methoxyphenyl)ethyl]amino]-1-pentanone dihydrochloride



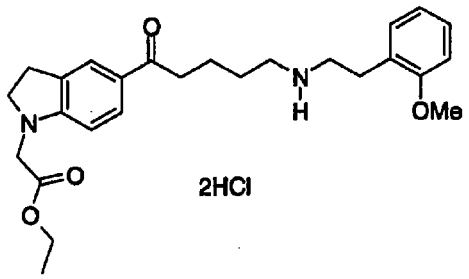
Using tert-butyl 5-[1-(3-hydroxypropyl)-2,3-dihydro-1H-indol-5-yl]-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (60 mg) obtained in Reference Example 53 according to the same method as that of Example 1, the title compound (55 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(400MHz, CD_3OD) δ 1.68-1.79(4H, m), 1.84(2H, t, J = 7.4Hz), 1.90-1.99(2H, m), 2.92-3.18(8H, m), 3.35(2H, t, J = 6Hz), 3.57-3.70(4H, m), 3.82(3H, s), 4.82(4H, s), 6.63(1H, d, J = 8Hz), 6.88(1H, t, J = 7Hz), 6.95(1H, d, J = 8Hz), 7.16(1H, d, J = 7.4Hz), 7.23(1H, t, J = 7.4Hz), 7.69(1H, s), 7.79(1H, d, J = 7Hz).

IR (neat) νcm^{-1}: 3402, 2920, 1693, 1602, 1496, 1443, 1247, 760.

Example 98

Ethyl [5-(5-[[2-(2-metoxyphenyl)ethyl]amino]pentanoyl)-2,3-dihydro-1H-indol-1-yl]acetate dihydrochloride



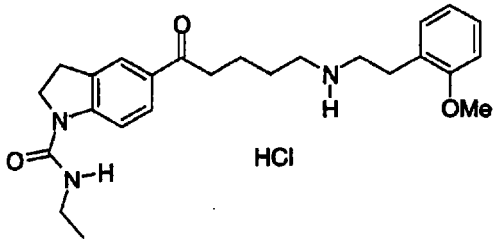
Using ethyl [5-(5-[(tert-butoxycarbonyl)[2-(2-methoxyphenyl)ethyl]amino]pentanoyl)-2,3-dihydro-1H-indol-1-yl]acetate (270 mg) obtained in Reference Example 54 according to the same method as that of Example 1, the title compound (255 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR(400MHz, CD_3OD) δ 1.26(3H, t, J = 7Hz), 1.70-1.80(4H, m), 2.96-3.21(10H, m), 3.82-3.85(2H, m), 3.81(3H, s), 3.86(2H, s), 4.18(2H, q, J = 7.2Hz), 4.84(3H, s), 6.37(1H, d, J = 8.34Hz), 6.91(1H, t, J = 7.4Hz), 6.98(1H, d, J = 8.3Hz), 7.20(1H, d, J = 7.4Hz), 7.26(1H, t, J = 8.3Hz), 7.68(1H, s), 7.76(1H, d, J = 8.3Hz).

IR (KBr) νcm^{-1}: 3420, 2774, 1736, 1663, 1603, 1496, 1443, 1248, 1182, 1026, 759.

Example 99

N-Ethyl-5-(5-[[2-(2-metoxyphenyl)ethyl]amino]pentanoyl)-1-indolinecarboxamide hydrochloride



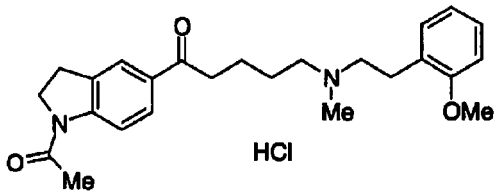
Using tert-butyl 5-[1-[(ethylamino)carbonyl]-2,3-dihydro-1H-indol-5-yl]-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (250 mg) obtained in Reference Example 55 according to the same method as that of Example 1, the title compound (205 mg) was obtained as colorless crystals having a melting point of 185 to 186°C.

^{1}H NMR(400MHz, DMSO-d_6) δ 1.08(3H, t, J = 7.3Hz), 1.63-1.70(4H, m), 2.92-3.03(8H, m), 3.11-3.18(4H, m), 3.79(3H, s), 3.93(2H, t, J = 8.4Hz), 6.87-6.91(2H, m), 6.98(1H, d, J = 8.4Hz), 7.16(1H, d, J = 7.4Hz), 7.24(1H, t, J = 8.4Hz), 7.73(1H, s), 7.76(1H, d, J = 8.4Hz), 7.88(1H, d, J = 8.4Hz), 9.06(2H, s).

IR (KBr) νcm^{-1}: 3315, 2773, 1667, 1604, 1526, 1494, 1442, 1319, 1245, 754.

Example 100

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]-1-pentanone hydrochloride



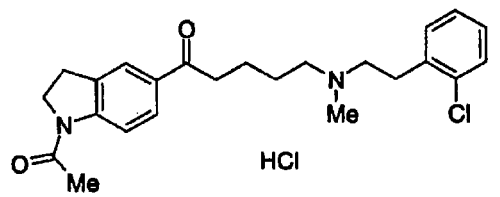
Using 1-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-5-chloropentan-1-one obtained in Reference Example 11 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.54-1.61(2H, m), 1.70-1.78(2H, m), 2.25(3H, s), 2.31(3H, s), 2.46(2H, t, J = 7.5Hz), 2.55-2.59(2H, m), 2.76-2.80(2H, m), 2.94(2H, t, J = 7.3Hz), 3.23(2H, t, J = 8.3Hz), 3.81(3H, s), 4.11(2H, t, J = 8.3Hz), 6.82-6.87(2H, m), 7.12-7.19(2H, m), 7.81-7.84(2H, m), 8.23(1H, d, J = 8.3Hz).

IR (free base; neat) νcm^{-1}: 1673, 1603, 1493, 1440, 1394, 1329, 1244.

Example 101

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-5-[[2-(2-chlorophenyl)ethyl](methyl)amino]-1-pentanone hydrochloride



Using 1-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-5-chloropentan-1-one obtained in Reference Example 11 and N-

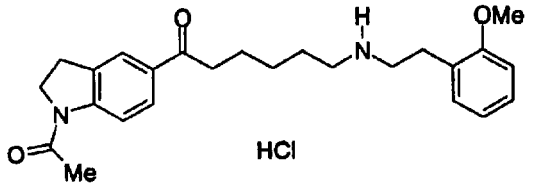
[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as yellow amorphous powders.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.54-1.61(2H, m), 1.70-1.77(2H, m), 2.24(3H, s), 2.33(3H, s), 2.47(2H, t, J = 6.3Hz), 2.61(2H, t, J = 7Hz), 2.88-2.95(4H, m), 3.22(2H, t, J = 7.5Hz), 4.10(2H, t, J = 7.5Hz), 7.11-7.33(4H, m), 7.79-7.83(2H, m), 8.22(1H, d, J = 8.5Hz).

IR (free base; neat) νcm^{-1}: 1673, 1604, 1489, 1440, 1391, 1330, 1256.

Example 102

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-6-[[2-(2-methoxyphenyl)ethyl]amino]-1-hexanone hydrochloride



Using 1-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-6-bromo-1-hexanone (388 mg) obtained in Reference Example 12 and 2-(2-methoxyphenyl)ethylamine (378 mg) according to the same method as that of Example 9, the title compound (140 mg) was obtained as colorless crystals having a melting point of 186 to 187°C.

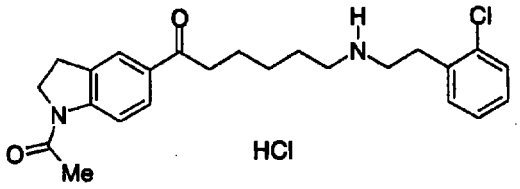
^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.35-1.43(2H, m), 1.49-

1.56(2H, m), 1.64(1H, br.s), 1.69-1.76(2H, m), 2.24(3H, s), 2.68(2H, t, J = 7Hz), 2.83(4H, br.s), 2.91(2H, t, J = 7Hz), 3.22(2H, t, J = 8.3Hz), 3.81(3H, s), 4.11(2H, t, J = 8.3Hz), 6.83-6.88(2H, m), 7.13-7.20(2H, m), 7.79-7.82(2H, m), 8.23(1H, d, J = 8.3Hz).

IR (free base; neat) νcm^{-1}: 1673, 1602, 1493, 1440, 1394, 1329, 1243.

Example 103

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-6-[[2-(2-chlorophenyl)ethyl]amino]-1-hexanone hydrochloride



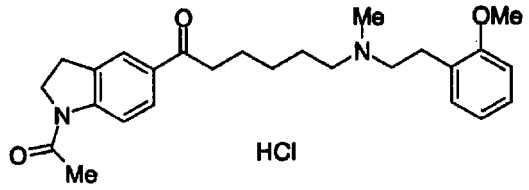
Using 1-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-6-bromo-1-hexanone (270 mg) obtained in Reference Example 12 and 2-(2-chlorophenyl)ethylamine (311 mg) according to the same method as that of Example 9, the title compound (128 mg) was obtained as colorless crystals having a melting point of 182 to 183°C.

^1H NMR(free base; 400MHz, $CDCl_3$) δ 1.38-1.44(2H, m), 1.47(1H, br.s), 1.50-1.57(2H, m), 1.70-1.77(2H, m), 2.24(3H, s), 2.66(2H, t, J = 7.5Hz), 2.85-2.94(6H, m), 3.22(2H, t, J = 8Hz), 4.10(2H, t, J = 8Hz), 7.14-7.35(4H, m), 7.79-7.82(2H, m), 8.22(1H, d, J = 8.5Hz).

IR (free base; neat) νcm^{-1}: 1673, 1604, 1489, 1441, 1395, 1330, 1262.

Example 104

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-6-[[2-(2-methoxyphenyl)ethyl](methyl)amino]-1-hexanone hydrochloride



Using 1-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-6-bromo-1-hexanone obtained in Reference Example 12 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as yellow amorphous powders.

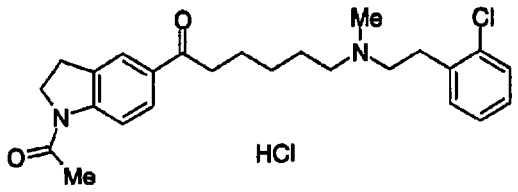
^1H NMR(free base; 400MHz, $CDCl_3$) δ 1.36-1.42(2H, m), 1.51-1.58(2H, m), 1.70-1.78(2H, m), 2.24(3H, s), 2.31(3H, s), 2.42(2H, t, J = 7.5Hz), 2.55-2.59(2H, m), 2.76-2.80(2H, m), 2.92(2H, t, J = 7.5Hz), 3.21(2H, t, J = 8.3Hz), 3.81(3H, s), 4.09(2H, t, J = 8.3Hz), 6.82-6.89(2H, m), 7.12-7.19(2H, m), 7.79-7.83(2H, m), 8.23(1H, d, J = 8.3Hz).

IR (free base; neat) νcm^{-1}: 1674, 1603, 1493, 1440, 1394, 1329, 1244.

Example 105

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-6-[[2-(2-

chlorophenyl)ethyl](methyl)amino]-1-hexanone hydrochloride



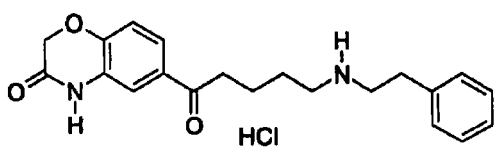
Using 1-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-6-bromo-1-hexanone obtained in Reference Example 12 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 177 to 178°C.

^{1}H NMR(free base; 400MHz, $CDCl_3$) δ 1.35-1.42(2H, m), 1.50-1.58(2H, m), 1.70-1.78(2H, m), 2.25(3H, s), 2.33(3H, s), 2.43(2H, t, J = 7Hz), 2.58-2.62(2H, m), 2.88-2.95(4H, m), 3.23(2H, t, J = 8Hz), 4.10(2H, t, J = 8Hz), 7.11-7.33(4H, m), 7.80-7.83(2H, m), 8.22(1H, d, J = 8.5Hz).

IR (free base; neat) νcm^{-1}: 1674, 1604, 1489, 1441, 1394, 1329, 1246.

Example 106

6-[5-[(2-Phenylethyl)amino]pentanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



Using tert-butyl 5-oxo-5-(3-oxo-3,4-dihydro-2H-1,4-

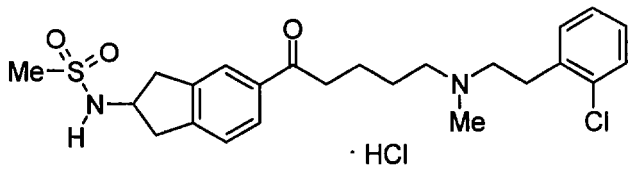
benzoxazin-6-yl)pentyl(2-phenylethyl)carbamate obtained in Reference Example 41 ccording to the same method as that of Example 1, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.68 (4H, br.), 2.96-3.01(6H, m), 3.10(2H, br.s), 4.69(2H, s), 7.05(1H, d, J = 8.4 Hz), 7.26-7.37(5H, m), 7.53(1H, d, J = 1.8 Hz), 7.63(1H, dd, J = 8.4, 1.5 Hz), 9.13(2H, br), 10.95(1H, s).

$[M+H]^+$(ESI+)=353, HPLC purity 94%(220nm)

Example 107

N-(5-{5-[[2-(2-chlorophenyl)ethyl](methyl)amino]pentanoyl}-2,3-dihydro-1H-inden-2-yl)methanesulfonamide hydrochloride



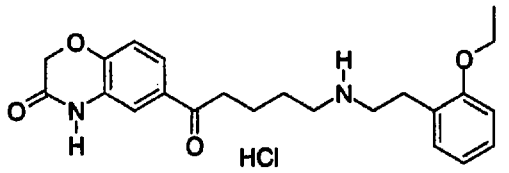
Using N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]methanesulfonamide obtained in Reference Example 233 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.52-1.81 (4H, m), 2.33 (3H, s), 2.47 (2H, t, J = 7.4 Hz), 2.60 (2H, m), 2.64-2.98 (6H, m), 3.01 (3H, s), 3.35 (2H, dd, J = 16.4, 7.2 Hz), 4.30 (1H, m), 5.08 (1H, br), 7.07-7.34 (5H, m), 7.78 (2H,

m).

Example 108

6-(5-[[2-(2-Ethoxyphenyl)ethyl]amino]pentanoyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride



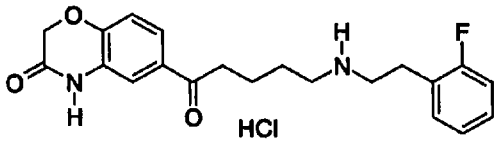
Using tert-butyl 2-(2-ethoxyphenyl)ethyl[5-oxo-5-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)pentyl]carbamate obtained in Reference Example 42 according to the same method as that of Example 1, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.67(4H, br.), 2.95-3.02(8H, m), 3.52(2H, br.s), 3.80(3H, s), 4.69(2H, s), 6.89-6.99(1H, m), 6.99-7.04(2H, m), 7.20-7.28(2H, m), 7.54(1H, d, J = 1.8 Hz), 7.63(1H, dd, J = 8.4, 1.5Hz), 9.11(2H, br.), 10.96(1H,s).

MS m/z: 397 $[M+H]^+$

Example 109

6-(5-[[2-(2-Flurophenyl)ethyl]amino]pentanoyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride



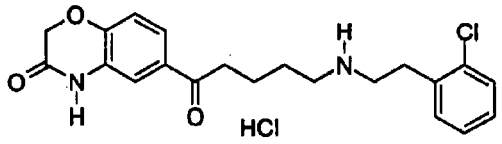
Using tert-butyl 2-(2-flurohenyl)ethyl[5-oxo-5-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)pentyl]carbamate obtained in Reference Example 43 according to the same method as that of Example 1, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.67(4H, m), 3.00-3.04(6H, m), 3.09(2H, m), 4.69(2H, s), 7.06(1H, d, J = 8.1Hz), 7.17-7.24(2H, m), 7.30-7.38(2H, m), 7.51(1H, d, J = 1.8 Hz), 7.63(1H, dd, J = 8.4, 1.8Hz), 9.04(2H, br.), 10.93(1H, s).

MS m/z: 371 $[M+H]^+$

Example 110

6-(5-[[2-(2-Chlorophenyl)ethyl]amino]pentanoyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl[5-oxo-5-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)pentyl]carbamate obtained in Reference Example 44 according to the same method as that of Example 1, the title compound was obtained as colorless amorphous powders.

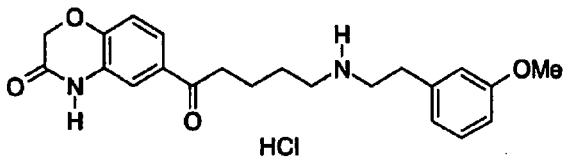
^{1}H NMR(300MHz, DMSO-d_6) δ 1.68(4H,m), 2.98-3.02(4H, m), 3.11(4H, br.), 4.69(2H, s), 7.04(1H, d, J = 8.1Hz), 7.31-7.49(4H, m), 7.52(1H, d, J = 1.5 Hz), 7.63(1H, dd, J = 8.3,

1.4Hz), 9.13(2H, br.), 10.93(1H, s).

MS m/z: 387 $[M+H]^+$

Example 111

6-(5-[[2-(3-Methoxyphenyl)ethyl]amino]pentanoyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride



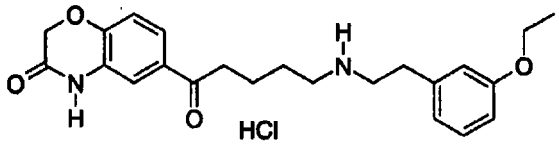
Using tert-butyl 2-(3-methoxyphenyl)ethyl[5-oxo-5-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)pentyl]carbamate obtained in Reference Example 45 according to the same method as that of Example 1, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.67(4H, br.), 2.92-3.02(6H, m), 3.13(2H, br.), 3.75(3H, s), 4.69(2H, s), 6.82-6.84(3H, m), 7.05(1H, d, J = 8.4 Hz), 7.23-7.28(1H, m), 7.52(1H, d, J = 2.1 Hz), 7.63(1H, dd, J = 8.3, 2.0Hz), 9.03(2H, br.), 10.93(1H, s).

MS m/z: 383 $[M+H]^+$

Example 112

6-(5-[[2-(3-Ethoxyphenyl)ethyl]amino]pentanoyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride



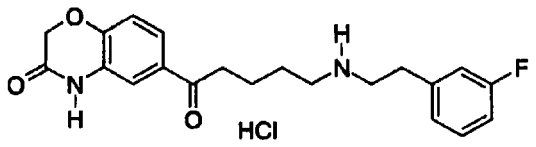
Using tert-butyl 2-(3-ethoxyphenyl)ethyl[5-oxo-5-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)pentyl]carbamate obtained in Reference Example 46 according to the same method as that of Example 1, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.32(3H, t, J = 6.9 Hz), 1.67(4H, br.), 2.91-3.02(6H, m), 3.11(2H, br.), 4.01(2H, q, J = 6.9Hz), 4.68(2H, s), 6.80-6.82(3H, m), 7.05(1H, d, J = 8.4Hz), 7.21-7.26(1H, m), 7.52(1H, d, J = 1.8 Hz), 7.63(1H, dd, J = 8.3, 1.4Hz), 9.02(2H, br.), 10.93(1H, s).

MS m/z: 397 $[M+H]^+$

Example 113

6-(5-[[2-(3-Flurophenyl)ethyl]amino]pentanoyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride



Using tert-butyl 2-(3-flurophenyl)ethyl[5-oxo-5-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)pentyl]carbamate obtained in Reference Example 56 according to the same method as that of Example 1, the title compound was

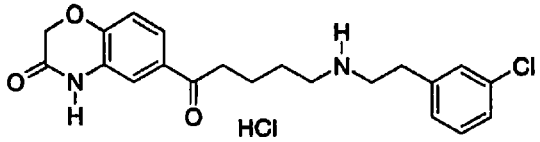
obtained as colorless amorphous powders.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.67(4H, br.), 2.95-3.03(6H, m), 3.14(2H, br.), 4.69(2H, s), 7.06(1H, d, J = 8.4Hz), 7.09-7.17(3H, m), 7.34-7.42(1H, m), 7.52(1H, d, J = 1.8 Hz), 7.63(1H, dd, J = 8.3, 1.4Hz), 9.04(2H, br.), 10.93(1H, s).

MS m/z: 371 $[M+H]^+$

Example 114

6-(5-[[2-(3-Chlorophenyl)ethyl]amino]pentanoyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride



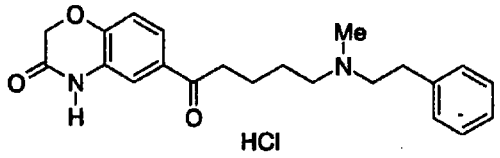
Using tert-butyl 2-(3-chlorophenyl)ethyl[5-oxo-5-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)pentyl]carbamate obtained in Reference Example 57 according to the same method as that of Example 1, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.67(4H, br.), 2.95-3.02(6H, m), 3.13(2H, br.), 4.69(2H, s), 7.06(1H, d, J = 8.1Hz), 7.24-7.38(4H, m), 7.52(1H, d, J = 1.8 Hz), 7.63(1H, dd, J = 8.3, 1.1Hz), 9.06(2H, br.), 10.94(1H, s).

MS m/z: 387 $[M+H]^+$

Example 115

6-[5-[Methyl(2-phenylethyl)amino]pentanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



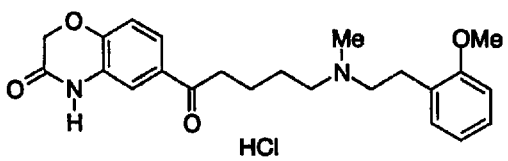
Using 6-(5-chloropentanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 7 and N-methyl-N-(2-phenylethyl)amine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.68(4H, br.), 2.81(3H, s), 2.98-3.44(8H, m), 4.67(2H, s), 7.04(1H, d, J = 8.6Hz), 7.25-7.51(5H, m), 7.49(1H, d, J = 2.0Hz), 7.62(1H, dd, J = 8.6, 2.0Hz), 9.93(1H, br.s), 10.88(1H, s).

MS m/z: 381 $[M+H]^+$

Example 116

6-[5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



Using 6-(5-chloropentanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 7 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same

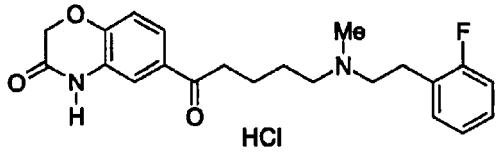
method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.68(4H, br.), 2.82(3H, d, J = 3.4Hz), 2.94-3.23(8H, br.), 3.82(3H, s), 4.67(2H, s), 6.92(1H, t, J = 4.9Hz), 7.01(1H, d, J = 8.4Hz), 7.04(1H, d, J = 8.2Hz), 7.21-7.29(2H, m), 7.50(1H, d, J = 1.4Hz), 7.62(1H, dd, J = 5.7, 1.3Hz), 9.97(1H, br.s), 10.89(1H, s).

MS m/z: 397 $[M+H]^+$

Example 117

6-[5-[[2-(2-Fluorophenyl)ethyl](methyl)amino]pentanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



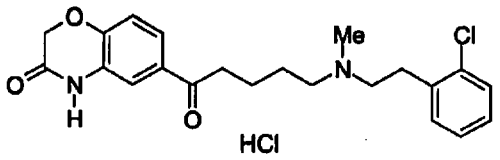
Using 6-(5-chloropentanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 7 and N-[2-(2-fluorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.68(4H, br.), 2.82(3H, d, J = 4.8Hz), 2.98-3.34(8H, br.), 4.67(2H, s), 7.04(1H, d, J = 8.0Hz), 7.15-7.24(2H, m), 7.28-7.40(2H, m), 7.51(1H, d, J = 1.8Hz), 7.62(1H, dd, J = 8.6, 2.2Hz), 10.26(1H, br.s), 10.89(1H, s).

MS m/z: 385 $[M+H]^+$

Example 118

6-[5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



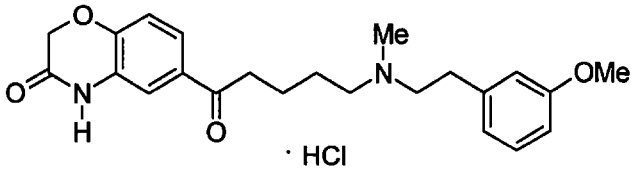
sing 6-(5-chloropentanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 7 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.69(4H, br.), 2.83(3H, s), 2.97(2H, t, J = 7Hz), 3.18(6H, m), 4.67(2H, s), 7.04(1H, d, J = 8.2Hz), 7.30-7.38(2H, m), 7.43-7.51(2H, m), 7.59(1H, d, J = 1.8Hz), 7.62(1H, dd, J = 8.4, 1.8Hz), 10.36(1H, br.s), 10.87(1H, s).

MS m/z: 401 $[M+H]^+$

Example 119

6-[5-[[2-(3-Methoxyphenyl)ethyl](methyl)amino]pentanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



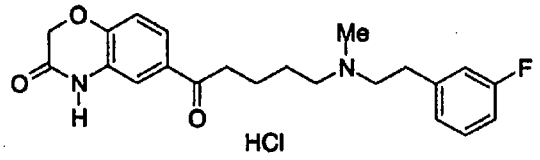
Using 6-(5-chloropentanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 7 and N-[2-(3-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.68(4H, br.), 2.82(3H, d, J = 3.2Hz), 2.96-3.34(8H, br.), 3.76(3H, s), 4.67(2H, s), 6.81(1H, d, J = 1.6Hz), 6.86(2H, d, J = 5.6Hz), 7.04(1H, d, J = 5.8Hz), 7.25(1H, t, J = 5.2Hz), 7.50(1H, d, J = 1.6Hz), 7.61(1H, dd, J = 5.6, 1.4Hz), 9.68(1H, br.s), 10.89(1H, s).

MS m/z: 397 $[M+H]^+$

Example 120

6-[5-[[2-(3-Fluorophenyl)ethyl](methyl)amino]pentanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



Using 6-(5-chloropentanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 7 and N-[2-(3-fluorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was

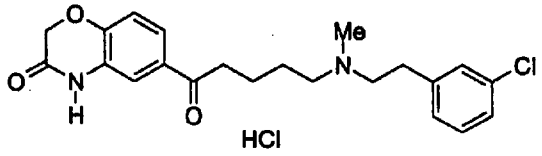
obtained as colorless amorphous powders.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.68(4H, br.), 2.82(3H, d, J = 4.5Hz), 2.98-3.36(8H, br.), 4.67(2H, s), 7.02-7.19(4H, m), 7.34-7.42(1H, m), 7.50(1H, d, J = 2.1Hz), 7.63(1H, dd, J = 8.7, 2.1Hz), 9.69(1H, br.s), 10.88(1H, s).

MS m/z: 385 $[M+H]^+$

Example 121

6-[5-[[2-(3-Chlorophenyl)ethyl](methyl)amino]pentanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



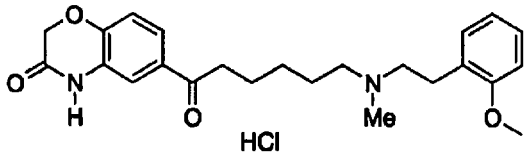
Using 6-(5-chloropentanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 7 and N-[2-(3-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.68(4H, br.), 2.81(3H, d, J = 4.8Hz), 3.03-3.63(8H, br.), 4.67(2H, s), 7.04(1H, d, J = 8.4Hz), 7.25-7.42(4H, m), 7.51(1H, d, J = 1.8Hz), 7.62(1H, dd, J = 8.4, 1.8Hz), 10.06(1H, br.s), 10.90(1H, s).

MS m/z: 401 $[M+H]^+$

Example 122

6-[6-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]hexanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



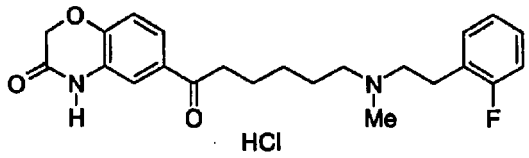
Using 6-(6-bromohexanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 8 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.31-1.41(2H, m), 1.61-1.79(4H, m), 2.79(3H, d, J = 4.8Hz), 2.92-3.42(8H, br.), 3.81(3H, s), 4.67(2H, s), 6.87-7.05(3H, m), 7.20-7.30(2H, m), 7.50(1H, d, J = 1.8Hz), 7.61(1H, dd, J = 8.2, 2.0Hz), 10.13(1H, br.s), 10.85(1H, s).

MS m/z: 411 $[M+H]^+$

Example 123

6-[6-[[2-(2-Fluorophenyl)ethyl](methyl)amino]hexanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



Using 6-(6-bromohexanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 8 and N-[2-(2-

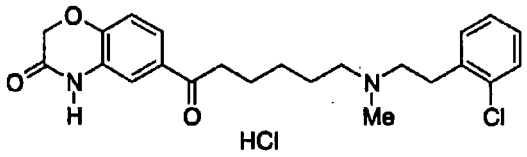
fluorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.32-1.42(2H, m), 1.61-1.78(4H, m), 2.81(3H, s), 2.92-3.30(8H, br.), 4.67(2H, s), 7.03(1H, d, J = 8.4Hz), 7.05-7.24(2H, m), 7.29-7.43(2H, m), 7.51(1H, d, J = 1.8Hz), 7.61(1H, dd, J = 8.4, 1.8Hz), 10.60(1H, br.s), 10.90(1H, s).

MS m/z: 399 $[M+H]^+$

Example 124

6-[6-[[2-(2-Chlorophenyl)ethyl](methyl)amino]hexanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



Using 6-(6-bromohexanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 8 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

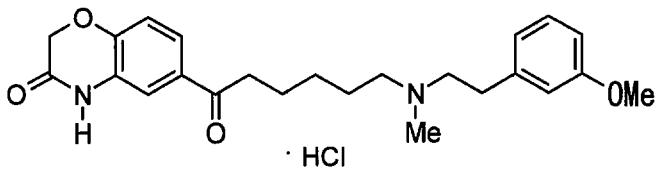
^{1}H NMR(200MHz, DMSO-d_6) δ 1.33-1.43(2H, m), 1.61-1.78(4H, m), 2.85(3H, d, J = 4.8Hz), 2.96(2H, t, J = 7Hz), 3.12-3.21(6H, m), 4.66(2H, s), 7.03(1H, d, J = 8.4Hz), 7.27-7.37(2H, m), 7.41-7.48(2H, m), 7.50(1H, d, J = 2.0Hz),

7.60(1H, dd, J = 8.2, 2.0Hz), 10.24(1H, br.s), 10.87(1H, s).

MS m/z: 415 $[M+H]^+$

Example 125

6-[6-[[2-(3-Methoxyphenyl)ethyl](methyl)amino]hexanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



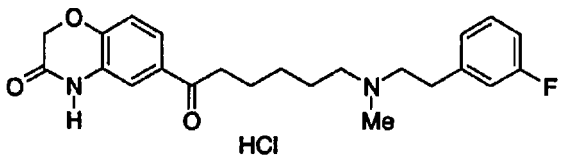
Using 6-(6-bromohexanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 8 and N-[2-(3-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.34(2H, br.), 1.61-1.78(4H, m), 2.79(3H, d, J = 3.8Hz), 2.96-3.26(8H, m), 3.75(3H, s), 4.67(2H, s), 6.84(3H, t, J = 6.9Hz), 7.03(1H, d, J = 8.4Hz), 7.25(1H, t, J = 8.0Hz), 7.52(1H, s), 7.61(1H, d, J = 7.4Hz), 10.5(1H, br.s), 10.91(1H, s).

MS m/z: 411 $[M+H]^+$

Example 126

6-[6-[[2-(3-Fluorophenyl)ethyl](methyl)amino]hexanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



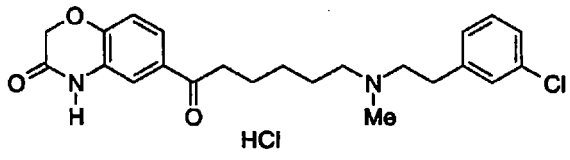
Using 6-(6-bromohexanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 8 and N-[2-(3-fluorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(300MHz, CD_3OD) δ 1.44-1.52(2H, br.), 1.73-1.86(4H, m), 2.94(3H, s), 3.00-3.19(4H, m), 3.23-3.49(4H, m), 4.67(2H, s), 6.98-7.15(4H, m), 7.33-7.40(1H, m), 7.54(1H, d, J = 2.1Hz), 7.67(1H, dd, J = 8.4Hz, 2.2Hz).

MS m/z: 399 $[M+H]^+$

Example 127

6-[6-[[2-(3-Chlorophenyl)ethyl](methyl)amino]hexanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



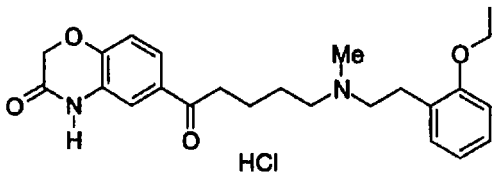
Using 6-(6-bromohexanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 8 and N-[2-(3-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(200MHz, DMSO-d_6) δ 1.33-1.40(2H, br.), 1.62-1.76(4H, m), 2.80(3H, d, J = 4.8Hz), 2.93-3.63(8H, m), 4.67(2H, s), 7.03(1H, d, J = 8.1Hz), 7.26-7.30(4H, m), 7.51(1H, d, J = 1.8Hz), 7.61(1H, d, J = 8.4Hz, 2.1Hz), 10.5(1H, br.s), 10.9(1H, s).

MS m/z: 415 $[M+H]^+$

Example 128

6-[5-[[2-(2-Ethoxyphenyl)ethyl](methyl)amino]pentanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



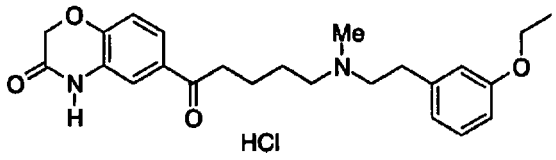
Using 6-(5-chloropentanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 7 and N-[2-(2-ethoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.41(3H, t, J = 6.9Hz), 1.56-1.63(2H, m), 1.70-1.78(2H, m), 2.33(3H, s), 2.47(2H, t, J = 7.5), 2.57-2.62(2H, m), 2.77-2.84(2H, m), 2.93(2H, t, J = 7.3H), 3.99-4.06(2H, m), 4.68(2H, s), 5.60(1H, br.s), 6.80-6.89(2H, m), 7.00(1H, d, J = 8.4Hz), 7.10-7.26(2H, m), 7.51(1H, d, J = 2.4Hz), 7.61(1H, dd, J = 8.4, 2.1Hz).

MS m/z: 411 $[M+H]^+$

Example 129

6-[5-[[2-(3-Ethoxyphenyl)ethyl](methyl)amino]pentanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



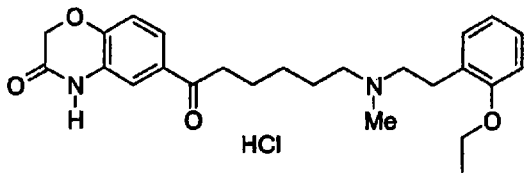
Using 6-(5-chloropentanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 7 and N-[2-(3-ethoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.40(3H, t, J = 6.9Hz), 1.53-1.61(2H, m), 1.69-1.76(2H, s), 2.30(3H, s), 2.45(2H, t, J = 7.4Hz), 2.57-2.63(2H, m), 2.69-2.76(2H, m), 2.91(2H, t, J = 7.2H), 4.00(2H,t, J = 6.9Hz), 4.67(2H, s), 5.60(1H, br.s), 6.69-6.78(3H, m), 6.99(1H, d, J = 8.1Hz), 7.16(1H, t, J = 8.0Hz), 7.52(1H, d, J = 1.8Hz), 7.58(1H, dd, J = 8.4, 2.1Hz).

MS m/z: 411 $[M+H]^+$

Example 130

6-[6-[[2-(2-Ethoxyphenyl)ethyl](methyl)amino]hexanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



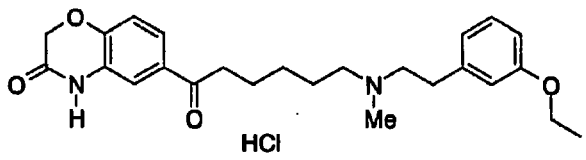
Using 6-(6-bromohexanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 8 and N-[2-(2-ethoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(base free; 200MHz, $CDCl_3$) δ 1.37-1.44(5H, m), 1.53-1.60(2H, m), 1.71-1.78(2H, s), 2.34(3H, s), 2.45(2H, t, J = 7.4Hz), 2.55-2.64(2H, m), 2.76-2.93(4H, m), 3.96-4.06(2H, m), 4.67(2H, s), 5.32(1H, br.s), 6.78-6.89(2H, m), 6.99(1H, d, J = 8.0Hz), 7.12(2H, d, J = 7.2Hz), 7.54(1H, d, J = 1.8Hz), 7.59(1H, dd, J = 8.2, 2.0Hz).

MS m/z: 425 $[M+H]^+$

Example 131

6-[6-[[2-(3-Ethoxyphenyl)ethyl](methyl)amino]hexanoyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride



Using 6-(6-bromohexanoyl)-2H-1,4-benzoxazin-3(4H)-one obtained in Reference Example 8 and N-[2-(3-ethoxyphenyl)ethyl]-N-methylamine according to the same

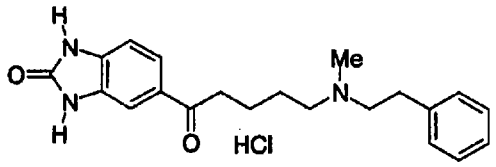
method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR(base free; 200MHz, $CDCl_3$) δ 1.32-1.42(5H, m), 1.49-1.59(2H, m), 1.69-1.78(2H, s), 2.30(3H, s), 2.42(2H, t, J = 7.6Hz), 2.59-2.66(2H, m), 2.70-2.77(2H, m), 2.89(2H, t, J = 7.2Hz), 3.97-4.04(2H, m), 4.67(2H, s), 5.38(1H, br.s), 6.69-6.78(3H, m), 6.98(1H, d, J = 8.1Hz), 7.17(1H, t, J = 7.7Hz), 7.55(1H, d, J = 1.8Hz), 7.58(1H, dd, J = 8.4, 2.1Hz).

MS m/z: 425 $[M+H]^+$

Example 132

5-[5-[Methyl(2-phenylethyl)amino]pentanoyl]-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



Using 5-(5-chloropentanoyl)-1,3-dihydro-2H-benzimidazol-2-one obtained in Reference Example 9 and N-methyl-N-(2-phenylethyl)amine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 240°C.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.65-1.75(4H, m), 2.80(3H, d, J = 4.8Hz), 3.00-3.08(6H, m), 3.23(2H, m), 7.03(1H, d, J =

8.1Hz), 7.26-7.36(5H, m), 7.67(1H, d, J = 8.4Hz),10.28(1H, s), 10.94(1H, s), 11.09(1H, s).

elementary analysis as $C_{21}H_{25}N_3O_2 \cdot HCl \cdot 0.5H_2O$

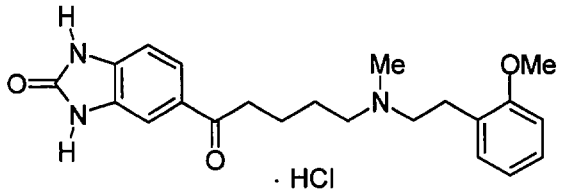
calculation value:C, 62.69; H, 6.91; N, 10.44.

experimental value:C, 62.87; H, 6.63; N, 10.37.

MS m/z: 352 $[M+H]^+$

Example 133

5-[5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl]-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



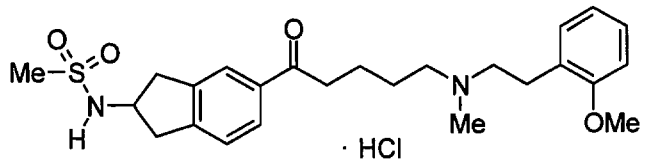
Using 5-(5-chloropentanoyl)-1,3-dihydro-2H-benzimidazol-2-one obtained in Reference Example 9 and N-[2-(2-methoxypehnyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 169 to 180°C (in a sealed tube; dec).

^1H NMR(300MHz, DMSO-d_6) δ 1.65-1.75(4H, m), 2.80(3H, d, J = 4.8Hz), 2.92-3.30(8H, m), 3.81(3H, s), 6.89-6.95(1H, m), 7.00-7.04(2H, m), 7.21-7.30(2H, m), 7.50(1H, s), 7.69(1H, dd, J = 8.3, 1.7Hz), 10.09(1H, br.s), 10.95(1H, s), 11.09(1H, s).

MS m/z: 382 $[M+H]^+$

Example 134

N-(5-{5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]pentanoyl}-2,3-dihydro-1H-inden-2-yl)methanesulfonamide hydrochloride

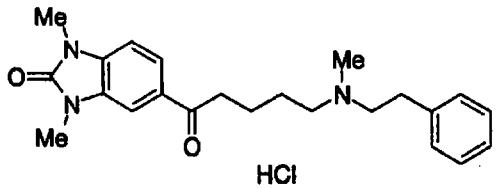


Using N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl)methanesulfonamide obtained in Reference Example 233 and N-[2-(2-methoxyphentyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.52-1.81 (4H, m), 2.33 (3H, s), 2.47 (2H, t, J = 7.4 Hz), 2.60 (2H, m), 2.78 (2H, m), 2.93 (4H, m), 3.00 (3H, s), 3.35 (2H, dd, J = 16.4, 7.0 Hz), 3.81 (3H, s), 4.32 (1H, m), 5.08 (1H, br), 6.81-6.90 (2H, m), 7.11-7.30 (3H, m), 7.78 (2H, m).

Example 135

1,3-Dimethyl-5-[5-[methyl(2-phenylethyl)amino]pentanoyl]-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



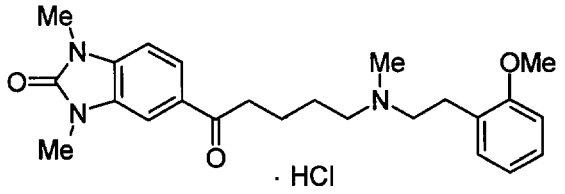
Using 5-(5-chloropentanoyl)-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one obtained in Reference Example 10 and N-methyl-N-(2-phenylethyl)amine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 142 to 157°C (in a sealed tube; dec).

^{1}H NMR(free base; 300MHz, $CDCl_3$) δ 1.54-1.69(2H, m), 1.73-1.83(2H, m), 2.31(3H, s), 2.44-2.49(2H, m), 2.58-2.64(2H, m), 2.75-2.80(2H, m), 2.98-3.03(2H, m), 3.46(3H, s), 3.47(3H, s), 6.99(1H, d, J = 8.1Hz), 7.15-7.30(5H, m), 7.63(1H, d, J = 1.5Hz), 7.69(1H, dd, J = 8.3, 1.7Hz).

MS m/z: 380 $[M+H]^+$

Example 136

5-[5-[(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl]-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



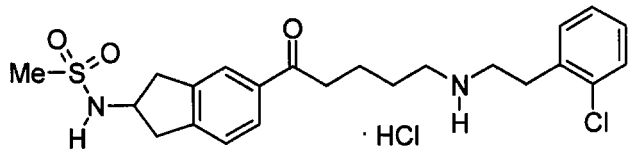
Using 5-(5-chloropentanoyl)-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one obtained in Reference Example 10 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 125 to 140°C (in a sealed tube; dec).

^{1}H NMR(free base; 200MHz, $CDCl_3$) δ 1.65-1.84(4H, m), 2.39(3H, s), 2.51-2.69(4H, m), 2.77-2.87(2H, m), 2.99-3.06(2H, m), 3.46(3H, s), 3.47(3H, s), 3.82(3H, s), 6.82-6.91(2H, m), 6.99(1H, d, J = 8.0Hz), 7.14-7.23(2H, m), 7.63(1H, d, J = 1.6Hz), 7.69(1H, dd, J = 8.4, 1.4Hz).

MS m/z: 410 $[M+H]^+$

Example 137

N-[5-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1H-inden-2-yl]methanesulfonamide hydrochloride

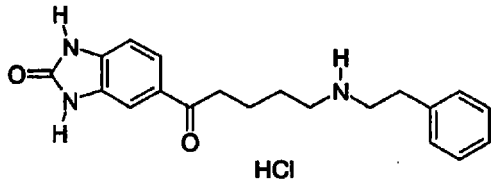


Using N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]methanesulfonamide obtained in Reference Example 233 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 156 to 158°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.52-1.81 (4H, m), 2.47 (2H, t, J = 7.4 Hz), 2.60 (2H, m), 2.64-2.98 (6H, m), 3.01 (3H, s), 3.35 (2H, dd, J = 16.4, 7.2 Hz), 4.30 (1H, m), 5.08 (2H, br), 7.07-7.34 (5H, m), 7.78 (2H, m).

Example 138

5-[5-[(2-Phenylethyl)amino]pentanoyl]-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



Using 5-(5-chloropentanoyl)-1,3-dihydro-2H-benzimidazol-2-one obtained in Reference 9 and 2-phenylethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 259°C (in a sealed tube).

^{1}H NMR(300MHz, DMSO-d_6) δ 1.68(4H, m), 2.93-3.13(8H, m), 7.03(1H, d, J = 7.8Hz), 7.26-7.37(5H, m), 7.50(1H, s), 7.70(1H, d, J = 8.1Hz), 8.88(2H, br.s), 10.95(1H, s), 11.10(1H, s).

elementary analysis as $C_{21}H_{25}N_3O_3 \cdot HCl$

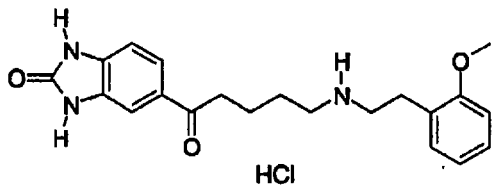
calculation value:C, 62.45; H, 6.49; N, 10.40.

experimental value:C, 62.20; H, 6.42; N, 10.38

MS m/z: 368 $[M+H]^+$

Example 139

5-(5-[[2-(2-Methoxyphenyl)ethyl]amino]pentanoyl)-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



Using 5-(5-chloropentanoyl)-1,3-dihydro-2H-benzimidazol-2-one obtained in Reference 9 and 2-(2-methoxyphenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 213°C (in a sealed tube).

^{1}H NMR(300MHz, DMSO-d_6) δ 1.67(4H, m), 2.94-3.04(8H, m), 3.80(3H, s), 6.89-6.94(1H, m), 6.99-7.04(2H, m), 7.17-7.29(2H, m), 7.50(1H, s), 7.69(1H, dd, J = 8.1, 1.5Hz), 8.86(2H, br.s), 10.95(1H, s), 11.10(1H, s).

elementary analysis as $C_{20}H_{22}N_3O_2Cl \cdot HCl$

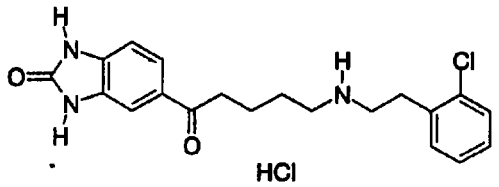
calculation value:C, 58.83; H, 5.68; N, 10.29.

experimental value:C, 58.51; H, 5.53; N, 10.26.

MS m/z: 372 $[M+H]^+$

Example 140

5-(5-[[2-(2-Chlorophenyl)ethyl]amino]pentanoyl)-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



Using 5-(5-chloropentanoyl)-1,3-dihydro-2H-benzoimidazol-2-one obtained in Reference Example 9 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 233°C.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.68(4H, m), 2.99-3.11(8H, m), 7.03(1H, d, J = 8.1Hz), 7.31-7.48(5H, m), 7.69(1H, dd, J = 8.3, 1.6Hz), 8.99(2H, br.s), 10.95(1H, s), 11.14(1H, s).

elementary analysis as $C_{20}H_{22}N_3O_2Cl \cdot HCl$

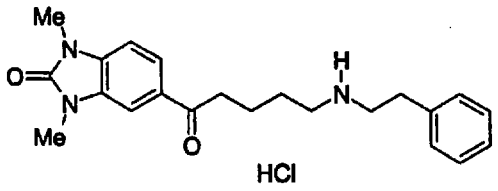
calculation value:C, 58.83; H, 5.68; N, 10.29.

experimental value:C, 58.51; H, 5.53; N, 10.26.

MS m/z: 372 $[M+H]^+$

Example 141

1,3-Dimethyl-5-[5-[(2-phenylethyl)amino]pentanoyl]-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



Using tert-butyl 5-(1,3-dimethyl-2-oxo-2,3-dihydro-1H-

benzimidazol-5-yl)-5-oxopentyl(2-phenylethyl)carbamate obtained in Reference Example 58 according to the same method as that of Example 1, the title compound was obtained as colorless crystals having a melting point of 187 to 189°C (in a sealed tube).

^{1}H NMR(300MHz, DMSO-d_6) δ 1.71(4H, m), 2.95-3.00(4H, m), 3.11(4H, m), 3.36(3H, s), 3.38(3H, s), 7.26-7.38(6H, m), 7.74(1H, d, J = 1.2Hz), 7.82(1H, dd , J = 8.1, 1.5Hz), 9.03(2H, br.s).

elementary analysis as $C_{22}H_{27}N_3O_2 \cdot HCl$

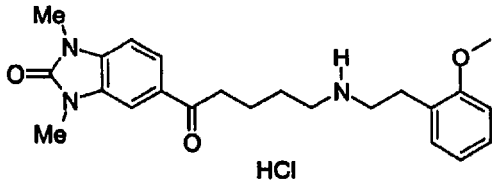
calculation value:C, 65.74; H, 7.02; N, 10.45.

experimental value:C, 65.38; H, 7.07; N, 10.55.

MS m/z: 366 $[M+H]^+$

Example 142

5-(5-[[2-(2-Methoxyphenyl)ethyl]amino]pentanoyl)-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



Using tert-butyl 5-(1,3-dimethyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate obtained in Reference Example 59 according to the same method as that of Example 1, the title compound was obtained as colorless crystals having a

melting point of 178°C (in a sealed tube).

^{1}H NMR(300MHz, DMSO-d_6) δ 1.70(4H, m), 2.91-3.13(8H, m), 3.36(3H, s), 3.38(3H,s),3.80(3H, s), 6.89-6.94(1H, m), 6.99-7.02(1H, s), 7.17-7.20(1H, m), 7.23-7.29(2H, m), 7.74(1H, d, J = 1.2Hz), 7.82(1H, dd, J = 8.1, 1.5Hz), 8.92(2H, br.s).

elementary analysis as $C_{23}H_{29}N_3O_3 \cdot HCl$

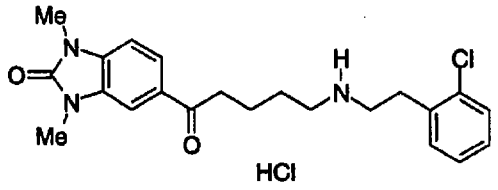
calculation value:C, 63.95; H, 7.00; N, 9.73.

experimental value:C, 63.72; H, 6.95; N, 9.75.

MS m/z: 396 $[M+H]^+$

Example 143

5-(5-[[2-(2-Chlorophenyl)ethyl]amino]pentanoyl)-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl[5-(1,3-dimethyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-oxopentyl]carbamate obtained in Reference Example 60 according to the same method as that of Example 1, the title compound was obtained as colorless crystals having a melting point of 168 to 169°C.

^{1}H NMR(300MHz, DMSO-d_6) δ 1.71(4H, m), 3.01-3.11(8H, m), 3.36(3H, s), 3.38(3H,s), 7.26-7.49(5H, m), 7.74(1H, d, J =

1.2Hz), 7.82(1H, dd, J = 8.1, 1.5Hz), 9.03 (2H, br.s).

elementary analysis as $C_{22}H_{26}N_3O_2Cl \cdot HCl$

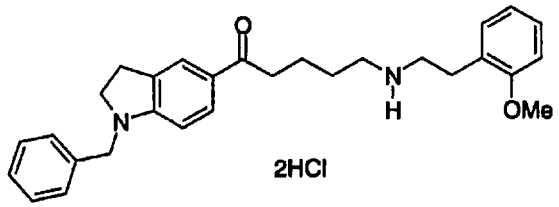
calculation value:C, 60.55; H, 6.24; N, 9.62.

experimental value:C, 60.33; H, 6.25; N, 9.62.

MS m/z: 400 $[M+H]^+$

Example 144

1-(1-Benzyl-2,3-dihydro-1H-indol-5-yl)-5-[[2-(2-methoxyphenyl)ethyl]amino]-1-pentanone dihydrochloride



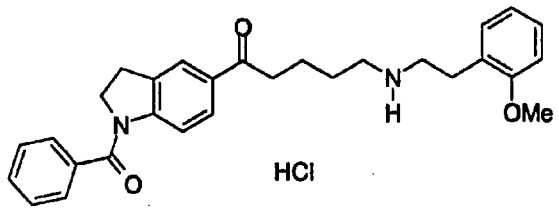
Using tert-butyl 5-(1-benzyl-2,3-dihydro-1H-indol-5-yl)-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (280 mg) obtained in Reference Example 61 according to the same method as that of Example 1, the title compound (212 mg) was obtained as colorless crystals having a melting point of 88 to 89°C.

^1H NMR(400MHz, DMSO-d_6) δ 1.61-1.65(4H, m), 2.85-3.00(10H, m), 3.47(2H, t, J = 8.5Hz), 3.78(3H, s), 4.43(2H, s), 6.57(1H, d, J = 8.3Hz), 6.89(1H, t, J = 8.3Hz), 6.98(1H, d, J = 8.0Hz), 7.17(1H, d, J = 7.5Hz), 7.22-7.35(6H, m), 7.58(1H, br), 7.61(1H, s), 7.70(1H, d, J = 8.3Hz), 9.09(2H, s).

IR (KBr) νcm^{-1}: 3336, 2950, 2786, 1687, 1602, 1496, 1454, 1246, 753.

Example 145

1-(1-Benzoyl-2,3-dihydro-1H-indol-5-yl)-5-[[2-(2-methoxyphenyl)ethyl]amino]-1-pentanone hydrochloride



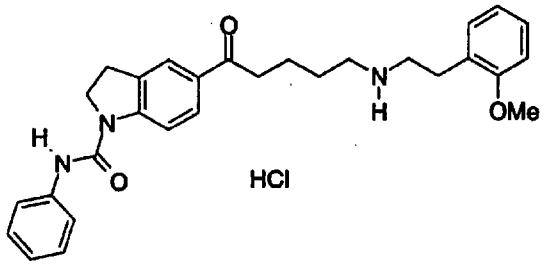
Using tert-butyl 5-(1-benzoyl-2,3-dihydro-1H-indol-5-yl)-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate obtained in Reference Example 62 according to the same method as that of Example 1, the title compound was obtained as colorless crystals having a melting point of 151 to 152°C.

^1H NMR(400MHz, DMSO-d_6) δ 1.61-1.71(4H, m), 2.98-3.03(8H, m), 3.18(2H, t, J = 8.0Hz), 3.79(3H, s) 4.05(2H, t, J = 8.3Hz), 6.89(1H, t, J = 7.5Hz), 6.98(1H, d, J = 7.5Hz), 7.17(1H, d, J = 7.5Hz), 7.24(1H, t, J = 7.5Hz), 7.47-7.60(6H, m), 7.85(1H, d, J = 6.6Hz), 7.87(1H, s), 9.19(2H, s).

IR (KBr) νcm^{-1}: 3336, 2948, 2781, 1680, 1644, 1602, 1495, 1440, 1386, 1334, 1254, 762.

Example 146

5-(5-[[2-(2-Methoxypheny)ethyl]amino]pentanoyl)-N-phenyl-1-indolinecarboxamide hydrochloride



Using tert-butyl 5-[1-(anilinocarbonyl)-2,3-dihydro-1H-indol-5-yl]-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate obtained in Reference Example 63 according to the same method as that of Example 1, the title compound was obtained as colorless crystals having a melting point of 205 to 206°C (dec).

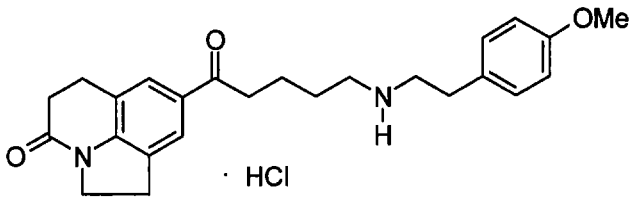
^{1}H NMR(400MHz, DMSO-d_6) δ 1.63-1.68(4H, m), 2.93-3.03(8H, m), 3.21(2H, t, J = 8.5Hz), 3.79(3H, s), 4.23(2H, t, J = 8.3Hz), 6.89(1H, t, J = 7.5Hz), 6.98(1H, d, J = 8.3Hz), 7.02(1H, t, J = 7.5Hz), 7.17(1H, d, J = 7.5Hz), 7.24(1H, t, J = 7.5Hz), 7.29(2H, t, J = 6.6Hz), 7.59(2H, d, J = 8.5Hz), 7.79(1H, s), 7.82(1H, d, J = 8.5Hz), 7.93(1H, d, J = 8.5Hz), 8.78(1H, s), 9.10(2H,s).

IR (KBr) νcm^{-1}: 3400, 2936, 2771, 1680, 1597, 1539, 1491, 1445, 1340, 1246, 752.

Example 147

8-(5-[2-(4-Methoxyphenyl)ethyl]amino}pentanoyl)-1,2,5,6-

tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(4-methoxyphenyl)ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (1.23 g) obtained in Reference Example 79 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (914 mg) having a melting point of 179 to 181°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.8Hz), 2.85-3.15 (10H, m), 3.17 (2H, t, J = 8.4Hz), 3.73 (3H, s), 3.99 (2H, t, J = 8.4Hz), 6.89 (2H, d, J = 8.4Hz), 7.18 (2H, d, J = 8.4Hz), 7.73 (2H, s), 9.00-9.20 (2H, br).

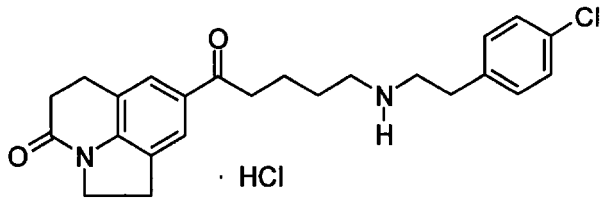
elementary analysis as $C_{25}H_{30}N_2O_3 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 66.43; H, 7.14; N, 6.20.

experimental value:C, 66.41; H, 7.04; N, 6.06.

Example 148

8-(5-{[2-(4-Chlorophenyl)ethyl]amino}pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(4-chlorophenyl)ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (941 mg) obtained in Reference Example 80 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (708 mg) having a melting point of 193 to 195°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.8Hz), 2.75-3.25 (10H, m), 3.18 (2H, t, J = 8.4Hz), 3.99 (2H, t, J = 8.4Hz), 7.31 (2H, d, J = 8.4Hz), 7.40 (2H, d, J = 8.4Hz), 7.73 (2H, s), 9.05-9.30 (2H, br).

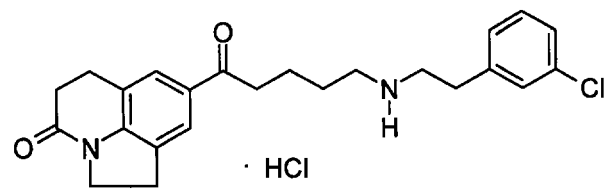
elementary analysis as $C_{24}H_{27}ClN_2O_2 \cdot HCl$

calculation value:C, 64.43; H, 6.31; N, 6.26.

experimental value:C, 64.07; H, 6.40; N, 6.07.

Example 149

8-(5-{[2-(3-Chlorophenyl)ethyl]amino}pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



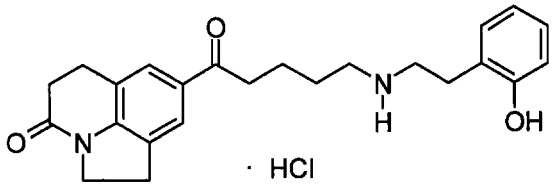
Using tert-butyl 2-(3-chlorophenyl)ethyl[5-oxo-5-(4-

oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (1.19 g) obtained in Reference Example 81 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (972 mg) having a melting point of 157 to 159°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.60 (2H, t, J = 7.8Hz), 2.85-3.20 (10H, m), 3.18 (2H, t, J = 8.4Hz), 3.99 (2H, t, J = 8.4Hz), 7.20-7.45 (4H, m), 7.73 (2H, s), 8.80-9.05 (2H, br).

Example 150

8-(5-{[2-(2-Hydroxyphenyl)ethyl]amino}pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(2-hydroxyphenyl)ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (637 mg) obtained in Reference Example 82 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (530 mg) having a melting point of 112 to 113°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.60-1.80 (4H, m), 2.59 (2H, t, J = 7.8Hz), 2.85-3.10 (10H, m), 3.17 (2H, t, J = 8.4Hz), 3.98 (2H, t, J = 8.4Hz), 4.50-5.50 (1H, br), 6.73 (1H, dt,

J = 7.5, 1.2Hz), 6.88 (1H, dd, J = 7.8, 1.2Hz), 7.00-7.10 (2H, m), 7.72 (1H, s), 7.73 (1H, s), 9.00-9.20 (2H, br).

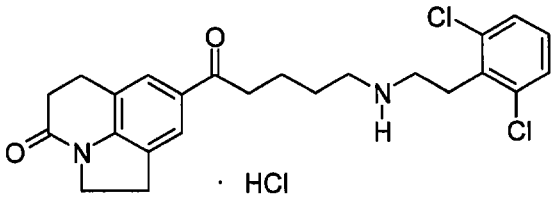
elementary analysis as $C_{24}H_{28}N_2O_3 \cdot HCl \cdot 2.0H_2O$

calculation value:C, 61.99; H, 7.15; N, 6.02.

experimental value:C, 61.97; H, 6.87; N, 5.88.

Example 151

8-(5-{[2-(2,6-Dichlorophenyl)ethyl]amino}pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(2,6-dichlorophenyl)ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (1.08 g) obtained in Reference Example 83 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (618 mg) having a melting point of 171 to 174°C.

^1H NMR (300MHz, DMSO-d_6) δ 1.60-1.80 (4H, m), 2.59 (2H, t, J = 7.8Hz), 2.90-3.10 (8H, m), 3.17 (2H, t, J = 8.4Hz), 3.25-3.35 (2H, m), 3.98 (2H, t, J = 8.4Hz), 7.33 (1H, dd, J = 8.7, 7.5Hz), 7.49 (2H, d, J = 7.5Hz), 7.72 (1H, s), 7.73 (1H, s), 9.25-9.50 (2H, br).

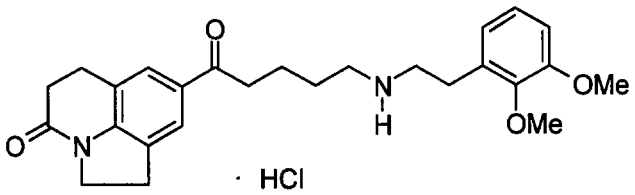
elementary analysis as $C_{24}H_{26}Cl_2N_2O_2 \cdot HCl$

calculation value:C, 59.82; H, 5.65; N, 5.81.

experimental value:C, 59.48; H, 5.67; N, 5.53.

Example 152

8-(5-{[2-(2,3-Dimethoxyphenyl)ethyl]amino}pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(2,3-dimethoxyphenyl)ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (1.13 g) obtained in Reference Example 84 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (708 mg) having a melting point of 154 to 156°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.60-1.80 (4H, m), 2.58 (2H, t, J = 7.8Hz), 2.90-3.10 (10H, m), 3.16 (2H, t, J = 8.4Hz), 3.73 (3H, s), 3.78 (3H, s), 3.97 (2H, t, J = 8.4Hz), 6.79 (1H, dd, J = 8.2, 1.8Hz), 6.94 (1H, dd, J = 8.0, 1.8Hz), 6.95-7.05 (1H, m), 7.71 (1H, s), 7.72 (1H, s), 8.80-9.10 (2H, br).

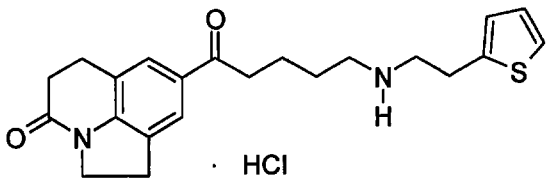
elementary analysis as $C_{26}H_{32}N_2O_4 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 64.79; H, 7.11; N, 5.81.

experimental value:C, 64.34; H, 7.03; N, 5.55.

Example 153

8-(5-[2-(2-Thienyl)ethyl]amino}pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

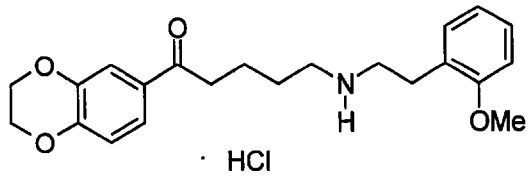


Using tert-butyl 5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl[2-(2-thienyl)ethyl]carbamate (1.44 g) obtained in Reference Example 85 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (700 mg) having a melting point of 167 to 169°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.60-1.80 (4H, m), 2.59 (2H, t, J = 7.8Hz), 2.90-3.05 (6H, m), 3.10-3.30 (6H, m), 3.98 (2H, t, J = 8.4Hz), 6.95-7.00 (2H, m), 7.40(1H, dd, J = 4.8, 1.5Hz), 7.72 (1H, s), 7.73 (1H, s), 9.10-9.30 (2H, br).

Example 154

1-(2,3-Dihydro-1,4-benzodioxin-6-yl)-5-{[2-(2-methoxyphenyl)ethyl]amino}-1-pentanone hydrochloride



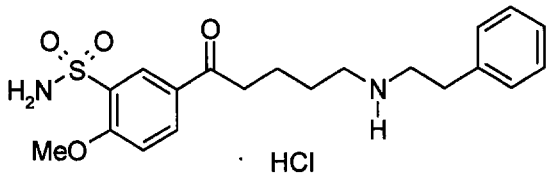
Using tert-butyl 5-(2,3-dihydro-1,4-benzodioxin-6-yl)-

5-oxopentyl[2-(2-methoxypenyl)ethyl]carbamate (1.18 g) obtained in Reference Example 86 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (752 mg) having a melting point of 172 to 174°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.45-1.80 (4H, m), 2.90-3.15 (6H, m), 3.30-3.45 (6H, m), 3.80 (3H, s), 6.90 (1H, t, J = 7.4Hz), 6.99 (1H, d, J = 8.4Hz), 7.18 (1H, dd, J = 7.4, 1.8Hz), 7.20-7.30 (2H, m), 7.73 (1H, d, J = 1.5Hz), 7.80 (1H, dd, J = 8.1, 1.5Hz), 8.95-9.15 (2H, br).

Example 155

2-Methoxy-5-{5-[(2-phenylethyl)amino]pentanoyl}benzenesulfonamide hydrochloride



Using tert-butyl 5-[3-(aminosulfonyl)-4-methoxyphenyl]-5-oxopentyl(2-phenylethyl)carbamate (1.00 g) obtained in Reference Example 87 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (833 mg) having a melting point of 160 to 168°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.60-1.80 (4H, m), 2.85-3.20 (8H,

m), 3.98 (3H, s), 7.20-7.40 (8H, m), 8.20 (1H, dd, J = 8.8, 2.1Hz), 8.28 (1H, d, J = 2.1Hz), 8.80-9.10 (2H, br).

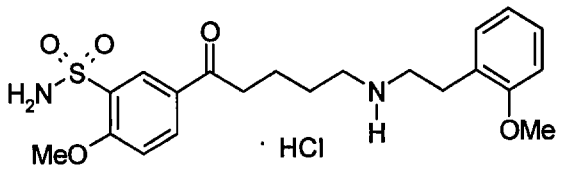
elementary analysis as $C_{20}H_{26}N_2O_4S \cdot HCl \cdot 0.5H_2O$

calculation value:C, 55.10; H, 6.47; N, 6.43.

experimental value:C, 55.08; H, 6.37; N, 6.28.

Example 156

2-Methoxy-5-(5-{[2-(2-methoxyphenyl)ethyl]amino}pentanoyl)benzenesulfonamide hydrochloride



Using tert-butyl 5-[3-(aminosulfonyl)-4-methoxyphenyl]-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (740 mg) obtained in Reference Example 88 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (504 mg) having a melting point of 139 to 141°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.60-1.80 (4H, m), 2.85-3.10 (8H, m), 3.78 (3H, s), 3.98 (3H, s), 6.89 (1H, dt, J = 7.3, 1.5Hz), 6.98 (1H, d, J = 8.1Hz), 7.16 (1H, dd, J = 7.3, 1.5Hz), 7.20-7.25 (3H, m), 7.31 (1H, d, J = 8.7Hz), 8.20 (1H, dd, J = 8.7, 2.4Hz), 8.28 (1H, d, J = 2.4Hz), 8.80-9.05 (2H, br).

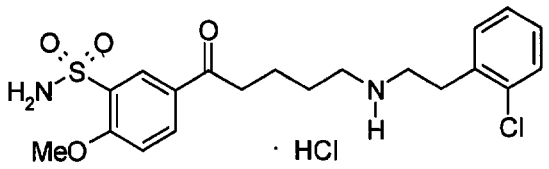
elementary analysis as $C_{21}H_{28}N_2O_5S \cdot HCl \cdot 0.5H_2O$

calculation value:C, 54.13; H, 6.49; N, 6.01.

experimental value:C, 54.71; H, 6.50; N, 5.86.

Example 157

5-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-2-methoxybenzenesulfonamide hydrochloride



Using tert-butyl 5-[3-(aminosulfonyl)-4-methoxyphenyl]-5-oxopentyl[2-(2-chlorophenyl)ethyl]carbamate (1.00 g) obtained in Reference Example 89 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (845 mg) having a melting point of 161 to 163°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.60-1.80 (4H, m), 2.90-3.20 (8H, m), 3.99 (3H, s), 7.20-7.50 (7H, m), 8.21 (1H, dd, J = 8.6, 2.1Hz), 8.30 (1H, d, J = 2.1Hz), 9.00-9.20 (2H, br).

elementary analysis as $C_{20}H_{25}ClN_2O_4S \cdot HCl$

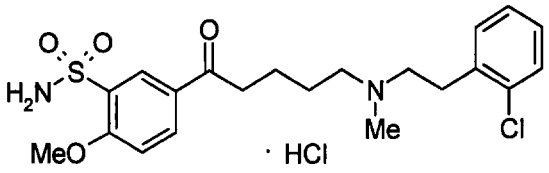
calculation value:C, 52.06; H, 5.68; N, 6.07.

experimental value:C, 52.04; H, 5.72; N, 5.93.

Example 158

5-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-2-

methoxybenzenesulfonamide hydrochloride

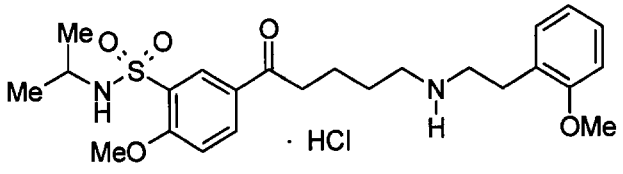


Using 5-(5-chloropentanoyl)-2-methoxybenzenesulfonamide (800 mg) obtained in Reference Example 66 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine (533 mg) according to the same method as that of Example 9, the title compound (126 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.45-1.80 (4H, m), 2.33 (3H, s), 2.40-2.65 (4H, m), 2.80-3.00 (4H, m), 4.10 (3H, s), 4.50-5.50 (2H, br), 7.00-7.40(5H, m), 8.10-8.20(1H, m), 8.20-8.30(1H, m).

Example 159

N-isopropyl-2-methoxy-5-(5-{[2-(2-methoxyphenyl)ethyl]amino}pentanoyl)benzenesulfonamide hydrochloride



Using tert-butyl 5-{3-[(isopropylamino)sulfonyl]-4-methoxyphenyl}-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (1.36 g) obtained in

Reference Example 90 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (601 mg) having a melting point of 164 to 166°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 0.96 (6H, d, J = 6.6Hz), 1.60-1.80 (4H, m), 2.85-3.40 (9H, m), 3.80 (3H, s), 4.01 (3H, s), 6.85-7.05 (2H, m), 7.15-7.45 (4H, m), 8.25 (1H, dd, J = 8.4, 2.2Hz), 8.31 (1H, d, J = 2.2Hz), 8.90-9.10 (2H, br).

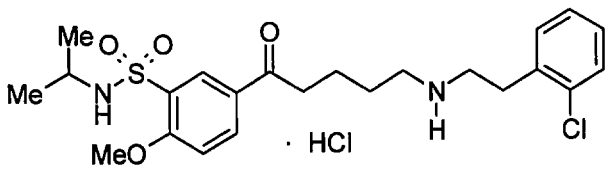
elementary analysis as $C_{24}H_{34}N_2O_5S \cdot HCl$

calculation value:C, 57.76; H, 7.07; N, 5.61.

experimental value:C, 57.41; H, 7.21; N, 5.51.

Example 160

5-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-N-isopropyl-2-methoxybenzenesulfonamide hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl(5-{3-[(isopropylamino)sulfonyl]-4-methoxyphenyl}-5-oxopentyl)carbamate (1.28 g) obtained in Reference Example 91 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (1.00 g) having a melting point of 179 to 181°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 0.96 (6H, d, J = 6.6Hz), 1.60-1.80 (4H, m), 2.90-3.50 (9H, m), 4.01 (3H, s), 7.25-7.50

(6H, m), 8.25 (1H, dd, J = 8.4, 2.2Hz), 8.32 (1H, d, J = 2.2Hz), 9.00-9.25 (2H, br).

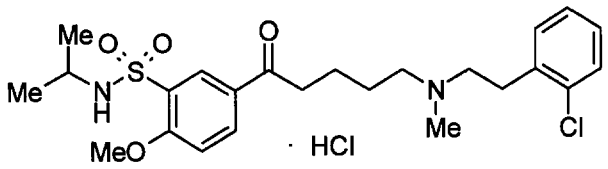
elementary analysis as $C_{23}H_{31}ClN_2O_4S \cdot HCl$

calculation value:C, 54.87; H, 6.41; N, 5.56.

experimental value:C, 54.67; H, 6.33; N, 5.33.

Example 161

5-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-N-isopropyl-2-methoxybenzenesulfonamide hydrochloride



Using 5-(5-chloropentanoyl)-N-isopropyl-2-methoxybenzenesulfonamide (800 mg) obtained in Reference Example 67 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine (470 mg) according to the same method as that of Example 9, the title compound (536 mg) was obtained as pale yellow amorphous powders.

^1H NMR (free base; 200MHz, $CDCl_3$) δ 1.06 (6H, d, J = 6.6Hz), 1.50-1.80 (4H, m), 2.34 (3H, s), 2.48 (2H, t, J = 7.2Hz), 2.55-2.70 (2H, m), 2.85-2.90 (2H, m), 2.98 (2H, t, J = 7.2Hz), 3.30-3.55 (1H, m), 4.07 (3H, s), 4.85-4.95 (1H, m), 7.05-7.35 (5H, m), 8.19 (1H, dd, J = 8.8, 2.2Hz), 8.50 (1H, d, J = 2.2Hz).

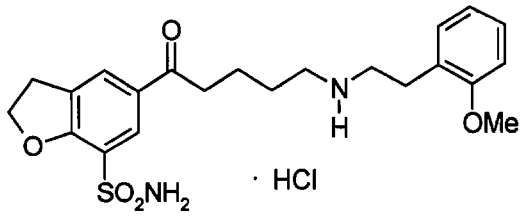
elementary analysis as $C_{24}H_{33}ClN_2O_4S \cdot HCl \cdot 0.5H_2O$

calculation value:C, 54.75; H, 6.70; N, 5.32.

experimental value:C, 54.45; H, 6.94; N, 5.11.

Example 162

5-(5-{[2-(2-Methoxyphenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



Using tert-butyl 5-[7-(aminosulfonyl)-2,3-dihydro-1-benzofuran-5-yl]-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (990 mg) obtained in Reference Example 92 according to the same method as that of Example 1, the title compound (781 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.50-1.90 (4H, m), 2.95-3.25 (8H, m), 3.41 (2H, t, J = 8.6Hz), 3.89 (3H, s), 4.91 (2H, t, J = 8.6Hz), 6.90-7.10 (2H, m), 7.20-7.40 (2H, m), 7.50 (2H, s), 8.71 (1H, s), 8.20 (1H, s), 8.95-9.25 (2H, br).

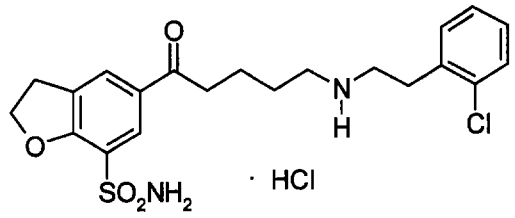
elementary analysis as $C_{22}H_{28}N_2O_5S \cdot HCl \cdot 0.5H_2O$

calculation value:C, 55.28; H, 6.33; N, 5.86.

experimental value:C, 55.48; H, 6.50; N, 5.61.

Example 163

5-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



Using tert-butyl 5-[7-(aminosulfonyl)-2,3-dihydro-1-benzofuran-5-yl]-5-oxopentyl[2-(2-chlorophenyl)ethyl]carbamate (900 mg) obtained in Reference Example 93 ccording to the same method as that of Example 1, the title compound was obtained as colorless crystals (743 mg) having a melting point of 160 to 170°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.60-1.80 (4H, m), 2.85-3.20 (8H, m), 3.32 (2H, t, J = 8.6Hz), 4.82 (2H, t, J = 8.6Hz), 7.25-7.55 (6H, m), 8.11 (1H, s), 8.12 (1H, s), 9.10-9.40 (2H, br).

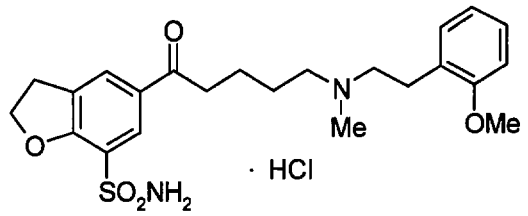
elementary analysis as $C_{21}H_{25}ClN_2O_4S \cdot HCl \cdot 0.2H_2O$

calculation value:C, 52.88; H, 5.58; N, 5.87.

experimental value:C, 52.93; H, 5.42; N, 5.66.

Example 164

5-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



Using 5-(5-chloropentanoyl)-2,3-dihydro-1-benzofuran-7-sulfonamide (1.00 g) obtained in Reference Example 70 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine (625 mg) according to the same method as that of Example 9, the title compound (527 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 1.50-1.80 (4H, m), 2.36 (3H, s), 2.52 (2H, t, J = 7.2Hz), 2.60-2.65 (2H, m), 2.75-2.80 (2H, m), 2.91 (2H, t, J = 7.2Hz), 3.00-5.00 (2H, br), 3.04 (2H, t, J = 8.7Hz), 3.81 (3H, s), 4.87 (2H, t, J = 8.7Hz), 6.80-6.90 (2H, m), 7.10-7.20 (2H, m), 7.98 (1H, s), 8.19 (1H, s).

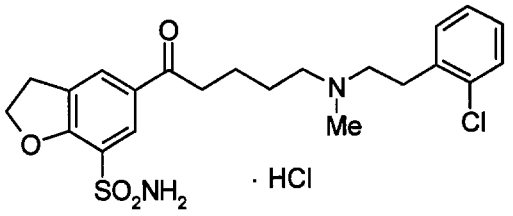
elementary analysis as $C_{23}H_{30}N_2O_5S \cdot HCl \cdot 0.5H_2O$

calculation value:C, 56.14; H, 6.56; N, 5.69.

experimental value:C, 55.83; H, 6.81; N, 5.45.

Example 165

5-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride

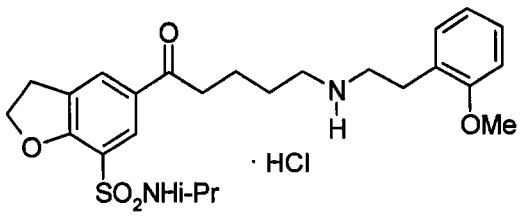


Using 5-(5-chloropentanoyl)-2,3-dihydro-1-benzofuran-7-sulfonamide (800 mg) obtained in Reference Example 70 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine (513 mg) according to the same method as that of Example 9, the title compound (519 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 1.45-1.80 (4H, m), 2.34 (3H, s), 2.48 (2H, t, J = 7.2Hz), 2.55-2.65 (2H, m), 2.80-2.90 (4H, m), 3.32 (2H, t, J = 8.7Hz), 3.50-5.50 (2H, br), 4.88 (2H, t, J = 8.7Hz), 7.10-7.35 (4H, m), 7.99 (1H, s), 8.18 (1H, s).

Example 166

N-isopropyl-5-(5-{[2-(2-methoxyphenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



Using tert-butyl 5-{7-[(isopropylamino)sulfonyl]-2,3-

dihydro-1-benzofuran-5-yl}-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (1.00 g) obtained in Reference Example 94 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (707 mg) having a melting point of 157 to 159°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 0.99 (6H, d, J = 6.6Hz), 1.60-1.85 (4H, m), 2.80-3.15 (7H, m), 3.20-3.50 (4H, m), 3.80 (3H, s), 4.82 (2H, t, J = 8.8Hz), 6.85-7.05 (2H, m), 7.15-7.30 (2H, m), 7.60 (1H, d, J = 7.6Hz), 8.10 (2H, s), 8.90-9.15 (2H, br).

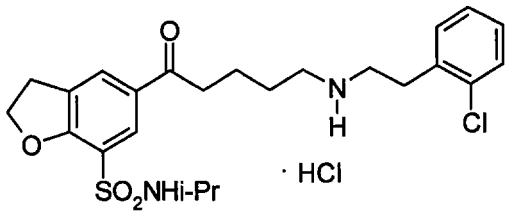
elementary analysis as $C_{25}H_{34}N_2O_5S \cdot HCl$

calculation value:C, 58.75; H, 6.90; N, 5.48.

experimental value:C, 58.33; H, 6.92; N, 5.31.

Example 167

5-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-N-isopropyl-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl(5-{7-[(isopropylamino)sulfonyl]-2,3-dihydro-1-benzofuran-5-yl}-5-oxopentyl)carbamate (2.10 g) obtained in Reference

Example 95 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (2.16 g) having a melting point of 149 to 151°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 0.99 (6H, d, J = 6.6Hz), 1.60-1.85 (4H, m), 2.90-3.20 (7H, m), 3.25-3.45 (4H, m), 4.82 (2H, t, J = 8.8Hz), 7.30-7.50 (4H, m), 7.60 (1H, d, J = 7.6Hz), 8.10 (2H, s), 8.90-9.30 (2H, br).

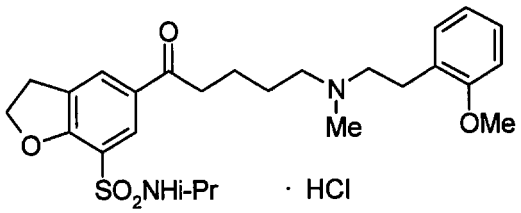
elementary analysis as $C_{24}H_{31}ClN_2O_4S \cdot HCl$

calculation value:C, 55.92; H, 6.26; N, 5.43.

experimental value:C, 55.78; H, 5.99; N, 5.26.

Example 168

N-isopropyl-5-{5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]pentanoyl}-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



Using 5-(5-chloropentanoyl)-N-isopropyl-2,3-dihydro-1-benzofuran-7-sulfonamide (1.00 g) obtained in Reference Example 71 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine (551 mg) according to the same method as that of Example 9, the title compound (470 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.10 (6H, d, J = 6.6Hz), 1.45-1.80 (4H, m), 2.32 (3H, s), 2.46 (2H, t, J = 7.2Hz), 2.50-2.60 (2H, m), 2.70-2.85 (2H, m), 2.96 (2H, t, J = 7.2Hz), 3.33 (2H, t, J = 8.8Hz), 3.35-3.55 (1H, m), 3.82 (3H, s), 4.65-4.80 (1H, m), 4.86 (2H, t, J = 8.8Hz), 6.80-6.90 (2H, m), 7.10-7.20 (2H, m), 8.04 (1H, d, J = 2.0Hz), 8.24 (1H, d, J = 2.0Hz).

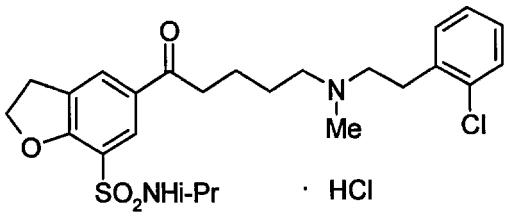
elementary analysis as $C_{26}H_{36}N_2O_5S \cdot HCl \cdot 0.5H_2O$

calculation value:C, 58.47; H, 7.17; N, 5.24.

experimental value:C, 58.53; H, 7.31; N, 5.15.

Example 169

5-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-N-isopropyl-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



Using 5-(5-chloropentanoyl)-N-isopropyl-2,3-dihydro-1-benzofuran-7-sulfonamide (1.00 g) obtained in Reference Example 71 and N-[2-(2-chlorophenyl)ethoxy]-N-methylamine (565 mg) according to the same method as that of Example 9, the title compound (490 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.10 (6H, d, J = 6.6Hz), 1.45-1.80 (4H, m), 2.31 (3H, s), 2.48 (2H, t, J = 7.2Hz), 2.55-2.70 (2H, m), 2.80-3.00 (4H, m), 3.33 (2H, t, J = 8.8Hz), 3.35-3.60 (1H, m), 4.68 (1H, d, J = 7.4Hz), 4.86 (2H, t, J = 8.8Hz), 7.10-7.40 (4H, m), 8.04 (1H, d, J = 2.0Hz), 8.24 (1H, d, J = 2.0Hz).

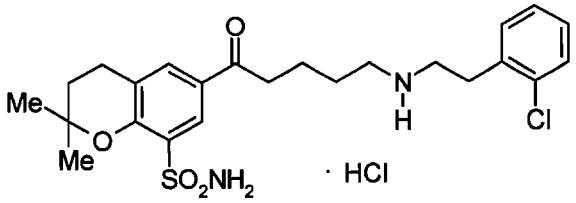
elementary analysis as $C_{25}H_{33}ClN_2O_4S \cdot HCl \cdot 0.5H_2O$

calculation value:C, 55.76; H, 6.55; N, 5.20.

experimental value:C, 55.38; H, 6.72; N, 5.07.

Example 170

6-(6-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-2,2-dimethyl-8-chlomansulfonamide hydrochloride



Using tert-butyl 5-[8-(aminosulfonyl)-2,2-dimethyl-3,4-dihydro-2H-chlomen-6-yl]-5-oxopentyl[2-(2-chlophenyl)ethyl]carbamate (715 mg) obtained in Reference Example 96 according to the same method as that of Example 1, the title compound (568 mg) was obtained as colorless amorphous powders.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.40 (6H, s), 1.60-1.80 (4H, m), 1.87 (2H, t, J = 6.6Hz), 2.88 (2H, t, J = 6.6Hz), 2.90-3.20

(8H, m), 7.01 (2H, s), 7.25-7.50 (4H, m), 7.39 (1H, d, J = 2.1Hz), 8.14 (1H, d, J = 2.1Hz), 9.10-9.30 (2H, br).

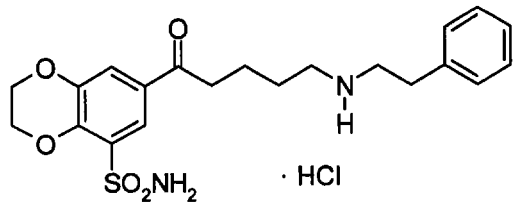
elementary analysis as $C_{24}H_{31}ClN_2O_4S \cdot HCl \cdot 0.5H_2O$

calculation value:C, 54.96; H, 6.34; N, 5.34.

experimental value:C, 55.00; H, 6.36; N, 5.11.

Example 171

7-{5-[(2-Phenylethyl)amino]pentanoyl}-2,3-dihydro-1,4-benzodioxin-5-sulfonamide hydrochloride



Using tert-butyl 5-[8-(aminosulfonyl)-2,3-dihydro-1,4-benzodioxin-6-yl]-5-oxopentyl(2-phenylethyl)carbamate (1.05 g) obtained in Reference Example 97 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (832 mg) having a melting point of 152 to 154°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.85-3.20 (8H, m), 4.30-4.40 (2H, m), 4.40-4.50 (2H, m), 7.20-7.40 (7H, m), 7.69 (1H, d, J = 2.1Hz), 7.89 (1H, d, J = 2.1Hz), 8.95-9.20 (2H, br).

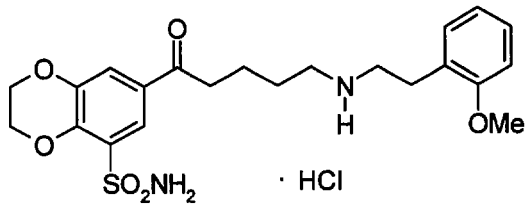
elementary analysis as $C_{21}H_{26}N_2O_5S \cdot HCl$

calculation value:C, 55.32; H, 6.19; N, 6.14.

experimental value:C, 55.15; H, 6.06; N, 6.00.

Example 172

7-(5-{[2-(2-Methoxyphenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1,4-benzodioxin-5-sulfonamide hydrochloride



Using tert-butyl 5-[8-(aminosulfonyl)-2,3-dihydro-1,4-benzodioxin-6-yl]-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (820 mg) obtained in Reference Example 98 according to the same method as that of Example 1benzodioxin, the title compound was obtained as colorless crystals (632 mg) having a melting point of 166 to 168°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.85-3.10 (8H, m), 3.80 (3H, s), 4.30-4.40 (2H, m), 4.40-4.50 (2H, m), 6.90 (1H, t, J = 7.5Hz), 6.99 (1H, d, J = 8.1Hz), 7.17 (1H, d, J = 7.5Hz), 7.25 (1H, t, J = 7.5Hz), 7.36 (2H, s), 7.69 (1H, d, J = 2.1Hz), 7.88 (1H, d, J = 2.1Hz), 8.80-9.15 (2H, br).

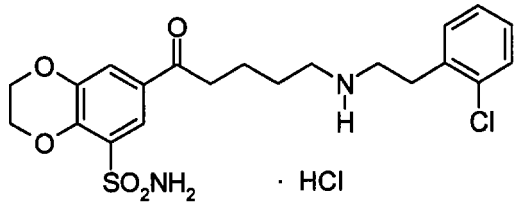
elementary analysis as $C_{22}H_{28}ClN_2O_6S \cdot HCl$

calculation value:C, 54.48; H, 6.03; N, 5.78.

experimental value:C, 54.12; H, 6.13; N, 5.64.

Example 173

7-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1,4-benzodioxin-5-sulfonamide hydrochloride



Using tert-butyl 5-[8-(aminosulfonyl)-2,3-dihydro-1,4-benzodioxin-6-yl]-5-oxopentyl[2-(2-chlorophenyl)ethyl]carbamate (1.08 g) obtained in Reference Example 99 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (850 mg) having a melting point of 178 to 180°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.60-1.80 (4H, m), 2.90-3.20 (8H, m), 4.30-4.40 (2H, m), 4.40-4.50 (2H, m), 7.25-7.50 (6H, m), 7.69 (1H, d, J = 2.1Hz), 7.88 (1H, d, J = 2.1Hz), 8.95-9.25 (2H, br).

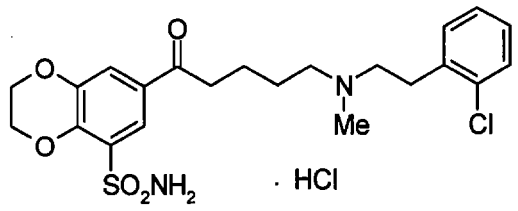
elementary analysis as $C_{21}H_{25}ClN_2O_5S \cdot HCl \cdot H_2O$

calculation value:C, 49.71; H, 5.56; N, 5.52.

experimental value:C, 49.72; H, 5.61; N, 5.35.

Example 174

7-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-2,3-dihydro-1,4-benzodioxin-5-sulfonamide hydrochloride

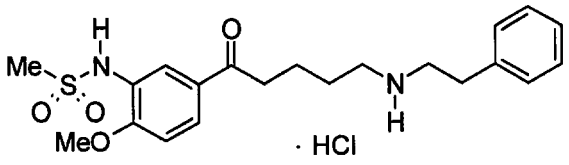


Using 5-chloro-1-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-pentanone (1.00 g) obtained in Reference Example 75 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine (565 mg) according to the same method as that of Example 9, the title compound (490 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 1.45-1.75 (4H, m), 2.31 (3H, s), 2.45 (2H, t, J = 7.2Hz), 2.50-2.65 (2H, m), 2.80-2.95 (4H, m), 4.00-5.50 (2H, br), 4.30-4.40 (2H, m), 4.35-4.40 (2H, m), 7.05-7.35 (4H, m), 7.63 (1H, s), 7.98 (1H, s).

Example 175

N-(2-methoxy-5-{5-[(2-phenylethyl)amino]pentanoyl}phenyl)methanesulfonamide hydrochloride



Using tert-butyl 5-{4-methoxy-3-[(methylsulfonyl)amino]phenyl}-5-oxopentyl(2-phenylethyl)carbamate (1.32 g) obtained in Reference Example 100 according to the same method as that of Example

1, the title compound was obtained as colorless crystals (945 mg) having a melting point of 131 to 133°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.55-1.75(4H, m), 2.85-3.20(8H, m), 2.96(3H, s), 3.89(3H, s), 7.15-7.40(6H, m), 7.80-7.90(2H, m), 8.85-9.15(2H, br), 9.14(1H, s).

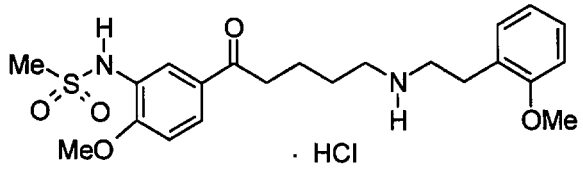
elementary analysis as $C_{21}H_{28}N_2O_4S \cdot HCl \cdot 0.5H_2O$

calculation value:C, 56.05; H, 6.72; N, 6.23.

experimental value:C, 56.18; H, 6.62; N, 6.25.

Example 176

N-[2-methoxy-5-(5-{[2-(2-methoxyphenyl)ethyl]amino}pentanoyl)phenyl]methanesulfonamide hydrochloride



Using tert-butyl 5-{4-methoxy-3-[(methylsulfonyl)amino]phenyl}-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (550 mg) obtained in Reference Example 101 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (374 mg) having a melting point of 129 to 131°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.60-1.75(4H, m), 2.90-3.10(8H, m), 2.98(3H, s), 3.80(3H, s), 3.92(3H, s), 6.91(1H, t, J = 7.5Hz), 7.00(1H, d, J = 8.1Hz), 7.15-7.30(3H, m), 7.86(1H,

d, J = 1.8Hz), 7.89(1H, dd, J = 8.5, 1.8Hz), 8.85-9.05(2H, br), 9.15(1H, s).

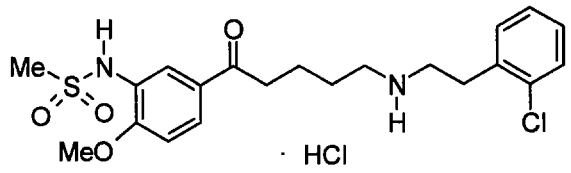
elementary analysis as $C_{22}H_{30}N_2O_5S \cdot HCl \cdot 0.5H_2O$

calculation value:C, 55.05; H, 6.72; N, 5.84.

experimental value:C, 55.20; H, 6.44; N, 5.80.

Example 177

N-[5-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-2-methoxyphenyl]methanesulfonamide hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl(5-{4-methoxy-3-[(methylsulfonyl)amino]phenyl}-5-oxopentyl)carbamate (1.52 g) obtained in Reference Example 102 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (1.03 g) having a melting point of 133 to 135°C.

^1H NMR (300MHz, $CDCl_3$) δ 1.75-2.10 (4H, m), 2.95-3.15 (4H, m), 3.00 (3H, s), 3.20-3.30 (2H, m), 3.35-3.45 (2H, m), 3.96 (3H, s), 6.92 (1H, s), 6.94 (1H, d, J = 8.4Hz), 7.10-7.40 (4H, m), 7.75 (1H, dd, J = 5.7, 1.4Hz), 8.04 (1H, d, J = 1.4Hz), 9.65-9.80 (2H, br).

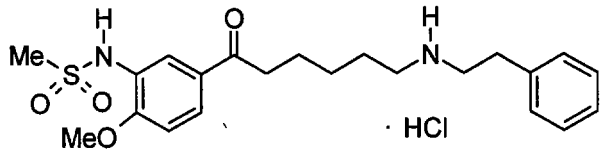
elementary analysis as $C_{21}H_{27}ClN_2O_4S \cdot HCl \cdot 0.5H_2O$

calculation value:C, 52.07; H, 6.03; N, 5.78.

experimental value:C, 52.43; H, 5.78; N, 5.81.

Example 178

N-(2-methoxy-5-{6-[(2-phenylethyl)amino]hexanoyl}phenyl)methanesulfonamide hydrochloride



Using tert-butyl 6-{4-methoxy-3-[(methylsulfonyl)amino]phenyl}-6-oxohexyl(2-phenylethyl)carbamate (918 mg) obtained in Reference Example 103 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (751 mg) having a melting point of 136 to 138°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.45(2H, m), 1.55-1.75(4H, m), 2.85-3.10(8H, m), 2.98(3H, s), 3.92(3H, s), 7.15-7.40(6H, m), 7.80-7.90(2H, m), 8.95-9.20(2H, br), 9.16(1H, s).

elementary analysis as $C_{22}H_{30}N_2O_4S \cdot HCl \cdot 1.5H_2O$

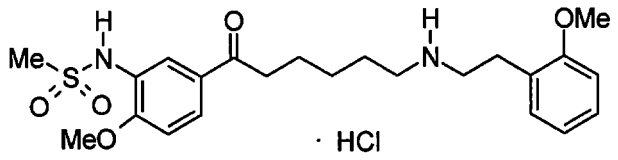
calculation value:C, 54.82; H, 7.11; N, 5.81.

experimental value:C, 55.19; H, 7.34; N, 5.72.

Example 179

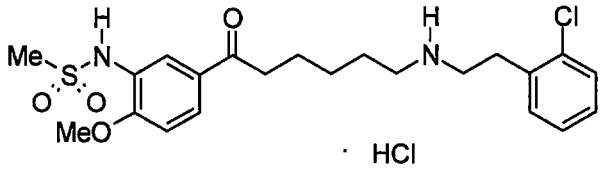
N-[2-methoxy-5-(6-{[2-(2-

methoxyphenyl)ethyl]amino}hexanoyl)phenyl]methanesulfonamid
e hydrochloride



Using tert-butyl 6-{4-methoxy-3-
[(methylsulfonyl)amino]phenyl}-6-oxohexyl[2-(2-
methoxyphenyl)ethyl]carbamate (1.00 g) obtained in
Reference Example 104 according to the same method as that
of Example 1, the title compound was obtained as colorless
crystals (802 mg) having a melting point of 142 to 144°C.
^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.45(2H, m), 1.55-1.75(4H,
m), 2.80-3.10(8H, m), 2.98(3H, s), 3.80(3H, s), 3.92(3H, s),
6.92(1H, t, J = 7.5Hz), 7.00(1H, d, J = 7.5Hz), 7.15-
7.30(3H, m), 7.80-7.90(2H, m), 8.75-9.05(2H, br), 9.16(1H,
s).
elementary analysis as $C_{23}H_{32}N_2O_5S$•HCl
calculation value:C, 56.95; H, 6.86; N, 5.78.
experimental value:C, 56.61; H, 6.87; N, 5.69.

Example 180
N-[5-(6-{[2-(2-Chlorophenyl)ethyl]amino}hexanoyl)-2-
methoxyphenyl]methanesulfonamide hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl(6-{4-methoxy-3-[(methylsulfonyl)amino]phenyl}-6-oxohexyl)carbamate (1.26 g) obtained in Reference Example 105 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (941 mg) having a melting point of 112 to 115°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.45(2H, m), 1.55-1.75(4H, m), 2.80-3.00(8H, m), 2.98(3H, s), 3.92(3H, s), 7.19(1H, d, J = 8.7Hz), 7.25-7.50(4H, m), 7.80-7.90(2H, m), 8.90-9.20(2H, br), 9.16(1H, s).

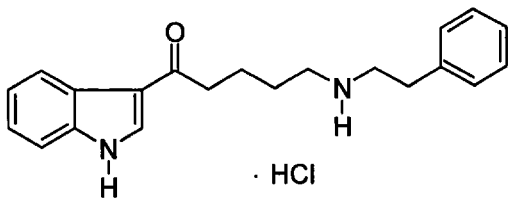
elementary analysis as $C_{22}H_{29}ClN_2O_4S \cdot HCl \cdot 0.5H_2O$

calculation value:C, 53.01; H, 6.27; N, 5.62.

experimental value:C, 52.96; H, 6.24; N, 5.64.

Example 181

1-(1H-indol-3-yl)-5-[(2-phenylethyl)amino]-1-pentanone hydrochloride



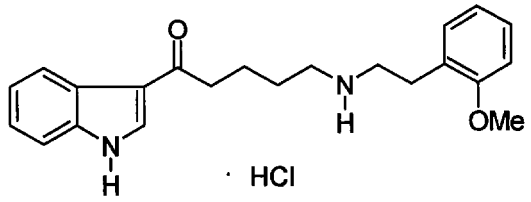
Using tert-butyl 5-(1H-indol-3-yl)-5-oxopentyl(2-

phenylethyl)carbamate (1.00 g) obtained in Reference Example 106 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (391 mg) having a melting point of 171 to 173°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.65-1.80(4H, m), 2.85-3.20(8H, m), 7.10-7.40(7H, m), 7.48(1H, d, J = 7.2Hz), 8.20(1H, d, J = 7.5Hz), 8.36(1H, d, J = 3.2Hz), 8.80-9.15(2H, br), 12.12(1H, s).

Example 182

1-(1H-indol-3-yl)-5-{[2-(2-methoxyphenyl)ethyl]amino]-1-pentanone hydrochloride



Using tert-butyl 5-(1H-indol-3-yl)-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (901 mg) obtained in Reference Example 107 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (672 mg) having a melting point of 143 to 145°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.65-1.80(4H, m), 2.85-3.15(8H, m), 3.80(3H, s), 6.91(1H, t, J = 7.4Hz), 6.99(1H, d, J = 8.0Hz), 7.10-7.30(4H, m), 7.45-7.55(1H, m), 8.15-8.25(1H, m), 8.37(1H, d, J = 3.2Hz), 8.95-9.20(2H, br), 12.12(1H, s).

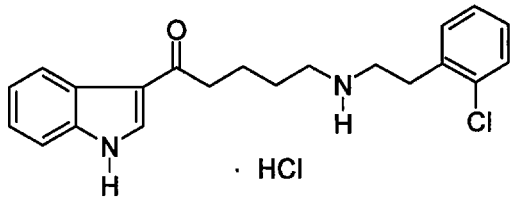
elementary analysis as $C_{22}H_{26}N_2O_2 \cdot HCl \cdot 2.0H_2O$

calculation value:C, 62.48; H, 7.39; N, 6.62.

experimental value:C, 62.48; H, 6.95; N, 6.30.

Example 183

5-{[2-(2-Chlorophenyl)ethyl]amino}-1-(1H-indol-3-yl)-1-pentanone hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl[5-(1H-indol-3-yl)-5-oxopentyl]carbamate (1.00 g) obtained in Reference Example 108 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (925 mg) having a melting point of 149 to 151°C.

^1H NMR (300MHz, DMSO-d_6) δ 1.65-1.85(4H, m), 2.80-3.20(8H, m), 7.05-7.50(7H, m), 8.20(1H, d, J = 6.3Hz), 8.37(1H, d, J = 3.0Hz), 9.05-9.30(2H, br), 12.12(1H, s).

elementary analysis as $C_{21}H_{23}ClN_2O \cdot HCl \cdot 3H_2O$

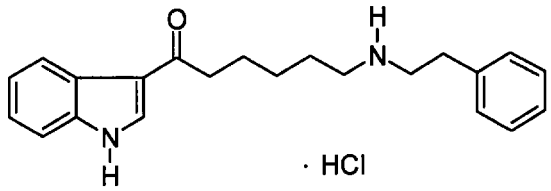
calculation value:C, 56.63; H, 6.79; N, 6.29.

experimental value:C, 56.41; H, 6.17; N, 6.04.

Example 184

1-(1H-indol-3-yl)-6-[(2-phenylethyl)amino]-1-hexanone

hydrochloride



Using tert-butyl 6-(1H-indol-3-yl)-6-oxohexyl(2-phenylethyl)carbamate (838 mg) obtained in Reference Example 109 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (650 mg) having a melting point of 160 to 162°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.50 (2H, m), 1.55-1.80 (4H, m), 2.80-3.20 (8H, m), 7.10-7.35 (7H, m), 7.47 (1H, d, J = 7.8Hz), 8.20 (1H, d, J = 6.9Hz), 8.35-8.40 (1H, m), 8.95-9.20 (2H, br), 12.05 (1H, s).

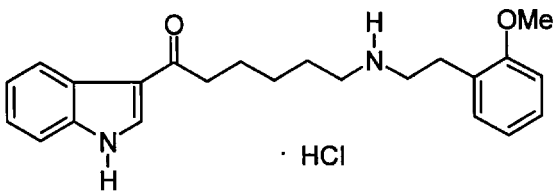
elementary analysis as $C_{22}H_{26}N_2O$•HCl

calculation value:C, 71.24; H, 7.34; N, 7.55.

experimental value:C, 70.87; H, 7.45; N, 7.53.

Example 185

1-(1H-indol-3-yl)-6-{[(2-(2-methoxyphenyl)ethyl)amino}-1-hexanone hydrochloride



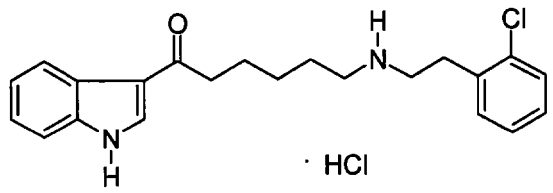
Using tert-butyl 6-(1H-indol-3-yl)-6-oxohexyl[2-(2-methoxyphenyl)ethyl]carbamate (688 mg) obtained in

Reference Example 110 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (552 mg) having a melting point of 171 to 173°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.45 (2H, m), 1.55-1.75 (4H, m), 2.80-3.10 (8H, m), 3.80 (3H, s), 6.91 (1H, t, J = 7.5Hz), 7.00 (1H, d, J = 8.4Hz), 7.10-7.30 (4H, m), 7.47 (1H, d, J = 7.8Hz), 8.20 (1H, d, J = 6.9Hz), 8.35 (1H, d, J = 3.0Hz), 8.85-9.05 (2H, br), 12.04 (1H, s).

Example 186

6-{[2-(2-Chlorophenyl)ethyl]amino}-1-(1H-indol-3-yl)-1-hexanone hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl[6-(1H-indol-3-yl)-6-oxohexyl]carbamate (860 mg) obtained in Reference Example 111 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (791 mg) having a melting point of 151 to 153°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.45(2H, m), 1.55-1.80(4H, m), 2.80-3.20(8H, m), 7.15-7.50(7H, m), 8.20(1H, d, J = 6.9Hz), 8.36(1H, d, J = 3.0Hz), 9.10-9.30(2H, br), 12.04(1H, s).

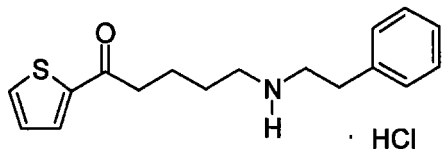
elementary analysis as $C_{22}H_{25}ClN_2O \cdot HCl \cdot 2.5H_2O$

calculation value:C, 58.67; H, 6.94; N, 6.22.

experimental value:C, 58.04; H, 6.56; N, 6.01.

Exampe 187

5-[(2-Phenylethyl)amino]-1-(2-thienyl)-1-pentanone hydrochloride

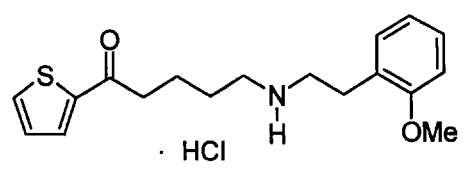


Usings tert-butyl 5-oxo-5-(2-thienyl)pentyl(2-phenylethyl)carbamate (908 mg) obtained in Reference Example 112 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (908 mg) having a melting point of 161 to 163°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.60-1.80 (4H, m), 2.85-3.20 (8H, m), 7.20-7.40 (6H, m), 7.95-8.05 (2H, m), 9.10-9.35 (2H, br).

Example 188

5-{[2-(2-Methoxyphenyl)ethyl]amino}-1-(2-thienyl)-1-pentanone hydrochloride



Using tert-butyl 2-(2-methoxyphenyl)ethyl[5-oxo-5-(2-

thienyl)pentyl]carbamate (1.45 g) obtained in Reference Example 113 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (1.04 g) having a melting point of 101 to 103°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.60-1.80(4H, m), 2.85-3.10(8H, m), 3.80(3H, s), 6.91(1H, t, J = 7.2Hz), 7.00(1H, d, J = 8.1Hz), 7.18(1H, d, J = 7.2Hz), 7.20-7.30(2H, m), 7.95-8.05(2H, m), 8.95-9.15(2H, br).

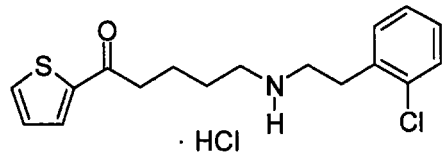
elementary analysis as $C_{18}H_{23}NO_2S \cdot HCl$

calculation value:C, 61.09; H, 6.84; N, 3.96.

experimental value:C, 60.73; H, 6.62; N, 3.85.

Example 189

5-{[2-(2-Chlorophenyl)ethyl]amino}-1-(2-thienyl)-1-pentanone hydrochloride



Using tert-butyl 2-(2-chrolophenyl)ethyl[5-oxo-5-(2-thienyl)pentyl]carbamate (1.47 g) obtained in Reference Example 114 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (1.09 g) having a melting point of 146 to 147°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.60-1.80(4H, m), 2.85-3.20(8H, m), 7.20-7.50(5H, m), 7.95-8.05(2H, m), 9.05-9.30(2H, br).

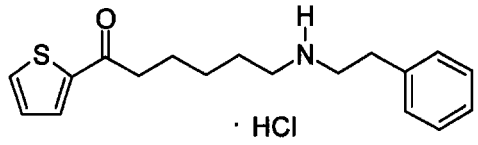
elementary analysis as $C_{17}H_{20}ClNOS \cdot HCl$

calculation value:C, 56.98; H, 5.91; N, 3.91.

experimental value:C, 56.76; H, 5.82; N, 3.96.

Example 190

6-[(2-Phenylethyl)amino]-1-(2-thienyl)-1-hexanone hydrochloride

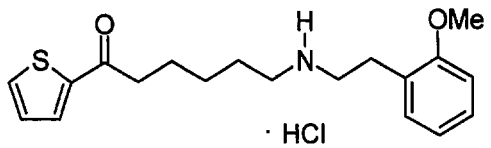


Using tert-butyl 6-oxo-6-(2-tihenyl)hexyl(2-phenylethyl)carbamate (863 mg) obtained in Reference Example 115 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (685 mg) having a melting point of 152 to 154°C.

^1H NMR (300MHz, DMSO-d_6) δ 1.30-1.45(2H, m), 1.55-1.80(4H, m), 2.80-3.20(8H, m), 7.20-7.40(6H, m), 7.95-8.05(2H, m), 9.00-9.20(2H, br).

Example 191

6-{[2-(2-Methoxyphenyl)ethyl]amino}-1-(2-thienyl)-1-hexanone hydrochloride



Using tert-butyl 2-(2-methoxypheny)ethyl[6-oxo-6-(2-tihenyl)hexyl]carbamate (884 mg) obtained in Reference Example 116 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (643 mg) having a melting point of 107 to 109°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.45(2H, m), 1.55-1.75(4H, m), 2.80-3.10(8H, m), 3.80(3H, s), 6.92(1H, t, J = 7.5Hz), 7.00(1H, d, J = 8.4Hz), 7.18(1H, d, J = 6.9Hz), 7.20-7.30(2H, m), 7.95-8.05(2H, m), 8.80-9.10(2H, br).

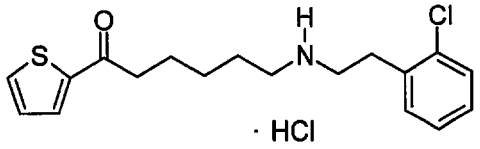
elementary analysis as $C_{19}H_{25}NO_2S \cdot HCl$

calculation value:C, 62.02; H, 7.12; N, 3.81.

experimental value:C, 61.80; H, 7.04; N, 3.88.

Example 192

6-{[2-(2-Chlorophenyl)ethyl]amino}-1-(2-thienyl)-1-hexanone hydrochloride



Using tert-butyl 2-(2-chloropheny)ethyl[6-oxo-6-(2-tihenyl)hexyl]carbamate (1.07 g) obtained in Reference Example 117 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (849 mg) having a melting point of 149 to 151°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.45(2H, m), 1.55-1.75(4H,

m), 2.80-3.20(8H, m), 7.20-7.50(5H, m), 7.95-8.05(2H, m), 9.00-9.35(2H, br).

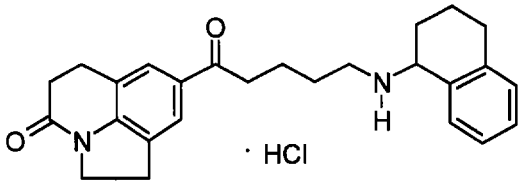
elementary analysis as $C_{18}H_{22}ClNOS \cdot HCl \cdot H_2O$

calculation value:C, 55.38; H, 6.46; N, 3.59.

experimental value:C, 55.20; H, 6.57; N, 3.67.

Example 193

(±)-8-[5-(1,2,3,4-Tetrahydro-1-naphthalenylamino)pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

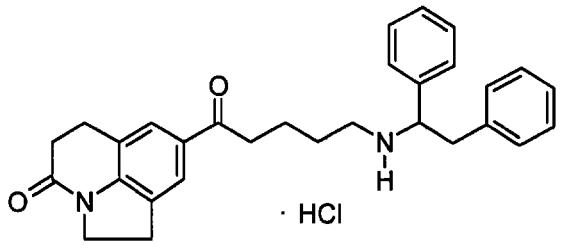


Using tert-butyl (±)-5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl(1,2,3,4-tetrahydro-1-naphthalenyl)carbamate (520 mg) obtained in Reference Example 118 according to the same method as that of Example 1, the title compound (420 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.60-2.25(8H, m), 2.59(2H, t, J = 7.6Hz), 2.65-3.05(8H, m), 3.17(2H, t, J = 8.4Hz), 3.60-3.70(1H, m), 3.98(2H, t, J = 8.4Hz), 7.15-7.35(3H, m), 7.65-7.80(3H, m), 9.05-9.35(2H, br).

Example 194

(±)-8-{5-[(1,2-Diphenylethyl)amino]pentanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

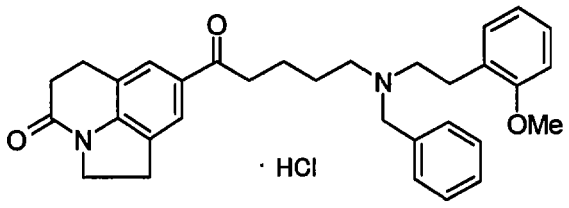


Using tert-butyl (±)-1,2-diphenylethyl[-5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (837 mg) obtained in Reference Example 119 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (472 mg) having a melting point of 210 to 212°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.45-1.80(4H, m), 2.50-2.65(3H, m), 2.75-3.05(5H, m), 3.10-3.25(3H, m), 3.55-3.70(1H, m), 3.99(2H, t, J = 8.4Hz), 4.40-4.55(1H, m), 6.95-7.05(2H, m), 7.10-7.20(3H, m), 7.30-7.40(3H, m), 7.40-7.50(2H, m), 7.70-7.80(2H, m), 9.45-9.60(1H, br), 9.85-10.05(1H, br).

Example 195

8-(5-{Benzyl[2-(2-methoxyphenyl)ethyl]amino}pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

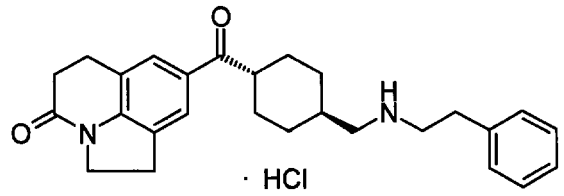


Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 1 and N-benzyl-N-[2-(2-methoxyphenyl)ethyl]amine (455 mg) according to the same method as that of Example 9, the title compound (353 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.50-1.90(6H, m), 2.55(2H, t, J = 6.8Hz), 2.60-3.30(10H, m), 3.65(2H, s), 3.74(3H, s), 4.10(2H, t, J = 8.8Hz), 6.75-6.90(2H, m), 7.05-7.35(7H, m), 7.64(1H, s), 7.68(1H, s).

Example 196

Trans-8-[(4-{[(2-phenylethyl)amino]methyl}cyclohexyl)carbonyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



(2-Bromoethyl)benzene (471 mg) was added dropwise to a suspension of trans-8-{[4-(aminomethyl)cyclohexyl]carbonyl}-1,2,5,6-tetrahydro-4H-

pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride (1.00 g) obtained in Reference Example 78 and potassium carbonate (1.00 g) in dimethylformamide (3 ml) at room temperature. After stirring at 120°C for 30 minutes, water (30 ml) and ethyl acetate (30 ml) were added to the reaction mixture, and extracted with ethyl acetate. The extract was washed with brine, dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure. The resulting residue was purified by silica gel chromatography (eluting solvent; ethyl acetate methanol (9:1)) to give a free base compound of the title compound as a colorless oil (500 mg). Further treatment with a hydrogen chloride-ethyl acetate solution afforded the title compound as colorless crystals (474 mg) having a melting point of 252 to 254°C.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 0.95-1.20 (2H, m), 1.35-1.70 (5H, m), 1.75-2.05 (4H, m), 2.51 (2H, d, J = 6.3Hz), 2.70 (2H, t, J = 7.8Hz), 2.75-2.90 (3H, m), 3.01 (2H, t, J = 7.8Hz), 3.05-3.15 (1H, m), 3.22 (2H, t, J = 8.4Hz), 4.12 (2H, t, J = 8.4Hz), 7.10-7.35 (5H, m), 7.64 (1H, s), 7.68 (1H, s).

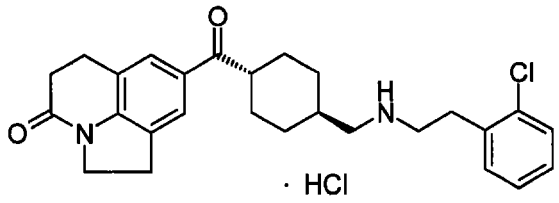
elementary analysis as $C_{27}H_{32}ClN_2O_2 \cdot HCl$

calculation value:C, 70.19; H, 7.42; N, 6.06.

experimental value:C, 70.58; H, 7.35; N, 5.81.

Example 197

trans-8-{[4-({[2-(2-Chlorophenyl)ethyl]amino}methyl)cyclohexyl]carbonyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using trans-8-{[4-(aminomethyl)cyclohexyl]carbonyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride (758 mg) obtained in Reference Example 78 and 2-(2-chlorophenyl)ethyl methanesulfonate (510 mg) according to the same method as that of Example 196, the title compound was obtained as colorless crystals (454 mg) having a melting point of 271 to 2731°C.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 0.95-1.20 (2H, m), 1.35-1.70 (5H, m), 1.75-2.05 (4H, m), 2.55 (2H, d, J = 6.3Hz), 2.71 (2H, t, J = 7.8Hz), 2.80-2.95 (3H, m), 3.02 (2H, t, J = 7.8Hz), 3.05-3.20 (1H, m), 3.23 (2H, t, J = 8.4Hz), 4.13 (2H, t, J = 8.4Hz), 7.10-7.40 (4H, m), 7.65 (1H, s), 7.69 (1H, s).

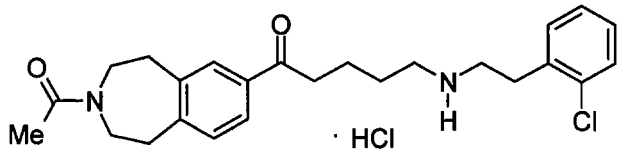
elementary analysis as $C_{27}H_{31}N_2O_2Cl \cdot HCl \cdot 0.5H_2O$

calculation value:C, 65.32; H, 6.70; N, 5.64.

experimental value:C, 65.70; H, 6.52; N, 5.54.

Example 198

1-(3-Acetyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-5-{[2-(2-chlorophenyl)ethyl]amino}-1-pentanone hydrochloride

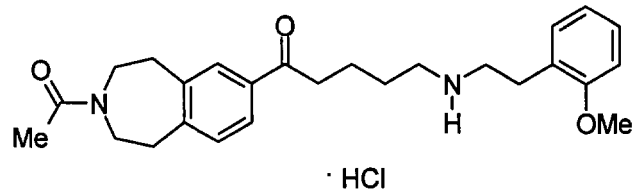


Using 1-(3-acetyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-5-chloro-1-pentanone obtained in Reference Example 122 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 117 to 119°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.68 (4H, m), 2.08 (3H, s), 2.91 (4H, m), 3.05 (8H, m), 3.56 (4H, m), 7.33-7.46 (5H, m), 7.77 (2H, m), 9.18 (2H, br).

Example 199

1-(3-Acetyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-5-{[2-(2-methoxyphenyl)ethyl]amino}-1-pentanone hydrochloride



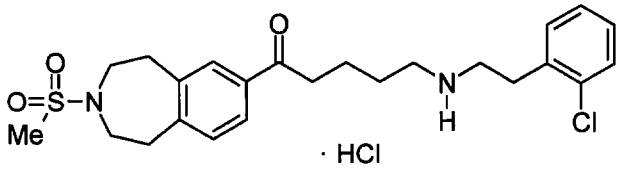
Using 1-(3-acetyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-5-chloro-1-pentanone obtained in Reference Example 122 and 2-(2-methoxyphenyl)ethylamine according to the same methods as those of Example 19 and Example 1, the title

compound was obtained as colorless crystals having a melting point of 93 to 94°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.67 (4H, m), 2.08 (3H, s), 2.93 (4H, m), 3.04 (8H, m), 3.58 (4H, m), 3.80 (3H, s), 6.88-7.02 (2H, m), 7.17-7.33 (3H, m), 7.75 (2H, m), 8.83 (2H, br).

Example 200

5-{[2-(2-Chlorophenyl)ethyl]amino}-1-[3-(methylsulfonyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1-pentanone hydrochloride

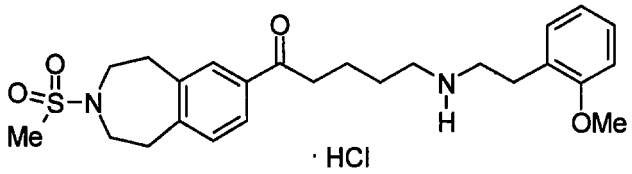


Using 5-chloro-1-[3-(methylsulfonyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1-pentanone obtained in Reference Example 123 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 162 to 164°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.69 (4H, m), 2.88 (3H, s), 3.10 (8H, m), 3.36 (8H, m), 7.33-7.46 (5H, m), 7.78 (2H, m), 8.99 (2H, br).

Example 201

5-{[2-(2-Methoxyphenyl)ethyl]amino}-1-[3-(methylsulfonyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1-pentanone hydrochloride

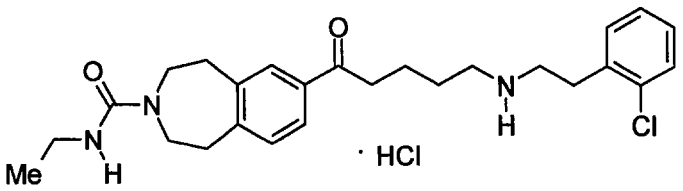


Using 5-(5-chloropentanoyl)-2-methoxybenzenesulfonamide obtained in Reference Example 66 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.67 (4H, m), 2.88 (3H, s), 2.96-3.07 (10H, m), 3.36 (6H, m), 3.80 (3H, s), 6.88-7.23 (2H, m), 7.17-7.36 (3H, m), 7.78 (2H, m), 8.88 (2H, br).

Example 202

7-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-N-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepine-3-carboxamide hydrochloride

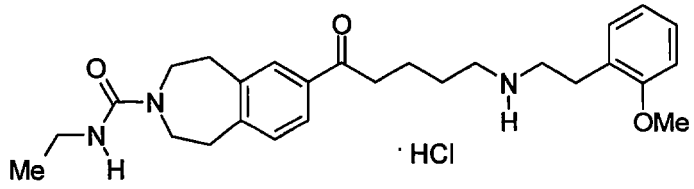


Using 7-(5-chloropentanoyl)-N-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepine-3-carboxamide obtained in

Reference Example 124 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 149 to 150°C. ^{1}H NMR (300MHz, DMSO-d_6) δ 1.02 (3H, t, J = 7.0Hz), 1.69 (4H, m), 2.91 (4H, m), 3.08 (10H, m), 3.49 (4H, m), 7.28-7.50 (5H, m), 7.75 (2H, m), 8.23 (1H, m), 9.11 (2H, br).

Example 203

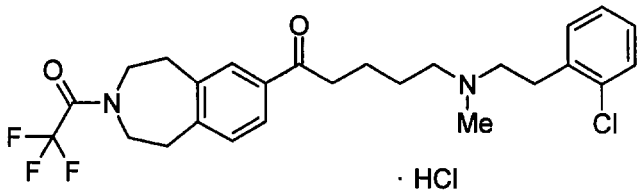
N-Ethyl-7-(5-{[2-(2-methoxyphenyl)ethyl]amino}pentanoyl)-1,2,4,5-tetrahydro-3H-3-benzazepine-3-carboxamide hydrochloride



Using 7-(5-chloropentanoyl)-N-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepine-3-carboxamide obtained in Reference Example 124 and 2-(2-methoxyphenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 150 to 151°C. ^{1}H NMR (300MHz, DMSO-d_6) δ 1.02 (3H, t, J = 7.0Hz), 1.68 (4H, m), 2.90 (4H, m), 3.07 (10H, m), 3.47 (4H, m), 3.80 (3H, s), 6.88-7.02 (2H, m), 7.17-7.31 (3H, m), 7.73 (2H, m), 8.23 (1H, m), 9.01 (2H, br).

Example 204

5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]-1-[3-(trifluoroacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1-pentanone hydrochloride

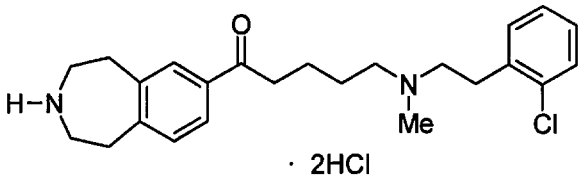


Using 5-chloro-1-[3-(trifluoroacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1-pentanone obtained in Reference Example 120 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.54-1.80 (4H, m), 2.34 (3H, s), 2.48 (2H, t, J = 7.4Hz), 2.57-2.62 (2H, m), 2.86-3.07 (8H, m), 3.69-3.81 (4H, m), 7.11-7.34 (5H, m), 7.75-7.78 (2H, m).

Example 205

5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]-1-(2,3,4,5-tetrahydoro-1H-3-benzazepin-7-yl)-1-pentanone dihydrochloride



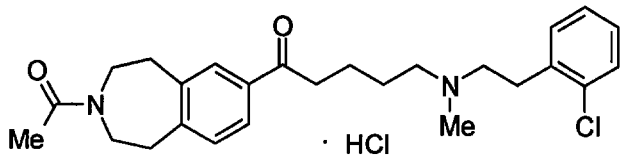
Potassium carbonate (3.2g, 23.5 mmol) was added to a solution of 5-[[2-(2-chlorophenyl)ethyl](methyl)amino]-1-[3-(trifluoroacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1-pentanone (1.50 g) obtained in Reference Example 204 in methanol (40 ml)-water (40 ml). After stirring at room temperature for 60 minutes, the solvent was evaporated under reduced pressure, water (100 g) was added, extracted with ethyl acetate, and washed with brine. The organic layer was dried over anhydrous sodium sulfate, and the solvent was evaporated under reduced pressure to give a free base compound of the title compound as a pale yellow oil (1.2 g). A solution of the free base compound in ethanol was treated with hydrogen chloride (ethyl acetate solution) to give the title compound as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.54-1.79 (4H, m), 2.33 (3H, s), 2.45 (2H, t, J = 7.4Hz), 2.57-2.62 (2H, m), 2.86-2.97 (13H, m), 7.10-7.34 (5H, m), 7.68-7.71 (2H, m).

Example 206

1-(3-Acetyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-5-[[2-(2-chlorophenyl)ethyl](methyl)amino]-1-pentanone

hydrochloride

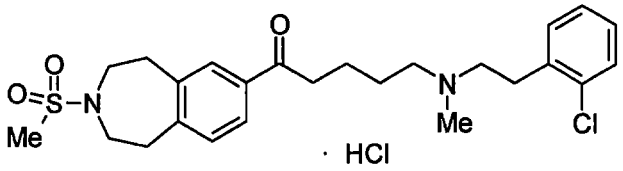


Acetyl chloride (54 μl) was added to a solution of 5-[[2-(2-chlorophenyl)ethyl](methyl)amino]-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-pentanone (294 mg) obtained in Example 205 and triethylamine (139μl) in tetrahydrofuran (2 ml). After stirring at room temperature for 60 minutes, water (10 g) was added, extracted with ethyl acetate, and washed with brine. The organic layer was dried over anhydrous sodium sulfate, the solvent was evaporated under reduced pressure, and purified by silica gel column chromatography to give a free base compound of the title compound as a pale yellow oil (280 mg). A solution of the free base compound in ethanol was treated with hydrogen chloride (ethyl acetate solution) to give the title compound as pale yellow amorphous powders (220 mg). ^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.54-1.80 (4H, m), 2.19 (3H, s), 2.36 (3H, s), 2.45 (2H, t, J = 7.4Hz), 2.60-2.68 (2H, m), 2.88-3.00 (8H, m), 3.58-3.86 (4H, m), 7.12-7.34 (5H, m), 7.73-7.76 (2H, m).

Example 207

5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]-1-[3-

(methylsulfonyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1-pentanone hydrochloride

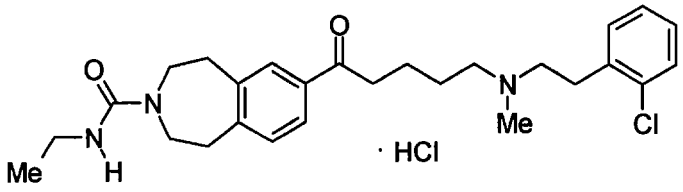


Methylsulfonyl chloride (45μl) was added to a solution of 5-[[2-(2-chlorophenyl)ethyl](methyl)amino]-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-pentanone (223 mg) obtained in Example 205 and triethylamine (139μl) in tetrahydrofuran (2 ml). After stirring at room temperature for 60 minute, water (10 g) was added, extracted with ethyl acetate, and washed with brine. The organic layer was dried over anhydrous sodium sulfate, the solvent was evaporated under reduced pressure, and the residue was purified by silica gel column chromatography to give a free base compound of the title compound as a pale yellow oil (230 mg). A solution of the free base compound in ethanol was treated with hydrogen chloride (ethyl acetate solution) to give the title compound as pale yellow amorphous powders (200 mg).

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.54-1.79 (4H, m), 2.34 (3H, s), 2.48 (2H, t, J = 7.4Hz), 2.57-2.65 (2H, m), 2.79 (3H, s), 2.86-2.99 (4H, m), 3.08-3.11 (4H, m), 3.43-3.49 (4H, m), 7.11-7.34 (5H, m), 7.74-7.77 (2H, m).

Example 208

7-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-N-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepine-3-carboxamide hydrochloride



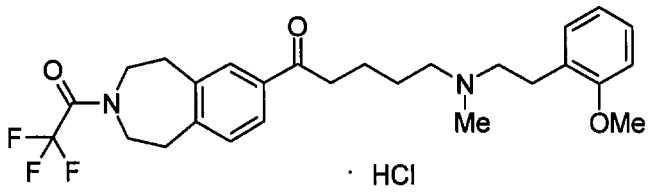
Ethyl isocyanate (74μl) was added to a solution of 5-[[2-(2-chlorophenyl)ethyl](methyl)amino]-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-pentanone (365 mg) obtained in Example 205 in tetrahydrofuran (2 ml). After stirring at room temperature for 60 minutes, water (10 g) was added, extracted with ethyl acetate, and washed with brine. The organic layer was dried over anhydrous sodium sulfate, the solvent was evaporated under reduced pressure, and the residue was purified by silica gel column chromatography to give a free base compound of the title compound as a pale yellow oil (330 mg). A solution of the free base compound in ethanol was treated with hydrogen chloride (ethyl acetate solution) to give the title compound as pale yellow amorphous powders (290 mg).

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.16 (3H, t, J = 7.2Hz), 1.58-1.77 (4H, m), 2.38 (3H, s), 2.54 (2H, t, J = 7.4Hz), 2.63-2.69 (2H, m), 2.90-3.00 (8H, m), 3.31 (2H, q, J = 7.2Hz), 3.54-3.59 (4H, m), 4.74 (1H, m), 7.11-7.32 (5H, m),

7.69-7.72 (2H, m).

Example 209

5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]-1-[3-(trifluoroacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1-pentanone

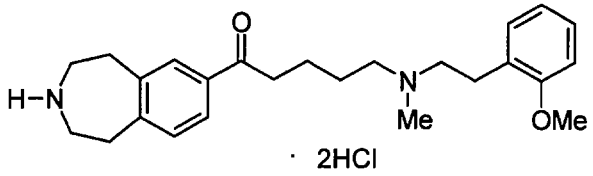


Using 5-chloro-1-[3-(trifluoroacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1-pentanone obtained in Reference Example 120 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.54-1.80 (4H, m), 2.33 (3H, s), 2.48 (2H, t, J = 7.4Hz), 2.57-2.62 (2H, m), 2.76-2.80 (2H, m), 2.93-3.06 (6H, m), 3.68-3.81 (4H, m), 3.81 (3H, s), 6.86 (2H, t, J = 9.2Hz), 7.12-7.27 (3H, m), 7.75-7.78 (2H, m).

Example 210

5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-pentanone dihydrochloride

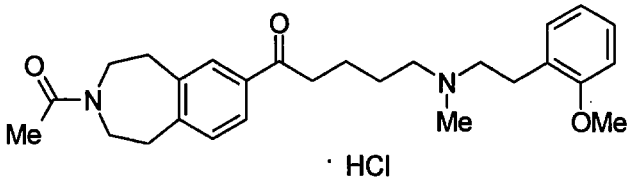


Using 5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]-1-[3-(trifluoroacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1-pentanone obtained in Example 209 according to the same method as that of Example 205, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.57-1.79 (4H, m), 2.31 (3H, s), 2.45 (2H, t, J = 7.4Hz), 2.53-2.60 (2H, m), 2.74-2.82 (2H, m), 2.91-2.96 (11H, m), 3.81 (3H, s), 6.81-6.90 (2H, m), 7.12-7.20 (3H, m), 7.68-7.72 (2H, m).

Example 211

1-(3-Acetyl-2,3,4-tetrahydro-1H-3-benzazepin-7-yl)-5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]-1-pentanone hydrochloride

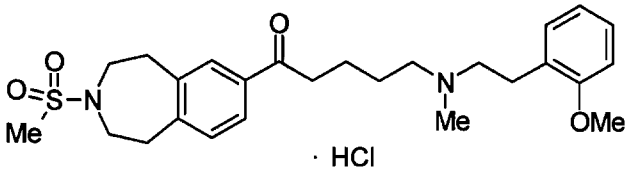


Using 5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-pentanone obtained in Example 210 according to the same method as that of Example 206, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.54-1.80 (4H, m), 2.19 (3H, s), 2.35 (3H, s), 2.51 (2H, t, J = 7.4Hz), 2.58-2.65 (2H, m), 2.77-2.85 (2H, m), 2.93-3.00 (6H, m), 3.57-3.76 (4H, m), 3.81 (3H, s), 6.82-6.91 (2H, m), 7.12-7.25 (3H, m), 7.73 (2H, m).

Example 212

5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]-1-[3-(methylsulfonyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1-pentanone hydrochloride

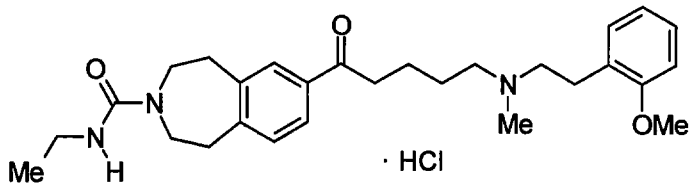


Using 5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-pentanone obtained in Example 210 according to the same method as that of Example 207, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.56-1.80 (4H, m), 2.36 (3H, s), 2.52 (2H, t, J = 7.4Hz), 2.58-2.69 (2H, m), 2.78 (3H, s), 2.75-2.85 (2H, m), 3.06-3.12 (4H, m), 3.43-3.47 (4H, m), 3.81 (3H, s), 6.82-6.91 (2H, m), 7.11-7.27 (3H, m), 7.73 (2H, m).

Example 213

N-Ethyl-7-{5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]pentanoyl}-1,2,4,5-tetrahydro-3H-3-benzazepine-3-carboxamide hydrochloride

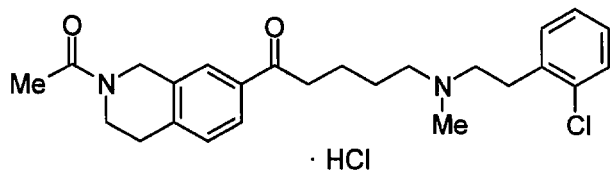


Using 5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-pentanone obtained in Example 210 according to the same method as that of Example 208, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.17 (3H, t, J = 7.4Hz), 1.56-1.79 (4H, m), 2.36 (3H, s), 2.52 (2H, t, J = 7.4Hz), 2.58-2.69 (2H, m), 2.75-2.84 (2H, m), 2.93-3.00 (6H, m), 3.32 (2H, q, J = 7.2Hz), 3.53-3.58 (4H, m), 3.81 (3H, s), 4.70 (1H, m), 6.81-6.90 (2H, m), 7.12-7.21 (3H, m), 7.71 (2H, m).

Example 214

1-(2-Acetyl-1,2,3,4-tetrahydro-7-isoquinolinyl)-5-[[2-(2-chlorophenyl)ethyl](methyl)amino]-1-pentanone hydrochloride



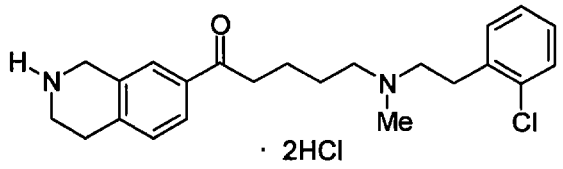
Using 1-(2-acetyl-1,2,3,4-tetrahydro-7-isoquinolinyl)-

5-chloro-1-pentanone obtained in Reference Example 125 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.54-1.79 (4H, m), 2.19 (3H, s), 2.34 (3H, s), 2.48 (2H, t, J = 7.0Hz), 2.57-2.65 (2H, m), 2.87-2.98 (6H, m), 3.69 (2H, t, J = 5.8Hz), 4.67 (2H, s), 7.11-7.34 (5H, m), 8.83 (1H, s), 7.74-7.80 (2H, m).

Example 215

5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]-1-(1,2,3,4-tetrahydro-7-isoquinolinyl)-1-pentanone dihydrochloride

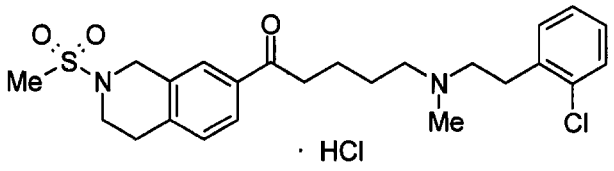


A solution of (1-(2-acetyl-1,2,3,4-tetrahydro-7-isoquinolinyl)-5-[[2-(2-chlorophenyl)ethyl](methyl)amino]-1-pentanone (5.0 g) obtained in Example 214 in concentrated hydrochloric acid (150 ml) was stirred at 130°C for 2 hours, and the solvent of the reaction mixture was evaporated under reduced pressure to give the crude product of the title compound as a pale yellow solid (4.2 g). Further recrystallization from ethanol-diethyl ether afforded the title compound as colorless crystals having a melting point of 95°C (dec).

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.60-1.79 (4H, m), 2.21 (1H, br), 2.37 (3H, s), 2.52 (2H, t, J = 7.4Hz), 2.65 (2H, m), 2.88-3.26 (6H, m), 3.58 (2H, t, J = 5.8Hz), 4.10 (2H, s), 7.12-7.35 (5H, m), 7.71-7.81 (2H, m).

Example 216

5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]-1-[2-(methylsulfonyl)-1,2,3,4-tetrahydro-7-isoquinolinyl]-1-pentanone hydrochloride



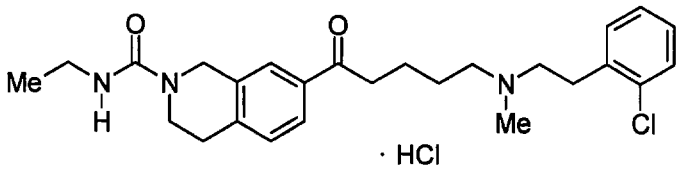
Using 5-[[2-(2-chlorophenyl)ethyl](methyl)amino]-1-(1,2,3,4-tetrahydro-7-isoquinolinyl)-1-pentanone obtained in Example 215 according to the same method as that of Example 207, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.60-1.79 (4H, m), 2.37 (3H, s), 2.52 (2H, t, J = 7.4Hz), 2.65 (2H, m), 2.87 (3H, s), 2.88-3.26 (6H, m), 3.58 (2H, t, J = 5.8Hz), 4.50 (2H, s), 7.12-7.35 (5H, m), 7.71-7.81 (2H, m).

Example 217

7-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-N-ethyl-3,4-dihydro-2(1H)-isoquinolinecarboxamide

hydrochloride

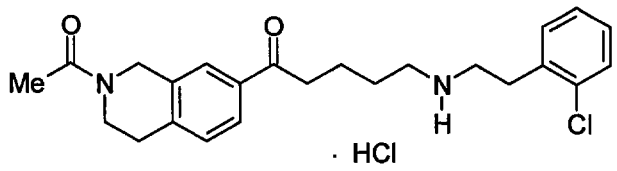


Using 5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]-1-(1,2,3,4-tetrahydro-7-isoquinolinyl)-1-pentanone obtained in Example 215 according to the same method as that of Example 208, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.17 (3H, t, J = 5.8Hz), 1.57-1.78 (4H, m), 2.35 (3H, s), 2.48 (2H, t, J = 6.6Hz), 2.63 (2H, m), 2.89-2.99 (6H, m), 3.30-3.34 (2H, m), 3.64 (2H, t, J = 5.4Hz), 4.47 (1H, m), 4.58 (2H, s), 7.13-7.34 (5H, m), 7.73-7.79 (2H, m).

Example 218

1-(2-Acetyl-1,2,3,4-tetrahydro-7-isoqiunolinyl)-5-{[2-(2-chlorophenyl)ethyl]amino}-1-pentanone hydrochloride



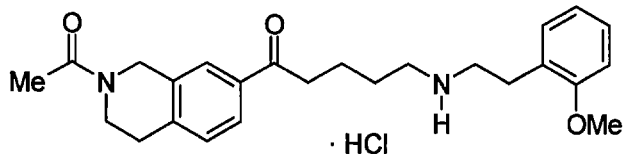
Using 1-(2-acetyl-1,2,3,4-tetrahydro-7-isoquinolinyl)-5-chloro-1-pentanone obtained in Reference Example 125 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title

compound was obtained as colorless crystals having a melting point of 78°C(dec).

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.54-1.79 (4H, m), 2.19 (3H, s), 2.37 (1H, br), 2.48 (2H, t, J = 7.0Hz), 2.57-2.65 (2H, m), 2.87-2.98 (6H, m), 3.69 (2H, t, J = 5.8Hz), 4.67 (2H, s), 7.11-7.34 (5H, m), 7.74-7.80 (2H, m).

Example 219

1-(2-Acetyl-1,2,3,4-tetrahydro-7-isoquinolinyl)-5-{[2-(2-methoxyphenyl)ethyl]amino}-1-pentanone hydrochloride

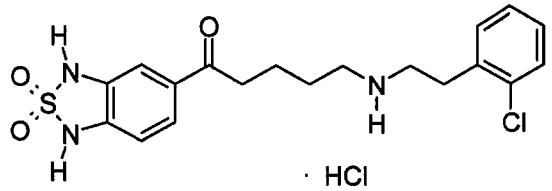


Using 1-(2-acetyl-1,2,3,4-tetrahydro-7-isoquinolinyl)-5-chloro-1-pentanone obtained in Reference Example 125 and 2-(2-methoxyphenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 78°C(dec).

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.54-1.79 (4H, m), 2.19 (3H, s), 2.37 (1H, br), 2.48 (2H, t, J = 7.0Hz), 2.57-2.65 (2H, m), 2.87-2.98 (6H, m), 3.69 (2H, t, J = 5.8Hz), 3.80 (3H, s), 4.67 (2H, s), 7.11-7.34 (5H, m), 7.74-7.80 (2H, m).

Example 220

5-{[2-(2-Chlorophenyl)ethyl]amino}-1-(2,2-dioxide-1,3-dihydro-2,1,3-benzothiadiazol-5-yl)-1-pentanone hydrochloride

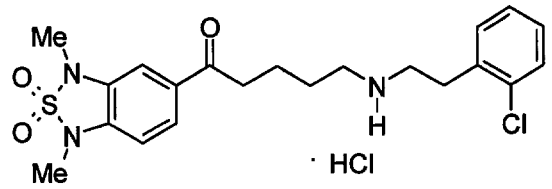


Using tert-butyl 2-(2-chlorophenyl)ethyl[5-(2,2-dioxide-1,3-dihydro-2,1,3-benzothiadiazol-5-yl)-5-oxopentyl]carbamate obtained in Reference Example 148 according to the same method as that of Example 1, the title compound was obtained as colorless crystals.

MS m/z: 408 $[M+H]^+$

Example 221

5-{[2-(2-Chlorophenyl)ethyl]amino}-1-(1,3-dimethyl-2,2-dioxide-1,3-dihydro-2,1,3-benzothiadiazol-5-yl)-1-pentanone hydrochloride



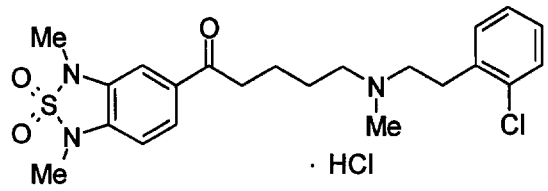
Using tert-butyl 2-(2-chlorophenyl)ethyl[5-(1,3-dimethyl-2,2-dioxide-1,3-dihydro-2,1,3-benzothiadiazol-5-yl)-5-oxopentyl]carbamate obtained in Reference Example 149 according to the same method as that of Example 1, the title compound was obtained as colorless crystals having a

melting point of 149 to 150°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.61-1.80 (5H, m), 2.48-2.65 (4H, m), 2.65-2.99 (4H, m), 3.34 (3H, s), 3.35 (3H, s), 6.75 (1H, d, J = 8.4Hz), 7.12-7.39 (5H, m), 7.67 (1H, d, J = 8.4Hz).

Example 222

5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]-1-(1,3-dimethyl-2,2-dioxide-1,3-dihydro-2,1,3-benzothiadiazol-5-yl)-1-pentanone hydrochloride

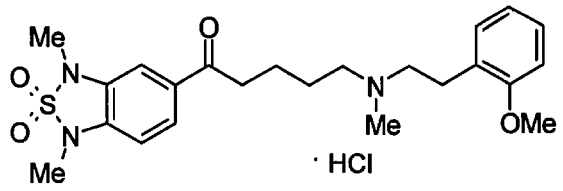


Using 5-chloro-1-(1,3-dimethyl-2,2-dioxide-1,3-dihydro-2,1,3-benzothiadiazol-5-yl)-1-pentanone obtained in Reference Example 129 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.61-1.80 (4H, m), 2.45 (3H, s), 2.48-2.65 (4H, m), 2.65-2.99 (4H, m), 3.34 (3H, s), 3.35 (3H, s), 6.75 (1H, d, J = 8.4Hz), 7.12-7.39 (5H, m), 7.67 (1H, d, J = 8.4Hz).

Example 223

1-(1,3-Dimethyl-2,2-dioxide-1,3-dihydro-2,1,3-benzothiadiazol-5-yl)-5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]-1-pentanone hydrochloride

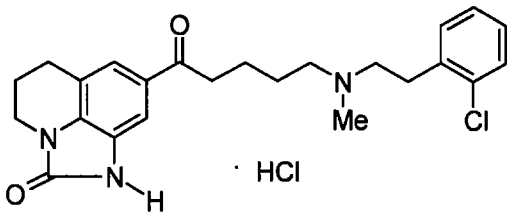


Using 5-chloro-1-(1,3-dimethyl-2,2-dioxide-1,3-dihydro-2,1,3-benzothiadiazol-5-yl)-1-pentanone obtained in Reference Example 129 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.60-1.80 (4H, m), 2.34 (3H, s), 2.46-2.64 (4H, m), 2.77-2.84 (2H, m), 2.96 (2H, t, J = 7.0Hz), 3.34 (3H, s), 3.35 (3H, s), 3.81 (3H, s), 6.75 (1H, d, J = 8.2Hz), 6.82-6.91 (2H, m), 7.13-7.22 (2H, m), 7.38 (1H, s), 7.67 (1H, d, J = 8.2Hz).

Example 224

8-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one hydrochloride

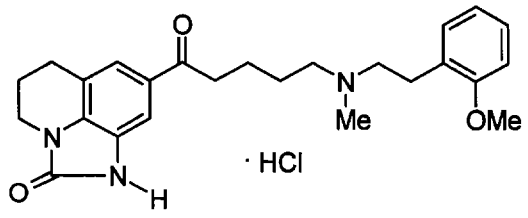


Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one obtained in Reference Example 133 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.58-1.77 (4H, m), 2.14 (2H, m), 2.35 (3H, s), 2.49 (2H, m), 2.58 (2H, m), 2.87-3.00 (6H, m), 3.89 (2H, m), 7.11-7.35 (5H, m), 7.58 (1H, m), 10.91 (1H, s).

Example 225

8-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one hydrochloride



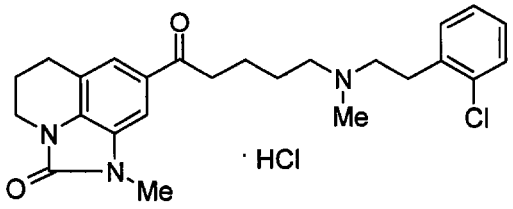
Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one obtained in Reference

Example 133 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 187°C(dec).

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.58-1.77 (4H, m), 2.16 (2H, m), 2.36 (3H, s), 2.48-2.67 (4H, m), 2.78-3.00 (6H, m), 3.81 (3H, s), 3.88 (2H, m), 6.81-6.90 (3H, m), 7.12-7.16 (2H, m), 7.49 (1H, m), 7.58 (1H, m).

Example 226

8-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-1-methyl-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one hydrochloride



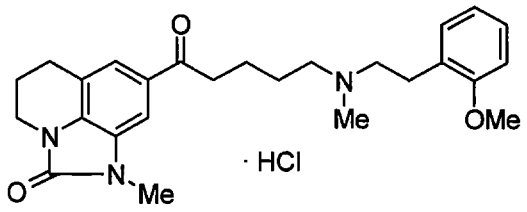
Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one obtained in Reference Example 134 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.58-1.78 (4H, m), 2.10 (2H, m), 2.34 (3H, s), 2.48 (2H, m), 2.60 (2H, m), 2.86-

3.00 (5H, m), 3.22 (1H, m), 3.44 (3H, s), 3.84 (2H, m), 6.87 (1H, t, J = 8.4Hz), 7.11-7.34 (4H, m), 7.63 (1H, t, J = 8.4Hz).

Example 227

8-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-1-methyl-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one hydrochloride

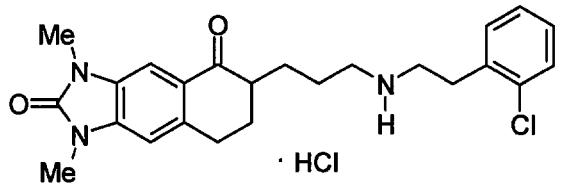


Using 8-(5-chloropentanoyl)-1-methyl-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one obtained in Reference Example 134 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.59-1.78 (4H, m), 2.10 (2H, m), 2.34 (3H, s), 2.45-2.63 (5H, m), 2.78 (2H, m), 2.93 (2H, m), 3.22 (1H, m), 3.44 (3H, s), 3.82 (3H, s), 3.88 (2H, m), 6.82-6.91 (3H, m), 7.12-7.34 (2H, m), 7.63 (1H, t, J = 8.4Hz).

Example 228

(±)-6-(3-{[2-(2-Chlorophenyl)ethyl]amino}propyl)-1,3-dimethyl-3,6,7,8-tetrahydro-1H-naphtho[2,3-d]imidazole-2,5-dione hydrochloride

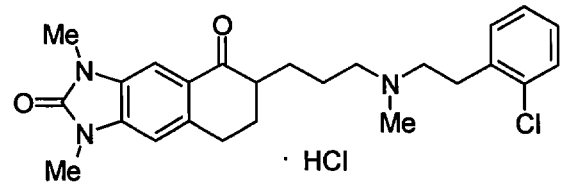


Using tert-butyl (±)-2-(2-chlorophenyl)ethyl[3-(1,3-dimethyl-2,5-dioxo-2,3,5,6,7,8-hexahydro-1H-naphtho[2,3-d]imidazol-6-yl)propyl]carbamate obtained in Reference Example 150 according to the same method as that of Example 1, the title compound was obtained as colorless crystals having a melting point of 201 to 203°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.58-1.68 (4H, m), 1.93 (3H, m), 2.25 (1H, m), 2.51 (2H, m), 2.65 (2H, m), 2.90 (2H, m), 3.03 (2H, m), 3.42 (3H, s), 3.43 (3H, s), 6.76 (1H, s), 7.11-7.34 (4H, m), 7.65 (1H, s).

Example 229

(±)-6-{3-[[2-(2-Chlorophenyl)ethyl](methyl)amino]propyl}-1,3-dimethyl-3,6,7,8-tetrahydro-1H-naphtho[2,3-d]imidazole-2,5-dione hydrochloride



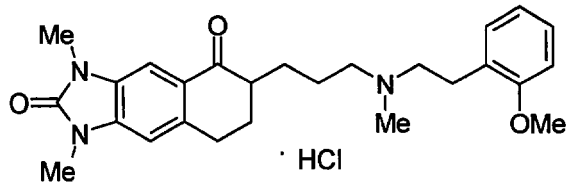
Using (±)-6-(3-chloropropyl)-1,3-dimethyl-3,6,7,8-

tetrahydro-1H-naphtho[2,3-d]imidazole-2,5-dione obtained in Reference Example 141 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 201°C (dec).

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.58-1.68 (4H, m), 1.93 (2H, m), 2.25 (1H, m), 2.36 (3H, s), 2.51 (2H, m), 2.65 (2H, m), 2.90 (2H, m), 3.03 (2H, m), 3.42 (3H, s), 3.43 (3H, s), 6.76 (1H, s), 7.11-7.34 (4H, m), 7.65 (1H, s).

Example 230

(±)-6-{3-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]propyl}-1,3-dimethyl-3,6,7,8-tetrahydro-1H-naphtho[2,3-d]imidazole-2,5-dione hydrochloride



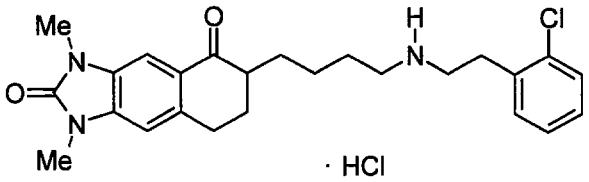
Using (±)-6-(3-chloropropyl)-1,3-dimethyl-3,6,7,8-tetrahydro-1H-naphtho[2,3-d]imidazole-2,5-dione obtained in Reference Example 141 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 190 to 191°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.56-1.67 (4H, m), 1.94 (2H, m), 2.24 (1H, m), 2.36 (3H, s), 2.48 (2H, m), 2.61 (2H,

m), 2.80 (2H, m), 3.04 (2H, m), 3.41 (3H, s), 3.42 (3H, s), 3.82 (3H, s), 6.76-6.91 (3H, m), 7.13-7.22 (2H, m), 7.65 (1H, s).

Example 231

(±)-6-(4-{[2-(2-Chlorophenyl)ethyl]amino}butyl)-1,3-dimethyl-3,6,7,8-tetrahydro-1H-naphtho[2,3-d]imidazole-2,5-dione hydrochloride



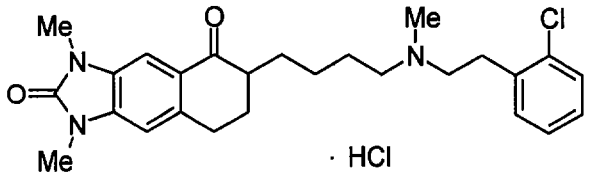
Using (±)-6-(4-chlorobutyl)-1,3-dimethyl-3,6,7,8-tetrahydro-1H-naphtho[2,3-d]imidazole-2,5-dione obtained in Reference Example 142 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.58-1.68 (6H, m), 1.98 (2H, m), 2.22 (1H, m), 2.38 (1H, br), 2.41-2.50 (2H, m), 2.57-2.65 (2H, m), 2.87-2.95 (2H, m), 2.99-3.06 (2H, m), 3.41 (3H, s), 3.42 (3H, s), 6.75 (1H, s), 7.11-7.34 (4H, m), 7.65 (1H, s).

Example 232

(±)-6-{4-[[2-(2-Chlorophenyl)ethyl](methyl)amino]butyl}-

1,3-dimethyl-3,6,7,8-tetrahydro-1H-naphtho[2,3-d]imidazole-2,5-dione hydrochloride

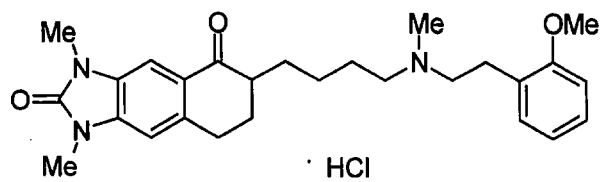


Using (±)-6-(4-chlorobutyl)-1,3-dimethyl-3,6,7,8-tetrahydro-1H-naphtho[2,3-d]imidazole-2,5-dione obtained in Reference Example 142 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 202 to 204°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.58-1.68 (6H, m), 1.98 (2H, m), 2.22 (1H, m), 2.34 (3H, s), 2.41-2.50 (2H, m), 2.57-2.65 (2H, m), 2.87-2.95 (2H, m), 2.99-3.06 (2H, m), 3.41 (3H, s), 3.42 (3H, s), 6.75 (1H, s), 7.11-7.34 (4H, m), 7.65 (1H, s).

Example 233

(±)-6-{4-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]butyl}-1,3-dimethyl-3,6,7,8-tetrahydro-1H-naphtho[2,3-d]imidazole-2,5-dione hydrochloride



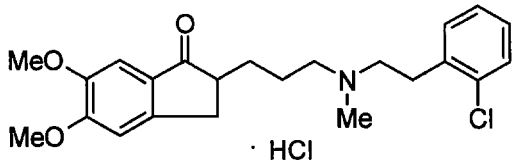
Using (±)-6-(4-chlorobutyl)-1,3-dimethyl-3,6,7,8-

tetrahydro-1H-naphtho[2,3-d]imidazole-2,5-dione obtained in Reference Example 142 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.58-1.68 (6H, m), 1.98 (2H, m), 2.22 (1H, m), 2.35 (3H, s), 2.44-2.52 (2H, m), 2.57-2.65 (2H, m), 2.75-2.84 (2H, m), 3.01-3.06 (2H, m), 3.41 (3H, s), 3.42 (3H, s), 3.82 (3H, s), 6.74-6.91 (3H, m), 7.13-7.21 (2H, m), 7.65 (1H, s).

Example 234

(±)-2-{3-[[2-(2-Chlorophenyl)ethyl](methyl)amino]propyl}-5,6-dimethoxy-1-indanone hydrochloride



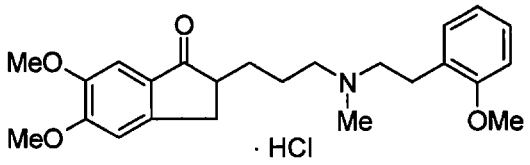
Using (±)-2-(3-chloropropyl)-5,6-dimethoxy-1-indanone obtained in Reference Example 146 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.48 (1H, m), 1.59 (2H, m), 1.94 (1H, m), 2.34 (3H, s), 2.47 (2H, t, J = 7.6Hz), 2.58-2.75 (4H, m), 2.90 (2H, m), 3.24 (1H, dd, J = 17.1,

7.8Hz), 3.90 (3H, s), 3.96 (3H, s), 6.86 (1H, s), 7.08-7.32 (5H, m).

Example 235

(±)-5,6-Dimethoxy-2-{3-[[2-(2-methoxyphenyl)ethyl](methyl)amino]propyl} -1-indanone hydrochloride

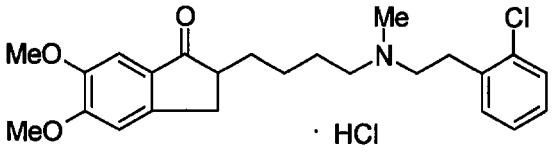


Using (±)-2-(3-chloropropyl)-5,6-dimethoxy-1-indanone obtained in Reference Example 146 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.46-1.70 (4H, m), 1.95 (2H, m), 2.33 (3H, s), 2.44-2.83 (6H, m), 3.25 (1H, dd, J = 17.2, 7.4Hz), 3.81 (3H, s), 3.91 (3H, s), 3.97 (3H, s), 6.81-6.90 (3H, m), 7.12-7.21 (3H, m).

Example 236

(±)-2-{4-[[2-(2-Chlorophenyl)ethyl](methyl)amino]butyl}-5,6-dimethoxy-1-indanone hydrochloride

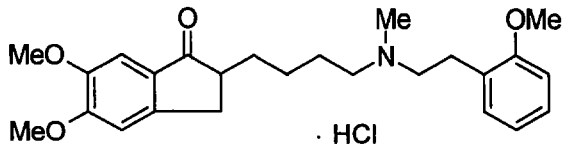


Using (±)-2-(4-chlorobutyl)-5,6-dimethoxy-1-indanone obtained in Reference Example 147 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.45-1.59 (4H, m), 1.99 (2H, m), 2.33 (3H, s), 2.45 (2H, t, J = 7.6Hz), 2.54-2.62 (4H, m), 2.80 (2H, m), 3.25 (1H, dd, J = 17.2, 7.4Hz), 3.92 (3H, s), 3.96 (3H, s), 6.87 (1H, s), 7.11-7.34 (5H, m).

Example 237

(±)-5,6-Dimethoxy-2-{4-[[2-(2-methoxyphenyl)ethyl](methyl)amino]butyl}-1-indanone hydrochloride

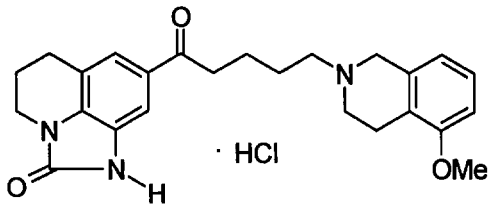


Using (±)-2-(4-chlorobutyl)-5,6-dimethoxy-1-indanone obtained in Reference Example 147 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.45-1.59 (4H, m), 1.99 (2H, m), 2.32 (3H, s), 2.45 (2H, t, J = 7.6Hz), 2.54-2.62 (4H, m), 2.80 (2H, m), 3.25 (1H, dd, J = 17.2, 7.4Hz), 3.80 (3H, s), 3.90 (3H, s), 3.96 (3H, s), 6.81-6.91 (3H, m), 7.13-7.21 (3H, m).

Example 238

8-[5-(5-Methoxy-3,4-dihydro-2(1H)-isoquinolinyl)pentanoyl]-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one hydrochloride

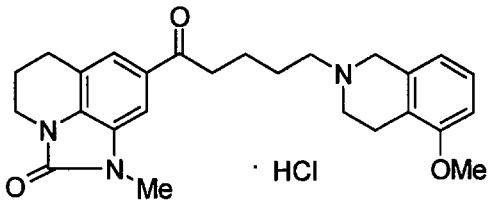


Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one obtained in Reference Example 133 and 5-methoxy-1,2,3,4-tetrahydroisoquinoline according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.69-1.83 (4H, m), 2.10 (2H, m), 2.57 (2H, t, J = 6.0Hz), 2.86 (2H, m), 3.01 (2H, m), 3.18 (2H, m), 3.61 (2H, s), 3.80 (3H, s), 3.72-3.90 (4H, m), 6.63-6.69 (2H, m), 6.86 (1H, t, J = 8.4Hz), 7.08 (1H, t, J = 8.0Hz), 7.56 (1H, m), 9.56 (1H, br).

Example 239

8-[5-(5-Methoxy-3,4-dihydro-2(1H)-isoquinolinyl)pentanoyl]-1-methyl-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one hydrochloride



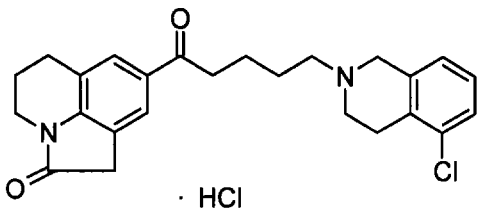
Using 8-(5-chloropentanoyl)-1-methyl-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one obtained in Reference Example 134 and 5-methoxy-1,2,3,4-tetrahydroisoquinoline according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.69-1.83 (4H, m), 2.05 (2H, m), 2.54 (2H, t, J = 6.0Hz), 2.70-2.87 (4H, m), 3.00 (2H, m), 3.22 (2H, t, J = 6.0Hz), 3.42 (3H, s), 3.59 (2H, s), 3.80 (3H, s), 3.81-3.88 (2H, m), 6.64 (2H, t, J = 8.1Hz), 6.67 (1H, d, J = 8.1Hz), 7.80 (1H, t, J = 7.8Hz), 7.56 (1H, m).

Example 240

8-[5-(5-Chloro-3,4-dihydro-2(1H)-isoquinolinyl)pentanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one

hydrochloride

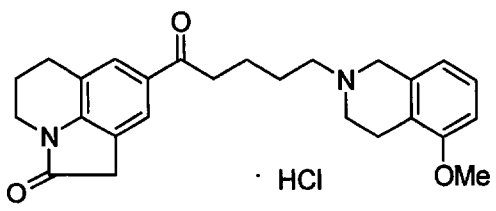


Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 3 and 5-chloro-1,2,3,4-tetrahydroisoquinoline according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.68-1.86 (4H, m), 2.01 (2H, m), 2.55 (2H, t, J = 7.2Hz), 2.70-2.83 (6H, m), 2.97 (2H, t, J = 7.0Hz), 3.50 (2H, s), 3.58 (2H, s), 3.72 (2H, t, J = 6.0Hz), 6.91 (1H, d, J = 7.2Hz), 7.04 (1H, t, J = 7.8Hz), 7.17 (1H, t, J = 7.6Hz), 7.72 (2H, s).

Example 241

8-[5-(5-Methoxy-3,4-dihydro-2(1H)-isoquinolinyl)pentanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



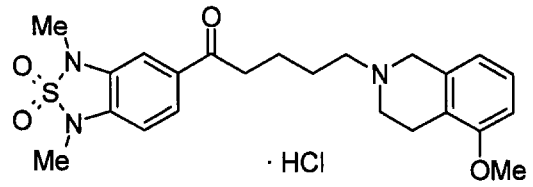
Using 8-(5-chloropentanoyl)-5,6-dihydro-4H-

pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 3 and 5-methoxy-1,2,3,4-tetrahydroisoquinoline according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.66-1.85 (4H, m), 1.98 (2H, m), 2.54 (2H, t, J = 7.0Hz), 2.71-2.81 (6H, m), 2.97 (2H, t, J = 6.6Hz), 3.48 (2H, s), 3.58 (2H, s), 3.72 (2H, t, J = 6.4Hz), 3.80 (3H, s), 6.64 (2H, t, J = 7.0Hz), 7.08 (1H, t, J = 7.6Hz), 7.73 (2H, s).

Example 242

1-(1,3-Dimethyl-2,2-dioxide-1,3-dihydro-2,1,3-benzothiadiazol-5-yl)-5-(5-methoxy-3,4-dihydro-2(1H)-isoquinolinyl)-1-pentanone hydrochloride



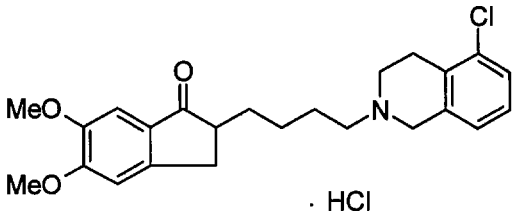
Using 5-chloro-1-(1,3-dimethyl-2,2-dioxide-1,3-dihydro-2,1,3-benzothiadiazol-5-yl)-1-pentanone obtained in Reference Example 129 and 5-methoxy-1,2,3,4-tetrahydroisoquinoline according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.68-1.85 (4H, m), 2.58

(2H, t, J = 7.0Hz), 2.72 (4H, m), 2.98 (2H, t, J = 7.4Hz), 3.29 (3H, s), 3.30 (3H, s), 3.58 (2H, s), 3.79 (3H, s), 6.61-6.66 (3H, m), 7.08 (1H, t, J = 8.0Hz), 7.34 (1H, m), 7.65 (1H, m).

Example 243

(±)-2-[4-(5-Chloro-3,4-dihydro-2(1H)-isoquinolinyl)butyl]-5,6-dimethoxy-1-indanone



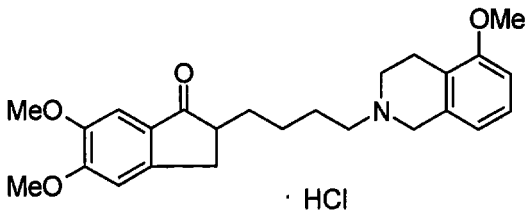
Using (±)-2-(4-chlorobutyl)-5,6-dimethoxy-1-indanone obtained in Reference Example 147 and 5-chloro-1,2,3,4-tetrahydroisoquinoline according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.49-1.68 (4H, m), 1.95 (2H, m), 2.52 (2H, t, J = 7.6Hz), 2.62-2.78 (4H, m), 2.87 (2H, m), 3.24 (1H, dd, J = 16.8, 7.2Hz), 3.59 (2H, s), 3.90 (3H, s), 3.96 (3H, s), 6.87-6.94 (2H, m), 7.05 (1H, t, J = 7.8Hz), 7.18 (2H, m).

Example 244

(±)-5,6-Dimethoxy-2-[4-(5-methoxy-3,4-dihydro-2(1H)-

isoquinolinyl)butyl]-indanone

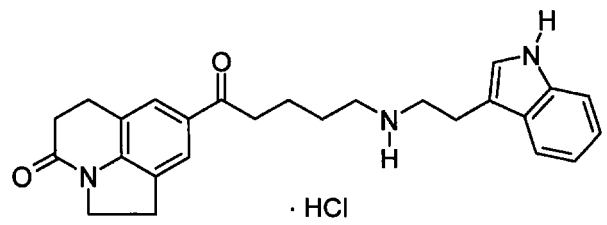


Using (±)-2-(4-chlorobutyl)-5,6-dimethoxy-1-indanone obtained in Reference Example 147 and 5-methoxy-1,2,3,4-tetrahydroisoquinoline according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.50-1.68 (4H, m), 1.97 (2H, m), 2.51 (2H, t, J = 8.2Hz), 2.62-2.76 (6H, m), 3.23 (1H, dd, J = 17.2, 7.6Hz), 3.59 (2H, s), 3.80 (3H, s), 3.90 (3H, s), 3.96 (3H, s), 6.62-6.67 (2H, m), 6.87 (1H, s), 7.08 (1H, t, J = 7.8Hz), 7.17 (1H, s).

Example 245

8-(5-{[2-(1H-indol-3-yl)ethyl]amino}pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



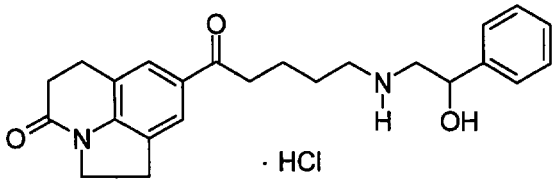
Using tert-butyl 2-(1H-indol-3-yl)ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-

yl)pentyl]carbamate (822 mg) obtained in Reference Example 151 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (630 mg) having a melting point of 179 to 180°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.8Hz), 2.80-3.25 (12H, m), 3.98 (2H, t, J = 8.4Hz), 7.00 (1H, t, J = 7.8Hz), 7.09 (1H, t, J = 7.8Hz), 7.24 (1H, d, J = 2.1Hz), 7.37 (1H, d, J = 7.8Hz), 7.61 (1H, d, J = 7.8Hz), 7.73 (1H, s), 7.74 (1H, s), 9.00-9.20 (2H, br), 11.0 (1H, s).

Example 246

(±)-8-{5-[(2-Hydroxy-2-phenyethyl)amino]pentanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



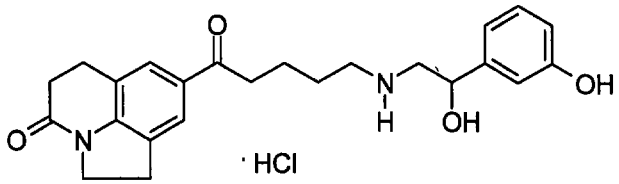
Using tert-butyl (±)-2-hydroxy-2-phenylethyl][5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (1.29 g) obtained in Reference Example 152 according to the same method as that of Example 1, the title compound was obtained as pale yellow crystals (680 mg).

^{1}H NMR (300MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.8Hz), 2.90-3.25 (10H, m), 3.99 (2H, t, J = 8.4Hz),

4.98 (1H, d, J = 8.4Hz), 6.10-6.30 (1H, br), 7.30-7.50 (5H, m), 7.73 (1H, s), 7.74 (1H, s), 8.85-9.25 (2H, br).

Example 247

(±)-8-(5-{[2-Hydroxy-2-(3-hydroxyphenyl)ethyl]amino}pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



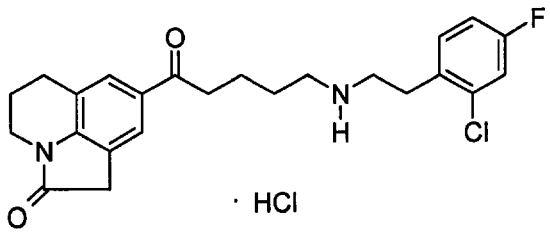
Using tert-butyl (±)-2-hydroxy-2-(3-hydroxyphenyl)ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (201 mg) obtained in Reference Example 153 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (169 mg) having a melting point of 178 to 179°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.8Hz), 2.80-3.60 (10H, m), 3.99 (2H, t, J = 8.4Hz), 4.90 (1H, d, J = 9.9Hz), 5.90-6.30 (1H, br), 6.65-6.90 (3H, m), 7.16 (1H, t, J = 7.8Hz), 7.73 (1H, s), 7.74 (1H, s), 8.65-8.85 (1H, br), 9.00-9.25 (1H, br), 9.40-9.65 (1H, br).

Example 248

8-(5-{[2-(2-Chloro-4-fluorophenyl)ethyl]amino}pentanoyl)-

5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



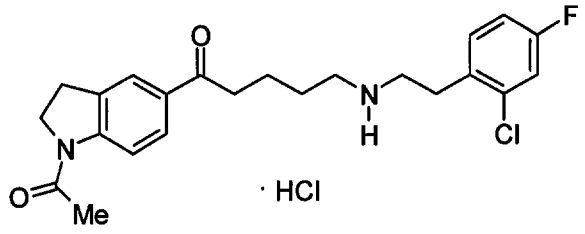
Using tert-butyl 2-(2-chloro-4-fluorophenyl)ethyl[5-oxo-5-(2-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamante (440 mg) obtained in Reference Example 155 according to the same methods as that of Example 1, the title compound (282 mg) was obtained as pale yellow crystals having a melting point of 128 to 129°C (dec).

^{1}H NMR (400MHz, DMSO-d_6) δ 1.52-1.73 (4H, m), 1.90-1.94 (2H, m), 2.77 (2H, t, J = 7Hz), 2.95-3.09 (8H, m), 3.57 (2H, s), 3.60 (2H, t, J = 6Hz), 7.22 (1H, dt, J = 2.7, 8.5Hz), 7.43-7.47 (2H, m), 7.71 (1H, s), 7.75 (1H, s), 9.22 (2H, s).

IR (KBr) νcm^{-1}: 3491, 2945, 2774, 1712, 1671, 1604, 1495, 1346, 1153.

Example 249

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-5-{[2-(2-chloro-4-fluorophenyl)ethyl]amino}pentan-1-one hydrochloride



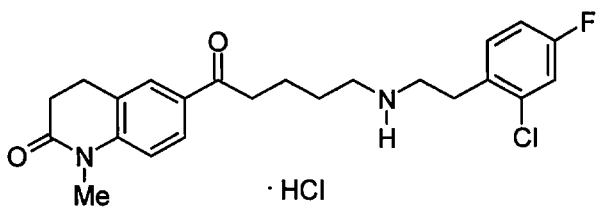
Using tert-butyl 5-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-5-oxopentyl[2-(2-chloro-4-fluorophenyl)ethyl]carbamate (540 mg) obtained in Reference Example 156 according to the same method as that of Example 1, the title compound (275 mg) was obtained as colorless crystals having a melting point of 176 to 177°C (dec).

^{1}H NMR (400MHz, DMSO-d_6) δ 1.51-1.73 (4H, m), 2.18 (3H, s), 2.95-3.08 (8H, m), 3.17 (2H, t, J = 8.3Hz), 4.14 (2H, t, J = 8.5Hz), 7.22 (1H, dt, J = 2.7, 8.5Hz), 7.43-7.47 (2H, m), 7.82 (1H, s), 7.83 (1H, t, J = 8.0Hz), 8.08 (1H, d, J = 8.5Hz), 9.17 (2H, s).

IR (KBr) νcm^{-1}: 3436, 2954, 2780, 1667, 1604, 1494, 1442, 1403, 1338, 1260, 1233.

Example 250

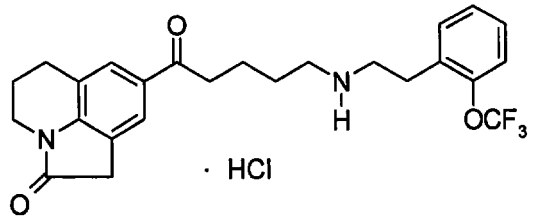
6-(5-{[2-(2-Chloro-4-fluorophenyl)ethyl]amino}pentanoyl)-1-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride



Using tert-butyl 2-(2-chloro-4-fluorophenyl)ethyl[5-(1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-5-oxopentyl]carbamate (460 mg) obtained in Reference Example 157 according to the same method as that of Example 1, the title compound (356 mg) was obtained as pale yellow crystals having a melting point of 169 to 170°C (dec).
^{1}H NMR (400MHz, DMSO-d_6) δ 1.58-1.65 (4H, m), 2.49 (2H, t, J = 7.0Hz), 2.83-3.04 (10H, m), 3.19 (3H, s), 7.09 (1H, d, J = 8.5Hz), 7.13 (1H, dt, J = 2.6, 8.5Hz), 7.33-7.40 (2H, m), 7.76 (1H, s), 7.80 (1H, d, J = 8.3Hz), 9.33 (2H, s).
IR (KBr) νcm^{-1}: 3433, 2953, 2790, 1673, 1603, 1494, 1354, 1128.

Example 251

8-[5-({2-[2-(Trifluoromethoxy)phenyl]ethyl}amino)pentanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using tert-butyl 5-oxo-5-(2-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl}2-[2-(trifluoromethoxy)phenyl]ethyl)carbamate (200 mg) obtained

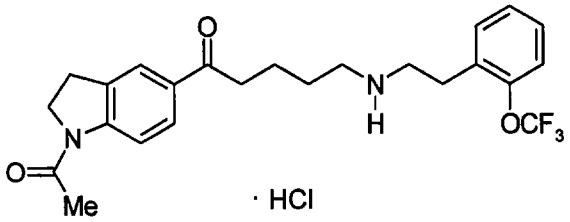
in Reference Example 158 according to the same method as that of Example 1, the title compound (95 mg) was obtained as pale yellow crystals having a melting point of 71 to 72°C (dec).

^{1}H NMR (400MHz, DMSO-d_6) δ 1.66-1.68 (4H, m), 1.89-1.95 (2H, m), 2.77 (2H, t, J = 6Hz), 2.97-3.06 (8H, m), 3.58 (2H, s), 3.61 (2H, t, J =6Hz), 7.35-7.47 (4H, m), 7.72 (1H, s), 7.76 (1H, s), 9.06 (2H, br.s).

IR (KBr) νcm^{-1}: 3422, 2943, 1711, 1605, 1496, 1344, 1256, 1154.

Example 252

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-5-({2-[2-(trifluoromethoxy)phenyl]ethyl}amino)pentan-1-one hydrochloride



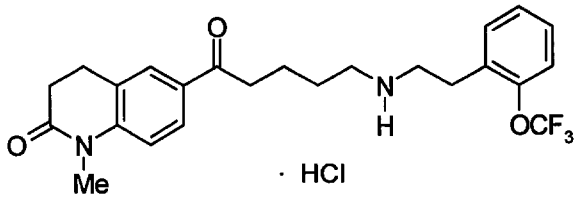
Using tert-butyl 5-(1-acetyl-2,3-dihydro-1H-indol-yl)-5-oxopentyl{2-[2-(trifluoromethoxy)phenyl]ethyl}carbamate (450 mg) obtained in Reference Example 159 according to the same method as that of Example 1, the title compound (274 mg) was obtained as colorless crystals having a melting point of 180 to 182°C (dec).

^{1}H NMR (400MHz, DMSO-d_6) δ 1.65-1.71 (4H, m), 2.18 (3H, s), 2.96-3.07 (8H, m), 3.17 (2H, t, J = 8.3Hz), 4.14 (2H, t, J = 8.3Hz), 7.35-7.48 (4H, m), 7.82 (1H, s), 7.83 (1H, t, J = 8.3Hz), 8.08 (1H, d, J = 8.3Hz), 9.20 (2H, br.s).

IR (KBr) νcm^{-1}: 3432, 2953, 2766, 1676, 1601, 1492, 1442, 1398, 1260, 1177.

Example 253

1-Methyl-6-[5-({2-[2-(trifluoromethoxy)phenyl]ethyl}amino)pentanoyl]-3,4-dihydroquinolin-2(1H)-one hydrochloride



Using tert-butyl 5-(1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-5-oxopentyl{2-[2-(trifluoromethoxy)phenyl]ethyl}carbamate (435 mg) obtained in Reference Example 160 according to the same method as that of Example 1, the title compound (237 mg) was obtained as colorless crystals having a melting point of 89 to 90°C (dec).

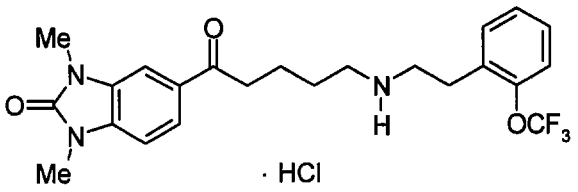
^{1}H NMR (400MHz, DMSO-d_6) δ 1.62-1.69 (4H, m), 2.58 (2H, t, J = 7.0Hz), 2.92-3.07 (10H, m), 3.28 (3H, s), 7.19 (1H, d, J = 8.5Hz), 7.35-7.48(4H, m), 7.84 (1H, s), 7.89 (1H, d, J

= 8.3Hz), 9.11 (2H, br.s).

IR (KBr) νcm^{-1}: 3432, 2944, 1675, 1604, 1456, 1364, 1265, 1131.

Example 254

1,3-Dimethyl-5-[5-({2-[2-(trifluoromethoxy)phenyl]ethyl}amino)pentanoyl]-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



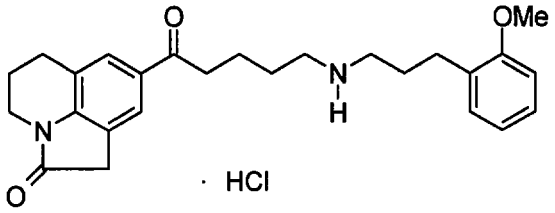
Using tert-butyl 5-(1,3-dimethyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-oxopentyl{2-[2-(trifluoromethoxy)phenyl]ethyl}carbamate (240 mg) obtained in Reference Example 161 according to the same method as that of Example 1, the title compound (127 mg) was obtained as colorless crystals having a melting point of 133 to 134°C (dec).

^1H NMR (400MHz, DMSO-d_6) δ 1.70-1.74 (4H, m), 2.98 (2H, br.s), 3.08-3.11 (6H, m), 3.36 (3H, s), 3.38 (3H, s), 7.24 (1H, d, J = 8.3Hz), 7.35-7.43 (3H, m), 7.46 (1H, dd, J = 2.2, 7.0Hz), 7.72 (1H, d, J = 1.5Hz), 7.80 (1H, dd, J = 1.5, 8.3Hz), 9.19 (2H, br.s).

IR (KBr) νcm^{-1}: 3496, 2954, 2782, 1720, 1675, 1513, 1456, 1255, 1213, 1178.

Example 255

8-(5-{[3-(2-Methoxyphenyl)propyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



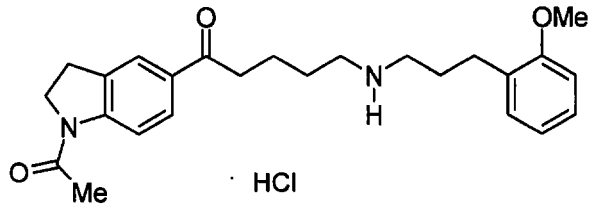
Using tert-butyl 3-(2-methoxyphenyl)propyl[5-oxo-5-(2-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (160 mg) obtained in Reference Example 162 according to the same method as that of Example 1, the title compound (113 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.64 (4H, br.s), 1.72-1.95 (4H, m), 2.59 (2H, t, J = 6Hz), 2.70-2.93 (6H, m), 2.98 (2H, br.s), 3.57 (2H, s), 3.60 (2H, br.s), 3.77 (3H, s), 6.87 (1H, t, J = 7.3Hz), 6.95 (1H, d, J = 7.6Hz), 7.14 (1H, d, J = 7.3Hz), 7.19 (1H, t, J = 7.6Hz), 7.71 (1H, s), 7.75 (1H, s), 8.81 (2H, br.s).

IR (KBr) νcm^{-1}: 3425, 2945, 1708, 1664, 1601, 1495, 1344, 1244, 1155, 759.

Example 256

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-5-{[3-(2-methoxyphenyl)propyl]amino}pentan-1-one hydrochloride



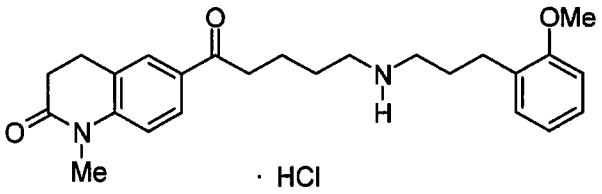
Using tert-butyl 5-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-5-oxopentyl[3-(2-methoxyphenyl)propyl]carbamate (410 mg) obtained in Reference Example 163 according to the same method as that of Example 1, the title compound (290 mg) was obtained as colorless crystals having a melting point of 165 to 166°C (dec).

^{1}H NMR (400MHz, DMSO-d_6) δ 1.62-1.68 (4H, m), 1.85-1.95 (2H, m), 2.18 (3H, s), 2.59 (2H, t, J = 7.3Hz), 2.79-2.87 (4H, m), 2.98 (2H, t, J = 7Hz), 3.16 (2H, t, J = 8.3Hz), 3.77 (3H, s), 4.13 (2H, t, J = 8.3Hz), 6.89 (1H, t, J = 7.6Hz), 6.94 (1H, d, J = 7.6Hz), 7.15 (1H, d, J = 7.6Hz), 7.19 (1H, t, J = 7.6Hz), 7.81 (1H, s), 7.82 (1H, d, J = 8.3Hz), 8.08 (1H, d, J = 8.3Hz), 9.00 (2H, br.s).

IR (KBr) νcm^{-1}: 3436, 2945, 1676, 1661, 1602, 1492, 1438, 1399, 1334, 1243, 754.

Example 257

6-(5-{[3-(2-Methoxyphenyl)propyl]amino}pentanoyl)-1-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride



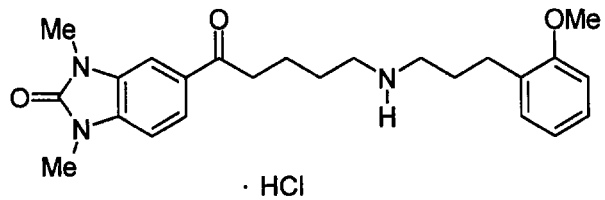
Using tert-butyl 3-(2-methoxyphenyl)propyl[5-(1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-5-oxopentyl]carbamate (410 mg) obtained in Reference Example 164 according to the same method as that of Example 1, the title compound (295 mg) was obtained as colorless crystals having a melting point of 88 to 89°C (dec).

^{1}H NMR (400MHz, DMSO-d_6) δ 1.57 (4H, br.s), 1.78-1.84 (2H, m), 2.47-2.53 (4H, m), 2.75-2.80 (4H, m), 2.85 (2H, t, J = 7Hz), 2.93 (2H, t, J = 7Hz), 3.19 (3H, s), 3.69 (3H, s), 6.79 (1H, t, J = 7.3Hz), 6.87 (1H, d, J = 7.3Hz), 7.07 (1H, d, J = 7.3Hz), 7.10 (1H, d, J = 8.3Hz), 7.11 (1H, t, J = 7.3Hz), 7.75 (1H, s), 7.81 (1H, d, J = 8.3Hz), 8.88 (2H, br.s).

IR (KBr) νcm^{-1}: 3434, 2948, 1672, 1603, 1495, 1464, 1360, 1245, 1128, 750.

Example 258

5-(5-{[3-(2-Methoxyphenyl)propyl]amino}pentanoyl)-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



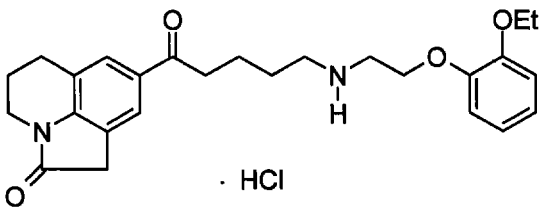
Using tert-butyl 5-(1,3-dimethyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-oxopentyl[3-(2-methoxyphenyl)propyl]carbamate (380 mg) obtained in Reference Example 165 according to the same method as that of Example 1, the title compound (186 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.53-1.63 (4H, m), 1.77-1.85 (2H, m), 2.51 (2H, t, J = 7.5Hz), 2.76-2.81 (4H, m), 2.98 (2H, t, J = 6.6Hz), 3.26 (3H, s), 3.29 (3H, s), 3.69 (3H, s), 6.78 (1H, t, J = 7.3Hz), 6.87 (1H, d, J = 7.5Hz), 7.06 (1H, d, J = 7.5Hz), 7.10 (1H, t, J = 7.3Hz), 7.15 (1H, d, J = 8.3Hz), 7.62 (1H, d, J = 1.5Hz), 7.70 (1H, dd, J = 1.5, 8.3Hz), 8.92 (2H, br.s).

IR (KBr) νcm^{-1}: 3443, 2943, 1715, 1673, 1621, 1512, 1495, 1462, 1244, 1200, 758.

Example 259

8-(5-{[2-(2-Ethoxyphenoxy)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using tert-butyl 2-(2-ethoxyphenoxy)ethyl[5-oxo-5-(2-

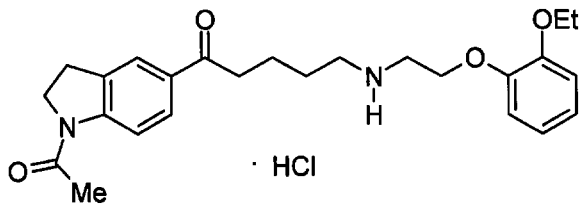
oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (205 mg) obtained in Reference Example 166 according to the same method as that of Example 1, the title compound (152 mg) was obtained as colorless crystals having a melting point of 110 to 113°C (dec).

^{1}H NMR (400MHz, DMSO-d_6) δ 1.31 (3H, t, J = 6.6Hz), 1.69 (4H, br.s), 1.91 (2H, br.s), 2.76 (2H, br.s), 3.00-3.08 (4H, m), 3.29 (2H, br.s), 3.57 (2H, s), 3.60 (2H, br.s), 4.01 (2H, q, J = 6.6Hz), 4.26 (2H, br.s), 6.88-7.04 (4H, m), 7.71 (1H, s), 7.75 (1H, s), 9.16 (2H, br.s).

IR (KBr) νcm^{-1}: 3418, 2940, 1708, 1671, 1603, 1507, 1348, 1254, 1213, 1155, 1127, 740.

Example 260

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-5-{[2-(2-ethoxyphenoxy)ethyl]amino}pentan-1-one hydrochloride



Using tert-butyl 5-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-5-oxopentyl[2-(2-ethoxyphenoxy)ethyl]carbamate (390 mg) obtained in Reference Example 167 according to the same method as that of Example 1, the title compound (275 mg) was obtained as colorless crystals having a melting point

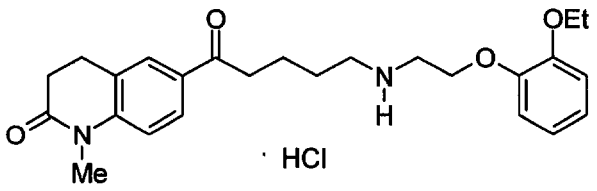
of 125 to 126°C (dec).

^{1}H NMR (400MHz, DMSO-d_6) δ 1.31 (3H, t, J = 6.8Hz), 1.65-1.71 (4H, m), 2.18 (3H, s), 2.99-3.18 (6H, m), 3.28 (2H, br.s), 4.01 (2H, q, J = 6.8Hz), 4.13 (2H, t, J = 8.3Hz), 4.28 (2H, t, J = 6Hz), 6.85-7.04 (4H, m), 7.81 (1H, s), 7.82 (1H, d, J = 8Hz), 8.08 (1H, d, J = 8Hz), 9.30 (2H, s).

IR (KBr) νcm^{-1}: 3498, 2943, 1683, 16424, 1598, 1509, 1488, 1448, 1407, 1254, 1209, 1126, 746.

Example 261

6-(5-{[2-(2-Ethoxyphenoxy)ethyl]amino}pentanoyl)-1-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride



Using tert-butyl 2-(2-ethoxyphenoxy)ethyl[5-(1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-5-oxopentyl]carbamate (420 mg) obtained in Reference Example 168 according to the same method as that of Example 1, the title compound (292 mg) was obtained as colorless crystals having a melting point of 135 to 136°C (dec).

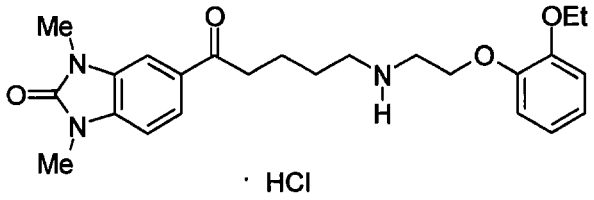
^{1}H NMR (400MHz, DMSO-d_6) δ 1.31 (3H, t, J = 6.8Hz), 1.65-1.76 (4H, m), 2.57 (2H, t, J = 6Hz), 2.93 (2H, t, J = 7Hz), 3.04 (2H, t, J = 7Hz), 3.09 (2H, br.s), 3.27 (3H, s), 3.29 (2H, t, J = 6Hz), 4.01 (2H, q, J = 6.8Hz), 4.28 (2H, t, J =

6Hz), 6.85-7.05 (4H, m), 7.18 (1H, d, J = 8.3Hz), 7.83 (1H, s), 7.89 (1H, d, J = 8.3Hz), 9.27 (2H, s).

IR (KBr) νcm^{-1}: 3436, 2954, 1671, 1605, 1508, 1455, 1358, 1256, 1220, 1130, 744.

Example 262

5-(5-{[2-(2-Ethoxyphenoxy)ethyl]amino}pentanoyl)-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



Using tert-butyl 5-(1,3-dimethyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-oxopentyl[2-(2-ethoxyphenoxy)ethyl]carbamate (260 mg) obtained in Reference Example 169 according to the same method as that of Example 1, the title compound (156 mg) was obtained as colorless crystals having a melting point of 103 to 105°C (dec).

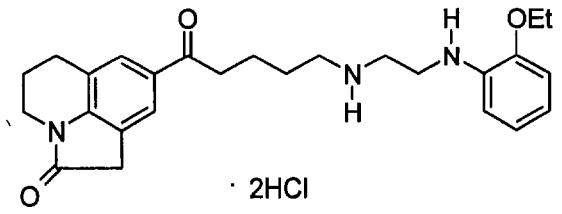
^1H NMR (400MHz, DMSO-d_6) δ 1.31 (3H, t, J = 6.8Hz), 1.67-1.77 (4H, m), 3.09 (4H, t, J = 7Hz), 3.30 (2H, t, J = 7.5Hz), 3.36 (3H, s), 3.37 (3H, s), 4.00 (2H, q, J = 6.8Hz), 4.27 (2H, t, J = 5.3Hz), 6.85-7.00 (3H, m),7.03 (1H, dd, J = 1.7, 7.8Hz), 7.24 (1H, d, J = 8.3Hz), 7.72 (1H, d, J = 1.5Hz), 7.79 (1H, dd, J = 1.5, 8.3Hz), 9.23 (2H, br.s).

IR (KBr) νcm^{-1}: 3527, 2942, 2742, 1719, 1667, 1620, 1506,

1456, 1249, 1202, 1128, 737.

Example 263

8-[5-({2-[(2-Ethoxyphenyl)amino]ethyl}amino)pentanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one dihydrochloride



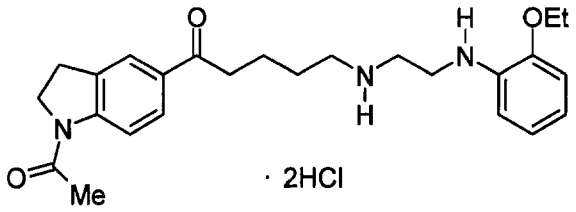
Using tert-butyl 2-[(2-ethoxyphenyl)amino]ethyl[5-oxo-5-(2-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (605 mg) obtained in Reference Example 170 according to the same method as that of Example 1, the title compound (431 mg) was obtained as colorless crystals having a melting point of 98 to 100°C (dec).

^{1}H NMR (400MHz, DMSO-d_6) δ 1.36 (3H, t, J = 6.8Hz), 1.65-1.71 (4H, m), 1.88-1.94 (2H, m), 2.76 (2H, t, J = 6.0Hz), 2.94-3.00 (4H, m), 3.11 (2H, br.s), 3.51 (2H, t, J = 6.6Hz), 3.57 (2H, s), 3.60 (2H, t, J = 6.0Hz), 4.04 (2H, q, J = 6.8Hz), 6.26 (2H, br) 6.80-6.86 (2H, m), 6.91-6.93 (2H, m), 7.71 (1H, s), 7.75 (1H, s), 9.27 (2H, s).

IR (KBr) νcm^{-1}: 3392, 2774, 1709, 1665, 1606, 1496, 1344, 1267, 1162, 1040, 766.

Example 264

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-5-({2-[(2-ethoxyphenyl)amino]ethyl}amino)pentan-1-one dihydrochloride



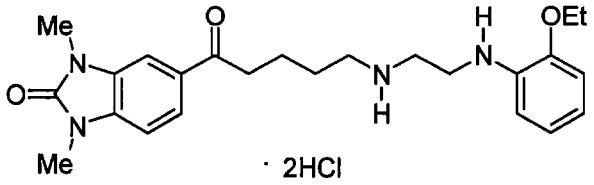
Using tert-butyl 5-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-5-oxopentyl{2-[(2-ethoxyphenyl)amino]ethyl}carbamate (690 mg) obtained in Reference Example 171 according to the same method as that of Example 1, the title compound (545 mg) was obtained as colorless crystals having a melting point of 155 to 157°C (dec).

^{1}H NMR (400MHz, DMSO-d_6) δ 1.36 (3H, t, J = 6.8Hz), 1.64-1.70 (4H, m), 2.18 (3H, s), 2.95 (2H, br.s), 3.00 (2H, t, J = 6.8Hz), 3.13-3.19 (4H, m), 3.53 (2H, t, J = 6.6Hz), 4.05 (2H, q, J = 6.8Hz), 4.14 (2H, t, J = 8.5Hz), 6.85-7.02 (4H, m), 6.94 (2H, br) 7.82 (1H, s), 7.83 (1H, d, J = 8.3Hz), 8.07 (1H, d, J = 8.3Hz), 9.36 (2H, s).

IR (KBr) νcm^{-1}: 3546, 3467, 2748, 1678, 1600, 1504, 1439, 1393, 1322, 1265, 1122, 1042, 762.

Example 265

5-[5-({2-[(2-Ethoxyphenyl)amino]ethyl}amino)pentanoyl]-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one dihydrochloride



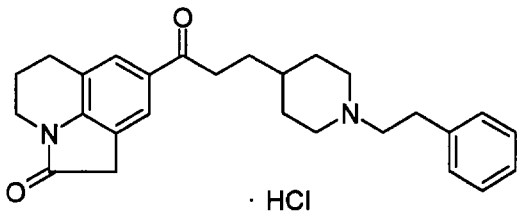
Using tert-butyl 5-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-5-oxopentyl{2-[(2-ethoxyphenyl)amino]ethyl}carbamate (660 mg) obtained in Reference Example 171 according to the same method as that of Example 1, the title compound (448 mg) was obtained as colorless crystals having a melting point of 173 to 175°C (dec).

^{1}H NMR (400MHz, DMSO-d_6) δ 1.36 (3H, t, J = 7.0Hz), 1.65-1.73 (4H, m), 2.97 (2H, br.s), 3.08 (2H, t, J = 6.8Hz), 3.13 (2H, br.s), 3.35 (3H, s), 3.37 (3H, s), 3.53 (2H, t, J = 6.5Hz), 4.05 (2H, q, J = 7.0Hz), 6.85-7.02 (4H, m), 7.24 (1H, d, J = 8.3Hz), 7.46 (2H, br) 7.72 (1H, s), 7.79 (1H, d, J = 8.3Hz), 9.39 (2H, s).

IR (KBr) νcm^{-1}: 3423, 2749, 1718, 1673, 1619, 1506, 1394, 1263, 1200, 1041, 767.

Example 266

8-{3-[1-(2-Phenylethyl)-4-piperidinyl]propanoyl}-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



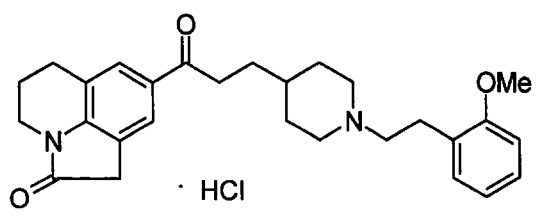
Using 8-[3-(4-piperidinyl)propanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one (312 mg) obtained in Reference Example 174 and (2-bromoethyl)benzene (185 mg) according to the same method as that of Example 81, the title compound was obtained as pale yellow crystals (285 mg) having a melting point of 207 to 208°C.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.42-1.47 (3H, m), 1.69-1.80 (4H, m), 2.01-2.13 (4H, m), 2.64-2.68 (2H, m), 2.81-2.88 (4H, m), 2.95 (2H, t, J = 7.6Hz), 3.10 (2H, d, J = 11.5Hz), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 7.18-7.30 (5H, m), 7.73 (2H, s).

IR (KBr) νcm^{-1}: 1715, 1672, 1604, 1343, 1152.

Example 267

8-(3-{1-[2-(2-Methoxyphenyl)ethyl]-4-piperidinyl}propanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



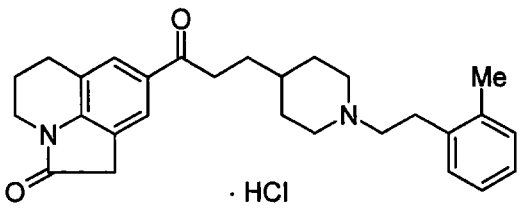
Using 8-[3-(4-piperidinyl)propanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one (312 mg) obtained in Reference Example 174 and 2-(2-methoxyphenyl)ethyl methanesulfonate (230 mg) according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders (184 mg).

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.40 (3H, m), 1.67-1.76 (4H, m), 1.97-2.07 (4H, m), 2.51-2.55 (2H, m), 2.80-2.84 (4H, m), 2.94 (2H, t, J = 7.5Hz), 3.03 (2H, d, J = 11.0Hz), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 3.81(3H, s), 6.83-6.89 (2H, m), 7.13-7.20 (2H, m), 7.73 (2H, s).

IR (KBr) νcm^{-1}: 1717, 1673, 1604, 1495, 1343, 1243, 1153.

Example 268

8-(3-{1-[2-(2-Methylphenyl)ethyl]-4-piperidinyl}propanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 174 and 2-(2-methylphenyl)ethyl methanesulfonate according to the same method as that of Example 81, the

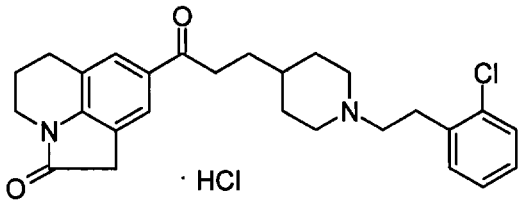
title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.40 (3H, m), 1.68-1.78 (4H, m), 1.99-2.07 (4H, m), 2.32 (3H, s), 2.49-2.53 (2H, m), 2.79-2.85 (4H, m), 2.95 (2H, t, J = 7.5Hz), 3.06 (2H, d, J = 10.7Hz), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 7.09-7.15 (4H, m), 7.73 (2H, s).

IR (KBr) νcm^{-1}: 1717, 1673, 1604, 1495, 1342, 1153.

Example 269

8-(3-{1-[2-(2-Chlorophenyl)ethyl]-4-piperidinyl}propanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 174 and 2-(2-chlorophenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

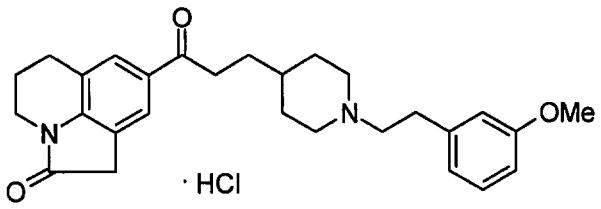
^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.40 (3H, m), 1.68-1.78 (4H, m), 2.01-2.07 (4H, m), 2.55-2.59 (2H, m),

2.82 (2H, t, J = 6Hz), 2.93-2.96 (4H, m), 3.03 (2H, d, J = 11.0Hz), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 7.13-7.34 (4H, m), 7.73 (2H, s).

IR (KBr) νcm^{-1}: 1716, 1673, 1604, 1496, 1342, 1152.

Example 270

8-(3-{1-[2-(3-Methoxyphenyl)ethyl]-4-piperidinyl}propanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



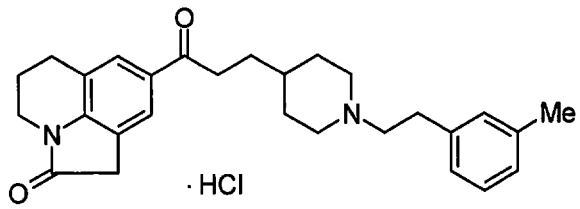
Using 8-[3-(4-piperidinyl)propanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 174 and 2-(3-methoxyphenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

^1H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.39 (3H, m), 1.68-1.77 (4H, m), 1.97-2.07 (4H, m), 2.55-2.59 (2H, m), 2.77-2.84 (4H, m), 2.93-3.02 (4H, m), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 3.79 (3H, s), 6.73-6.80 (3H, m), 7.20 (1H, t, J = 8Hz), 7.73 (2H, s).

IR (KBr) νcm^{-1}: 1717, 1673, 1603, 1342, 1152.

Example 271

8-(3-{1-[2-(3-Methylphenyl)ethyl]-4-piperidinyl}propanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 174 and 2-(3-methylphenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

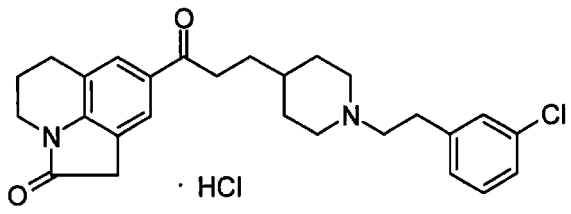
^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.39 (3H, m), 1.68-1.77 (4H, m), 1.97-2.07 (4H, m), 2.32 (3H, s), 2.54-2.58 (2H, m), 2.75-2.85 (4H, m), 2.93-3.03 (4H, m), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 6.99-7.04 (3H, m), 7.17 (1H, t, J = 8Hz), 7.73 (2H, s).

IR (KBr) νcm^{-1}: 1719, 1673, 1605, 1342, 1152.

Example 272

8-(3-{1-[2-(3-Chlorophenyl)ethyl]-4-piperidinyl}propanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one

hydrochloride



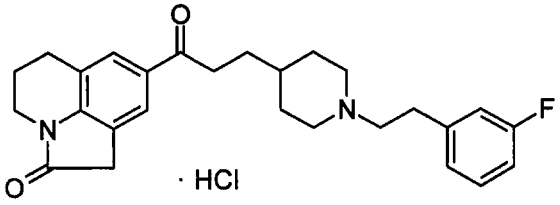
Using 8-[3-(4-piperidinyl)propanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one (312 mg) obtained in Reference Example 174 and 2-(3-chlorophenyl)ethyl methanesulfonate (235 mg) according to the same method as that of Example 81, the title compound was obtained as pale yellow crystals (200 mg) having a melting point of 108 to 109°C.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.28-1.40 (3H, m), 1.67-1.77 (4H, m), 1.96-2.07 (4H, m), 2.53-2.57 (2H, m), 2.76-2.84 (4H, m), 2.90-3.00 (4H, m), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 7.07-7.25 (4H, m), 7.73 (2H, s).

IR (KBr) νcm^{-1}: 1717, 1673, 1603, 1343, 1152.

Example 273

8-(3-{1-[2-(3-Fluorophenyl)ethyl]-4-piperidinyl}propanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



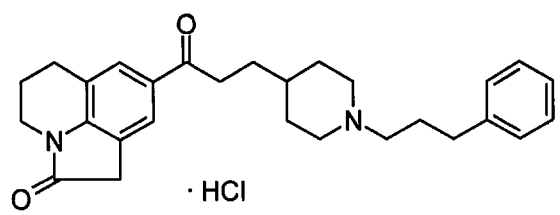
Using 8-[3-(4-piperidinyl)propanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 174 and 2-(3-fluorophenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as pale yellow crystals having a melting point of 214 to 215°C.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.29-1.40 (3H, m), 1.68-1.77 (4H, m), 1.97-2.07 (4H, m), 2.54-2.58 (2H, m), 2.78-2.84 (4H, m), 2.93-3.00 (4H, m), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 6.86-6.98 (3H, m), 7.20-7.26 (1H, m), 7.73 (2H, s).

IR (KBr) νcm^{-1}: 1717, 1673, 1604, 1342, 1143.

Example 274

8-{3-[1-(3-Phenylpropyl)-4-piperidinyl]propanoyl}-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



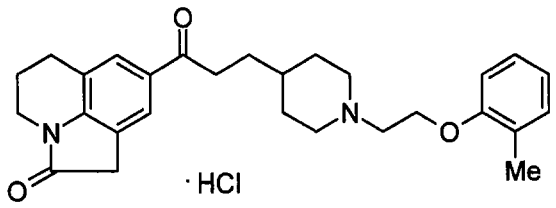
Using 8-[3-(4-piperidinyl)propanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 174 and (3-bromopropyl)benzene according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.25-1.40 (3H, m), 1.63-1.73 (4H, m), 1.80-1.92 (5H, m), 2.00-2.06 (2H, m), 2.35 (2H, t, J = 7.6Hz), 2.62 (2H, t, J = 7.6Hz), 2.82 (2H, t, J = 6Hz),2.91-2.95 (3H, m), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 7.12-7.33 (5H, m), 7.73 (2H, s).

IR (KBr) νcm^{-1}: 1714, 1672, 1604, 1496, 1344, 1153.

Example 275

8-(3-{1-[2-(2-Methylphenoxy)ethyl]-4-piperidinyl}propanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



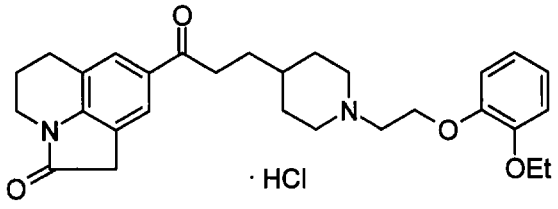
Using 8-[3-(4-piperidinyl)propanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 174 and 1-(2-bromoethoxy)-2-methylbenzene according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.35 (3H, m), 1.67-1.75 (4H, m), 2.00-2.06 (2H, m), 2.14 (2H, t, J = 11.0Hz), 2.21 (3H, s), 2.80-2.84 (4H, m), 2.94 (2H, t, J = 7.4Hz), 3.03 (2H, d, J = 11Hz), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 4.11 (2H, t, J = 6Hz), 6.80-6.87 (2H, m), 7.12-7.15 (2H, m), 7.73 (2H, s).

IR (KBr) νcm^{-1}: 1718, 1673, 1604, 1496, 1343, 1244.

Example 276

8-(3-{1-[2-(2-Ethoxyphenoxy)ethyl]-4-piperidinyl}propanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one obtained in Reference Example 174 and 1-(2-bromoethoxy)-2-ehoxybenzene according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

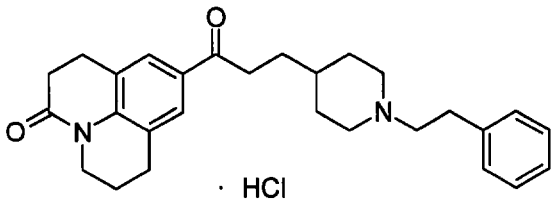
^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.39 (3H, m), 1.43 (3H, t, J = 7Hz), 1.67-1.75 (4H, m), 2.00-2.13 (4H, m), 2.81-2.84 (4H, m), 2.94 (2H, t, J = 7.5Hz), 3.03 (2H, d, J = 11Hz), 3.55 (2H, s), 3.74 (2H, t, J = 6Hz), 4.07(2H, q, J

= 7Hz), 4.11-4.15 (2H, m), 6.87-6.91 (4H, m), 7.73 (2H, s).

IR (KBr) νcm^{-1}: 1717, 1672, 1604, 1499, 1342, 1253.

Example 277

9-{3-[1-(2-Phenylethyl)-4-piperidinyl]propanoyl}-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-[3-(4-piperidinyl)propanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 176 and (2-bromoethyl)benzene according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

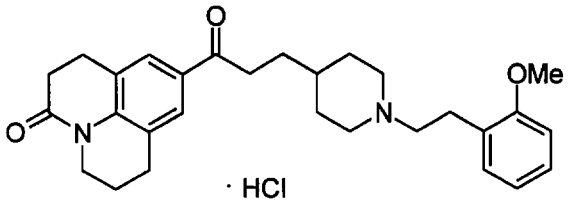
^1H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.40 (3H, m), 1.68-1.77 (4H, m), 1.94-2.03 (4H, m), 2.56-2.69 (2H, m), 2.68 (2H, t, J = 7Hz), 2.79-2.86 (4H, m), 2.94 (4H, t, J = 7Hz), 3.02 (2H, d, J = 11Hz),), 3.90 (2H, t, J = 6Hz), 7.17-7.30 (5H, m), 7.62 (2H, d, J = 5.4Hz).

IR (KBr) νcm^{-1}: 1674, 1604, 1360, 1158.

Example 278

9-(3-{1-[2-(2-Methoxyphenyl)ethyl]-4-piperidinyl}propanoyl)-2,3,6,7-tetrahydro-1H,5H-

pyrido[3,2,1-ij]quinolin-5-one hydrochloride



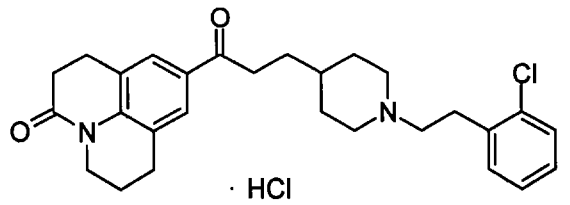
Using 9-[3-(4-piperidinyl)propanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 176 and 2-(2-methoxyphenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.40 (3H, m), 1.67-1.76 (4H, m), 1.94-2.03 (4H, m), 2.51-2.55 (2H, m), 2.68 (2H, t, J = 7Hz), 2.81-2.86 (4H, m), 2.94 (4H, t, J = 7Hz), 3.03 (2H, d, J = 11Hz), 3.81 (3H, s), 3.89 (2H, t, J = 6Hz), 6.83-6.89 (2H, m), 7.13-7.20 (2H, m), 7.62 (2H, d, J = 5Hz).

IR (KBr) νcm^{-1}: 1674, 1604, 1494, 1362, 1339, 1243, 1168.

Example 279

9-(3-{1-[2-(2-Chlorophenyl)ethyl]-4-piperidinyl}propanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



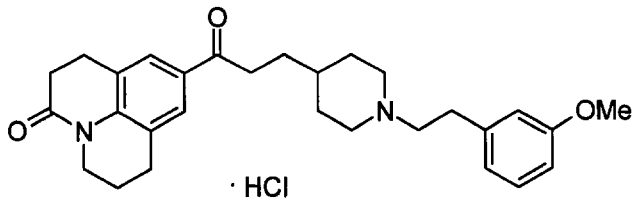
Using 9-[3-(4-piperidinyl)propanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 176 and 2-(2-methoxyphenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.40 (3H, m), 1.68-1.78 (4H, m), 1.94-2.07 (4H, m), 2.55-2.59 (2H, m), 2.68 (2H, t, J = 7Hz), 2.84 (2H, t, J = 6Hz), 2.96 (6H, t, J = 7Hz), 3.03 (2H, d, J = 11Hz), 3.89(2H, d, J = 6Hz), 7.12-7.34 (4H, m), 7.62 (2H, d, J = 5Hz).

IR (KBr) νcm^{-1}: 1674, 1604, 1489, 1361, 1339, 1168.

Example 280

9-(3-{1-[2-(3-Methoxyphenyl)ethyl]-4-piperidinyl}propanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-[3-(4-piperidinyl)propanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 176 and 2-(3-methoxyphenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as pale yellow

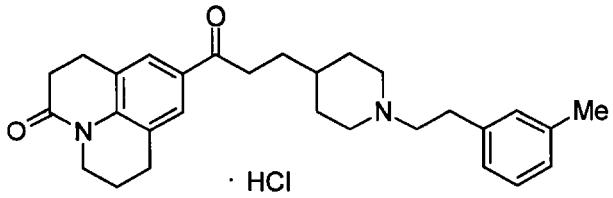
amorphous powders.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.40 (3H, m), 1.67-1.77 (4H, m), 1.95-2.02 (4H, m), 2.53-2.60 (2H, m), 2.68 (2H, t, J = 7Hz), 2.77-2.87 (4H, m), 2.92-3.02 (6H, m), 3.79 (3H, s), 3.89 (2H, t, J = 6Hz), 6.73-6.80 (3H, m), 7.18-7.22 (1H, m), 7.62 (2H, d, J = 5Hz).

IR (KBr) νcm^{-1}: 1674, 1603, 1485, 1361, 1339, 1167.

Example 281

9-(3-{1-[2-(2-Methylphenyl)ethyl]-4-piperidinyl}propanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-[3-(4-piperidinyl)propanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 176 and 2-(3-methylphenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

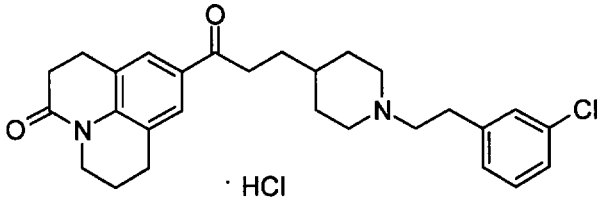
^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.40 (3H, m), 1.70-1.77 (4H, m), 1.94-2.02 (4H, m), 2.32 (3H, s), 2.54-2.58 (2H, m), 2.68 (2H, t, J = 7Hz), 2.75-2.80 (2H, m), 2.83-2.86 (2H, m), 2.94 (4H, t, J = 7Hz), 3.02 (2H, d, J =

11Hz), 3.89 (2H, t, J = 6Hz), 6.99-7.01 (3H, m), 7.17 (1H, t, J = 7Hz), 7.62 (2H, d, J = 5Hz).

IR (KBr) νcm^{-1}: 1675, 1604, 1485, 1361, 1339, 1166.

Example 282

9-(3-{1-[2-(3-Chlorophenyl)ethyl]-4-piperidinyl}propanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



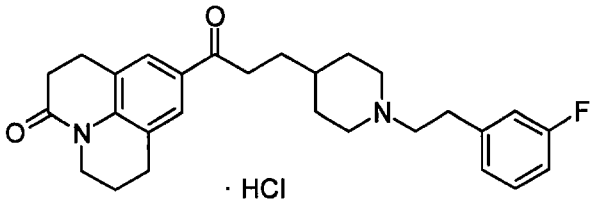
Using 9-[3-(4-piperidinyl)propanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 176 and 2-(3-chlorophenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

^1H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.40 (3H, m), 1.68-1.77 (4H, m), 1.94-2.02 (4H, m), 2.54-2.58 (2H, m), 2.68 (2H, t, J = 7Hz), 2.76-2.80 (2H, m), 2.84 (2H, t, J = 6Hz), 2.90-3.00 (6H, m), 3.90 (2H, t, J = 6Hz), 7.08 (1H, d, J = 7Hz), 7.16-7.23 (3H, m), 7.62 (2H, d, J = 5Hz).

IR (KBr) νcm^{-1}: 1674, 1603, 1483, 1360, 1338, 1167.

Example 283

9-(3-{1-[2-(3-Fluorophenyl)ethyl]-4-piperidinyl}propanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



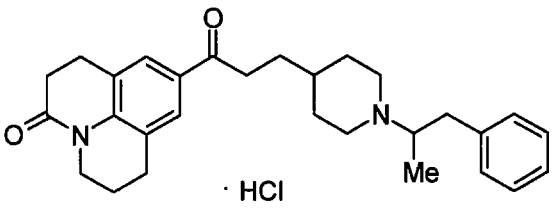
Using 9-[3-(4-piperidinyl)propanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 176 and 2-(3-fluorophenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.40 (3H, m), 1.68-1.81 (4H, m), 1.94-2.02 (4H, m), 2.55-2.59 (2H, m), 2.68 (2H, t, J = 7Hz), 2.78-2.86 (4H, m), 2.92-3.00 (6H, m), 3.89 (2H, t, J = 6Hz), 6.86-6.98 (3H, m), 7.23 (1H, q, J = 4Hz), 7.62 (2H, d, J = 5Hz).

IR (KBr) νcm^{-1}: 1674, 1604, 1585, 1486, 1361, 1339, 1158.

Example 284

(±)-9-{3-[1-(1-Methyl-2-phenylethyl)-4-piperidinyl]propanoyl}-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



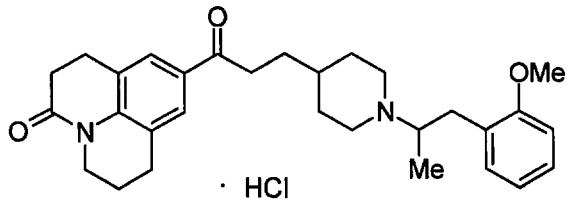
Using 9-[3-(4-piperidinyl)propanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 176 and (±)-1-methyl-2-phenylethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 0.94 (3H, d, J = 6Hz), 1.30-1.40 (3H, m), 1.67-1.78 (4H, m), 1.94-2.00 (2H, m), 2.26-2.42 (2H, m), 2.68 (2H, t, J = 7Hz), 2.84 (2H, t, J = 6Hz),2.90-3.04 (9H, m), 3.90 (2H, t, J = 6Hz), 7.16-7.34 (5H, m), 7.62 (2H, d, J = 5Hz).

IR (KBr) νcm^{-1}: 1673, 1604, 1484, 1361, 1339, 1158.

Example 285

(±)-9-(3-{1-[2-(2-Methoxyphenyl)-1-methylethyl]-4-piperidinyl}propanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-[3-(4-piperidinyl)propanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in

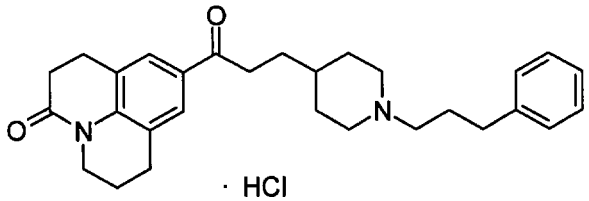
Reference Example 176 and (±)-2-(2-methoxyphenyl)-1-methylethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 0.94 (3H, d, J = 6Hz), 1.30-1.40 (3H, m), 1.67-1.77 (4H, m), 1.94-2.00 (2H, m), 2.36-2.44 (2H, m), 2.68 (2H, t, J = 7Hz), 2.84 (2H, t, J = 6Hz),2.92-3.04 (9H, m), 3.80 (3H, s), 3.89 (2H, t, J = 6Hz), 6.83 (1H, d, J = 7Hz), 6.88 (1H, t, J = 6Hz), 7.10 (1H, d, J = 7Hz), 7.17 (1H, t, J = 6Hz), 7.62 (2H, d, J = 5Hz).

IR (KBr) νcm^{-1}: 1675, 1604, 1494, 1362, 1339, 1244, 1159.

Example 286

9-{3-[1-(3-Phenylpropyl)-4-piperidinyl]propanoyl}-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



Using 9-[3-(4-piperidinyl)propanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 176 and (3-bromopropyl)benzene according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

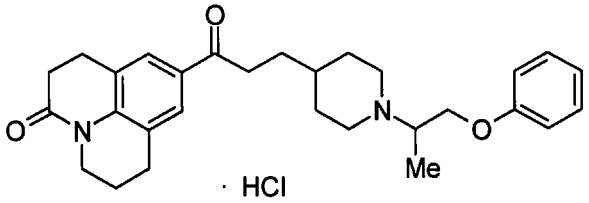
^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.28-1.40 (3H, m),

1.67-1.73 (4H, m), 1.79-1.91 (4H, m), 1.93-2.04 (2H, m), 2.35 (2H, t, J = 7.5Hz), 2.60-2.70 (4H, m), 2.84 (2H, t, J = 6Hz), 2.90-2.95 (6H, m), 3.89 (2H, t, J = 6Hz), 7.15-7.29 (5H, m), 7.61 (2H, d, J = 5Hz).

IR (KBr) νcm^{-1}: 1675, 1604, 1361, 1339, 1166.

Example 287

(±)-9-{3-[1-(1-Methyl-2-phenoxyethyl)-4-piperidinyl]propanoyl}-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



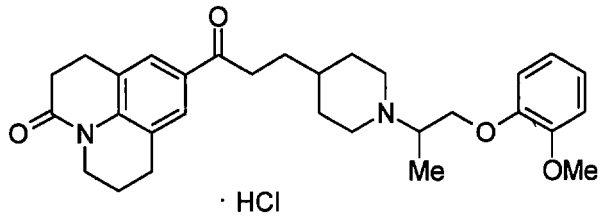
Using 9-[3-(4-piperidinyl)propanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 176 and (±)-(2-bromopropoxy)benzene according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

^1H NMR (free base; 400MHz, $CDCl_3$) δ 1.19 (3H, d, J = 6Hz), 1.30-1.40 (3H, m), 1.66-1.76 (4H, m), 1.93-1.99 (2H, m), 2.28-2.40 (2H, m), 2.68 (2H, t, J = 7Hz), 2.84 (2H, t, J = 6Hz), 2.91-3.04 (7H, m), 3.89 (2H, d, J = 6Hz), 4.05-4.10 (2H, m), 6.88-6.95 (3H, m), 7.25-7.30 (2H, m), 7.61 (2H, d, J = 5Hz).

IR (KBr) νcm^{-1}: 1674, 1601, 1496, 1361, 1339, 1244, 1159.

Example 288

(±)-9-(3-{1-[2-(2-Methoxyphenoxy)-1-methylethyl]-4-piperidinyl}propanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



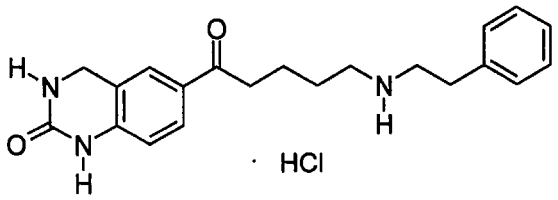
Using 9-[3-(4-piperidinyl)propanoyl]-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one obtained in Reference Example 176 and (±)-1-((2-bromopropoxy)-2-methoxybenzene according to the same method as that of Example 81, the title compound was obtained as pale yellow amorphous powders.

^1H NMR (free base; 400MHz, $CDCl_3$) δ 1.18 (3H, d, J = 6Hz), 1.30-1.40 (3H, m), 1.66-1.75 (4H, m), 1.94-2.00 (2H, m), 2.23-2.39 (2H, m), 2.68 (2H, t, J = 7Hz), 2.84 (2H, t, J = 6Hz), 2.90-2.96 (6H, m), 3.07-3.11 (1H, m), 3.85 (3H, s), 3.89 (2H, d, J = 6Hz), 4.11-4.16 (2H, m), 6.88-6.94 (4H, m), 7.61 (2H, d, J = 5Hz).

IR (KBr) νcm^{-1}: 1673, 1601, 1506, 1362, 1338, 1253, 1158.

Example 289

6-{5-[(2-Phenylethyl)amino]pentanoyl}-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 177 and 2-phenylethylamine according to the same method as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 199 to 205°C (dec).

^{1}H NMR (300MHz, DMSO-d_6) δ 1.68-1.66 (4H, m), 2.99-2.94 (6H, m), 3.10 (2H, m), 4.38 (2H, s), 6.86 (1H, d, J = 9.0Hz), 7.04 (1H,s), 7.28-7.25 (3H, m), 7.34-7.32 (2H, m), 7.80-7.76 (2H, m), 9.02 (2H, s), 9.47 (1H, br.s).

elementary analysis as $C_{21}H_{25}N_3O_2 \cdot HCl$

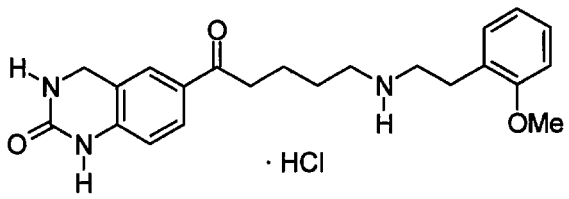
calculation value:C, 65.02; H, 6.76; N, 10.83.

experimental value:C, 64.42; H, 6.58; N, 10.80.

MS m/z: 352 $[M+H]^+$

Example 290

6-(5-{[2-(2-Methoxyphenyl)ethyl]amino}pentanoyl)-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 177 and 2-(2-methoxyphenyl)ethylamine according to the same method as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 176 to 180°C (dec).

^{1}H NMR (300MHz, DMSO-d_6) δ 1.66 (4H, m), 3.03-2.95 (8H, m), 3.79 (3H, s), 4.37 (2H, s), 7.01-6.83 (4H, m), 7.27-7.16 (2H, m), 7.78-7.75 (2H, m), 8.87 (2H, br.s), 9.44 (1H, s).

elementary analysis as $C_{22}H_{27}N_3O_3 \cdot HCl \cdot H_2O$

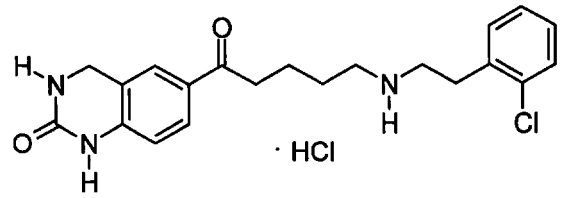
calculation value:C, 63.22; H, 6.75; N, 10.05.

experimental value:C, 62.98; H, 6.62; N, 10.11.

MS m/z: 382 $[M+H]^+$

Example 291

6-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 177 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 176 to 185°C (dec).

^{1}H NMR (300MHz, DMSO-d_6) δ 1.68 (4H, m), 3.11-2.96 (8H, m), 4.39 (2H, s), 6.86 (1H, d, J = 8.1Hz), 7.04 (1H, s), 7.49-7.28 (4H, m), 7.81-7.77 (2H, m), 9.17 (2H, br.s), 9.47 (1H, s).

elementary analysis as $C_{21}H_{24}N_3O_2 \cdot HCl$

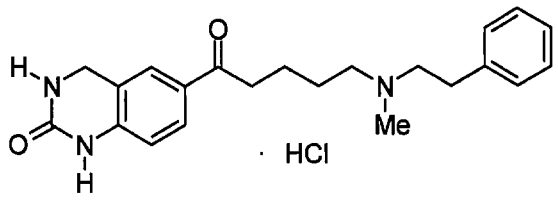
calculation value:C, 59.72; H, 5.97; N, 9.95.

experimental value:C, 59.43; H, 5.69; N, 9.51.

MS m/z: 386 $[M+H]^+$

Example 292

6-{5-[Methyl(2-phenylethyl)amino]pentanoyl}-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 177 and N-methyl-N-(2-phenylethyl)amine according to the same method

as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 164 to 166°C. ^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.53-1.74 (4H, m), 2.30 (3H, s), 2.42-2.47 (2H, m), 2.57-2.63 (2H, m), 2.75-2.80 (2H, m), 2.89-2.93 (2H, m), 4.59 (2H, s), 5.50 (1H, s), 6.76 (1H, d, J = 8.1Hz), 7.30-7.18 (5H, m), 7.70 (1H, s), 7.78 (1H, dd, J = 8.1, 1.8Hz), 8.34 (1H, s).

elementary analysis as $C_{22}H_{27}N_3O_2 \cdot HCl$

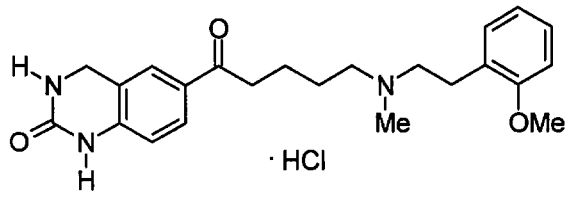
calculation value:C, 62.92; H, 7.20; N, 10.01.

experimental value:C, 62.59; H, 7.12; N, 10.13.

MS m/z: 386 $[M+H]^+$

Example 293

6-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 177 and 2-(2-methoxyphenyl)ethylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 170 to 171°C (dec).

^{1}H NMR (300MHz, DMSO-d_6) δ 1.79-1.63 (4H, m), 2.79 (3H, d, J = 4.8Hz), 3.02-2.95 (4H, m), 3.24-3.07 (4H, m), 3.80 (3H, s), 4.38 (2H, m), 6.94-6.84 (2H, m), 7.04-6.99 (2H, m), 7.30-7.20 (2H, m), 7.80-7.77 (2H, m), 9.47 (1H, s), 10.29 (1H, br.s).

elementary analysis as $C_{25}H_{29}N_3O_3 \cdot HCl \cdot 1.5H_2O$

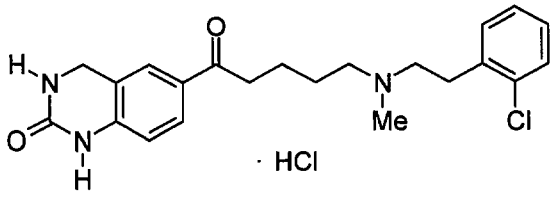
calculation value:C, 60.19; H, 7.25; N, 9.16.

experimental value:C, 60.69; H, 7.17; N, 9.38.

MS m/z: 396 $[M+H]^+$

Example 294

6-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 177 and 2-(2-chlorophenyl)ethylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 192 to 195°C (dec).

^{1}H NMR (300MHz, DMSO-d_6) δ 1.79-1.64 (4H, m), 2.82 (3H, d, J = 4.8 Hz), 3.02-2.97 (2H, m), 3.26-3.10 (6H, m), 4.38 (2H,

s), 6.85 (1H, d, J = 8.1 Hz), 7.04 (1H, s), 7.38-7.30 (2H, m), 7.49-7.44 (2H, m), 7.80-7.76 (2H, m), 9.47 (1H, s), 10.73 (1H, br.s).

elementary analysis as $C_{22}H_{25}N_3O_2 \cdot HCl \cdot 0.5H_2O$

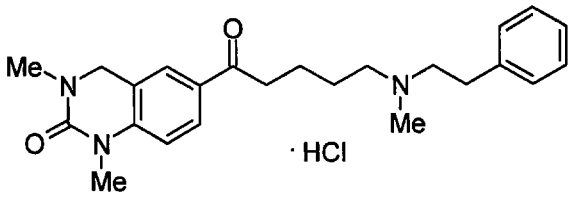
calculation value:C, 59.33; H, 6.34; N, 9.43.

experimental value:C, 59.22; H, 6.77; N, 9.58.

MS m/z: 400 $[M+H]^+$

Example 295

1,3-Dimethyl-6-{5-[methyl(2-phenylethyl)amino]pentanoyl}-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-1,3-dimethyl-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 178 and N-methyl-N-(2-phenylethyl)amine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 174 to 176°C.

^1H NMR (300MHz, DMSO-d_6) δ 1.79-1.62 (4H, m), 2.79 (3H, d, J = 4.8Hz), 2.92 (3H, s), 3.07-3.02 (4H, m), 3.24 (3H,s), 3.41-3.13 (4H, m), 4.45 (2H, s), 7.03 (1H, d, J = 8.7Hz), 7.38-7.24 (5H, m), 7.79 (1H, d, J = 1.8Hz), 7.92 (1H, dd, J

= 8.7, 2.1 Hz), 10.58 (1H, br.s).

elementary analysis as $C_{24}H_{32}N_3O_2 \cdot HCl \cdot H_2O$

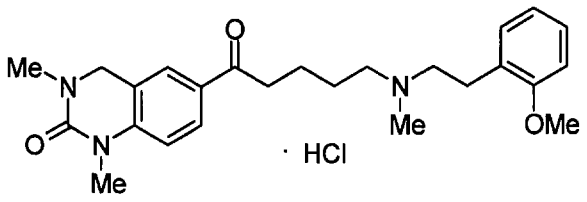
calculation value:C, 67.04; H, 7.05; N, 9.77.

experimental value:C, 66.42; H, 7.67; N, 9.87.

MS m/z: 394 $[M+H]^+$

Example 296

6-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-1,3-dimethyl-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-1,3-dimethyl-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 178 and 2-(2-methoxyphenyl)ethylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 145 to 146°C.

^1H NMR (300MHz, DMSO-d_6) δ 1.79-1.65 (4H, m), 2.78 (3H, s), 2.92 (3H, s), 3.18-2.96 (8H, m), 3.24 (3H, s), 3.81 (3H, s), 4.45 (2H, s), 6.94-6.89 (1H, m), 7.04-6.99 (2H, m), 7.30-7.21 (2H, m), 7.79 (1H, d, J = 1.8Hz), 7.92 (1H, dd, J = 8.6, 2.0Hz), 10.57 (1H, br.s).

elementary analysis as $C_{25}H_{34}N_3O_3 \cdot HCl \cdot H_2O$

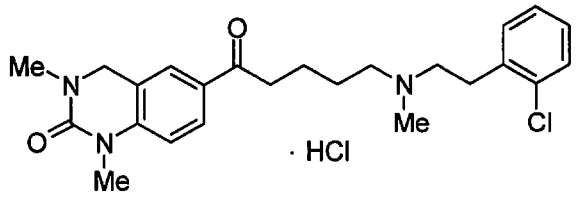
calculation value:C, 65.27; H, 7.45; N, 9.13.

experimental value:C, 64.68; H, 7.58; N, 9.31.

MS m/z: 424 $[M+H]^+$

Example 297

6-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-1,3-dimethyl-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-1,3-dimethyl-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 178 and 2-(2-chlorophenyl)ethylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystlas having a melting point of 187 to 188°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.77-1.66 (4H, m), 2.82 (3H, s), 2.92 (3H, s), 3.07-3.02 (2H, m), 3.24 (3H, s), 3.40-3.00 (6H, m), 4.44 (2H,s), 7.03 (1H, d, J = 8.4 Hz), 7.37-7.30 (2H, m), 7.49-7.44 (2H, m), 7.79 (1H, s), 7.91 (1H, d, J = 8.4 Hz), 10.63 (1H, br.s).

elementary analysis as $C_{24}H_{30}ClN_3O_2 \cdot HCl \cdot 2H_2O$

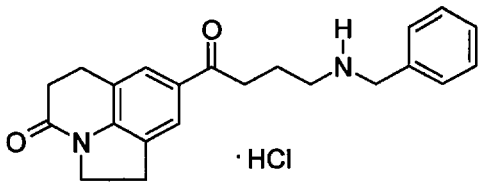
calculation value:C, 61.99; H, 7.59; N, 9.04.

experimental value:C, 61.97; H, 6.91; N, 9.31.

MS m/z: 428 $[M+H]^+$

Example 298

8-[4-(Benzylamino)butanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

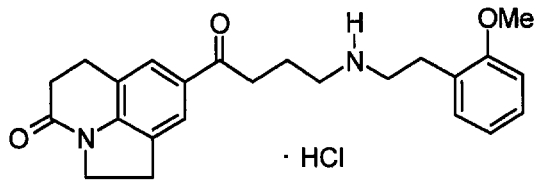


Using tert-butyl benzyl (4-oxo-4-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)butyl)carbamate (526 mg) obtained in Reference Example 180 according to the same method as that of Example 1, the title compound (384 mg) wes obtained as colorless crystals having a melting point of 159 to 161°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 2.01 (2H, t, J = 7.4Hz), 2.59 (2H, t, J = 7.6Hz), 2.80-3.25 (8H, m), 3.99 (2H, t, J = 8.4Hz), 4.05-4.20 (2H, m), 7.35-7.65 (5H, m), 7.72 (2H, s), 9.40-9.60 (2H, br).

Example 299

8-(4-{[2-(2-Methoxyphenyl)ethyl]amino}butanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(2-methoxyphenyl)ethyl[4-oxo-4-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-

yl)butyl]carbamate (790 mg) obtained in Reference Example 181 according to the same method as that of Example 1, the title compound (452 mg) was obtained as colorless crystals having a melting point of 165 to 167°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.85-2.10 (2H, m), 2.60 (2H, t, J = 7.6Hz), 2.90-3.25 (12H, m), 3.81 (3H, s), 4.00 (2H, t, J = 8.4Hz), 6.92 (1H, dt, J = 7.3, 1.2Hz), 7.00 (1H, d, J = 7.3Hz), 7.15-7.30 (2H, m), 7.74 (2H, s), 9.00-9.20 (2H, br).

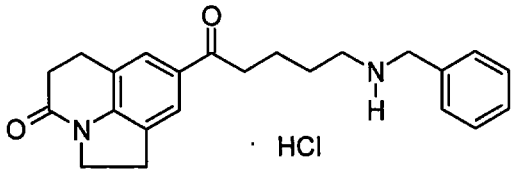
elementary analysis as $C_{24}H_{29}ClN_2O_3 \cdot 0.5H_2O$

calculation value:C, 65.82; H, 6.90; N, 6.40.

experimental value:C, 65.37; H, 6.68; N, 6.34.

Example 300

8-[5-(Benzylamino)pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



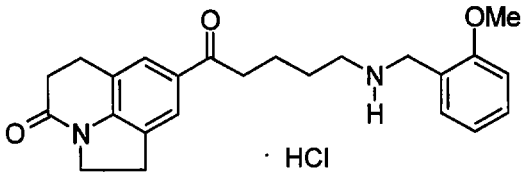
Using tert-butyl benzyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (498 mg) obtained in Reference Example 182 according to the same method as that of Example 1, the title compound (340 mg) was obtained as colorless crystals having a melting point of 123 to 125°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.55-1.85 (4H, m), 2.59 (2H, t,

J = 7.6Hz), 2.80-3.05 (6H, m), 3.17 (2H, t, J = 8.4Hz), 3.99 (2H, t, J = 8.4Hz), 4.05-4.20 (2H, m), 7.35-7.65 (5H, m), 7.73 (2H, s), 9.40-9.60 (2H, br).

Example 301

8-{5-[(2-Methoxybenzyl)amino]pentanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

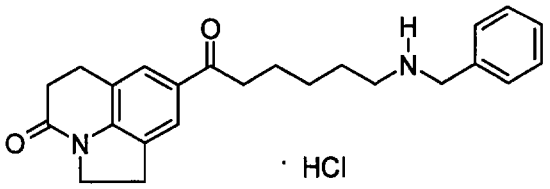


Using tert-butyl 2-methoxybenzyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (524 mg) obtained in Reference Example 183 according to the same method as that of Example 1, the title compound (381 mg) was obtained as colorless crystals having a melting point of 90 to 92°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.60 (2H, t, J = 7.6Hz), 2.80-3.05 (6H, m), 3.18 (2H, t, J = 8.4Hz), 3.75-4.10 (4H, m), 3.84 (3H, s), 6.95-7.15 (2H, m), 7.35-7.50 (2H, m), 7.73 (2H, s), 8.90-9.10 (2H, br).

Example 302

8-[6-(Benzylamino)hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl benzyl [6-oxo-6-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)hexyl]carbamate (554 mg) obtained in Reference Example 184 according to the same method as that of Example 1, the title compound (360 mg) was obtained as colorless crystals having a melting point of 181 to 183°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.45 (2H, m), 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.5Hz), 2.80-3.00 (6H, m), 3.17 (2H, t, J = 8.4Hz), 3.98 (2H, t, J = 8.4Hz), 4.05-4.20 (2H, m), 7.35-7.65 (5H, m), 7.72 (2H, s), 9.35-9.55 (2H, br).

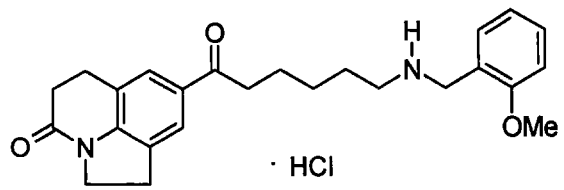
elementary analysis as $C_{24}H_{29}ClN_2O_2 \cdot 1.5H_2O$

calculation value:C, 65.52; H, 7.33; N, 6.37.

experimental value:C, 65.53; H, 7.25; N, 6.17.

Example 303

8-{6-[(2-Methoxybenzyl)amino]hexanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



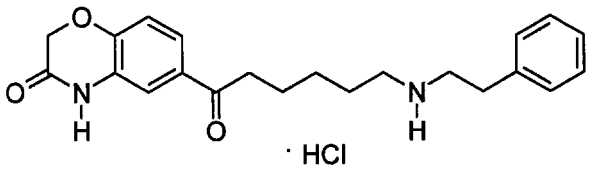
Using tert-butyl 2-methoxybenzyl[6-oxo-6-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-

yl)hexyl]carbamate (486 mg) obtained in Reference Example 185 according to the same method as that of Example 1, the title compound (393 mg) was obtained as pale yellow amorphous powders was obtained.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.45 (2H, m), 1.55-1.80 (4H, m), 2.59 (2H, t, J = 7.5Hz), 2.90-3.00 (4H, m), 3.16 (2H, t, J = 8.4Hz), 3.84 (3H, s), 3.90-4.15 (6H, m), 6.99 (1H, t, J = 7.5Hz), 7.09 (1H, d, J = 8.0 Hz), 7.41 (1H, t, J = 8.0Hz), 7.51 (1H, d, J = 7.5Hz), 7.73 (2H, s), 9.00-9.20 (2H, br).

Example 304

6-{6-[(2-Phenylethyl)amino]hexanoyl}-2H-1,4-benzoxazin-3(4H)-one hydrochloride



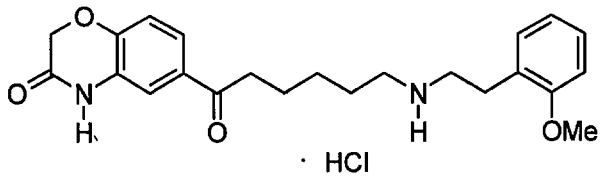
Using tert-butyl 6-oxo-6-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)hexyl(2-phenylethyl)carbamate (842 mg) obtained in Reference Example 186 according to the same method as that of Example 1, the title compound (490 mg) was obtained as colorless crystals having a melting point of 208 to 210°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.45 (2H, m), 1.55-1.70 (4H, m), 2.85-3.00 (6H, m), 3.05-3.20 (2H, m), 4.68 (2H, s), 7.05 (1H, d, J = 8.4Hz), 7.10-7.20 (5H, m), 7.51 (1H, s),

7.62 (1H, dd, J = 8.4, 2.1Hz), 8.80-9.05 (2H, br), 10.91 (1H, s).

Example 305

6-(6-{[2-(2-Methoxyphenyl)ethyl]amino}hexanoyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride

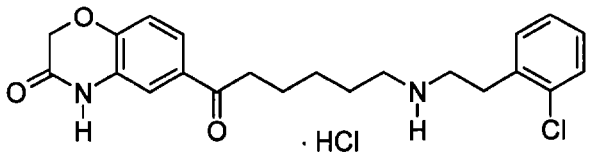


Using tert-butyl 2-(2-methoxyphenyl)ethyl[6-oxo-6-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)hexyl]carbamate (974 mg) obtained in Reference Example 187 according to the same method as that of Example 1, the title compound (567 mg) was obtained as colorless crystals having a melting point of 151 to 153°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.45 (2H, m), 1.55-1.75 (4H, m), 2.80-3.10 (8H, m), 3.80 (3H, s), 4.68 (2H, s), 6.91 (1H, t, J = 7.5Hz), 7.00 (1H, d, J = 7.8Hz), 7.05 (1H, d, J = 8.6Hz), 7.19 (1H, d, J = 7.5Hz), 7.26 (1H, dt, J = 7.8, 1.8Hz), 7.53 (1H, t, J = 1.8Hz), 7.62 (1H, dd, J = 8.6, 1.8Hz), 8.90-9.10 (2H, br), 10.94 (1H, s).

Example 306

6-(6-{[2-(2-Chlorophenyl)ethyl]amino}hexanoyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride

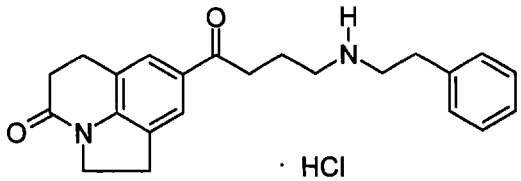


Using tert-butyl 2-(2-chlorophenyl)ethyl[6-oxo-6-(3-oxo-3,4-dihydro-2H-1,4-benzooxazin-6-yl]hexyl]carbamate (974 mg) obtained in Reference Example 188 according to the same method as that of Example 1, the title compound (387 mg) was obtained as colorless crystals having a melting point of 183 to 185°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.30-1.45 (2H, m), 1.55-1.75 (4H, m), 2.85-3.20 (8H, m), 4.68 (2H, s), 7.05 (1H, d, J = 8.7Hz), 7.25-7.55 (5H, m), 7.62 (1H, dd, J = 8.4, 1.8Hz), 8.80-9.20 (2H, br), 10.92 (1H, s).

Example 307

8-{4-[(2-Phenylethyl)amino]butanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



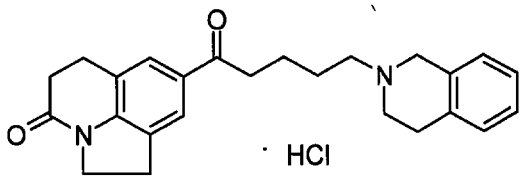
Using 8-(4-chlorobutanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (1.00 g) obtained in Reference Example 179 and 2-phenylethylamine (870 mg) according to the same methods as those of Reference Example 19 and Example 1, the title compound (500 mg) was obtained

as colorless crystals.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.90-2.05 (2H, m), 2.60 (2H, t, J = 7.6Hz), 2.90-3.25 (12H, m), 3.99 (2H, t, J = 8.4Hz), 7.20-7.40 (5H, m), 7.74 (2H, s), 9.00-9.20 (2H, br).

Example 308

8-[5-(3,4-Dihydro-2(1H)-isoquinolinyl)pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



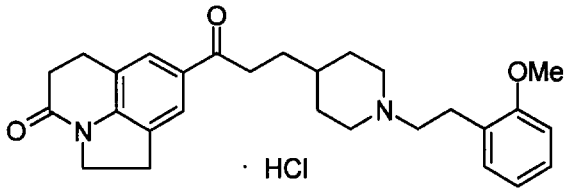
Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (1.00 g) obtained in Reference Example 1 and 1,2,3,4-tetrahydroisoquinoline (457 mg) according to the same method as that of Example 9, the title compound (779 mg) was obtained as colorless crystals having a melting point of 203 to 205°C.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 1.60-1.90 (4H, m), 2.55 (2H, t, J = 7.2Hz), 2.60-2.80 (4H, m), 2.88 (2H, t, J = 5.7Hz), 2.90-3.00 (4H, m), 3.17 (2H, t, J = 8.4Hz), 3.61 (2H, s), 4.10 (2H, t, J = 8.4Hz), 6.95-7.15 (4H, m), 7.67 (1H, s), 7.71 (1H, s).

Example 309

8-(3-{1-[2-(2-Methoxyphenyl)ethyl]-4-

piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (1.50 g) and 2-(2-methoxyphenyl)ethyl methanesulfonate (1.16 g) according to the same method as that of Example 81, the title compound (1.69 g) was obtained as colorless crystals having a melting point of 209 to 211°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.20-1.50 (3H, m), 1.60-2.10 (6H, m), 2.40-3.10 (12H, m), 3.23 (2H, t, J = 8.4Hz), 3.81 (3H, s), 4.13 (2H, t, J = 8.4Hz), 6.80-6.95 (2H, m), 7.10-7.25 (2H, m), 7.68 (1H, s), 7.72 (1H, s).

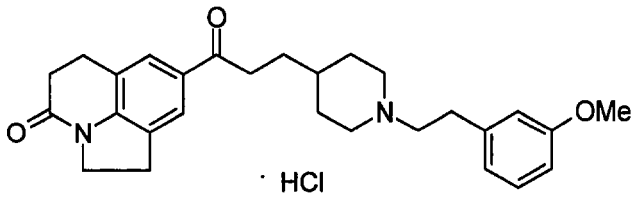
elementary analysis as $C_{28}H_{34}N_2O_3 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 68.35; H, 7.37; N, 5.69.

experimental value:C, 68.85; H, 7.54; N, 5.84.

Example 310

8-(3-{1-[2-(3-Methoxyphenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (1.50 g) and 2-(3-methoxyphenyl)ethyl methanesulfonate (1.16 g) according to the same method as that of Example 81, the title compound (1.53 g) was obtained as colorless crystals having a melting point of 240 to 242°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.20-1.45 (3H, m), 1.55-2.10 (6H, m), 2.50-3.10 (12H, m), 3.23 (2H, t, J = 8.4Hz), 3.79 (3H, s), 4.14 (2H, t, J = 8.4Hz), 6.70-6.85 (3H, m), 7.15-7.30 (1H, m), 7.68 (1H, s), 7.72 (1H, s).

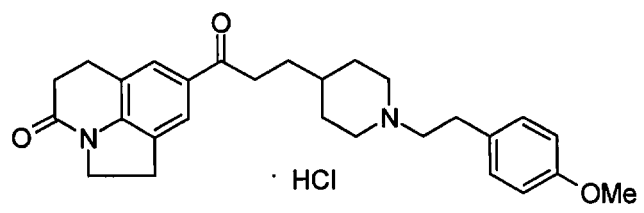
elementary analysis as $C_{28}H_{34}N_2O_3 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 68.35; H, 7.37; N, 5.69.

experimental value:C, 68.92; H, 6.69; N, 5.87.

Example 311

8-(3-{1-[2-(4-Methoxyphenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one and 2-(4-methoxyphenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as colorless crystals having a melting point of 141 to 143°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.30-1.60 (3H, m), 1.65-1.85 (4H, m), 2.00-2.20 (2H, m), 2.60-3.15 (12H, m), 3.23 (2H, t, J = 8.4Hz), 3.78 (3H, s), 4.14 (2H, t, J = 8.4Hz), 6.83 (2H, d, J = 8.6Hz), 7.13 (2H, d, J = 8.6Hz), 7.67 (1H, s), 7.72 (1H, s).

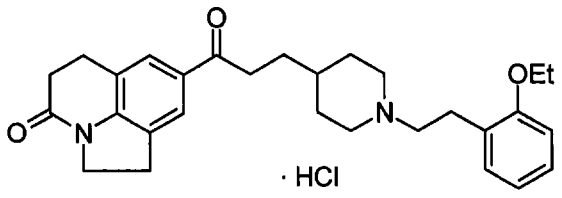
elementary analysis as $C_{28}H_{34}ClN_2O_3 \cdot HCl \cdot H_2O$

calculation value:C, 67.12; H, 7.44; N, 5.59.

experimental value:C, 67.29; H, 7.56; N, 5.32.

Example 312

8-(3-{1-[2-(2-Ethoxyphenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one and 2-(2-ethoxyphenyl)ethyl methanesulfonate according to the same

method as that of Example 81, the title compound was obtained as colorless crystals having a melting point of 182 to 184°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.30-1.50 (3H, m), 1.41 (3H, t, J = 7.0Hz), 1.65-1.85 (4H, m), 1.95-2.10 (2H, m), 2.50-2.65 (2H, m), 2.71 (2H, t, J = 7.6Hz), 2.80-3.15 (8H, m), 3.23 (2H, t, J = 8.4Hz), 4.03 (2H, q, J = 7.0Hz), 4.14 (2H, t, J = 8.4Hz), 6.75-6.90 (2H, m), 7.10-7.20 (2H, m), 7.68 (1H, s), 7.72 (1H, s).

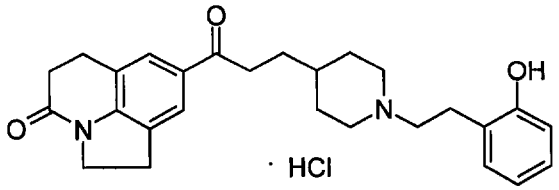
elementary analysis as $C_{29}H_{36}N_2O_3 \cdot HCl \cdot H_2O$

calculation value:C, 67.62; H, 7.63; N, 5.44.

experimental value:C, 67.08; H, 7.24; N, 5.28.

Example 313

8-(3-{1-[2-(2-Hydroxyphenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



A solution of 8-(3-{1-[2-(2-methoxyphenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (800 mg) obtained in Example 309 in hydrobromic acid (15 ml) was stirred at 140°C for 1 hour. A pH of the reaction solution was adjusted to 12 with an

aqueous potassium carbonate solution, and the solution was extracted with ethyl acetate. The extract was washed with brine, dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure to give a free base compound (622 mg) of the title compound as colorless crystals having a melting point of 143 to 145°C. The free base compound (500 mg) was treated with a hydrogen chloride-ethanol solution to give the title compound (432 mg) as colorless crystals having an melting point of 220 to 222°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.25-1.50 (3H, m), 1.65-1.90 (4H, m), 2.05-2.20 (2H, m), 2.60-2.70 (2H, m), 2.72 (2H, t, J = 7.8Hz), 2.75-2.85 (3H, m), 2.94 (2H, t, J = 7.8Hz), 3.03 (2H, t, J = 7.8Hz), 3.10-3.20 (2H, m), 3.26 (2H, t, J = 8.5Hz), 4.14 (2H, t, J = 8.5Hz), 6.73 (1H, dt, J = 7.3, 1.2Hz), 6.87 (1H, dd, J = 5.2, 0.8Hz), 6.98 (1H, dd, J = 4.8, 0.8Hz), 7.12 (1H, dt, J = 7.9, 1.5Hz), 7.67 (1H, s), 7.72 (1H, s).

elementary analysis as $C_{27}H_{32}N_2O_3 \cdot HCl \cdot 0.5H_2O$

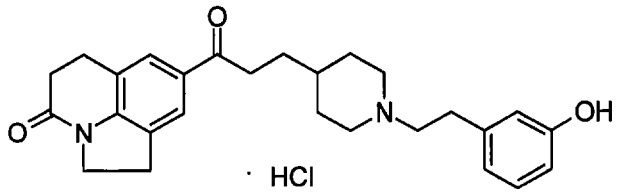
calculation value:C, 67.84; H, 7.17; N, 5.86.

experimental value:C, 67.78; H, 7.51; N, 5.87.

Example 314

8-(3-{1-[2-(3-Hydroxyphenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-

ij]quinolin-4-one hydrochloride



Using 8-(3-{1-[2-(3-methoxyphenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one obtained in Example 310 according to the same method as that of Example 313, the title compound was obtained as colorless crystals having a melting point of 229 to 231°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.20-1.55 (3H, m), 1.60-1.85 (4H, m),1.90-2.20 (2H, m), 2.55-3.15 (13H, m), 3.27 (2H, t, J = 8.4Hz), 4.14 (2H, t, J = 8.4Hz), 6.60-6.75 (3H, m), 7.10-7.20 (1H, m), 7.67 (1H, s), 7.72 (1H, s).

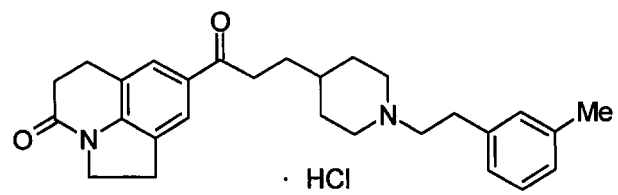
elementary analysis as $C_{27}H_{32}N_2O_3 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 67.84; H, 7.17; N, 5.86.

experimental value:C, 68.07; H, 7.35; N, 5.69.

Example 315

8-(3-{1-[2-(3-Methylphenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and 2-(3-methylphenyl)ethyl methanesulfonate (360 mg) according to the same method as that of Example 81, the title compound (391 mg) was obtained as colorless crystals having a melting point of 197 to 199°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.25-1.50 (3H, m), 1.60-1.85 (4H, m), 1.90-2.10 (2H, m), 2.32 (3H, s), 2.45-3.10 (12H, m), 3.22 (2H, t, J = 8.4Hz), 4.13 (2H, t, J = 8.4Hz), 6.95-7.20 (4H, m), 7.68 (1H, s), 7.72 (1H, s).

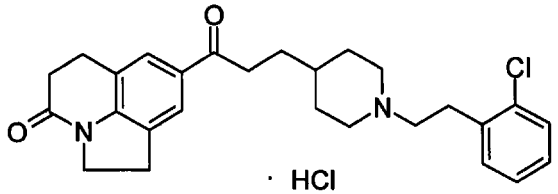
elementary analysis as $C_{28}H_{34}N_2O_2 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 70.64; H, 7.62; N, 5.88.

experimental value:C, 70.53; H, 7.52; N, 5.91.

Example 316

8-(3-{1-[2-(2-Chlorophenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (600 mg) and 2-(2-chlorophenyl)ethyl methanesulfonate (475 mg) according to the same method as that of Example 81, the title

compound (303 mg) was obtained as colorless crystals having a melting point of 207 to 210°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.20-1.45 (3H, m), 1.60-1.80 (4H, m), 1.95-2.10 (2H, m), 2.50-2.60 (2H, m), 2.72 (2H, t, J = 7.6Hz), 2.85-3.10 (8H, m), 3.23 (2H, t, J = 8.4Hz), 4.14 (2H, t, J = 8.4Hz), 7.10-7.40 (4H, m), 7.68 (1H, s), 7.72 (1H, s).

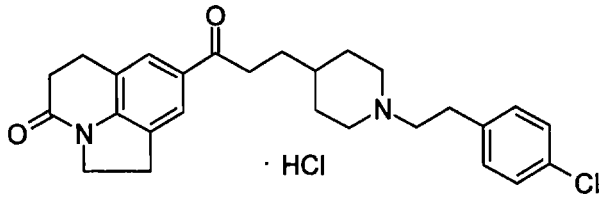
elementary analysis as $C_{27}H_{31}ClN_2O_2 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 65.32; H, 6.70; N, 5.64.

experimental value:C, 65.77; H, 6.87; N, 5.63.

Example 317

8-(3-{1-[2-(4-Chlorophenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one and 2-(4-chlorophenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as colorless crystals having a melting point of 204 to 206°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.40-1.90 (7H, m),

2.10-2.30 (2H, m), 2.72 (2H, t, J = 7.8Hz), 2.85-3.30 (12H, m), 4.14 (2H, t, J = 8.4Hz), 7.10-7.30 (4H, m), 7.67 (1H, s), 7.71 (1H, s).

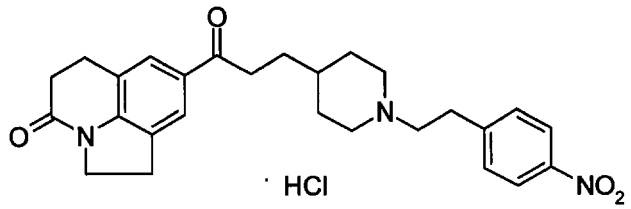
elementary analysis as $C_{27}H_{31}ClN_2O_2 \cdot HCl \cdot H_2O$

calculation value:C, 64.16; H, 6.78; N, 5.54.

experimental value:C, 64.15; H, 7.04; N, 5.25.

Example 318

8-(3-{1-[2-(4-Nitrophenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and 1-(2-bromoethyl)-4-nitrobenzene (368 mg) according to the same method as that of Example 81, the title compound (443 mg) was obtained as colorless crystals having a melting point of 217 to 219°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.25-1.55 (3H, m), 1.60-1.85 (4H, m), 1.95-2.20 (2H, m), 2.60-2.75 (4H, m), 2.85-3.15 (8H, m), 3.23 (2H, t, J = 8.4Hz), 4.14 (2H, t, J = 8.4Hz), 7.37 (2H, d, J = 8.6Hz), 7.67 (1H, s), 7.72 (1H,

s), 8.14 (2H, d, J = 8.6Hz).

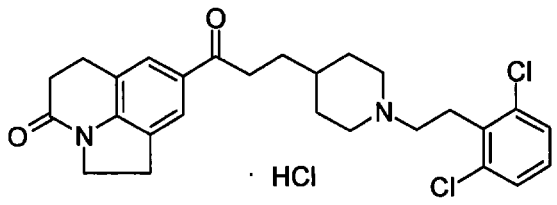
elementary analysis as $C_{27}H_{31}N_3O_4 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 63.96; H, 6.56; N, 8.29.

experimental value:C, 63.67; H, 6.77; N, 8.25.

Example 319

8-(3-{1-[2-(2,6-Dichlorophenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (600 mg) and 2-(2,6-dichlorophenyl)ethyl methanesulfonate (545 mg) according to the same method as that of Example 81, the title compound (272 mg) was obtained as colorless crystals having a melting point of 226 to 229°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.25-1.50 (3H, m), 1.60-1.80 (4H, m), 2.00-2.20 (2H, m), 2.50-2.60 (2H, m), 2.68 (2H, t, J = 7.6Hz), 2.85-3.30 (10H, m), 4.14 (2H, t, J = 8.4Hz), 7.00-7.10 (1H, m), 7.20-7.30 (2H, m), 7.68 (1H, s), 7.72 (1H, s).

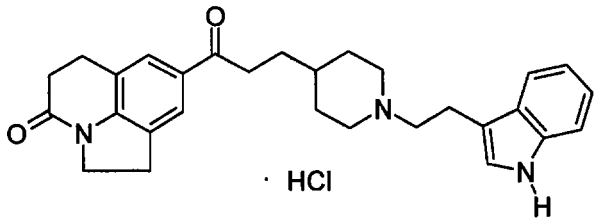
elementary analysis as $C_{27}H_{30}Cl_2N_2O_2 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 61.08; H, 6.08; N, 5.28.

experimental value:C, 60.96; H, 6.32; N, 5.04.

Example 320

8-(3-{1-[2-(1H-Indol-3-yl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and 3-(2-bromoethyl)-1H-indole (394 mg) according to the same method as that of Example 81, the title compound (370 mg) was obtained as colorless crystals having a melting point of 157 to 159°C.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 1.25-1.50 (3H, m), 1.60-1.85 (4H, m), 1.95-2.10 (2H, m), 2.60-2.80 (4H, m), 2.85-3.15 (8H, m), 3.23 (2H, t, J = 8.4Hz), 4.14 (2H, t, J = 8.4Hz), 7.00-7.25 (3H, m), 7.36 (1H, d, J = 8.1Hz), 7.62 (1H, d, J = 8.1Hz), 7.68 (1H, s), 7.73 (1H, s), 8.00-8.25 (1H, br).

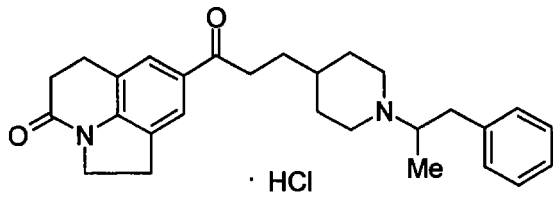
elementary analysis as $C_{28}H_{33}N_3O_2 \cdot HCl \cdot H_2O$

calculation value:C, 68.29; H, 7.11; N, 8.24.

experimental value:C, 68.77; H, 7.44; N, 7.94.

Example 321

(±)-8-{3-[1-(1-Methyl-2-phenylethyl)-4-piperidinyl]propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (700 mg) and (±)-1-methyl-2-phenylethyl methanesulfonate (528 mg) according to the same method as that of Example 81, the title compound (257 mg) was obtained as colorless crystals having a melting point of 211 to 213°C.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 0.94 (3H, d, J = 6.6Hz), 1.20-1.45 (3H, m), 1.60-1.85 (4H, m), 2.10-2.45 (3H, m), 2.60-3.10 (10H, m), 3.23 (2H, t, J = 8.4Hz), 4.14 (2H, t, J = 8.4Hz), 7.10-7.40 (5H, m), 7.68 (1H, s), 7.72 (1H, s).

elementary analysis as $C_{28}H_{34}N_2O_2 \cdot HCl \cdot 0.5H_2O$

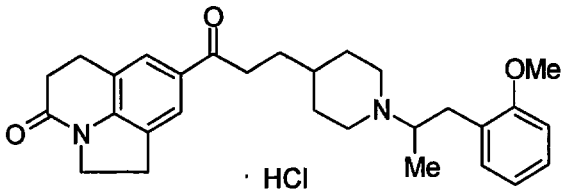
calculation value:C, 70.64; H, 7.62; N, 5.88.

experimental value:C, 70.80; H, 7.59; N, 5.88.

Example 322

(±)-8-(3-{1-[2-(2-Methoxyphenyl)-1-methylethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-

ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (600 mg) and (±)-2-(2-methoxyphenyl)-1-methylethyl methanesulfonate (516 mg) according to the same method as that of Example 81, the title compound (204 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 0.93 (3H, d, J = 6.6Hz), 1.20-1.40 (3H, m), 1.60-1.80 (4H, m), 2.20-2.45 (3H, m), 2.71 (2H, t, J = 7.8Hz), 2.80-3.05 (8H, m), 3.22 (2H, t, J = 8.4Hz), 3.80 (3H, s), 4.12 (2H, t, J = 8.4Hz), 6.80-6.90 (2H, m), 7.05-7.20 (2H, m), 7.67 (1H, s), 7.71 (1H, s).

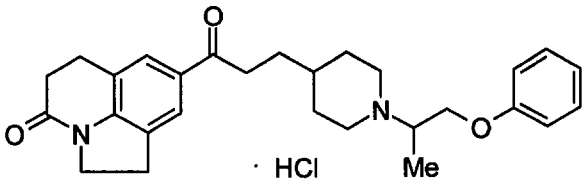
elementary analysis as $C_{29}H_{36}N_2O_3 \cdot HCl \cdot H_2O$

calculation value:C, 67.62; H, 7.63; N, 5.44.

experimental value:C, 67.65; H, 7.52; N, 5.42.

Example 323

(±)-8-{3-[1-(1-Methyl-2-phenoxyethyl)-4-piperidinyl]propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (700 mg) and (±)-1-methyl-2-phenoxyethyl methanesulfonate (566 mg) according to the same method as that of Example 81, the title compound (559 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 1.19 (3H, d, J = 6.6Hz), 1.20-1.45 (3H, m), 1.60-1.80 (4H, m), 2.00-2.50 (3H, m), 2.71 (2H, t, J = 7.8Hz), 2.80-3.10 (6H, m), 3.22 (2H, t, J = 8.4Hz), 3.87 (1H, dd, J = 9.4, 6.2Hz), 4.00-4.20 (3H, m), 6.80-7.00 (3H, m), 7.20-7.35 (2H, m), 7.67 (1H, s), 7.71 (1H, s).

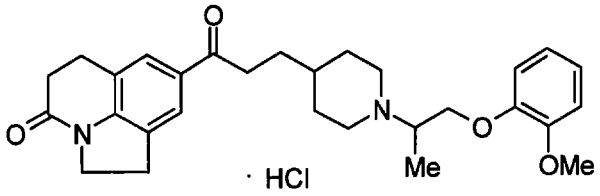
elementary analysis as $C_{28}H_{34}N_2O_3 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 68.35; H, 7.37; N, 5.69.

experimental value:C, 68.37; H, 7.57; N, 5.63.

Example 324

(±)-8-(3-{1-[2-(2-Methoxyphenoxy)-1-methylethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one methanesulfonate hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (600 mg) and (±)-2-(2-methoxyphenoxy)-1-methylethyl methanesulfonate (550 mg) according to the same method as that of Example 81, the title compound (181 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 1.19 (3H, d, J = 6.6Hz), 1.20-1.40 (3H, m), 1.60-1.80 (4H, m), 2.25-2.40 (2H, m), 2.72 (2H, t, J = 7.8Hz), 2.85-3.15 (7H, m), 3.22 (2H, t, J = 8.4Hz), 3.80-3.90 (1H, m), 3.85 (3H, s), 4.10-4.20 (3H, m), 6.85-7.00 (4H, m), 7.67 (1H, s), 7.71 (1H, s).

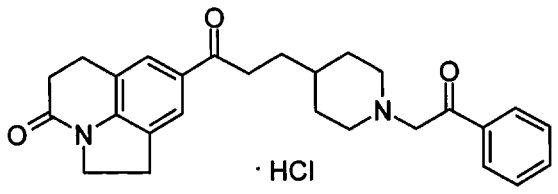
elementary analysis as $C_{29}H_{36}N_2O_4 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 66.72; H, 7.34; N, 5.37.

experimental value:C, 66.33; H, 7.54; N, 5.28.

Example 325

8-{3-[1-(2-Oxo-2-phenylethyl)-4-piperidinyl]propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and phenacyl chloride (248 mg) according to the same method as that of Example 81, the title compound (301 mg) was obtained as colorless crystals having a melting point of 114 to 116°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.35-1.60 (3H, m), 1.65-1.85 (4H, m), 2.15-2.35 (2H, m), 2.72 (2H, t, J = 7.6Hz), 2.85-3.10 (6H, m), 3.23 (2H, t, J = 8.4Hz), 3.86 (2H, s), 4.14 (2H, t, J = 8.4Hz), 7.40-7.75 (5H, m), 7.98 (1H, s), 8.02 (1H, s).

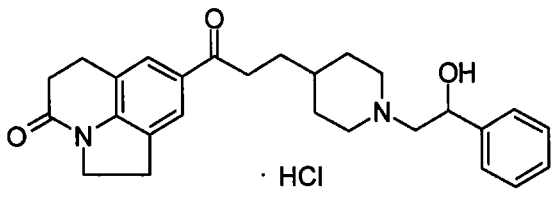
elementary analysis as $C_{27}H_{32}N_2O_3 \cdot HCl \cdot H_2O$

calculation value:C, 66.58; H, 7.24; N, 5.75.

experimental value:C, 66.64; H, 7.25; N, 5.36.

Example 326

(±)-8-{3-[1-(2-Hydroxy-2-phenylethyl)-4-piperidinyl]propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



A mixture of 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and styrene oxide (193 mg) in tetrahydrofuran (1 ml) was

stirred at 100°C for 1 hour. The reaction mixture was purified by silica gel chromatography (eluting solvent; ethyl acetate-methanol (9:1)) to give a free base compound of the title compound as colorless crystals (468 mg) having a melting point of 133 to 135°C. The free base compound (390 mg) was treated with a hydrogen chloride-ethanol solution to give the title compound (405 mg) as colorless crystals having a melting point of 235 to 237°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.30-1.55 (3H, m), 1.60-1.85 (4H, m), 2.00-2.20 (1H, m), 2.25-2.45 (1H, m), 2.50-2.60 (2H, m), 2.72 (2H, t, J = 7.6Hz), 2.85-3.05 (5H, m), 3.15-3.30 (3H, m), 3.40-4.40 (1H, br.), 4.14 (2H, t, J = 8.4Hz), 4.80 (1H, dd, J = 8.4, 5.4Hz), 7.20-7.40 (5H, m), 7.68 (1H, s), 7.72 (1H, s).

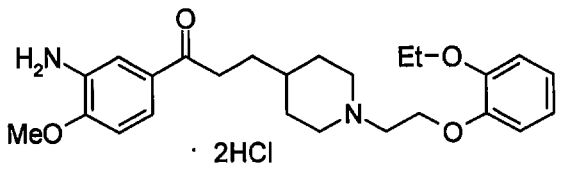
elementary analysis as $C_{27}H_{32}N_2O_3 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 67.84; H, 7.17; N, 5.86.

experimental value:C, 68.30; H, 7.47; N, 5.72.

Example 327

1-(3-Amino-4-methoxyphenyl)-3-{1-[2-(2-ethoxyphenoxy)ethyl]-4-piperidinyl}-1-propanone dihydrochloride



1-(2-Bromoethoxy)-2-ethoxybenzene (732 mg) was added to a suspension of 1-(3-amino-4-methoxyphenyl)-3-(4-piperidinyl)-1-propanone dihydrochloride (1.00 g) obtained in Reference Example 191 and potassium carbonate (1.00 g) in ethanol (20 ml) at room temperature. After stirring at 80°C for 12 hours, the reaction mixture was concentrated under reduced pressure. Water (30 ml) and ethyl acetate (40 ml) were added to the residue, and the mixture was extracted with ethyl acetate. The organic layer was washed with brine, dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure. The resulting residue was purified by silica gel chromatography (eluting solvent; ethyl acetate-methanol (9:1)) to give a free base compound of the title compound as colorless crystals (828 mg) having a melting point of 81 to 82°C. The free base compound (200 mg) was treated with a hydrogen chloride-ethanol solution to give the title compound (240 mg) as colorless crystals having a melting point of 123 to 125°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.20-1.45 (3H, m), 1.43 (3H, t, J = 7.0Hz), 1.60-1.80 (4H, m), 2.00-2.20 (2H, m), 2.50-4.50 (2H, br), 2.83 (2H, t, J = 6.2Hz), 2.91 (2H, t, J = 7.2Hz), 2.95-3.10 (2H, m), 3.91 (3H, s), 4.06 (2H, q, J = 7.0Hz), 4.16 (2H, t, J = 6.2Hz), 6.79 (1H, d, J = 8.4Hz), 6.85-6.95 (4H, m), 7.35-7.45 (2H, m).

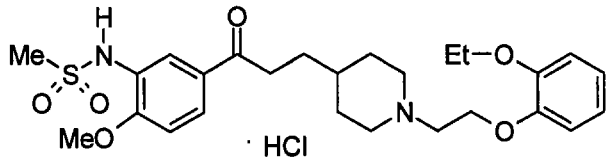
elementary analysis as $C_{25}H_{34}N_2O_4 \cdot 2HCl \cdot H_2O$

calculation value:C, 58.02; H, 7.40; N, 5.41.

experimental value:C, 57.66; H, 7.82; N, 4.99.

Example 328

N-[5-(3-{1-[2-(2-Ethoxyphenoxy)ethyl]-4-piperidinyl}propanoyl)-2-methoxyphenyl]methanesuofonamide hydrochloride



Methanesulfonyl chloride (0.108 ml) was added to a solution of 1-(3-amino-4-methoxyphenyl)-3-{1-[2-(2-ethoxyphenoxy)ethyl]-4-piperidinyl}-1-propanone dihydrochloride (300 mg) obtained in Example 327 and triethylamine (0.195 ml) in tetrahydrofuran (10 ml) at room temperature, the mixture was stirred for 12 hours, and the reaction mixture was concentrated under reduced pressure. Water (30 ml) and ethyl acetate (40 ml) were added to the residue, and the mixture was extracted with ethyl acetate. The organic layer was washed with brine, dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure. The resulting residue was purified by silica gel chromatography (eluting solvent; ethyl acetate-methanol (9:1)) to give a free base compound of the

title compound as a colorless oil (291 mg).

The free base compound (290 mg) was treated with a hydrogen chloride-ethanol solution to give the title compound (277 mg) as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.20-1.45 (3H, m), 1.43 (3H, t, J = 7.0Hz), 1.60-1.80 (4H, m), 2.05-2.20 (2H, m), 2.84 (2H, t, J = 6.2Hz), 2.90-3.10 (2H, m), 2.99 (3H,s), 3.45 (3H, s), 3.95-4.20 (7H, m), 6.85-6.95 (4H, m), 6.94 (1H, d, J = 5.6Hz), 7.82 (1H, dd, J = 8.4, 2.2Hz), 8.11 (1H, d, J = 2.2Hz).

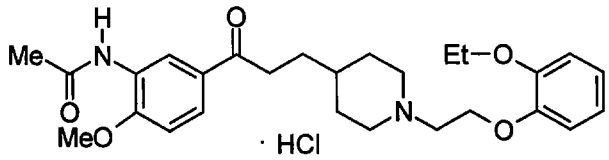
elementary analysis as $C_{26}H_{36}N_2O_6S \cdot HCl \cdot 1.5H_2O$

calculation value:C, 54.97; H, 7.10; N, 4.93.

experimental value:C, 54.63; H, 6.93; N, 4.57.

Example 329

N-[5-(3-{1-[2-(2-Ethoxyphenoxy)ethyl]-4-piperidinyl}propanoyl)-2-methoxyphenyl]acetamide hydrochloride



Acetic acid anhydride (0.132 ml) was added to a solution of 1-(3-amino-4-methoxyphenyl)-3-{1-[2-(2-ethoxyphenoxy)ethyl]-4-piperidinyl}-1-propanone dihydrochloride (300 mg) obtained in Example 327 and

triethylamine (0.195 ml) in tetrahydrofuran (10 ml) at room temperature, the mixture was stirred for 12 hours, and the reaction mixture was concentrated under reduced pressure. Water (30 ml) and ethyl acetate (40 ml) were added to the residue, and extracted with ethyl acetate. The organic layer was washed with brine, dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure. The resulting residue was purified by silica gel chromatography (eluting solvent; ethyl acetate-methanol (9:1)) to give a free base compound of the title compound as a colorless oil (276 mg), The free base compound (270 mg) was treated with a hydrogen chloride-ethanol solution to give the title compound (277 mg) as colorless crystals having a melting point of 127 to 129°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.20-1.45 (3H, m), 1.43 (3H, t, J = 7.0Hz), 1.60-1.80 (4H, m), 2.05-2.20 (2H, m), 2.23 (3H, s), 2.83 (2H, t, J = 6.2Hz), 2.90-3.10 (4H, m), 3.94 (3H, s), 4.07 (2H, q, J = 7.0Hz), 4.15 (2H, t, J = 6.2Hz), 6.80-7.00 (5H, m), 7.70-7.80 (2H, m), 9.01 (1H, s).

elementary analysis as $C_{27}H_{36}N_2O_5 \cdot HCl \cdot 0.5H_2O$

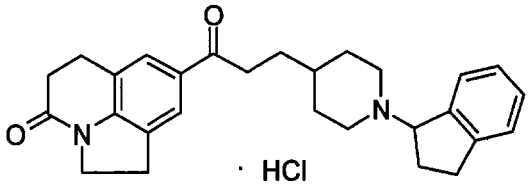
calculation value:C, 63.09; H, 7.45; N, 5.45.

experimental value:C, 60.19; H, 7.42; N, 5.22.

Example 330

(±)-8-{3-[1-(2,3-Dihydro-1H-inden-1-yl)-4-

piperidinyl]propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



1-Chloroindane (360 mg) was added to a suspension of 8-[3-(4-piperidinyl)propanoyl]1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (700 mg), potassium carboante (700 mg) and potassium iodide (catalytic amount) in acetonitrile (10 ml) at room temperature, the mixture was stirred for 12 hours, and the reaction mixture was concentrated under reduced pressure. Water (15 ml) and ethyl acetate (20 ml) were added to the residue, and extracted with ethyl acetate. The extract was washed with brine, dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure. The resulting residue was purified by silica gel chromatography (eluting solvent; ethyl acetate-methanol (9:1)) to give a free base compound of the title compound as colorless crystals (285 mg) having a melting point of 111 to 113°C. The free base compound (270 mg) was treated with a hydrogen chloride-ethanol solution to give the title compound (270 mg) as pale yellow amorphous powders.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 1.00-1.45 (3H, m), 1.60-1.80 (4H, m), 2.00-2.30 (4H, m), 2.60-2.95 (8H, m),

3.02 (2H, t, J = 7.5Hz), 3.22 (2H, t, J = 8.4Hz), 4.13 (2H, t, J = 8.4Hz), 4.35 (1H, t, J = 7.0Hz), 7.15-7.40 (3H, m), 7.60-7.80 (3H, m).

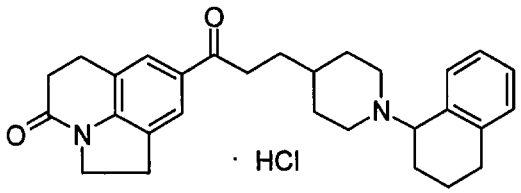
elementary analysis as $C_{28}H_{32}N_2O_2 \cdot HCl \cdot 2.0H_2O$

calculation value:C, 67.12; H, 7.44; N, 5.59.

experimental value:C, 67.34; H, 7.30; N, 5.45.

Example 331

(±)-8-{3-[1-(1,2,3,4-Tetrahydro-1-naphthalenyl)-4-piperidinyl]propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

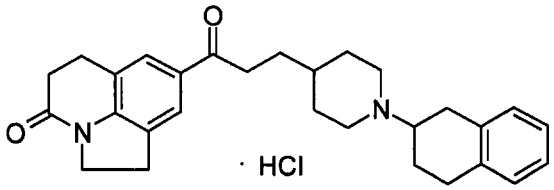


Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and (±)-1-chloro-1,2,3,4-tetrahydronaphthalene (280 mg) according to the same method as that of Example 330, the title compound (43 mg) was obtained as pale yellow amorphous powders.

^1H NMR (free base; 200MHz, $CDCl_3$) δ 1.10-1.45 (3H, m), 1.55-1.80 (6H, m), 1.85-2.10 (4H, m), 2.50-3.10 (10H, m), 3.23 (2H, t, J = 8.4Hz), 3.75-3.85 (1H, m), 4.14 (2H, t, J = 8.4Hz), 7.00-7.20 (3H, m), 7.65-7.75 (3H, m).

Example 332

(±)-8-{3-[1-(1,2,3,4-Tetrahydro-2-naphthalenyl)-4-piperidinyl]propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (600 mg) and (±)-1,2,3,4-tetrahydro-2-naphthalenyl methanesulfonate (456 mg) according to the same method as that of Example 330, the title compound (290 mg) was obtained as colorless crystals having a melting point of 223 to 225°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.20-1.45 (3H, m), 1.55-1.85 (4H, m), 2.00-2.40 (3H, m), 2.60-3.10 (14H, m), 3.23 (2H, t, J = 8.4Hz), 4.14 (2H, t, J = 8.4Hz), 7.00-7.20 (4H, m), 7.68 (1H, s), 7.73 (1H, s).

elementary analysis as $C_{29}H_{34}N_2O_2 \cdot HCl \cdot H_2O$

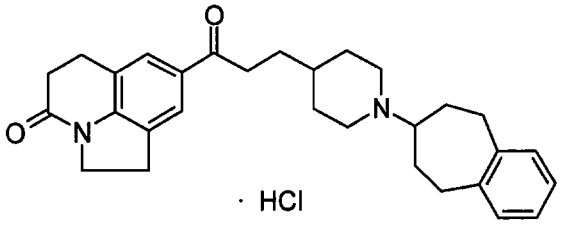
calculation value:C, 70.07; H, 7.50; N, 5.64.

experimental value:C, 69.77; H, 7.26; N, 5.56.

Example 333

8-{3-[1-(6,7,8,9-Tetrahydro-5H-benzo[a]cyclohepten-7-yl)-4-piperidinyl]propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-

ij]quinolin-4-one hydrochloride

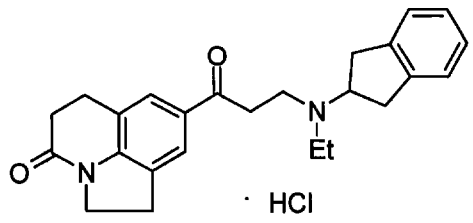


Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (60 mg) and 6,7,8,9-tetrahydro-5H-benzo[a]cyclohepten-7-yl methanesulfonate(485 mg) according to the same method as that of Example 330, the title compound (51 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 1.30-1.60 (5H, m), 1.60-1.85 (4H, m), 2.15-2.50 (4H, m), 2.65-2.95 (11H, m), 3.02 (2H, t, J = 7.8Hz), 3.22 (2H, t, J = 8.4Hz), 4.13 (2H, t, J = 8.4Hz), 7.12 (4H, s), 7.67 (1H, s), 7.71 (1H, s).

Example 334

8-{3-[2,3-Dihydro-1H-inden-2-yl(ethyl)amino]propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride

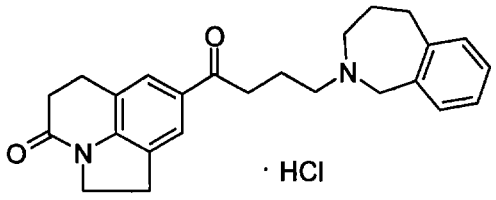


Using 8-(3-chloropropanoyl)-1,2,5,6-tetrahydro-4H-

pyrrolo[3,2,1-ij]quinolin-4-one (489 mg) obtained in Reference Example 192 and N-(2,3-dihydro-1H-inden-2-yl)-N-ethylamine (330 mg) according to the same method as that of Example 9, the title compound (406 mg) was obtained as colorless crystals having a melting point of 192 to 196°C. ^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.10 (3H, t, J = 7.2Hz), 2.10-2.45 (1H, m), 2.60-3.30 (16H, m), 3.55-3.75 (1H, m), 4.13 (2H, t, J = 8.4Hz), 7.00-7.20 (4H, m), 7.68 (1H, s), 7.72 (1H, s).

Example 335

8-[4-(1,3,4,5-Tetrahydro-2H-2-benzazepin-2-yl)butanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(4-chlorobutanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 179 and 2,3,4,5-tetrahydro-1H-2-benzazepine (290 mg) according to the same method as that of Example 9, the title compound (538 mg) was obtained as colorless crystlas having a melting point of 230 to 232°C. ^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.60-1.80 (2H, m), 1.90

(2H, quintet, J = 7.2Hz), 2.40 (2H, t, J = 7.2Hz), 2.70 (2H, t, J = 7.6Hz), 2.80-3.15 (8H, m), 3.20 (2H, t, J = 8.4Hz), 3.87 (2H, s), 4.12 (2H, t, J = 8.4Hz), 7.00-7.20 (4H, m), 7.66 (1H, s), 7.70 (1H, s).

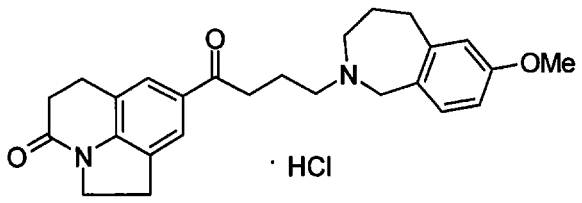
elementary analysis as $C_{25}H_{28}N_2O_2 \cdot HCl$

calculation value:C, 70.66; H, 6.88; N, 6.59.

experimental value:C, 70.20; H, 6.80; N, 6.56.

Example 336

8-[4-(7-Methoxy-1,3,4,5-tetrahydro-2H-2-benzazepin-2-yl)butanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(4-chlorobutanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) obtained in Reference Example 179 and 7-methoxy-2,3,4,5-tetrahydro-1H-2-benzazepine (320 mg) according to the same method as that of Example 9, the title compound (246 mg) was obtained as colorless crystals having a melting point of 121 to 123°C.

^1H NMR (free base; 200MHz, $CDCl_3$) δ 1.65-1.80 (2H, m), 1.91 (2H, quintet, J = 7.0Hz), 2.42 (2H, t, J = 7.0Hz), 2.71 (2H, t, J = 7.6Hz), 2.80-3.15 (8H, m), 3.21 (2H, t, J = 8.4Hz),

3.78 (3H, s), 3.84 (2H, s), 4.13 (2H, t, J = 8.4Hz), 6.61 (1H, dd, J = 8.4, 2.6Hz), 6.70 (1H, d, J = 2.6Hz), 7.03 (1H, d, J = 8.4Hz), 7.67 (1H, s), 7.71 (1H, s).

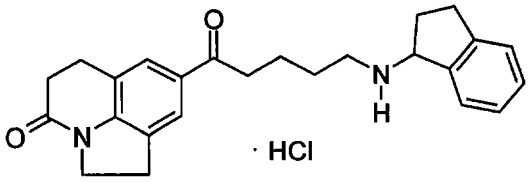
elementary analysis as $C_{26}H_{30}N_2O_3 \cdot HCl \cdot H_2O$

calculation value:C, 66.02; H, 7.03; N, 5.92.

experimental value:C, 66.09; H, 7.30; N, 5.64.

Example 337

(±)-8-[5-(2,3-Dihydro-1H-inden-1-ylamino)pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl (±)-2,3-dihydro-1H-inden-1-yl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (332 mg) obtained in Reference Example 193 according to the same method as that of Example 1, the title compound (57 mg) was obtained as colorless crystals having a melting point of 98 to 100°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.50-1.75 (4H, m), 2.00-2.50 (2H, m), 2.54 (2H, t, J = 7.6Hz), 2.75-3.05 (8H, m), 3.12 (2H, t, J = 8.8Hz), 3.94 (2H, t, J = 8.8Hz), 4.60-4.75 (1H, m), 7.20-7.35 (3H, m), 7.60-7.70 (3H, m).

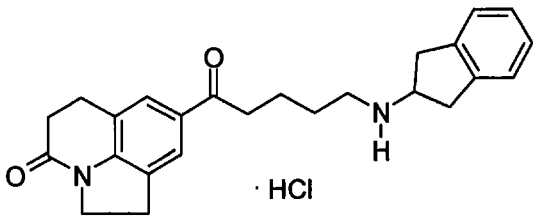
elementary analysis as $C_{25}H_{28}N_2O_2 \cdot HCl \cdot 1.5H_2O$

calculation value:C, 66.43; H, 7.14; N, 6.20.

experimental value:C, 66.70; H, 6.77; N, 6.09.

Example 338

8-[5-(2,3-Dihydro-1H-inden-2-ylamino)pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2,3-dihydro-1H-inden-2-yl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (461 mg) obtained in Reference Example 194 according to the same method as that of Example 1, the title compound (275 mg) was obtained as colorless crystals having a melting point of 187 to 189°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.60-1.80 (4H, m), 2.59 (2H, t, J = 7.6Hz), 2.80-3.40 (12H, m), 3.80-4.05 (3H, m), 7.10-7.30 (4H, m), 7.75 (2H, s), 9.40-9.60 (2H, br).

elementary analysis as $C_{25}H_{28}N_2O_2 \cdot HCl \cdot 2H_2O$

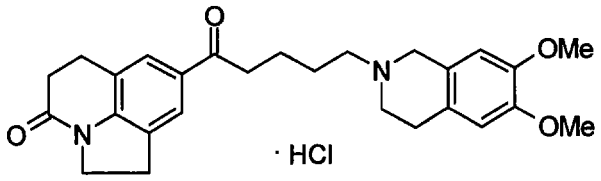
calculation value:C, 65.13; H, 7.22; N, 6.08.

experimental value:C, 64.75; H, 7.01; N, 6.02.

Example 339

8-[5-(6,7-Dimethoxy-3,4-dihydro-2(1H)-

isoquinolinyl)pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (1.00 g) obtained in Reference Example 1 and 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline (945 mg) according to the same method as that of Reference Example 19, the title compound (430 mg) was obtained as colorless crystals having a melting point of 215 to 217°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.60-2.00 (6H, m), 2.56 (2H, t, J = 7.0Hz), 2.65-3.10 (8H, m), 3.20 (2H, t, J = 8.4Hz), 3.55 (2H, s), 3.84 (6H, s), 4.13 (2H, t, J = 8.4Hz), 6.52 (1H, s), 6.58 (1H, s), 7.68 (1H, s), 7.72 (1H, s).

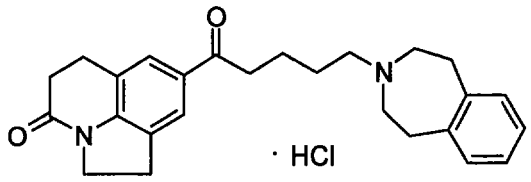
elementary analysis as $C_{27}H_{32}N_2O_4 \cdot HCl \cdot H_2O$

calculation value:C, 64.47; H, 7.01; N, 5.57.

experimental value:C, 64.74; H, 7.25; N, 5.30.

Example 340

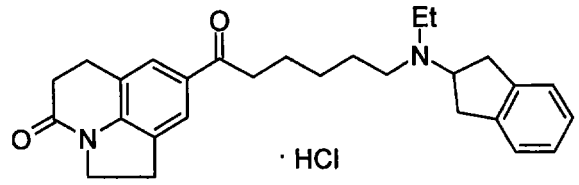
8-[5-(1,2,4,5-Tetrahydro-3H-3-benzazepin-3-yl)pentanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(5-chloropentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (1.00 g) obtained in Reference Example 1 and 2,3,4,5-tetrahydro-1H-3-benzazepine (605 mg) according to the same method as that of Reference Example 19, the title compound (703 mg) was obtained as colorless crystals having a melting point of 252 to 254°C. ^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.50-1.85 (4H, m), 2.53 (2H, t, J = 7.4Hz), 2.60-3.10 (14H, m), 3.22 (2H, t, J = 8.4Hz), 4.13 (2H, t, J = 8.4Hz), 7.00-7.20 (4H, m), 7.68 (1H, s), 7.73 (1H, s).

Example 341

8-{6-[2,3-Dihydro-1H-inden-2-yl(ethyl)amino]hexanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (650 mg) obtained in Reference Example 2 and N-(2,3-dihydro-1H-inden-2-yl)-N-ethylamine (330 mg) according to the same method as that of

Reference Example 19, the title compound (366 mg) was obtained as colorless crystals having a melting point of 160 to 162°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.20 (3H, t, J = 7.2Hz), 1.30-1.85 (6H, m), 2.50-3.30 (13H, m), 3.60-3.80 (4H, m), 4.10 (2H, t, J = 8.4Hz), 7.05-7.25 (4H, m), 7.67 (1H, s), 7.71 (1H, s).

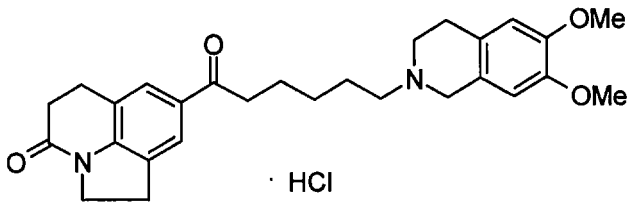
elementary analysis as $C_{25}H_{34}N_2O_2 \cdot HCl \cdot 3.5H_2O$

calculation value:C, 60.78; H, 8.57; N, 5.67.

experimental value:C, 61.16; H, 8.12; N, 5.88.

Example 342

8-[6-(6,7-Dimethoxy-3,4-dihydro-2(1H)-isoquinolinyl)hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (600 mg) obtained in Reference Example 2 and 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline (432 mg) according to the same method as that of Reference Example 19, the title compound (540 mg) was obtained as colorless crystals having a

melting point of 216 to 218°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.35-2.05 (8H, m), 2.51 (2H, t, J = 7.6Hz), 2.60-2.85 (4H, m), 2.93 (2H, t, J = 7.6Hz), 3.02 (2H, t, J = 7.6Hz), 3.22 (2H, t, J = 8.4Hz), 3.55 (2H, s), 3.83 (6H, s), 4.13 (2H, t, J = 8.4Hz), 6.52 (1H, s), 6.59 (1H, s), 7.68 (1H, s), 7.72 (1H, s).

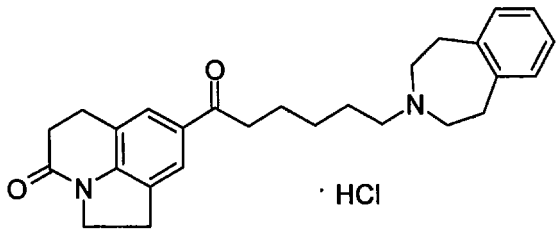
elementary analysis as $C_{28}H_{34}N_2O_4 \cdot HCl \cdot 2.5H_2O$

calculation value:C, 61.81; H, 7.41; N, 5.15.

experimental value:C, 62.26; H, 6.99; N, 5.14.

Example 343

8-[6-(1,2,4,5-Tetrahydro-3H-3-benzazepin-3-yl)hexanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (600 mg) obtained in Reference Example 2 and 2,3,4,5-tetrahydro-1H-3-benzazepine (277 mg) according to the same method as that of Reference Example 19, the title compound (566 mg) was obtained as colorless crystals having a melting point of 222 to 225°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.30-1.85 (10H, m),

2.49 (2H, t, J = 7.6Hz), 2.60-2.80 (4H, m), 2.85-3.10 (6H, m), 3.21 (2H, t, J = 8.4Hz), 4.13 (2H, t, J = 8.4Hz), 7.10 (4H, s), 7.67 (1H, s), 7.72 (1H, s).

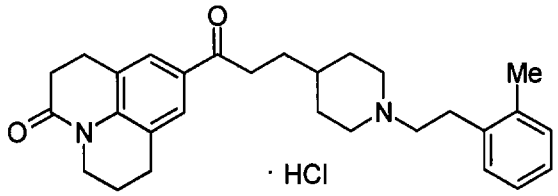
elementary analysis as $C_{27}H_{32}N_2O_2 \cdot HCl \cdot 0.5H_2O$

calculation value:C, 70.19; H, 7.42; N, 6.06.

experimental value:C, 70.72; H, 7.13; N, 6.09.

Example 344

9-(3-{1-[2-(2-Methylphenyl)ethyl]piperidin-4-yl}propanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



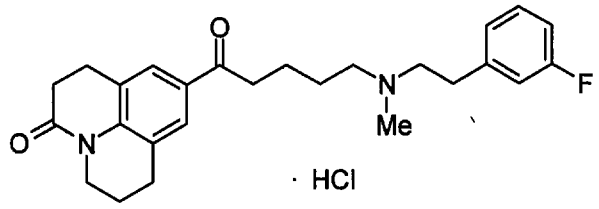
Using 9-(3-piperidin-4-ylpropanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one (326 mg) obtained in Reference Example 176 and 2-(2-methylphenyl)ethyl methanesulfonate (214 mg) according to the same method as that of Example 81, the title compound (172 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.30-1.40 (3H, m), 1.68-1.78 (4H, m), 1.94-2.02 (4H, m), 2.32 (3H, s), 2.49-2.53 (2H, m), 2.68 (2H, t, J = 7Hz), 2.80-2.86 (4H, m), 2.94 (4H, t, J = 7Hz), 3.04 (2H, t, J = 11Hz), 3.89(2H, t, J = 6Hz), 7.09-7.15 (4H, m), 7.62 (2H, d, J = 5Hz).

IR (neat) νcm^{-1}: 1674, 1604, 1489, 1361, 1339, 1168.

Example 345

9-{5-[[2-(3-Fluorophenyl)ethyl](methyl)amino]pentanoyl}-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one hydrochloride



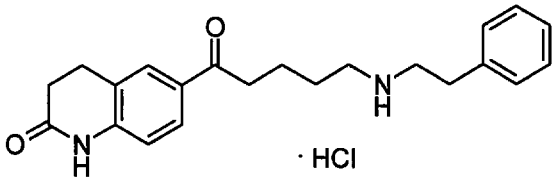
Using 9-(5-chloropentanoyl)-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-5-one (306 mg) obtained in Reference Example 5 and N-[2-(3-fluorophenyl)ethyl]-N-methylamine (337 mg) according to the same method as that of Example 9, the title compound (205 mg) was obtained as pale yellow amorphous powders.

^1H NMR (free base; 400MHz, $CDCl_3$) δ 1.54-1.60 (2H, m), 1.70-1.77 (2H, m), 1.93-1.99 (2H, m), 2.29 (3H, s), 2.44 (2H, t, J = 7.5Hz), 2.58-2.62 (2H, m), 2.67 (2H, t, J = 6Hz), 2.74-2.79 (2H, m), 2.84 (2H, t, J = 6Hz), 2.91-2.96 (4H, m), 3.89 (2H, t, J = 6Hz), 6.85-6.91 (2H, m), 6.96 (1H, d, J = 7.5Hz), 7.19-7.25 (1H, m), 7.62 (2H, d, J = 5Hz).

IR (neat) νcm^{-1}: 1675, 1604, 1589, 1485, 1362, 1339, 1161.

Example 346

6-{5-[(2-Phenylethyl)amino]pentanoyl}-3,4-dihydroquinolin-2(1H)-one hydrochloride



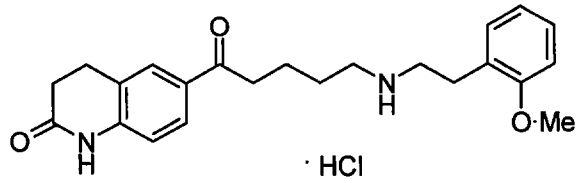
Using tert-butyl 5-oxo-5-(2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)pentyl(2-phenylethyl)carbamate (520 mg) obtained in Reference Example 345 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (390 mg) having a melting point of 200 to 202°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.64-1.76 (4H, m), 2.47-2.51 (2H, m), 2.93-3.02 (8H, m), 3.05-3.15 (2H, m), 6.97 (1H, d, J = 8.3Hz), 7.22-7.27 (3H, m), 7.31-7.35 (2H, m), 7.78-7.82 (2H, m), 9.25 (2H, s), 10.46 (1H, s).

IR (KBr) νcm^{-1}: 3305, 2937, 2775, 1684, 1604, 1508, 1367.

Example 347

6-(5-{[2-(2-Methoxyphenyl)ethyl]amino}pentanoyl)-3,4-dihydroquinolin-2(1H)-one hydrochloride



Using tert-butyl 2-(2-methoxyphenyl)ethyl[5-oxo-5-(2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)pentyl]carbamate (630

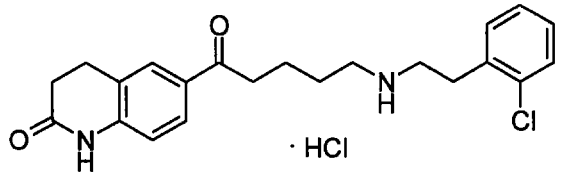
mg) obtained in Reference Example 197 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (449 mg) having a melting point of 176 to 177°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.63-1.72 (4H, m), 2.47-2.51 (2H, m), 2.92-3.01(10H, m), 3.79 (3H, s), 6.90 (1H, t, J = 7.5Hz), 6.98 (2H, t, J = 7.3Hz), 7.18 (1H, d, J = 7.3Hz), 7.24 (1H, t, J = 7.5Hz), 7.78-7.82 (2H, m), 9.16 (2H, s), 10.46 (1H, s).

IR (KBr) νcm^{-1}: 3272, 2942, 2747, 1682, 1602, 1501, 1369, 1312, 1253, 762.

Example 348

6-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-3,4-dihydroquinolin-2(1H)-one hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl[5-oxo-5-(2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)pentyl]carbamate (670 mg) obtained in Reference Example 198 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (450 mg) having a melting point of 197 to 198°C.

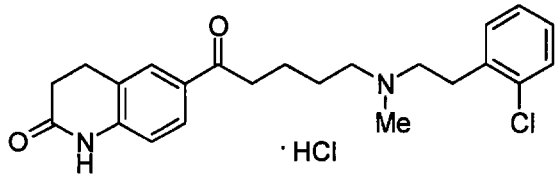
^{1}H NMR (400MHz, DMSO-d_6) δ 1.64-1.74 (4H, m), 2.47-2.51 (2H,

m), 2.92-3.10(10H, m), 6.96 (1H, d, J = 8.3Hz), 7.28-7.35 (2H, m), 7.39-7.47 (2H, m), 7.78-7.82 (2H, m), 9.31 (2H, s), 10.45 (1H, s).

IR (KBr) νcm^{-1}: 3276, 2955, 2738, 1684, 1654, 1599, 1508, 1361, 1304, 1170,

Example 349

6-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-3,4-dihydroquinolin-2(1H)-one hydrochloride



Using 6-(5-chloropentanoyl)-3,4-dihydroquinolin-2(1H)-one (133 mg) obtained in Reference Example 195 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine (187 mg) according to the same method as that of Example 9, the title compound was obtained as colorless crystals (99 mg) having a melting point of 167 to 168°C.

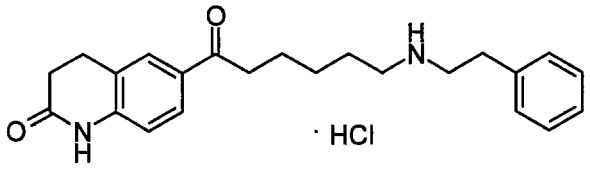
^1H NMR (free base; 400MHz, $CDCl_3$) δ 1.54-1.61 (2H, m), 1.71-1.79 (2H, m), 2.34 (3H, s), 2.47 (2H, t, J = 7.3Hz), 2.59-2.63 (2H, m), 2.68 (2H, t, J = 8Hz), 2.88-2.97 (4H, m), 3.04 (2H, t, J = 7.3Hz), 6.85 (1H, d, J = 6.4Hz), 7.10-7.19 (2H, m), 7.23 (1H, d, J = 7.5Hz), 7.32 (1H, d, J = 7.5Hz), 7.80 (1H, d, J = 6.4Hz), 7.81 (1H, s), 8.95 (1H, br).

IR (KBr) νcm^{-1}: 3188, 3059, 1674, 1608, 1595, 1384, 1314,

816, 751.

Example 350

6-{6-[(2-Phenylethyl)amino]hexanoyl}-3,4-dihydroquinolin-2(1H)-one hydrochloride



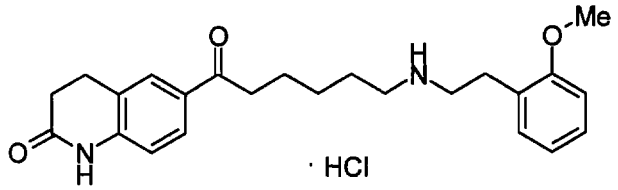
Using 6-(6-bromohexanoyl)-3,4-dihydroquinolin-2(1H)-one (486 mg) obtained in Reference Example 199 and 2-phenylethylamine (454 mg) according to the same method as that of Example 9, the title compound was obtained as colorless crystals (205 mg) having a melting point of 223 to 224°C.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.35-1.42 (2H, m), 1.46 (1H, br.s), 1.49-1.56(2H, m), 1.69-1.77(2H, m), 2.62-2.70 (4H, m), 2.80 (2H, t, J = 6Hz), 2.86-2.92 (4H, m), 3.03 (2H, t, J = 7.4Hz), 6.83 (1H, d, J = 7Hz), 7.16-7.18 (3H, m), 7.27-7.31 (2H, m), 7.79 (1H, d, J = 7Hz), 7.80 (1H, s), 8.93 (1H, br).

IR (KBr) νcm^{-1}: 3193, 3062, 1671, 1605, 1505, 1381, 1314.

Example 351

6-(6-{[2-(2-Methoxyphenyl)ethyl]amino}hexanoyl)-3,4-dihydroquinolin-2(1H)-one hydrochloride



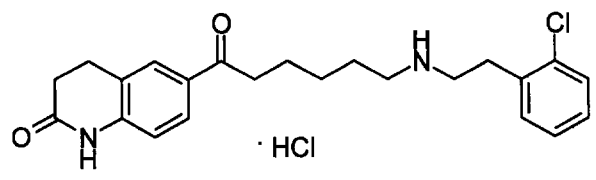
Using 6-(6-bromohexanoyl)-3,4-dihydroquinolin-2(1H)-one (486 mg) obtained in Reference Example 199 and 2-(2-methoxyphenyl)ethylamine (567 mg) according to the same method as that of Example 9, the title compound was obtained as colorless crystals (122 mg) having a melting point of 223 to 224°C.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.35-1.43 (2H, m), 1.49-1.57 (2H, m), 1.53 (1H, br), 1.69-1.77(2H, m), 2.62-2.69 (4H, m), 2.78-2.86 (4H, m), 2.90 (2H, t, J = 7Hz), 3.03 (2H, t, J = 7Hz), 3.81 (3H, s), 6.81-6.89 (3H, m), 7.13-7.20 (2H, m), 7.78 (1H, d, J = 7Hz), 7.79 (1H, s), 9.30 (1H, br).

IR (KBr) νcm^{-1}: 3192, 3061, 1677, 1608, 1495, 1367, 1317, 1243.

Example 352

6-(6-{[2-(2-Chlorophenyl)ethyl]amino}hexanoyl)-3,4-dihydroquinolin-2(1H)-one hydrochloride



Using 6-(6-bromohexanoyl)-3,4-dihydroquinolin-2(1H)-

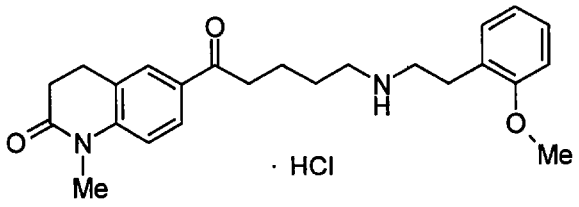
one (486 mg) obtained in Reference Example 199 and 2-(2-chlorophenyl)ethylamine (584 mg) according to the same method as that of Example 9, the title compound was obtained as colorless crystals (196 mg) having a melting point of 213 to 214°C.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.37-1.45 (2H, m), 1.49 (1H, br), 1.51-1.58(2H, m), 1.71-1.78 (2H, m), 2.65-2.70 (4H, m), 2.86-2.96 (6H, m), 3.04 (2H, t, J = 7Hz), 6.85 (1H, d, J = 7Hz), 7.12-7.31 (3H, m), 7.34 (1H, d, J = 7.4Hz), 7.80 (1H, d, J = 7Hz), 7.81 (1H, s), 8.93 (1H, br).

IR (KBr) νcm^{-1}: 3191, 3059, 1672, 1608, 1506, 1380, 1316.

Example 353

6-(5-{[2-(2-Methoxyphenyl)ethyl]amino}pentanoyl)-1-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride



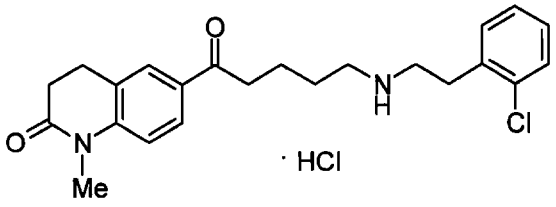
Using tert-butyl 2-(2-methoxyphenyl)ethyl[5-(1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-5-oxopentyl]carbamate (220 mg) obtained in Reference Example 200 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (184 mg) having a melting point of 167 to 168°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.52-1.68 (4H, m), 2.49 (2H, t, J = 8Hz), 2.83-2.96(10H, m), 3.19 (3H, s), 3.71 (3H, s), 6.81 (1H, t, J = 7.5Hz), 6.90 (1H, t, J = 8.3Hz), 7.08-7.11 (2H, m), 7.16 (1H, d, J = 7.5Hz), 7.76 (1H, s), 7.80 (1H, d, J = 8.3Hz), 9.10 (2H, s).

IR (KBr) νcm^{-1}: 2951, 2783, 2453, 1688, 1606, 1494, 1469, 1354, 1248, 1125, 766.

Example 354

6-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-3,4-dihydroquinolin-2(1H)-one hydrochloride



Using tert-butyl 2-(2-chlorophenyl)ethyl[5-(1-methyl-2-oxo-1,2,3,4-tetrahydro-6-quinolinyl)-5-oxopentyl]carbamate (240 mg) obtained in Reference Example 201 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (193 mg) having a melting point of 102 to 103°C.

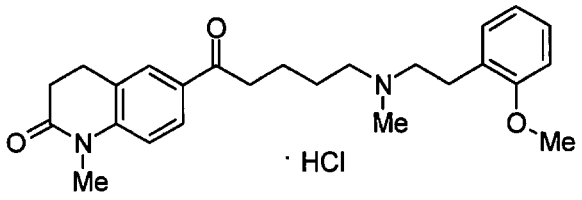
^{1}H NMR (400MHz, DMSO-d_6) δ 1.52-1.68 (4H, m), 2.49 (2H, t, J = 8Hz), 2.87-3.07(10H, m), 3.19 (3H, s), 7.10 (1H, d, J = 8.5Hz), 7.19-7.26 (2H, m), 7.32 (1H, dd, J = 7, 2Hz), 7.37 (1H, dd, J = 7, 2Hz), 7.76 (1H, s), 7.81 (1H, d, J = 8.5Hz),

9.25 (2H, s).

IR (KBr) νcm^{-1}: 2947, 2768, 2453, 1671, 1604, 1477, 1443, 1355, 1126, 751.

Example 355

6-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-1-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride



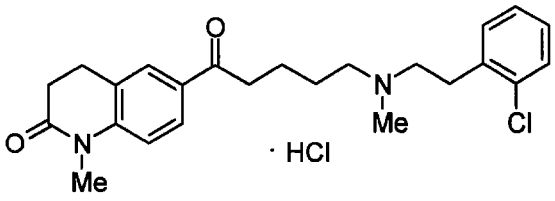
Using 6-(5-chloropentanoyl)-1-methyl-3,4-dihydroquinolin-2(1H)-one (224 mg) obtained in Reference Example 154 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine (291 mg) according to the same method as that of Example 9, the title compound was obtained as pale yellow amorphous powders (165 mg).

^1H NMR (free base; 400MHz, $CDCl_3$) δ 1.55-1.62 (2H, m), 1.72-1.80(2H, m), 2.32 (3H, s) 2.46 (2H, t, J = 7.3Hz), 2.55-2.59 (2H, m), 2.68 (2H, t, J = 7.5Hz), 2.76-2.81 (2H, m), 2.93-2.98 (4H, m), 3.38 (3H, s), 3.81 (3H, s), 6.82-6.90 (2H, m), 7.01 (1H, d, J = 8.5Hz), 7.12-7.19 (2H, m), 7.79 (1H, d, J = 2Hz), 7.87 (1H, dd, J = 8.5, 2Hz).

IR (KBr) νcm^{-1}: 2941, 1679, 1604, 1495, 1466, 1354, 1243, 1126.

Example 356

6-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-1-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride



Using 6-(5-chloropentanoyl)-1-methyl-3,4-dihydroquinolin-2(1H)-one (224 mg) obtained in Reference Example 154 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine (299 mg) according to the same method as that of Example 9, the title compound was obtained as colorless crystals (200 mg) having a melting point of 129 to 130°C.

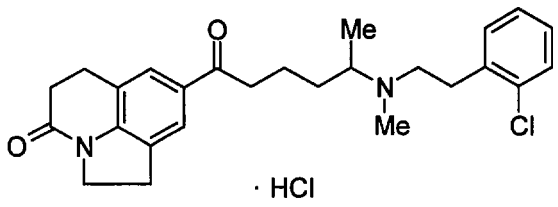
^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.54-1.62 (2H, m), 1.72-1.79 (2H, m), 2.33 (3H, s) 2.47 (2H, t, J = 7.3Hz), 2.59-2.63 (2H, m), 2.68 (2H, t, J = 7.3Hz), 2.88-2.99 (6H, m), 3.39 (3H, s), 7.02 (1H, d, J = 8.5Hz), 7.10-7.19 (2H, m), 7.23 (1H, dd, J = 7.3, 2Hz), 7.32 (1H, dd, J = 8, 2Hz), 7.79 (1H, d, J = 2Hz), 7.87 (1H, dd, J = 8.5, 2Hz).

IR (KBr) νcm^{-1}: 2943, 1679, 1605, 1474, 1354, 1305, 1126.

Example 357

(±)-8-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]hexanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one

hydrochloride



7.8 g of Polyphosphoric acid was placed in a 100ml four-neck flask, the flask was warmed to 50 to 60°C, and 520 mg (3 mmol) of 1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one and 850 mg (3.3 mmol) of (±)-5-[[2-(2-chlorophenyl)ethyl](methyl)amino]hexanoic acid obtained in Reference Example 202 were added. After stirring at an external temperature of 110°C for 15 hours, ice-water (20 ml) was added to dissolve the reaction mixture, and 8N-NaOH (about 20 ml) was added to adjust to pH 7 to 8. The liberated oil was extracted with ethyl acetate (30 ml), washed successively with each (20 ml) of water and brine, dried over $MgSO_4$ and concentrated. The residue was purified by column (basic silica gel: 80 g, developing solvent:ethyl acetate-hexane=1:1) to give a free base compound of the title compound as a pale yellow oil (660 mg).

^1H NMR (free base; 400MHz, $CDCl_3$) δ 0.95(3H, d, J = 6.6Hz), 1.30-1.37 (1H, m), 1.50-1.59 (1H, m), 1.68-1.76 (2H, m), 2.30 (3H, s), 2.50-2.58 (1H, m), 2.61-2.73 (4H, m), 2.84-2.89 (4H, m), 3.02(2H, t, J = 7.6Hz), 3.22 (2H, t, J =

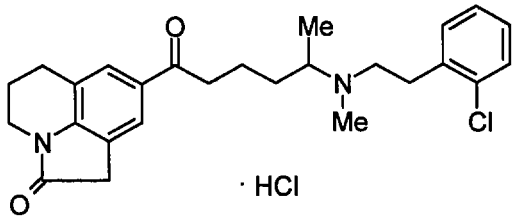
8.3Hz), 4.13 (2H, t, J = 7.0Hz), 7.08-7.18 (2H, m), 7.23(1H, dd, J = 7.8, 1.5Hz), 7.30 (1H, dd, J = 7.8, 1.5Hz), 7.67 (1H, s), 7.72 (1H, s).

IR (neat) νcm^{-1}: 1674, 1598, 1494, 1447, 1381, 1330, 1155, 753.

The thus obtained base compound (650 mg) was dissolved in ethyl acetate (1 ml), and 4N-hydrochloric acid (ethyl acetate solution) (0.5 ml) was added at room temperature. After stirring at room temperature for 30 minutes, the reaction mixture was concentrated, ethyl acetate (2 ml) was added to the residue, and the precipitated crystals were collected by filtration to give the title compound (568 mg) as fine yellow powders having a melting point of 93 to 95°C.

Example 358

(±)-8-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]hexanoyl}-5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride



Using 520 mg (3 mmol) of 5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one and 850 mg (3.3 mmol) of (±)-5-[[2-(2-chlorophenyl)ethyl](methyl)amino]hexanoic acid obtained

in Reference Example 202 according to the same method as that of Example 357, a free base compound of the title compound was obtained as a pale yellow oil (456 mg).

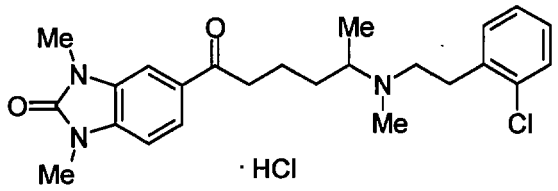
^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 0.96 (3H, d, J = 6.4Hz), 1.30-1.37 (1H, m), 1.52-1.60(1H, m), 1.68-1.77 (2H, m), 2.00-2.06 (2H, m), 2.31(3H, s), 2.52-2.59 (1H, m), 2.62-2.75 (2H, m), 2.81-2.90 (6H, m), 3.54 (2H, s), 3.74 (2H, t, J = 6.0Hz), 7.10 (1H, dt, J = 7.3, 1.7Hz), 7.16 (1H, dt, J = 7.3, 1.7Hz), 7.23 (1H, dd, J = 7.6, 1.7Hz), 7.30 (1H, dd, J = 7.6, 1.7Hz), 7.73 (2H, s).

IR (neat) νcm^{-1}: 1718, 1673, 1604, 1496, 1343, 1154, 753.

The thus obtained free base compound (440 mg) was dissolved in ethyl acetate (1 ml), and 4N-hydrochloric acid (ethyl acetate solution) (0.5 ml) was added at room temperature. After stirring at room temperature for 30 minutes, the reaction mixture was concentrated, ethyl acetate (2 ml) was added to the residue, and the precipitated crystals were collected by filtration to give the title compound(420mg) as fine yellow powders having a melting point of 63 to 65°C.

Example 359

(±)-1,3-Dimethyl-5-[5-[[2-(2-chlorophenyl)ethyl](methyl)amino]hexanoyl]-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



Using 484 mg (3 mmol) of 1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one and 850 mg (3.3 mmol) of (±)-5-[[2-(2-chlorophenyl)ethyl](methyl)amino]hexanoic acid obtained in Reference Example 202 according to the same method as that of Example, a free base compound of the title compound (860 mg) was obtained as a pale yellow oil.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 0.96(3H, d, J = 6.46Hz), 1.32-1.39 (1H, m), 1.54-1.59 (1H,m), 1.71-1.77 (2H, m), 2.31(3H, s), 2.51-2.58 (1H, m), 2.61-2.75 (2H, m), 2.82-2.99 (4H, m), 3.45 (3H, s), 3.46 (3H, s), 6.99 (1H, d, J = 8.3Hz), 7.09 (1H, dt, J = 7.3, 1.7Hz), 7.15 (1H, dt, J = 7.3, 1.7Hz), 7.23 (1H, dd, J = 7.6, 1.7Hz), 7.30 (1H, dd, J = 7.6, 1.7Hz), 7.62 (1H, d, J = 1.5Hz), 7.78 (1H, dd, J = 8.3, 1.5Hz).

IR (KBr) νcm^{-1}: 1720, 1676, 1622, 1511, 1475, 1395, 1195, 750, 584

The thus obtained free base compound (850 mg) was dissolved in ethyl acetate (2 ml), and 4N-hydrochloric acid (ethyl acetate solution) (0.5 ml) was added at room temperature. After stirring at room temperature for 30 minutes, the reaction mixture was concentrated, ethyl acetate (2 ml) was added to the residue, and the

precipitated crystals were collected by filtration to give the title compound (900 mg) as fine yellow amorphous powders.

Example 360

8-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one p-toluenesulfonate

8-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-1H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride (205 mg, 0.5 mmol) obtained in Example 39 was mixed with a 1 N aqueous sodium hydroxide solution (10 ml), and extracted with ethyl acetate (30ml × 3). The organic layer was washed with aqueous saturated sodium chloride, dried over anhydrous sodium sulfate, and the solvent was evaporated. To the resulting residue was added ethanol (3 ml) to give a solution. Then, a solution of p-toluenesulfonic acid monohydrate (95 mg, 0.5 mmol) in ethanol (3 mmol) was added thereto, the mixture was stirred, and the ethanol (about 5.5 ml) was evaporated under reduced pressure. The residue was allowed to stand at room temperature, and the precipitated crystals were collected by filtration, and washed successively with ethanol and diethyl ether. Further drying under reduced pressure afforded the title compound as colorless crystals (258 mg)

having a melting point of 172 to 173°C (dec).

elementary analysis as $C_{24}H_{27}ClN_2O_2 \cdot C_7H_8O_3S$

calculation value:C, 63.85; H, 6.05; N, 4.80.

experimental value:C, 63.77; H, 5.99; N, 4.69.

Example 361

8-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one sulfate

Using 8-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride (205 mg, 0.5 mmol) obtained in Example 39 and sulfuric acid (49 mg, 0.5 mmol) according to the same method as that of Example 360, the title compound was obtained as colorless crystals (218 mg) having a melting point of 155 to 156°C (dec).

elementary analysis as $C_{24}H_{27}ClN_2O_2 \cdot H_2SO_4$

calculation value:C, 56.63; H, 5.74; N, 5.50.

experimental value:C, 56.42; H, 5.86; N, 5.23.

Example 362

8-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrobromide

Using 8-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride (205 mg, 0.5 mmol) obtained in Example 39 and

48% hydrobromic acid (84 mg, 0.5 mmol) according to the same method as that of Example 360, the title compound was obtained as colorless crystals (220 mg) having a melting point of 201 to 203°C (dec).

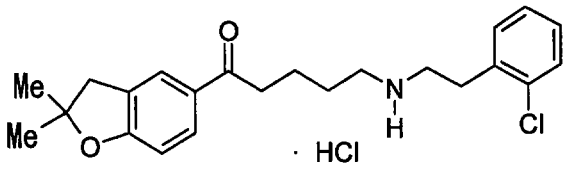
elementary analysis as $C_{24}H_{27}ClN_2O_2 \cdot HBr$

calculation value:C, 58.61; H, 5.74; N, 5.70.

experimental value:C, 58.54; H, 5.85; N, 5.53.

Example 363

5-{[2-(2-Chlorophenyl)ethyl]amino}-1-(2,3-dihydro-2,2-dimethyl-1-benzofuran-5-yl)pentan-1-one hydrochloride



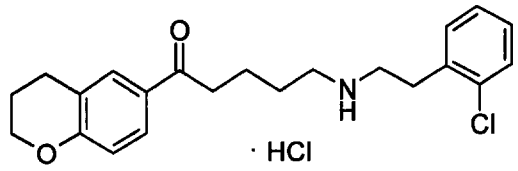
Using tert-butyl 5-(2,3-dihydro-2,2-dimethyl-1-benzofuran-5-yl)-5-oxopentyl[2-(2-chlorophenyl)ethyl]carbamate (550 mg) obtained in Reference Example 209 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (350 mg) having a melting point of 132 to 133°C.

^1H NMR (400MHz, DMSO-d_6) δ 1.42 (6H, s), 1.61-1.75 (4H, m), 2.93-3.16 (10H, m), 6.78 (1H, t, J = 8.3Hz), 7.30-7.46 (4H, m), 7.79 (1H, d, J = 8.3Hz), 7.83 (1H, s), 9.36 (2H, br).

IR (KBr) νcm^{-1}: 2946, 1668, 1603, 1440, 1266, 1090, 750.

Example 364

5-{[2-(2-Chlrophenyl)ethyl]amino}-1-(3,4-dihydro-2H-chromen-6-yl)-1-pentanone hydrochloride



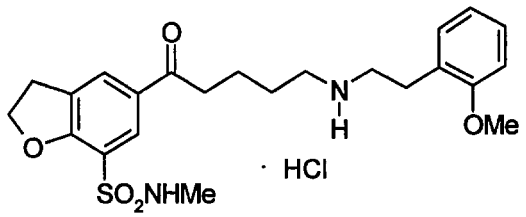
Using tert-butyl 5-(3,4-dihydro-2H-chromen-6-yl)-5-oxopentyl[2-(2-chlorophenyl)ethyl]carbamate (750 mg) obtained in Reference Example 210 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (586 mg) having a melting point of 154 to 155°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.62-1.72 (4H, m), 1.91 (2H, br.s), 2.77 (2H, br.s) 2.95-2.98 (4H, m), 3.08-3.15 (4H, m), 4.18 (2H, br.s), 6.79 (1H, d, J = 8.3Hz), 7.26-7.44 (4H, m), 7.69 (1H, d, J = 8.3Hz), 7.72 (1H, s), 9.19 (2H, br.s).

IR (KBr) νcm^{-1}: 2958, 1673, 1605, 1499, 1476, 1257, 1138, 1019, 758.

Example 365

N-methyl-5-(5-{[2-(2-methoxyphenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



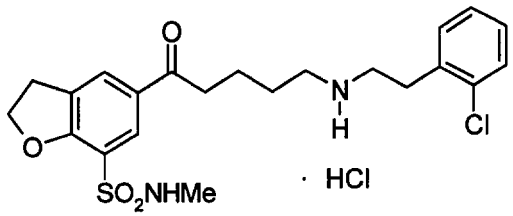
Using tert-butyl 5-{7-[(methylamino)sulfonyl]-2,3-dihydro-1-benzofuran-5-yl}-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (850 mg) obtained in Reference Example 211 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (673 mg) having a melting point of 167 to 168°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.62-1.76 (4H, m), 2.45 (3H, d, J = 4.8Hz), 2.94-3.05 (8H, m), 3.31 (2H, t, J = 8.8Hz), 3.79 (3H, s), 4.80 (2H, t, J = 8.8Hz), 6.89 (1H, t, J = 7.6Hz), 6.98 (1H, d, J = 8.0Hz), 7.17(1H, d, J = 7.6Hz), 7.24 (1H, t, J = 8.0Hz), 7.47(1H, br.s), 8.05 (1H, s), 8.09 (1H, s), 9.19 (2H, br).

IR (KBr) νcm^{-1}: 3319, 2783, 2453, 1686, 1605, 1496, 1467, 1334, 1255, 1157, 1119, 758, 586.

Example 366

N-Methyl-5-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1-benzofuran-7-sufonamide hydrochloride



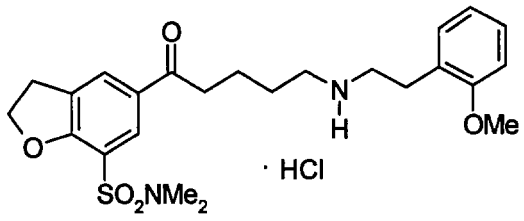
Using tert-butyl 5-{7-[(methylamino)sulfonyl]-2,3-dihydro-1-benzofuran-5-yl}-5-oxopentyl[2-(2-chlorophenyl)ethyl]carbamate (500 mg) obtained in Reference Example 212 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (430 mg) having a melting point of 182 to 183°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.62-1.76 (4H, m), 2.45 (3H, d, J = 4.8Hz), 2.97-3.11 (8H, m), 3.31 (2H, t, J = 8.8Hz), 4.80 (2H, t, J = 8.8Hz), 7.28-7.34 (2H, m), 7.39-7.41 (1H, m), 7.44-7.47 (2H, m), 8.05 (1H, s), 8.09 (1H, s), 9.28 (2H, br).

IR (KBr) νcm^{-1}: 3155, 2808, 1677, 1613, 1480, 1431, 1326, 1228, 1150, 766, 588.

Example 367

N,N-Dimethyl-5-(5-{[2-(2-methoxyphenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



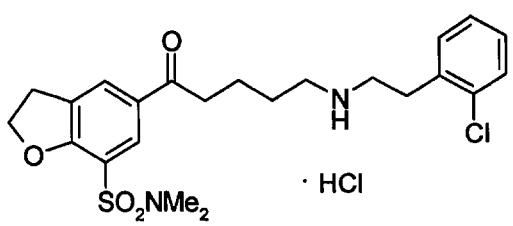
Using tert-butyl 5-{7-[(dimethylamino)sulfonyl]-2,3-dihydro-1-benzofuran-5-yl}-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (860 mg) obtained in Reference Example 213 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (636 mg) having a melting point of 164 to 165°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.62-1.75 (4H, m), 2.70 (6H, s), 2.93-3.06 (8H, m), 3.31 (2H, t, J = 8.8Hz), 3.79 (3H, s), 4.79 (2H, t, J = 8.8Hz), 6.89 (1H, t, J = 7.6Hz), 6.98 (1H, d, J = 8.0Hz), 7.17 (1H, d, J = 7.6Hz), 7.23 (1H, t, J = 8.0Hz), 8.00 (1H, s), 8.13(1H, s), 9.17 (2H, br).

IR (KBr) νcm^{-1}: 2783, 1684, 1604, 1496, 1463, 1424, 1338, 1250, 1152, 1126, 956, 766, 585.

Example 368

N-Dimethyl-5-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



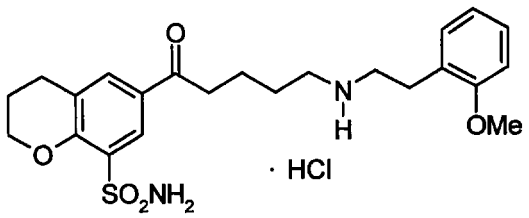
Using tert-butyl 2-(2-chlorophenyl)ethyl(5-{7-[(dimethylamino)sulfonyl]-2,3-dihydro-1-benzofuran-5-yl}-5-oxopentyl)carbamate (500 mg) obtained in Reference Example 214 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (417 mg) having a melting point of 176 to 177°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.62-1.75 (4H, m), 2.70 (6H, s), 2.97-3.14 (8H, m), 3.32 (2H, t, J = 8.8Hz), 4.79 (2H, t, J = 8.8Hz), 7.29-7.33 (2H, m), 7.40 (1H, dd, J = 7.0, 1.7Hz), 7.45 (1H, dd, J = 7.0, 1.7Hz), 8.00 (1H, s), 8.13 (1H, s), 9.21 (2H, br).

IR (KBr) νcm^{-1}: 2776, 1684, 1601, 1461, 1424, 1334, 1265, 1151, 1121, 963, 758, 758, 584.

Example 369

6-(5-{[2-(2-Methoxyphenyl)ethyl]amino}pentanoyl)-8-chromansulfonamide hydrochloride



Using tert-butyl 5-[8-(aminosulfonyl)-3,4-dihydro-2H-chromen-6-yl]-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (650 mg) obtained in Reference Example 215 according to the same method as that

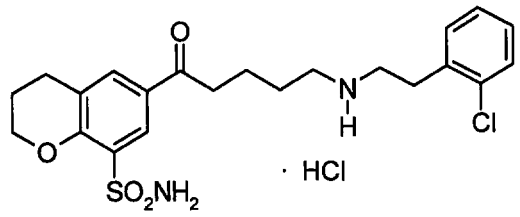
of Example 1, the title compound was obtained as colorless crystals (560 mg) having a melting point of 184 to 185°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.61-1.73 (4H, m), 1.95-1.98(2H, m), 2.86 (2H, t, J = 6.2Hz), 2.93-3.03 (8H, m), 3.78 (3H, s), 4.36 (2H, t, J = 5.1Hz), 6.89 (1H, t, J = 7.3Hz), 6.97 (1H, d, J = 8.0Hz), 7.16-7.25 (2H, m), 7.19 (2H, s), 7.96 (1H, d, J = 2.0Hz), 8.12 (1H, d, J = 2.0Hz), 9.23 (2H, br.s).

IR (KBr) νcm^{-1}: 3306, 3209, 2947, 1661, 1602, 1573, 1495, 1342, 1244, 1161, 1140, 766, 591.

Example 370

6-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-8-chromansulfonamide hydrochloride



Using tert-butyl 5-[8-(aminosulfonyl)-3,4-dihydro-2H-chromen-6-yl]-5-oxopentyl[2-(2-chlorophenyl)ethyl]carbamate (650 mg) obtained in Reference Example 216 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (564 mg) having a melting point of 177 to 178°C.

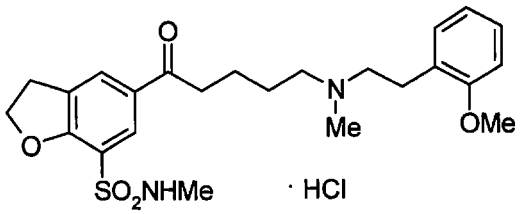
^{1}H NMR (400MHz, DMSO-d_6) δ 1.63-1.73 (4H, m), 1.95-1.99 (2H,

m), 2.86 (2H, t, J=6.2Hz), 2.96 (2H, br.s), 3.02 (2H, t, J = 7.0Hz), 3.09-3.16 (4H, m), 4.36 (2H, t, J = 5.1Hz), 7.19(2H, s), 7.28-7.33 (2H, m), 7.40 (1H, dd, J = 7, 2.2Hz), 7.44 (1H, dd, J = 7, 2.2Hz), 7.96 (1H, d, J = 2.0Hz), 8.11 (1H, d, J = 2.0Hz), 9.36 (2H, s).

IR (KBr) νcm^{-1}: 3307, 3208, 1662, 1602, 1573, 1474, 1342, 1161, 1140, 1004, 907, 765, 591.

Example 371

5-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-N-methyl-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



Using 5-(5-chloropentanoyl)-N-methyl-2,3-dihydro-1-benzofuran-7-sulfonamide (664 mg) obtained in Reference Example 203 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine (752 mg) according to the same method as that of Example 9, the title compound (246 mg) was obtained as pale yellow amorphous powders.

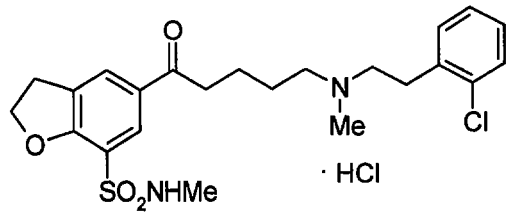
^1H NMR (free base; 400MHz, $CDCl_3$) δ 1.54-1.61 (2H, m), 1.71-1.78 (2H, m), 2.32 (3H, s), 2.46 (2H, t, J = 7.3Hz), 2.56-2.60 (2H, m), 2.65 (3H, s), 2.76-2.80 (2H, m), 2.96 (2H, t, J = 7.0Hz), 3.33 (2H, t, J = 8.8Hz), 3.82 (3H, s),

4.82-4.85 (1H, br), 4.86 (2H, t, J = 8.8Hz), 6.83-6.89 (2H, m), 7.12-7.19 (2H, m), 8.04 (1H, d, J = 1.6Hz), 8.22 (1H, d, J = 1.6Hz).

IR (neat) νcm^{-1}: 3307, 1679, 1602, 1495, 1465, 1330, 1244, 1160, 1118, 756, 734, 587.

Example 372

5-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-N-methyl-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



Using 5-(5-chloropentanoyl)-N-methyl-2,3-dihydro-1-benzofuran-7-sulfonamide (664 mg) obtained in Reference Example 203 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine (768 mg) according to the same method as that of Example 9, the title compound (360 mg) was obtained as pale yellow amorphous powders.

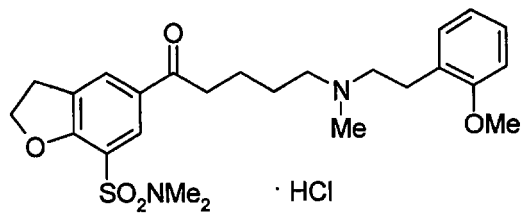
^1H NMR (free base; 400MHz, $CDCl_3$) δ 1.54-1.61 (2H, m), 1.71-1.78 (2H, m), 2.34 (3H, s), 2.48 (2H, t, J = 7.6Hz), 2.60-2.64 (2H, m), 2.66 (3H, d, J = 4.4Hz), 2.89-2.98 (4H, m), 3.34 (2H, t, J = 8.8Hz), 4.77 (1H, br.s), 4.87 (2H, t, J = 8.8Hz), 7.13 (1H, dt, J = 7.6, 1.5Hz), 7.18 (1H, dt, J = 7.6, 1.5Hz), 7.24 (1H, dd, J = 7.6, 1.5Hz), 7.32 (1H, dd,

J = 7.6, 1.5Hz), 8.06 (1H, d, J = 1.5Hz), 8.23 (1H, d, J = 1.5Hz).

IR (neat) νcm^{-1}: 3307, 1680, 1603, 1475, 1329, 1263, 1159, 1119, 754, 585.

Example 373

5-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-N,N-dimethyl-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



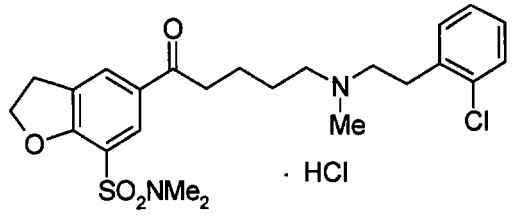
Using 5-(5-chloropentanoyl)-N,N-dimethyl-2,3-dihydro-1-benzofuran-7-sulfonamide (692 mg) obtained in Reference Example 204 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine (752 mg) according to the same method as that of Example 9, the title compound (466 mg) was obtained as pale yellow amorphous powders.

^1H NMR (free base; 400MHz, $CDCl_3$) δ 1.56-1.63 (2H, m), 1.71-1.79 (2H, m), 2.34 (3H, s), 2.49 (2H, t, J = 7.6Hz), 2.58-2.62 (2H, m), 2.78-2.82 (2H, m), 2.84 (6H, s), 2.95 (2H, t, J = 7.3Hz), 3.32 (2H, t, J = 7.3Hz), 3.82 (3H, s), 4.82 (2H, t, J = 8.8Hz), 6.83-6.89 (2H, m), 7.13-7.19 (2H, m), 8.02 (1H, d, J = 1.7Hz), 8.19 (1H, d, J = 1.7Hz).

IR (neat) νcm^{-1}: 3307, 1680, 1603, 1475, 1329, 1263, 1159, 1119, 754, 585.

Example 374

5-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-N,N-dimethyl-2,3-dihydro-1-benzofuran-7-sulfonamide hydrochloride



Using 5-(5-chloropentanoyl)-N,N-dimethyl-2,3-dihydro-1-benzofuran-7-sulfonamide (692 mg) obtained in Reference Example 204 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine (768 mg) according to the same method as that of Example 9, the title compound (370 mg) was obtained as pale yellow amorphous powders.

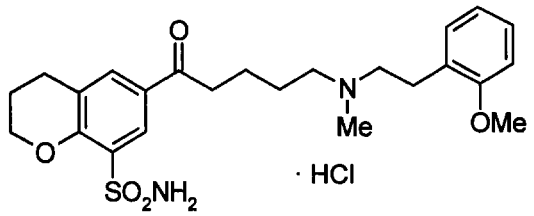
^1H NMR (free base; 400MHz, $CDCl_3$) δ 1.53-1.61 (2H, m), 1.70-1.78 (2H, m), 2.33 (3H, s), 2.47 (2H, t, J = 7.6Hz), 2.59-2.63 (2H, m), 2.84 (6H, s), 2.87-2.96 (4H, m), 3.32 (2H, t, J = 8.8Hz), 4.82 (2H, t, J = 8.8Hz), 7.12 (1H, dt, J = 7.6, 1.5Hz), 7.17 (1H, dt, J = 7.6, 1.5Hz), 7.24 (1H, dd, J = 7.6, 1.5Hz), 7.32 (1H, dd, J = 7.6, 1.5Hz), 8.02 (1H, d, J = 1.5Hz), 8.18 (1H, d, J = 1.5Hz).

IR (neat) νcm^{-1}: 1681, 1603, 1464, 1423, 1342, 1262, 1154,

1119, 958, 754, 583.

Example 375

6-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-8-chromansulfonamide hydrochloride



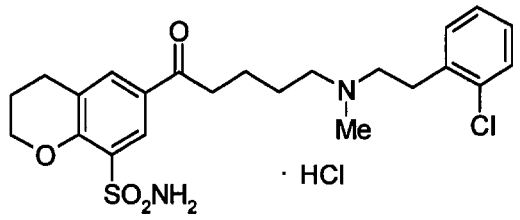
Using 6-(5-chloropentanoyl)-8-chromansulfonamide (664 mg) obtained in Reference Example 208 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine (752 mg) according to the same method as that of Example 9, the title compound was obtained as colorless crystals (447 mg) having a melting point of 121 to 122°C.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.51-1.59 (2H, m), 1.68-1.75 (2H, m), 2.09-2.15 (2H, m), 2.30 (3H, s), 2.42-2.46 (2H, m), 2.54-2.58 (2H, m), 2.74-2.78 (2H, m), 2.88-2.93 (4H, m), 3.82 (3H, s), 4.47 (2H, t, J = 5.3Hz), 5.17 (2H, br.s), 6.82-6.88 (2H, m), 7.11-7.19 (2H, m), 7.91 (1H, d, J = 1.7Hz), 8.28 (1H, d, J = 1.7Hz).

IR (neat) νcm^{-1}: 3388, 3283, 1684, 1600, 1461, 1324, 1243, 1165, 1144, 1032, 752.

Example 376

6-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-8-chromansulfonamide hydrochloride



Using 6-(5-chloropentanoyl)-8-chromansulfonamide (664 mg) obtained in Reference Example 208 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine (768 mg) according to the same method as that of Example 9, the title compound (360 mg) was obtained as pale yellow amorphous powders.

^{1}H NMR (free base; 400MHz, $CDCl_3$) δ 1.51-1.59 (2H, m), 1.68-1.75 (2H, m), 2.09-2.15 (2H, m), 2.32 (3H, s), 2.46 (2H, t, J = 7.3Hz), 2.58-2.62 (2H, m), 2.87-2.93 (6H, m), 4.48 (2H, t, J = 5.3Hz), 5.31 (2H, br.s), 7.12 (1H, dt, J = 7.3, 2Hz), 7.17 (1H, dt, J = 7.3, 2Hz), 7.22 (1H, dd, J = 7.3, 1.7Hz), 7.32 (1H, dd, J = 7.3, 1.7Hz), 7.90 (1H, d, J = 1.7Hz), 8.27 (1H, d, J = 1.7Hz).

IR (neat) νcm^{-1}: 3384, 3276, 1684, 1599, 1477, 1324, 1161, 1144, 901, 757.

Example 377

8-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one citrate

Using 8-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-

5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride (205 mg, 0.5 mmol) obtained in Example 39 and citric acid (96 mg, 0.5 mmol) according to the same method as that of Example 360, the title compound was obtained as colorless crystals (278 mg) having a melting point of 100 to 102°C (dec).

elementary analysis as $C_{24}H_{27}ClN_2O_2 \cdot C_6H_8O_7$

calculation value:C, 59.75; H, 5.85; N, 4.65.

experimental value:C, 59.34; H, 6.21; N, 4.39.

Example 378

8-(5-{[2-(2-Chlophenyl)ethyl]amide}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one succinate

Using 8-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride (205 mg, 0,5 mmol) obtained in Example 39 and succinic acid (59 mg, 0.5 mmol) according to the same method as that of Example 360, the title compound was obtained as colorless crystals (217 mg) having a melting point of 138 to 140°C (dec).

elementary analysis as $C_{24}H_{27}ClN_2O_2 \cdot C_4H_6O_4$

calculation value:C, 63.57; H, 6.29; N, 5.30.

experimental value:C, 63.37; H, 6.20; N, 5.10.

Example 379

8-(5-{[2-(2-Chlophenyl)ethyl]amide}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one methanesulfonate

Using 8-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride (205 mg, 0,5 mmol) obtained in Example 39 and methanesulfonic acid (48 mg, 0.5 mmol) according to the same method as that of Example 360, the title compound was obtained as colorless crystals (213 mg) having a melting point of 186 to 188°C (dec).

elementary analysis as $C_{24}H_{27}ClN_2O_2 \cdot CH_4O_3S$

calculation value:C, 59.22; H, 6.16; N, 5.52.

experimental value:C, 59.07; H, 6.25; N, 5.35.

Example 380

8-(5-{[2-(2-Chlophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one L(±)-tartarate

Using 8-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride (205 mg, 0,5 mmol) obtained in Example 39 and L(±)-tartaric acid (75 mg, 0.5 mmol) according to the same method as that of Example 360, the title compound was obtained as colorless crystals (225 mg) having a melting point of 103 to 105°C (dec).

Example 381

8-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one oxalate

Using 8-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one hydrochloride (205 mg, 0,5 mmol) obtained in Example 39 and oxalic acid (45 mg, 0.5 mmol) according to the same method as that of Example 360, the title compound was obtained as colorless crystals (221 mg) having a melting point of 161 to 163°C (dec).

elementary analysis as $C_{24}H_{27}ClN_2O_2 \cdot C_2H_2O_4$

calculation value:C, 62.33; H, 5.83; N, 5.59.

experimental value:C, 62.15; H, 6.00; N, 5.44.

Example 382

5-(5-{[2-(2-Chlophenyl)ethyl]amino}pentanoyl)-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one p-toluenesulfonate

Using 5-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride (458 mg, 1.05 mmol) obtained in Example 143 and p-toluenesulfonic acid (200 mg, 1.05 mmol) according to the same method as that of Example 360, the title compound was obtained as colorless crystals (566 mg) having a melting point of 148 to 150°C.

^{1}H NMR (200MHz, DMSO-d_6) δ 1.69 (4H, br), 2.28 (3H, s), 3.09 (8H, m), 3.38 (3H, s), 3.39 (3H, s), 7.10 (2H, d, J =

8.0 Hz), 7.25-7.51 (7H, m), 7.73 (1H, s), 7.81 (1H, d, J = 7.6 Hz), 8.52 (2H, br).

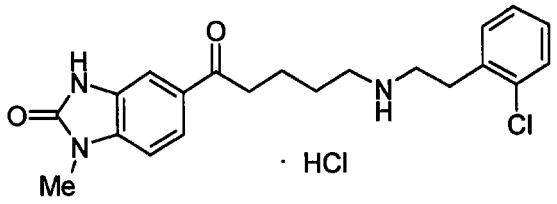
elementary analysis as $C_{22}H_{26}ClN_3O_2 \cdot C_7H_8O_3S$

calculation value:C, 60.88; H, 5.99; N, 7.34.

experimental value:C, 60.95; H, 6.00; N, 7.47.

Example 383

5-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-1-methyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



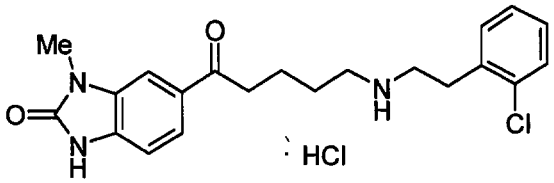
Using tert-butyl 2-(2-chlorophenyl)ethyl[5-(1-methyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-oxopentyl]carbamate (500 mg) obtained in Reference Example 218 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (340 mg) having a melting point of 192 to 193°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.67-1.73 (4H, m), 2.97 (2H, br.s), 3.04 (2H, t, J = 6.7Hz), 3.11 (4H, br.s), 3.31 (3H, s), 7.18 (1H, d, J = 8.3Hz), 7.27-7.34 (2H, m), 7.39 (1H, dd, J = 7.0, 2.0Hz), 7.44 (1H, dd, J = 7.0, 2.0Hz), 7.52 (1H, d, J = 1.7Hz), 7.76 (1H, dd, J = 8.3, 1.7Hz), 9.20 (2H, br.s), 11.19 (1H, s).

IR (KBr) νcm^{-1}: 3432, 2776, 1749, 1666, 1630, 1487, 1319, 1272, 808, 750.

Example 384

6-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-1-methyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



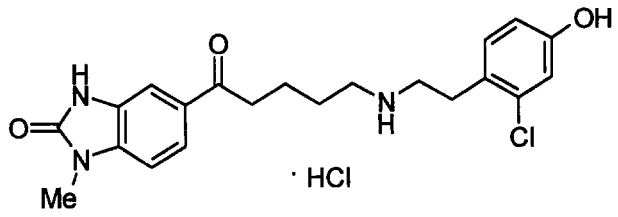
Using tert-butyl 2-(2-chlorophenyl)ethyl[5-(3-methyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-oxopentyl]carbamate (500 mg) obtained in Reference Example 218 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (354 mg) having a melting point of 127 to 129°C.

^1H NMR (400MHz, DMSO-d_6) δ 1.68-1.74 (4H, m), 2.98(2H, br.s), 3.07 (2H, t, J = 6.8Hz), 3.11 (4H, br.s), 3.33 (3H, s), 7.06 (1H, d, J = 8.3Hz), 7.27-7.34 (2H, m), 7.39 (1H, dd, J = 7.0, 2.0Hz), 7.44 (1H, dd, J = 7.0, 2.0Hz), 7.67 (1H, d, J = 1.7Hz), 7.72 (1H, dd, J = 8.3, 1.7Hz), 9.24 (2H, br.s), 11.32 (1H, s).

IR (KBr) νcm^{-1}: 3356, 2733, 1703, 1677, 1623, 1474, 1370, 1252, 1181, 757, 691.

Example 385

5-(5-{[2-(2-Chloro-4-hydroxyphenyl)ethyl]amino}pentanoyl)-1-methyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



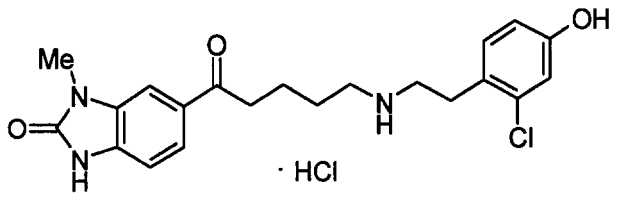
Using tert-butyl 2-(2-chloro-4-hydroxyphenyl)ethyl[5-(1-methyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-oxopentyl]carbamate (400 mg) obtained in Reference Example 219 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (330 mg) having a melting point of 202 to 204°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.66-1.68 (4H, m), 2.95-3.06 (8H, m), 3.31 (3H, s), 6.78 (1H, dd, J = 8.3, 2.4Hz), 6.85 (1H, d, J = 2.4Hz), 7.16 (1H, d, J = 8.3Hz), 7.18 (1H, d, J = 8.3Hz), 7.52 (1H, d, J = 1.5Hz), 7.76 (1H, dd, J = 8.3, 1.5Hz), 8.96 (2H, br.s), 9.92 (1H, s), 11.16 (1H, s).

IR (KBr) νcm^{-1}: 3206, 1703, 1665, 1622, 1502, 1438, 1310, 1083, 705.

Example 386

6-(5-{[2-(2-Chloro-4-hydroxyphenyl)ethyl]amino}pentanoyl)-1-methyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



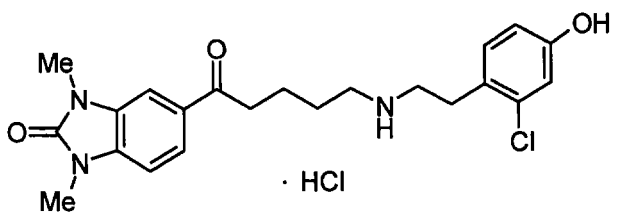
Using tert-butyl 2-(2-chloro-4-hydroxyphenyl)ethyl[5-(3-methyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-oxopentyl]carbamate (250 mg) obtained in Reference Example 219 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (202 mg) having a melting point of 201 to 203°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.67-1.68 (4H, m), 2.97-3.08 (8H, m), 3.33 (3H, s), 6.73 (1H, dd, J = 8.3, 2.4Hz), 6.85 (1H, d, J = 2.4Hz), 7.06 (1H, d, J = 8.1Hz), 7.16 (1H, d, J = 8.3Hz), 7.67 (1H, d, J = 1.7Hz), 7.72 (1H, dd, J = 8.1, 1.7Hz), 8.97 (2H, br.s), 9.92 (1H, s), 11.30 (1H, s).

IR (KBr) νcm^{-1}: 3120, 2946, 2797, 1695, 1673, 1612, 1502, 1469, 1180, 691.

Example 387

5-(5-{[2-(2-Chloro-4-hydroxyphenyl)ethyl]amino}pentanoyl)-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



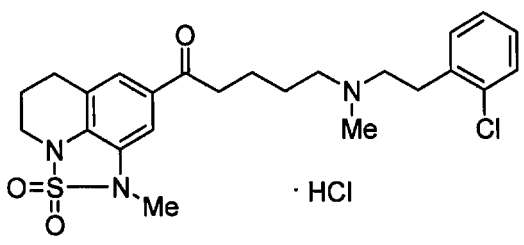
Using tert-butyl 2-(2-chloro-4-hydroxyphenyl)ethyl[5-(1,3-dimethyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-oxopentyl]carbamate (260 mg) obtained in Reference Example 220 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (212 mg) having a melting point of 195 to 197°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.67-1.70 (4H, m), 2.97-3.00 (6H, m), 3.09 (2H, t, J = 6.6Hz), 3.36 (3H, s), 3.38 (3H, s), 6.73 (1H, dd, J = 8.3, 2.4Hz), 6.85 (1H, d, J = 2.4Hz), 7.16 (1H, d, J = 8.3Hz), 7.25 (1H, d, J = 8.3Hz), 7.72 (1H, d, J = 1.7Hz), 7.80 (1H, dd, J = 8.3, 1.7Hz), 8.99 (2H, br.s), 9.92 (1H, s).

IR (KBr) νcm^{-1}: 3091, 2951, 2780, 1707, 1675, 1622, 1501, 1461, 1251, 1190.

Example 388

5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]-1-(1-methyl-2,2-dioxide-5,6-dihydro-1H,4H-[1,2,5]thiadiazolo[4,3,2-ij]quinolin-8-yl)-1-pentanone hydrochloride



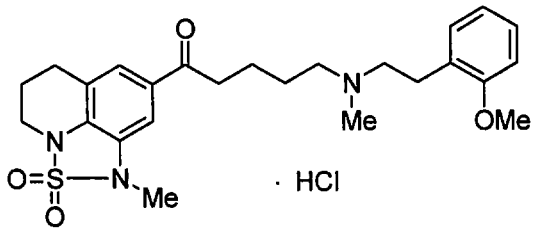
Using 5-chloro-1-(1-methyl-2,2-dioxide-5,6-dihydro-1H,4H-[1,2,5]thiadiazolo[4,3,2-ij]quinolin-8-yl)-1-

pentanone obtained in Reference Example 222 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.53-1.78 (4H, m), 2.19 (2H, quintet, J = 6.0 Hz), 2.34 (3H, s), 2.48 (2H, t, J = 7.4 Hz), 2.63 (2H, m), 2.79-2.96 (6H, m), 3.31 (3H, s), 3.76 (2H, t, J = 5.4 Hz), 7.11-7.34 (5H, m), 7.44 (1H, s).

Example 389

5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]-1-(1-methyl-2,2-dioxide-5,6-dihydro-1H,4H-[1,2,5]thiadiazolo[4,3,2-ij]quinolin-8-yl)-1-pentanone hydrochloride



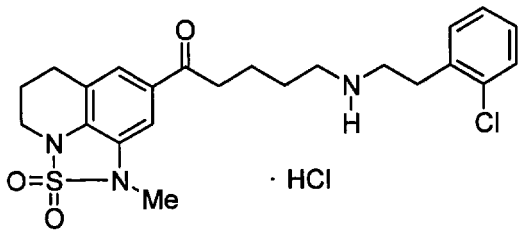
Using 5-chloro-1-(1-methyl-2,2-dioxide-5,6-dihydro-1H,4H-[1,2,5]thiadiazolo[4,3,2-ij]quinolin-8-yl)-1-pentanone obtained in Reference Example 222 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.57-1.79 (4H, m), 2.19 (2H, quintet, J = 6.0 Hz), 2.34 (3H, s), 2.49 (2H, t, J =

7.0 Hz), 2.60 (2H, m), 2.72-2.85 (4H, m), 2.94 (2H, t, J = 7.0 Hz), 3.31 (3H, s), 3.76 (2H, t, J = 5.4 Hz), 3.81 (3H, s), 6.82-6.91 (2H, m), 7.12-7.28 (3H, m), 7.44 (1H, s).

Example 390

5-{[2-(2-Chlorophenyl)ethyl]amino}-1-(1-methyl-2,2-dioxide-5,6-dihydro-1H,4H-[1,2,5]thiadiazolo[4,3,2-ij]quinolin-8-yl)-1-pentanone hydrochloride

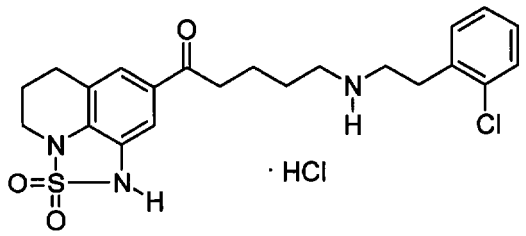


Using tert-butyl 2-(2-chlorophenyl)ethyl[5-(1-methyl-2,2-dioxide-5,6-dihydro-1H, 4H-[1,2,5]thiadiazolo[4,3,2-ij]quinolin-8-yl(-5-oxopentyl)carbamate obtained in Reference Example 223 according to the same method as that of Reference Example 1, the title compound was obtained as colorless crystals having a melting point of 154 to 155°C. ^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.53-1.78 (4H, m), 2.19 (2H, quintet, J = 6.0 Hz), 2.48 (2H, t, J = 7.4 Hz), 2.63 (2H, m), 2.79-2.96 (6H, m), 3.31 (3H, s), 3.75 (2H, t, J = 5.4 Hz), 4.61 (1H, br), 7.15-7.34 (5H, m), 7.44 (1H, s).

Example 391

5-{[2-(2-Chlorophenyl)ethyl]amino}-1-(2,2-dioxide-5,6-

dihydro-1H, 4H-[1,2,5]thiadiazolo[4,3,2-ij]quinolin-8-yl)-1-pentanone hydrochloride

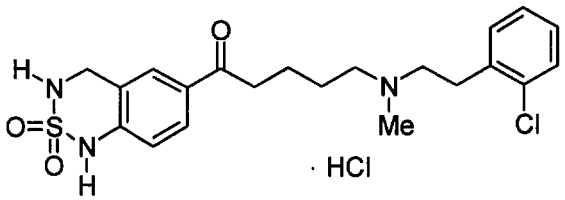


Using 5-chloro-1-(2,2-dioxide-5,6-dihydro-1H, 4H-[1,2,5]thiadiazolo[4,3,2-ij]quinolin-8-yl)-1-pentanone obtained in Reference Example 224 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the tittle compound was obtained as pale yellow crystals having a melting point of 125°C (dec).

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.51-1.79 (4H, m), 2.19 (2H, quintet, J = 6.0 Hz), 2.48 (2H, t, J = 7.4 Hz), 2.63 (2H, m), 2.78-2.99 (6H, m), 3.75 (2H, t, J = 5.4 Hz), 4.61 (1H, br), 7.15-7.34 (5H, m), 7.45 (1H, s), 11.58 (1H, s).

Example 392

5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]-1-(2,2-dioxide-3,4-dihydro-1H-2,1,3-benzothiadiazin-6-yl)-1-pentanone hydrochloride

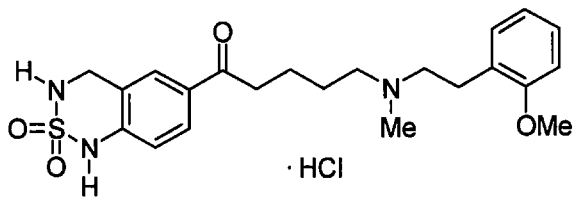


Using 5-chloro-1-(2,2-dioxide-3,4-dihydro-1H-2,1,3-benzothiadiazin-6-yl)-1-pentanone obtained in Reference Example 226 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.55-1.89 (4H, m), 2.53 (3H, s), 2.67-2.79 (4H, m), 2.86 (2H, m), 2.98 (2H, m), 4.54 (2H, s), 5.69 (1H, br), 6.60 (1H, d, J = 8.0 Hz), 7.13-7.32 (4H, m), 7.47-7.55 (2H, m), 10.81 (1H, s).

Example 393

1-(2,2-Dioxide-3,4-dihydro-1H-2,1,3-benzothiadiazin-6-yl)-5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]-1-pentanone hydrochloride

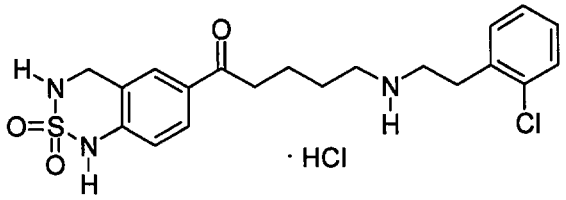


Using 5-chloro-1-(2,2-dioxide-3,4-dihydro-1H-2,1,3-benzothiadiazin-6-yl)-1-pentanone obtained in Reference Example 226 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine

according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders. ^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.55-1.89 (4H, m), 2.60 (3H, s), 2.67-2.79 (4H, m), 2.86 (2H, m), 2.98 (2H, m), 3.76 (3H, s), 4.45 (2H, s), 5.69 (1H, br), 6.54 (1H, d, J = 8.0 Hz), 6.77-6.84 (2H, m), 7.09-7.18 (2H, m), 7.47-7.55 (2H, m), 10.81 (1H, s).

Example 394

5-{[2-(2-Chlorophenyl)ethyl]amino}-1-(2,2-dioxide-3,4-dihydro-1H-2,1,3-benzothiadiazin-6-yl)-1-pentanone hydrochloride

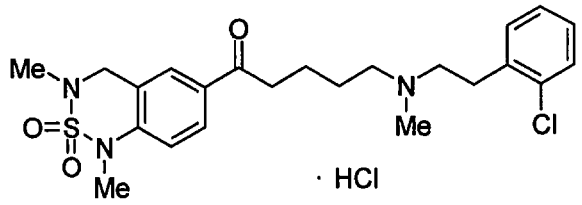


Using 5-chloro-1-(2,2-dioxide-3,4-dihydro-1H-2,1,3-benzothiadiazin-6-yl)-1-pentanone obtained in Reference Example 226 and 2-(2-chlorophenyl)ethylamine according to the same method as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 197 to 199°C. ^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.54-1.89 (4H, m), 2.67-2.79 (4H, m), 2.86 (2H, m), 2.98 (2H, m), 4.54 (2H, s), 4.63 (1H, br), 5.68 (1H, br), 6.61 (1H, d, J = 8.0 Hz),

7.11-7.32 (4H, m), 7.43-7.49 (2H, m), 10.82 (1H, s).

Example 395

5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]-1-(1,3-dimethyl-2,2-dioxide-3,4-dihydro-1H-2,1,3-benzothiadiazin-6-yl)-1-pentanone hydrochloride



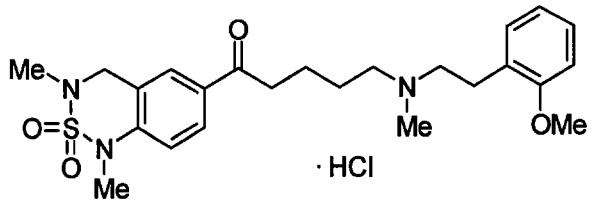
Using 5-chloro-1-(1,3-dimethyl-2,2-dioxide-3,4-dihydro-1H-2,1,3-benzothiadiazin-6-yl)-1-pentanone obtained in Reference Example 228 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.53-1.79 (4H, m), 2.34 (3H, s), 2.48 (2H, t, J = 7.0 Hz), 2.61 (2H, m), 2.77 (3H, s), 2.87-2.97 (4H, m), 3.39 (3H, s), 4.69 (2H, s), 6.92 (1H, d, J = 8.8 Hz), 7.11-7.34 (4H, m), 7.74 (1H, d, J = 1.8 Hz), 7.91 (1H, dd, J = 8.8, 2.2 Hz).

Example 396

1-(1,3-Dimethyl-2,2-dioxide-3,4-dihydro-1H-2,1,3-benzothiadiazin-6-yl)-5-[[2-(2-

methoxyphenyl)ethyl](methyl)amino]-1-pentanone hydrochloride

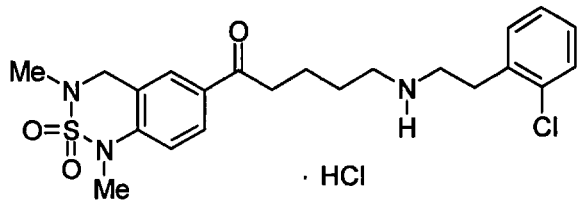


Using 5-chloro-1-(1,3-dimethyl-2,2-dioxide-3,4-dihydro-1H-2,1,3-benzothiadiazin-6-yl)-1-pentanone obtained in Reference Example 228 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.55-1.80 (4H, m), 2.33 (3H, s), 2.48 (2H, t, J = 7.0 Hz), 2.58 (2H, m), 2.76 (3H, s), 2.80 (2H, m), 2.95 (2H, t, J = 6.6 Hz), 3.39 (3H, s), 3.81 (3H, s), 4.68 (2H, s), 6.82-6.94 (3H, m), 7.12-7.21 (2H, m), 7.74 (1H, d, J = 1.8 Hz), 7.92 (1H, dd, J = 8.4, 1.8 Hz).

Example 397

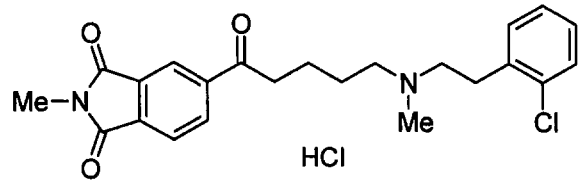
5-{[2-(2-Chlorophenyl)ethyl]amino}-1-(1,3-dimethyl-2,2-dioxide-3,4-dihydro-1H-2,1,3-benzothiadiazin-6-yl)-1-pentanone hydrochloride



Using 5-chloro-1-(1,3-dimethyl-2,2-dioxide-3,4-dihydro-1H-2,1,3-benzothiadiazin-6-yl)-1-pentanone obtained in Reference Example 228 and 2-(2-chlorophenyl)ethylamine according to the same method as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 164 to 165°C. ^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.51-1.76 (4H, m), 2.48 (2H, t, J = 7.0 Hz), 2.61 (2H, m), 2.77 (3H, s), 2.87-2.97 (4H, m), 3.39 (3H, s), 3.92 (1H, br), 4.69 (2H, s), 6.92 (1H, d, J = 8.8 Hz), 7.11-7.34 (4H, m), 7.74 (1H, s), 7.91 (1H, d, J = 8.8 Hz).

Example 398

5-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-2-methyl-1H-isoindole-1,3(2H)-dione hydrochloride



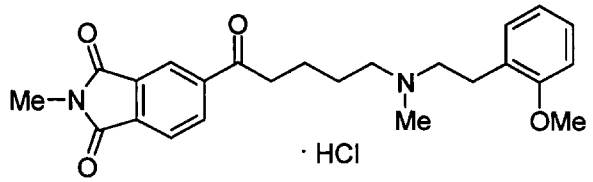
Using 5-(5-chloropentanoyl)-2-methyl-1H-isoindole-1,3(2H)-dione obtained in Reference Example 231 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same

method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.55-1.89 (4H, m), 2.34 (3H, s), 2.49 (2H, t, J = 7.0 Hz), 2.61 (2H, m), 2.86-2.97 (2H, m), 3.05 (2H, t, J = 7.0 Hz), 3.22 (3H, s), 7.10-7.33 (4H, m), 7.94 (1H, d, J = 7.8 Hz), 8.29 (1H, d, J = 8.4 Hz), 8.37 (1H, s).

Example 399

5-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-2-methyl-1H-isoindole-1,3(2H)-dione hydrochloride

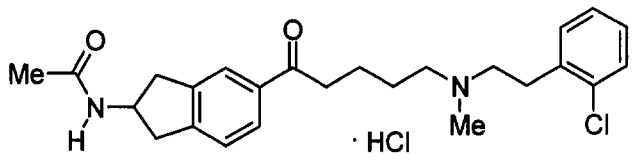


Using 5-(5-chloropentanoyl)-2-methyl-1H-isoindole-1,3(2H)-dione obtained in Reference Example 231 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.56-1.87 (4H, m), 2.33 (3H, s), 2.49 (2H, t, J = 7.0 Hz), 2.61 (2H, m), 2.71-2.82 (2H, m), 3.05 (2H, t, J = 6.8 Hz), 3.21 (3H, s), 3.82 (3H, s), 6.85 (2H, t, J = 8.6 Hz), 7.13 (2H, d, J = 7.4 Hz), 7.93 (1H, d, J = 7.8 Hz), 8.30 (1H, d, J = 8.4 Hz), 8.37 (1H, s).

Example 400

N-(5-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-2,3-dihydro-1H-inden-2-yl)acetamide hydrochloride

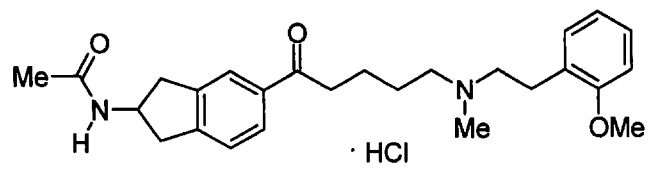


Using N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]acetamide obtained in Reference Example 232 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.53-1.78 (4H, m), 1.94 (3H, s), 2.34 (3H, s), 2.48 (2H, t, J = 7.4 Hz), 2.60 (2H, m), 2.78-2.98 (6H, m), 3.31 (2H, dd, J = 16.4, 6.8 Hz), 4.74 (1H, m), 5.96 (1H, d, J = 7.2 Hz), 7.09-7.34 (5H, m), 7.78 (2H, m).

Example 401

N-(5-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-2,3-dihydro-1H-inden-2-yl)acetamide hydrochloride

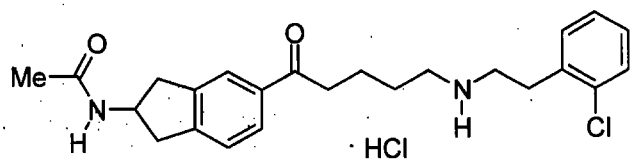


Using N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]acetamide obtained in Reference Example 232 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.56-1.78 (4H, m), 1.94 (3H, s), 2.33 (3H, s), 2.48 (2H, t, J = 7.4 Hz), 2.60 (2H, m), 2.78-2.98 (6H, m), 3.31 (2H, dd, J = 16.4, 6.8 Hz), 3.81 (3H, s), 4.74 (1H, m), 6.04 (1H, d, J = 7.2 Hz), 6.81-6.90 (2H, m), 7.11-7.30 (3H, m), 7.78 (2H, m).

Example 402

N-[5-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1H-inden-2-yl]acetamide hydrochloride



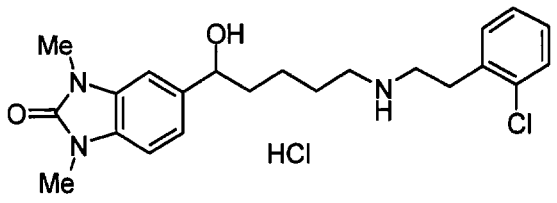
Using N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]acetamide obtained in Reference Example 232 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 150 to 151°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.53-1.78 (4H, m), 1.94 (3H, s), 2.48 (2H, t, J = 7.4 Hz), 2.60 (2H, m), 2.78-2.98

(6H, m), 3.31 (2H, dd, J = 16.4, 6.8 Hz), 4.53 (1H, br), 4.74 (1H, m), 5.96 (1H, d, J = 7.2 Hz), 7.09-7.34 (5H, m), 7.78 (2H, m).

Example 403

5-(5-{[2-(2-Chlorophenyl)ethyl]amino}-1-hydroxypentyl)-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one hydrochloride



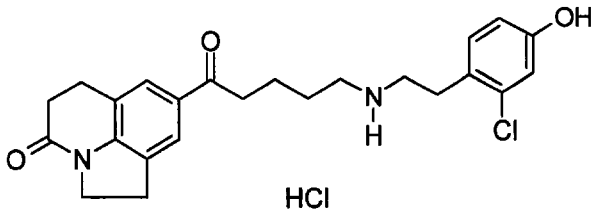
Using tert-butyl 2-(2-chlorophenyl)ethyl[5-(1,3-dimethyl-2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)-5-hydroxypentyl]carbamate (1.25 g) obtained in Reference Example 235 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (340 mg) having a melting point of 253 to 255°C.

^{1}H NMR (400MHz, CD_3OD) δ 1.32-1.41 (1H, m), 1.46-1.57 (1H, m), 1.68-1.75 (3H, m), 1.83-1.92 (1H, m), 3.01 (2H, t, J = 7.8Hz), 3.12-3.23 (4H, m), 3.40 (3H, s), 3.41 (3H, s), 4.21-4.24 (1H, m), 4.91 (3H, s), 7.08-7.12 (3H, m), 7.27-7.31 (2H, m), 7.37-7.42 (2H, m).

IR (KBr) νcm^{-1}: 3428, 2944, 1712, 1510, 1462, 747, 584.

Example 404

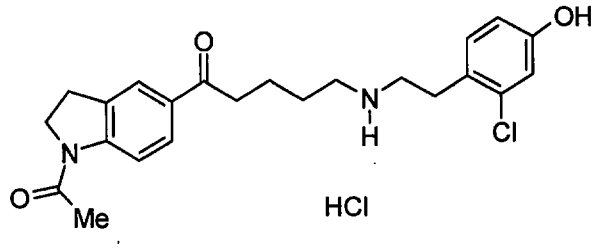
8-(5-{[2-(2-Chloro-4-hydroxyphenyl)ethyl]amino}pentanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using tert-butyl 2-(2-chloro-4-hydroxyphenyl)ethyl[5-oxo-5-(4-oxo-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)pentyl]carbamate (240 mg) obtained in Reference Example 236 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (203 mg) having a melting point of 184 to 185°C.

Example 405

1-(1-Acetyl-2,3-dihydro-1H-indol-5-yl)-5-{[2-(2-chloro-4-hydroxyphenyl)ethyl]amino}pentan-4-one hydrochloride



Using tert-butyl 5-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-5-oxopentyl[2-(2-chloro-4-hydroxyphenyl)ethyl]carbamate (320 mg) obtained in Reference Example 237 according to the same method as that of Example 1, the title compound was

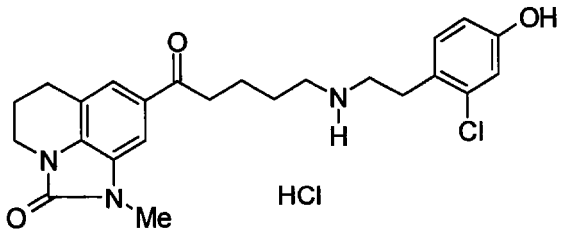
obtained as colorless crystals (260 mg) having a melting point of 197 to 199°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.64-1.79 (4H, m), 2.17 (3H, s), 2.93-3.01 (8H, m), 3.16 (2H, t, J = 8.3Hz), 4.13 (2H, t, J = 8.3Hz), 6.73 (1H, dd, J = 8.3, 2.4Hz), 6.87 (1H, d, J = 2.4Hz), 7.15 (1H, d, J = 8.3Hz), 7.81 (1H, s), 7.82 (1H, d, J = 8.3Hz), 8.07 (1H, d, J = 8.3Hz), 9.18 (2H, s), 9.51 (1H, br).

IR (KBr) νcm^{-1}: 2949, 2780, 1686, 1632, 1587, 1503, 1443, 1405, 1248, 826.

Example 406

8-(5-{[2-(2-Chloro-4-hydroxyphenyl)ethyl]amino}pentanoyl)-1-methyl-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one hydrochloride



Using tert-butyl 2-(2-chloro-4-hydroxyphenyl)ethyl[5-(1-methyl-2-oxo-1,2,5,6-tetrahydro-4H-imidazo[4,5,1-ij]quinolin-8-yl)-5-oxopentyl]carbamate (114 mg) obtained in Reference Example 238 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (82 mg) having a melting point of 175 to

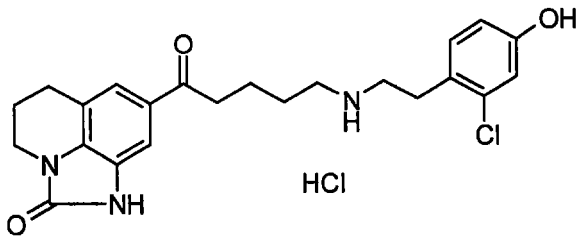
177°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.68 (4H, br.s), 2.00-2.04 (2H, m), 2.98-3.07 (8H, m), 3.34 (2H, br.s), 3.36 (3H, s), 3.71-3.76 (2H, m), 6.73 (1H, dd, J = 8.5, 2.4Hz), 6.85 (1H, d, J = 2.4Hz), 7.16 (1H, d, J = 8.5Hz), 7.59 (2H, s), 9.00 (2H, br.), 9.93 (1H, s).

IR (KBr) νcm^{-1}: 3426, 2955, 2790, 1698, 1641, 1610, 1501, 1436, 1277, 1251.

Example 407

8-(5-{[2-(2-Chloro-4-hydroxyphenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one hydrochloride



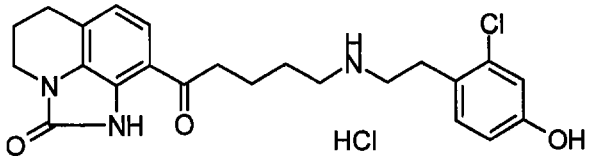
Using tert-butyl 2-(2-chloro-4-hydroxyphenyl)ethyl[5-oxo-5-(2-oxo-1,2,5,6-tetrahydro-4H-imidazo[4,5,1-ij]quinolin-8-yl)pentyl]carbamate (55 mg) obtained in Reference Example 239 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (38 mg) having a melting point of 185 to 186°C.

^{1}H NMR (400MHz, DMSO-d_6) δ 1.66 (4H, br.s), 2.00-2.03 (2H, m), 2.82 (2H, t, J = 6.0Hz), 2.97-3.02 (8H, m), 3.42 (1H,

br.), 3.71 (2H, t, J = 6.0Hz), 6.73 (1H, dd, J = 8.3, 2.2Hz), 6.85 (1H, d, J = 2.2Hz), 7.16 (1H, d, J = 8.3Hz), 7.38 (1H, s), 7.55 (1H, s), 8.91 (2H, br.s), 9.91 (1H, s). IR (KBr) νcm^{-1}: 3252, 2959, 2815, 1717, 1664, 1613, 1502, 1439, 1150, 668.

Example 408

9-(5-{[2-(2-Chloro-4-hydroxyphenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one hydrochloride

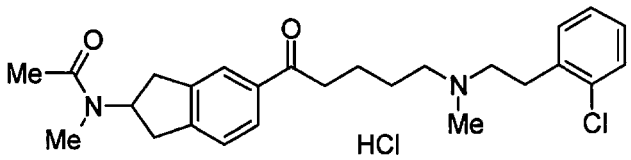


Using tert-butyl 2-(2-chloro-4-hydroxyphenyl)ethyl]-5-oxo-5-(2-oxo-1,2,5,6-tetrahydro-4H-imidazo[4,5,1-ij]quinolin-9-yl)pentyl]carbamate (64 mg) obtained in Reference Example 240 according to the same method as that of Example 1, the title compound was obtained as colorless crystals (44 mg) having a melting point of 173 to 175°C. ^1H NMR (400MHz, DMSO-d_6) δ 1.68 (4H, br.s), 1.99-2.02 (2H, m), 2.82 (2H, t, J = 6.0Hz), 2.97-3.03 (8H, m), 3.71 (2H, t, J = 6.0Hz), 4.24 (1H, br.), 6.73 (1H, dd, J = 8.3, 2.4Hz), 6.85 (1H, d, J = 2.4Hz), 6.91 (1H, d, J = 8.3Hz), 7.16 (1H, d, J = 8.0Hz), 7.53 (1H, d, J = 8.0Hz), 8.90 (2H, br.s), 10.82 (1H, s).

IR (KBr) νcm^{-1}: 3152, 2958, 1689, 1610, 1502, 1488, 1442, 1229, 1041, 692.

Example 409

N-(5-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-2,3-dihydro-1H-inden-2-yl)acetamide hydrochloride

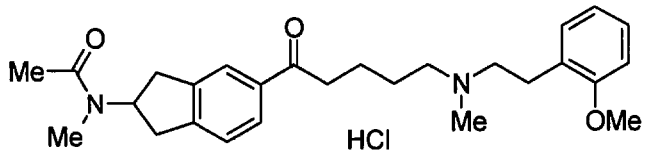


Using N-[5-(5-Chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]acetamide obtained in Reference Example 241 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^1H NMR (free base; 200MHz, $CDCl_3$) δ 1.53-1.80 (4H, m), 2.15 (3H, s), 2.34 (3H, s), 2.48 (2H, t, J = 7.4 Hz), 2.61 (2H, m), 2.81 (3H, s), 2.85-2.98 (6H, m), 3.18 (2H, dd, J = 16.4, 6.8 Hz), 5.32 (1H, m), 7.08-7.38 (5H, m), 7.78 (2H, m).

Example 410

N-(5-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-2,3-dihydro-1H-inden-2-yl)acetamide hydrochloride

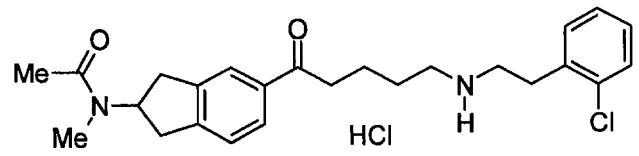


Using N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]acetamide obtained in Reference Example 241 and N-[2-(2-Methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.55-1.80 (4H, m), 2.15 (3H, s), 2.34 (3H, s), 2.47 (2H, t, J = 7.2Hz), 2.58 (2H, m), 2.72-2.82 (4H, m), 2.80 (3H, s), 2.96 (2H, t, J = 7.0Hz), 3.25 (2H, dd, J = 17.0, 8.8Hz), 3.80 (3H, s), 5.25 (1H, m), 6.80-6.88 (2H, m), 7.11-7.18 (2H, m), 7.28 (1H, m), 7.79 (2H, m).

Example 411

N-[5-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1H-inden-2-yl]acetamide hydrochloride



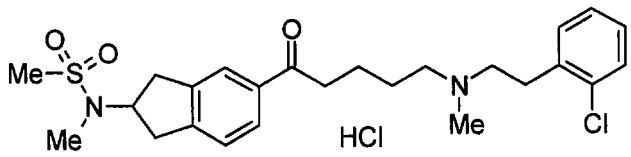
Using N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]acetamide obtained in Reference Example 241 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title

compound was obtained as colorless crystals having a melting point of 137 to 138°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.53-1.79 (4H, m), 2.15 (3H, s), 2.48 (2H, t, J = 7.4 Hz), 2.61 (2H, m), 2.81 (3H, s), 2.85-2.98 (6H, m), 3.18 (2H, dd, J = 16.4, 6.8 Hz), 4.28 (1H, br), 5.32 (1H, m), 7.09-7.37 (5H, m), 7.78 (2H, m).

Example 412

N-(5-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-2,3-dihydro-1H-inden-2-yl)methanesulfonamide hydrochloride

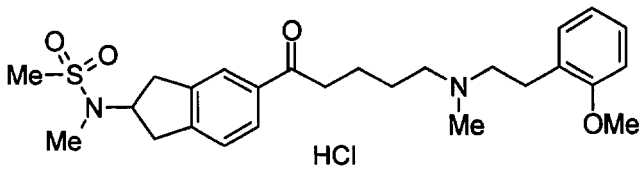


Using N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]methanesulfonamide obtained in Reference Example 242 and N-[2-(2-chlorophenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.55-1.77 (4H, m), 2.34 (3H, s), 2.48 (2H, t, J = 7.6 Hz), 2.61 (2H, m), 2.71 (3H, s), 2.89 (3H, s), 2.91-3.10 (6H, m), 3.35 (2H, dd, J = 16.8, 8.4 Hz), 4.90 (1H, quint, J = 7.0 Hz), 7.09-7.32 (5H, m), 7.78 (2H, m).

Example 413

N-(5-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-2,3-dihydro-1H-inden-2-yl)methansulfonamide hydrochloride

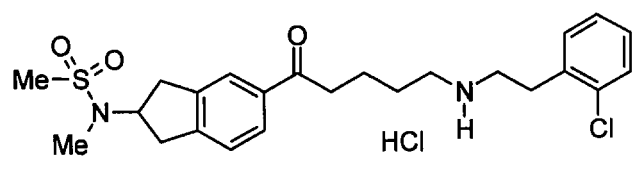


Using N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-yl]methanesulfonamide obtained in Reference Example 242 and N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.54-1.79 (4H, m), 2.33 (3H, s), 2.48 (2H, t, J = 7.0 Hz), 2.58 (2H, m), 2.71 (3H, s), 2.80 (2H, m), 2.89 (3H, s), 2.96 (2H, m), 3.05 (2H, m), 3.28 (2H, dd, J = 16.4, 8.0 Hz), 3.81 (3H, s), 4.91 (1H, m), 6.81-6.90 (2H, m), 7.12-7.31 (3H, m), 7.78 (2H, m).

Example 414

N-[5-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1H-inden-2-yl]methanesulfonamide hydrochloride



Using N-[5-(5-chloropentanoyl)-2,3-dihydro-1H-inden-2-

yl]methanesulfonamide obtained in Reference Example 242 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as colorless amorphous powders.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.55-1.77 (4H, m), 2.47 (2H, t, J = 7.4 Hz), 2.61 (2H, m), 2.71 (3H, s), 2.89 (3H, s), 2.91-3.10 (6H, m), 3.35 (2H, dd, J = 16.4, 8.0 Hz), 4.08 (1H, br), 4.90 (1H, quint, J = 7.0 Hz), 7.09-7.32 (5H, m), 7.78 (2H, m).

Preparation Example 1

(1) Compound of Example 20: 1 g

(2) Lactose: 197 g

(3) Corn starch: 50 g

(4) Magnesium stearate: 2 g

The (1), (2) and corn starch (20 g) were kneaded, and the mixture together with a paste made of corn starch (15 g) and 25 mL of water was granulated, and corn starch (15 g) and the (4) were added thereto. The mixture was compressed with a compression tabletting machine to give 2000 tablets having a diameter of 3mm containing 0.5 mg of the compound of Example 20 per tablet.

Preparation Example 2

(1) Compound of Example 20: 2 g

(2) Lactose: 197 g

(3) Corn starch: 50 g

(4) Magnesium stearate: 2 g

According to the same method as that of Preparation Example 1, 2000 tablets having a diameter of 3mm containing 1.0 mg of the compound of Example 20 per tablet were prepared.

Preparation Example 3

(1) Compound of Example 20: 5.0 mg

(2) Lactose: 60.0 mg

(3) Corn starch: 35.0 mg

(4) Gelatin: 3.0 mg

(5) Magnesium stearate: 2.0 mg

A mixture of the (1), (2) and (3) was granulated by passing through a 1mm mesh sieve using 0.03ml of a 10% aqueous gelatin solution (3.0 mg in terms of gelatin), and the granule was dried at 40°C, and then passed through a sieve again. The resulting granule was mixed with the (5), and compressed. The resulting central tablet was coated with a sugar coating composed of a suspension containing sucrose, titanium dioxide, talc and gum arabic in water. The coated tablet was given luster with beewax to give a coated tablet.

Experimental Example 1

a) Measurement of acetylcholinesterase inhibitory activity

Acetylcholinesterase inhibitory activity of Example compounds was measured using human erythrocyte-derived acetylcholinesterase by an acetylthiocholine method (Ellman method).

Human erythrocyte-drived acetylcholinesterase (Sigma) was dissolved in distilled water to the concentration of 0.2 IU/mL to obtain an enzyme specimen. 20 μL of a drug solution, 30 μL of 80mM Tris-HCL (pH 7.4), 50 μL of an enzyme specimen and 50 μL of 5mM 5,5-dithio-bis (2-nitrobenzoic acid)(Sigma) were dispensed on a 96-well microplate, and this was shaken for 10 seconds. 50 μL of 4mM acetylthiocholine iodide (Sigma) was added, this was shaken again and, immediately after, increase in absorbance at 414nM was measured at 30 second intervals for 10 minutes. Enzyme activity was measured by the following equation:

$$R = 5.74 \times 10^{-7} \times \Delta_A$$

(wherein R represents enzyme activity (mol), and Δ_A represents increase in absorbance at 414nM)

Regarding each compound, the experiment was repeated at least three times to obtain 50% inhibition concentration (IC_{50}). According to the similar method to the above-mentioned, acetylcholinesterase inhibitory activity of distigmine which is a known acetylcholinesterase inhibitor was measured.

b) Measurement of α_{1A} receptor binding inhibitory activity

The following gene manipulation method was carried out according to the method described in a textbook (Miniatis et al., Molecular Cloning, Cold Spring Harbor Laboratory, 1989) or the method described in protocol attached to a reagent.

(i) Preparation of expression plasmid for human adrenaline α_{1A} receptor

An adrenaline α_{1A} receptor gene was cloned from a human liver cDNA by a PCR method. A PCR reaction was performed with Gene Amp PCR System 9700 (Applied Biosystems) using 200 ng of a human liver cDNA library (TAKARA SHUZO Co., Ltd.) as a template and using TaKaRa Pyrobest DNA Polymerase (TAKARA SHUZO CO., Ltd) and adding each 50 pmol of a primer set 5′-CCGAATTCGGCTGGGACCATGGTGTTTCTC-3′ [SEQ ID No.: 1] and 5′-CTGTCGACCTTTCCTGTCCTAGACTTCCTC-3′[SEQ ID No.: 2] prepared making reference to a nucleotide sequence of an adrenaline α_{1A} receptor gene which was reported by Hirasawa A. et al. (Biochem. Biophys. Res.Commun., 195, 902-909(1993)) (reaction condition: 45 cycles of 15 seconds at 94°C, and 3 minutes 30 seconds at 68°C).

The obtained PCR fragment was digested with restriction enzymes Eco RI (TAKARA SHUZO Co. Ltd.) and Sal I (TAKARA SHUZO Co. Ltd.), and agarose gel electrophoresis

was performed to recover DNA fragments. The DNA fragments were mixed with an animal cell expression plasmid pMSR αneo (Administration No. A99-0013) which had been digested with Eco RI and Sal I, ligated with DNA Ligation Kit Ver.2 (TAKARA SHUZO Co. Ltd.), and a competent cell of Esherichia coli JM109 was transformed to obtain a plasmid pMSR αneoA dreα_{1A}.

(ii) Introduction of plasmid for expression of human adrenaline α_{1A} receptor into CHO-K1 cell and preparation of membrane fraction

CHO-K1 cells which had been subcultured in a 150 cm^2 culturing flask (Corning Coaster) using Ham F12 medium (Invitrogen) containing 10% fetal bovine serum (TRACE SCIENTIFIC) was peeled with 0.5 g/L trypsin-0.2 g/L EDTA(Invitrogen), cells were washed with D-PBS(-) (Invitrogen), centrifuged (1000 rpm, 5 min.), and suspended in D-PBS(-). Then, a DNA was introduced into cells using a gene pulser (BioRad) according to the following conditions. That is, 1×10^7 cells and 10 μg of pMSR α neo-Adreα_{1A} suspended in 700 μl of D-PBS(-) were added to a cuvette (BioRad) having a 0.4 cm gap, and electroporation was performed at a voltage of 0.25 kV, and capacitance of 960 μF. Thereafter, cells were transferred to Ham F12 medium containing 10% fetal bovine serum and, after cultured for 24 hours, cells were peeled again, centrifuged, seeded on a

96-well plate (Corning) at 1000/well and cultured for 10 days using Ham F12 medium containing 10% fetal bovine serum and 500 μg/ml geneticine (Invitrogen), thereby, a geneticine-resistant strain was obtained.

A plurality of the thus obtained geneticine-resistant strains were selected, each strain was cultured in a cell culturing flask (150 cm^2) to semiconfluent, and a cell membrane fraction was prepared as follows:

Semiconfluent cells were peeled with D-PBS(-) containing 0.02% EDTA, cells were recovered by centrifugation, cells were suspended in a buffer for preparing a membrane (50 mM Tris-hydrochloric acid (pH7.5), 1 mM EDTA, 10 mM magnesium chloride, 0.25mM PMSF, 1 μg/ml pepstatin, 20 μg/ml leupeptin, 0.5% BSA), and treated with a Polytron homogenizer (model PT-3000, KINEMATICA AG) three times at 20,000 rpm for 20 seconds to grind cells. After grinding of cells, gound cells were centrifuged at 2000 rpm for 10 minutes to obtain the supernatant containing a membrane fraction. The supernatant was centrifuged with a super-centrifuge (model L8-70M, rotor 70Ti, Beckmann) at 30,000 rpm for 1 hour to give precipitates containing a membrane fraction. The resulting membrane fraction of each clone was subjected to the following binding experiment.

A membrane fraction (100 μg/ml) diluted with a buffer for preparing a membrane and [^{3}H]-Prazosin (2.5 nM, NEN

Life Science Products) which is a ligand were added to a 96-well microplate to react at room temperature temperature for 1 hour. For measuring non-specific binding, Prazosin (Sigma) was further added to 10 μM. Then, the membrane fraction was transferred to a unifilter GF/C (Parkerd) by filtering the reaction solution using a cell harvester (Packerd), and washed with a 50 mM Tris ice-cooled buffer (pH 7.5) three times. After the filter was dried, Microsinti 0 (Packerd) was added to the filter, and radioactivity was measured with Topcount (Packerd). Using a strain exhibiting the most excellent S/B value (total binding radioactivity/non-specific binding radioactivity) in measurement of binding using the membrane fraction, a membrane fraction for the following compound assessment was prepared by the same method as that described above, and used for the following compound assessment.

(iii) Assessment of Example compound

A membrane fraction (100 μg/ml) diluted with a buffer for preparing a membrane, the compound and [^{3}H]-Prazosin (2.5 nM, NEN Life Science Products) were added to a 96-well microplate to react at room temperature for 1 hour. For measuring non-specific binding, Prazosin (Sigma) which is a cold ligand was added to 10 μM. Then, a membrane fraction was transferred to a unifilter GF-C (Packerd) by filtering the reaction solution with cell harvester (Packerd), and

washed three times with cooled 50 mM Tris buffer (pH 7.5). After drying the filter, Microsinti 0 (Packerd) was added to the filter, and radioactivity was measured with Topcount (Packerd). The concentration (IC_{50}) of the compound necessary to reduce the binding amount of [^{3}H]-Prazosin to the membrane fraction to 50% was calculated by PRISM 2.01 (Graphpad Software). IC_{50} of urapidil (hydrochloride) which is a known α_1 receptor antagonist was obtained similarly. The results measured by the aforementioned methods a) and b) are shown in the following in Table.

[Table 1]

Compound	AchE: IC_{50} (μM)	α_{1A}: IC_{50} (μM)
Example 20	0.179	0.165
Example 84	0.169	0.236
Distigmine	0.723	-
urapidil	-	0.357

From the aforementioned results, it turns out that the present Compound (I) has an excellent acetylcholinesterase inhibitory action and, at the same time, excellent α_{1A} receptor binding inhibitory activity.

Experimental Example 2

a) Effect on maximum urine flow rate, bladder internal pressure and voiding efficiency in guinea pigs loaded with phenylephrine (Pressure Flow Study)

Hartley male guinea pigs (Slc) weighing 300 to 350 g was anesthetized with urethane (1.2 g/kg, i.p.), and a median was incised to expose bladder. Two polyethylene tubes were inserted into bladder, and one of them was used for injecting a physiological saline and the other was used for measuring a bladder internal pressure. A cannulae was inserted into a left femoral vein, and phenylephrine was continuously administered intravenously at 3 μg/animal/min until the completion of experiment. A physiological saline was injected into bladder at a rate of 0.3 mL/min, and injection was stopped when urination was confirmed. The urinated urine weight was measured with an electron balance (HX-400, A & D) at real time. Analogue data of bladder internal pressure and urine weight were inputted into an AD transducer (MP-100, BIOPAC Systems), and digital signals were analyzed with exclusive analyzing software (AcqKnowledge 3.5.3, BIOPAC Systems). The sampling rate of data was 10 Hz, and data of urination amount and urine flow rate were subjected to a lowcut filter at 0.5 Hz in order to remove noises. The value of urine weight was differentiated to calculate urine flow rate (Q), and maximum urine flow rate (Qmax) at urination and bladder internal pressure (Pves (Qmax)) at maximum urine flow were calculated. The urination weight 1 g was converted into 1 mL to calculate the urination amount, and divided by

bladder volume to obtain voiding efficiency (Voiding Efficiency). Example compound was dissolved in DMSO, and the solution was intravenously administered at 0.5 mL/kg. 10 minutes after administration of test compound, urinary reflection was recorded again, and change in urine flow rate (ΔQmax), and change in bladder internal pressure (ΔPves(Qmax)) and voiding efficiency were assessed. The change in urine flow rate (ΔQmax) and change in bladder internal pressure (ΔPves (Qmax)) were an amount of change (Δ value) obtained by subtracting each value before administration of test compound from each value after administration, and voiding efficiency was assessed by a relative value (% of pre-value) of after administration of test compound relative to before administration. Tamsulosin which is is a known α_1 receptor antagonist was measured similarly. A significance diffenence was tested by a Dunnett test by comparing with each value of a vehicle (DMSO)-administered group.

b) Effect on blood pressure

Hartley male guinea pigs (Slc) weighing 300 to 350 g was anesthetized with urethane (1.2 g/kg), and retained, a cervical region was incised to expose a left common carotid artery. A polyethylene tube filled with physiological saline containing heparin (10 U.I./ml) was inserted into an artery, and blood pressure was measured with a pressure

transducer. A cannulae for administering test compound was inserted into a vein at an end of left hind leg, and a test compound dissolved in distilled water was administered therethrough at 0.5 mL/kg. The blood pressure was recorded at 20 Hz using an analogue data inputting apparatus (MP-100, BIOPAC Systems), and analyzed by analyzing software (AcqKnowledge 3.5.3, BIOPAC Systems). Example compound and tamsulosin were studied for a dose at which effects were recognized in the aforementioned test a (Pressure Flow Study), and an average blood pressure just before administration of test compound and an average blood pressure 15 minutes after administration were measured. Data were statistically treated by Paired t-test.

The results by Pressure Flow Study for the present invention compound and tamsulosin, and effects on blood pressure were summarized in the following Table.

[Table 2]

Effects of present invention compound and tamsulosin on change in maximum urine flow rate (ΔQmax), change in bladder internal pressure (ΔPves(Qmax)) and voiding efficiency

Compound	Dose (mg/kg,i.v.)	ΔQmax (mL/s)	ΔPves (Qmax) (cmH_2O)	voiding efficiency (%)	n
Vehicle	-	-0.029 ± 0.017	2.27 ± 1.88	82.3 ± 4.0	9

tamsulosin	0.01	0.036 ± 0.014*	-3.56 ± 1.09*	118.5 ± 13.4**	8
Example 20	0.1	0.060 ± 0.016**	-1.73 ± 1.54	150.1 ± 20.1**	8

*: $P \leq 0.05$, **: $P \leq 0.01$

[Table 3]

Effects of present invention compound and tamsulosin on blood pressure

Compound	Dose (mg/kg, i.v.)	Blood pressure (mmHg) Before administration	Blood pressure (mmHg) After administration	n
tamsulosin	0.01	54.5 ± 2.5	32.9 ± 3.0**	6
Example 20	0.1	53.5 ± 3.6	54.6 ± 2.8	6

**: $P \leq 0.01$

From the aforementioned results, it turns out that the α_1 receptor antagonist tamsulosin (0.01 mg/kg, i.v.) significantly reduces bladder internal pressure, and significantly increases maximum urine flow rate and voiding efficiency, but significantly reduces blood pressure by about 40% at the same dose. On the other hand, it turns out that the present invention compound (0.1 mg/kg, i.v.) significantly increases urine flow rate and voiding efficiency and, at the same time, does not influence on blood pressure at the same dose without significantly reducing bladder internal pressure. From results of the aforementioned Experimental Examples 1 and 2, it is obvious that the present invention compound having both of an acetylcholinesterase inhibitory action and an α_1

antagonistic action has excellent effect of preventing or treating voiding disturbance, in particular, voiding difficulty.

In addition, by the in vivo assessment method by Pressure Flow Study using guinea pigs loaded with phenylephrine shown in the aforementioned Experimental Example 1a, effects of the present invention compound and tamsulosin on maximum urine flow rate and bladder internal pressure could be properly assessed at the same time. From this, it is obvious that the present method is useful as a method for assessing a therapeutic agent for voiding disturbance accompanied with benign prostatic hyperplasia.

Industrial Aplicability

Since the compound having both an acetylcholinesterase inhibitory action and an α_1 antagonistic action used in the present invention exhibits excellent effect of improving urinary function of bladder (effect of improving urine flow rate and voiding efficiency) and, at the same time, does not influence on urinary pressure and a blood pressure, it is useful as a preventive or therapeutic agent for voiding disturbance.

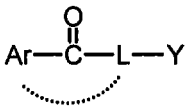
In addition, the present screening method in which Pressure Flow Study is applied to an animal model loaded with an α agonist (phenylephrine) is useful as an excellent

screening method for a compound having effect of preventing or treating voiding disturbance accompanied with benign prostatic hyperplasia or a salt thereof.

CLAIMS

1. A preventive or therapeutic agent for voiding disturbance, which comprises a compound having both of an acetylcholinesterase inhibitory action and an α1 antagonistic action.

2. The agent according to claim 1, which comprises a compound having both of an acetylcholinesterase inhibitory action and an α1 antagonistic action represented by the formula:



(I)

wherein Ar represents an optionally condensed 5- or 6-membered aromatic ring group and said aromatic ring group may have a substituent, L represents a spacer having a main chain of 1 to 10 of atoms which may have a substituent, or may form a ring with Ar, and Y represents an amino group which may have a substituent or a nitrogen-containing heterocyclic group which may have a substituent, or a salt thereof or a prodrug thereof.

3. The agent according to claim 2, wherein L is a C_{1-10} alkylene group which may have a substituent.

4. The agent according to claim 1, which is a preventive or therapeutic agent for voiding disturbance accompanied with benign prostatic hyperplasia.

5. The agent according to claim 1, wherein an IC_{50} value

of each of an acetylcholinesterase inhibitory action and an α1 antagonistic action of the compound is a ratio of about 1:100 to about 100:1.

6. The agent according to claim 1, wherein an IC_{50} value of each of an acetylcholinesterase inhibitory action and an α1 antagonistic action of the compound is a ratio of about 1:1 to about 30:1.

7. The agent according to claim 1, which does not exhibit reduction of blood pressure at a dose exhibiting an effect of improving urine flow rate.

8. The agent according to claim 7, wherein a reduction of blood pressure after administration is within about 10% relative to that before administration at a dose in which a urine flow rate after administration is improved by about 20% or more relative to that before administration.

9. The agent according to claim 1, which does not exhibit reduction of blood pressure at a dose exhibiting an effect of improving voiding efficiency.

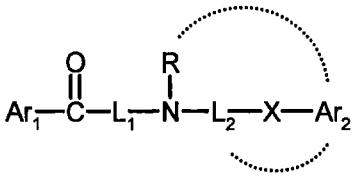
10. The agent according to claim 9, wherein reduction of blood pressure after administration is within about 10% relative to that before administration at a dose that a voiding efficiency after administration is improved by about 10% or more relative to that before administration.

11. The agent according to claim 1, wherein orthostatic hypotension is not accompanied.

12. A method for preventing or treating voiding disturbance, which comprises administering an effective amount of a compound having both of an acetylcholinesterase inhibitory action and an α1 antagonistic action to a mammal.

13. Use of a compound having both of an acetylcholinesterase inhibitory action and an α1 antagonistic action for preparing a preventive or therapeutic agent for voiding disturbance.

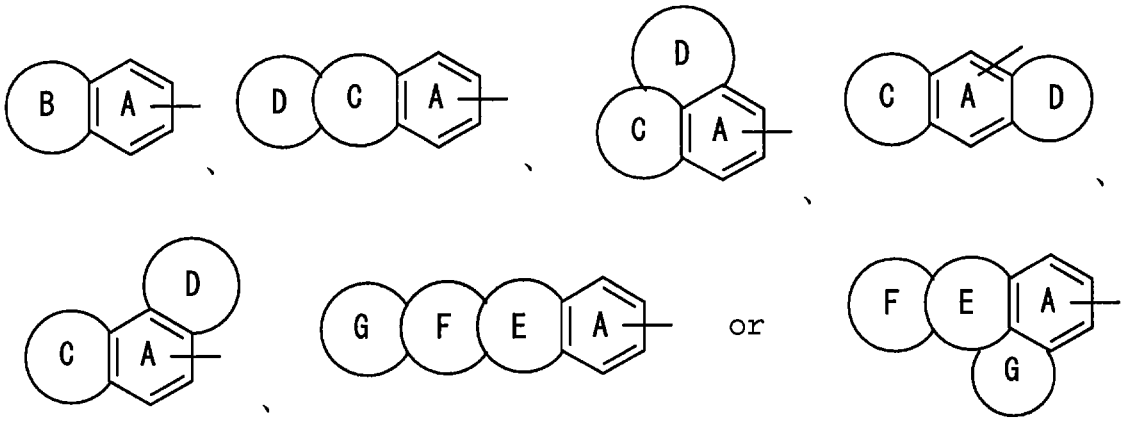
14. A compound represented by the formula:



(Ia)

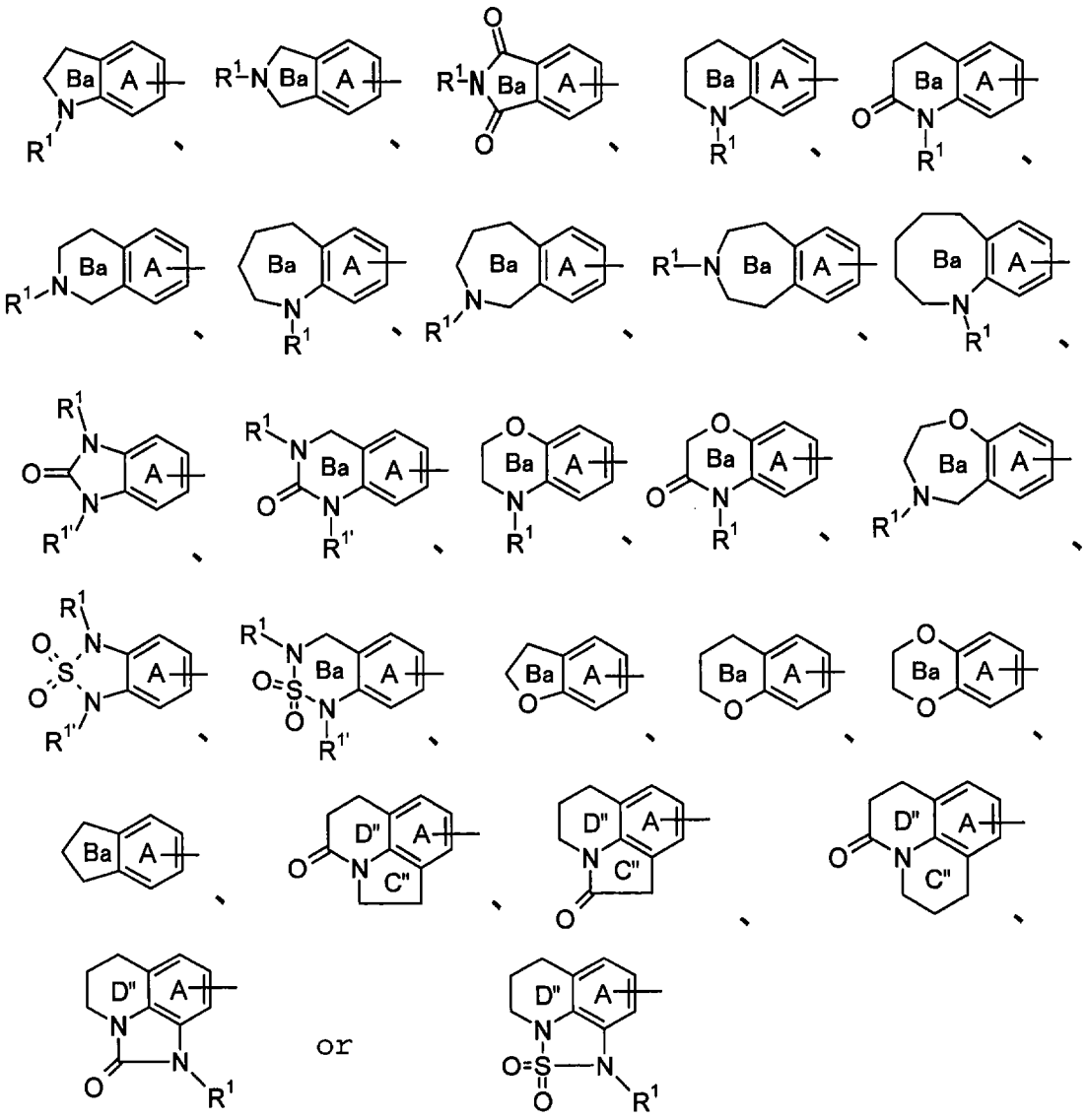
wherein Ar_1 represents a di- to tetra-cyclic condensed benzene ring group which may have a substituent, L_1 represents a C_{4-6} alkylene group which may have a substituent, L_2 represents a C_{2-4} alkylene group which may have a substituent, R represents a hydrogen atom or a hydrocarbon group which may have a substituent, X represents a bond, an oxygen atom or NR^{1a} (wherein R^{1a} represents a hydrogen atom, a hydrocarbon group which may have a substituent, an acyl group or a heterocyclic group which may have a substituent), and Ar_2 represents an aromatic ring group which may have a substituent, or Ar_2 and R, or Ar_2 and L_2 may link together to form a ring, or a salt thereof.

15. The compound according to claim 14, wherein Ar_1 is a group represented by the formula:



wherein A ring represents a benzene ring which may have a substituent, B ring represents a homocyclic ring or a heterocyclic ring which may have a substituent, one of C ring and D ring represents a heterocyclic ring which may have a subtituent, the other represents a 5- to 9-membered ring which may have a substituent, and at least one ring of E ring, F ring and G ring represents a heterocyclic ring which may have a substituent and the other rings represent a 5- to 9- membered ring which may have a substituent.

16. The compound according to claim 14, wherein Ar_1 is a group represented by the formula:



wherein A ring represents a benzene ring which may have a substituent, Ba ring represents a homocyclic ring or a heterocyclic ring which may have a substituent, C″ ring and D″ ring represent a nitrogen-containing heterocyclic ring which may have a substituent respectively, $R^1$and $R^{1'}$ represent a hydrogen atom, a hydrocarbon group which may have a substituent, an acyl group or a heterocyclic group which may have a substituent respectively.

17. The compound according to claim 16, wherein A ring represents a benzene ring which may have 1 or 2 substituent(s) selected from aminosulfonyl, mono- or di-C_{1-6} alkylaminosulfonyl, carbamoyl and mono- or di-C_{1-6} alkyl-carbamoyl, Ba ring, C" ring and D" ring may have 1 or 2 substituent(s) selected from C_{1-6} alkyl, C_{1-6} alkyl-carbonylamino and C_{1-6} alkylsulfonylamino, respectively, and R^1 and $R^{1'}$ represent (1) a hydrogen atom, (2) a C_{1-6} alkyl group or a C_{7-16} aralkyl group, each of which may have 1 or 2 sustituent(s) selected from hydroxy and C_{1-6} alkoxy-carbonyl, or (3) formula $-(C=O)-R^{2'}$, $-(C=O)-NR^{2'}R^{3'}$ or $-SO_2R^{2'}$ [wherein $R^{2'}$ and $R^{3'}$ represent hydrogen atom, optionally halogenated C_{1-6} alkyl or C_{6-10} aryl, respectively].

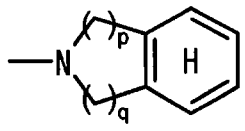
18. The compound according to claim 14, wherein R is a hydrogen atom or a C_{1-4} alkyl group.

19. The compound according to claim 14, wherein L_1 is a C_{4-5} alkylene group, and L_2 is a C_{2-3} alkylene group which may have phenyl, hydroxy or oxo.

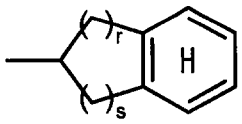
20. The compound according to claim 14, wherein Ar_2 is a C_{6-10} aryl group or a 5- or 6-membered aromatic heterocyclic group (optionally condensed with a benzene ring) containing 1 to 4 hetero atom(s) selected from a nitrogen atom, an oxygen atom and a sulfur atom, each of which may have 1 to 3 substituent(s) selected from halogen, nitro, hydroxy, optionally halogenated C_{1-6} alkyl, optionally halogenated

C_{1-6} alkoxy and aminosulfonyl.

21. The compound according to claim 14, wherein the ring formed by linking Ar_2 and R together is a ring represented by the formula:

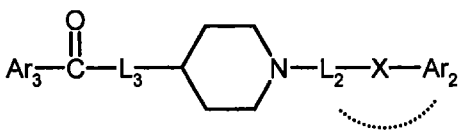


wherein p and q represent an integer of 1 to 3, respectively, and H ring represents a benzene ring which may have 1 to 3 substituent(s) selected from halogen, hydroxy, optionally halogenated C_{1-6} alkyl and optionally halogenated C_{1-6} alkoxy, and the ring formed by linking Ar_2 and L_2 together is a ring represented by the formula:



wherein r represents an integer of 0 to 2, s represents an integer of 1 to 3 and r+s is an integer of 2 to 5, and H ring represents a benzene ring which may have 1 to 3 substituents(s) selected from halogen, hydroxy, optionally halogenated C_{1-6} alkyl and optionally halogenated C_{1-6} alkoxy.

22. A compound represented by the formula:

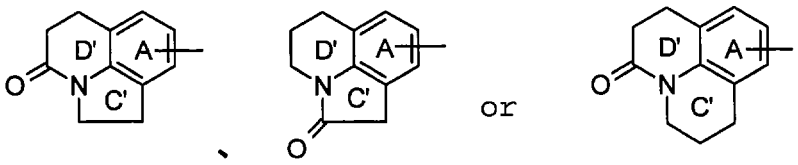


(Ib)

wherein Ar_3 represents a benzimidazole ring group, a

quinazoline ring group, a 1,4-benzoxazine ring group or a tricyclic to tetracyclic condensed benzene ring group, each of which may have a substituent, L_3 represents a C_{2-4} alkylene group which may have a substituent, L_2 represents a C_{2-4} alkylene group which may have a substituent, X represents a bond, an oxygen atom or NR^{1a} (wherein R^{1a} represents a hydrogen atom, a hydrocarbon group which may have a substituent, an acyl group or a heterocyclic group which may have a substituent), and Ar_2 represents an aromatic ring group which may have a substituent, or Ar_2 and L_2 may link together to form a ring, or a salt thereof.

23. The compound according to claim 22, wherein Ar_3 is a group represented by the formula:

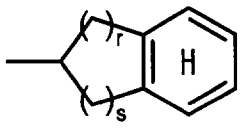


wherein A ring represents a benzene ring which may have a substituent, and C' ring and D' ring represent a nitrogen-containing heterocyclic ring which may have a substituent in addition to an oxo group, respectively.

24. The compound according to claim 22, wherein L_3 is an ethylene group, L_2 is a C_{2-3} alkylene group which may have phenyl, hydroxy or oxo, and X is a bond or an oxygen atom.

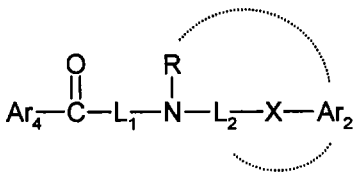
25. The compound according to claim 22, wherein Ar_2 is a C_{6-10} aryl group or a 5- or 6-membered aromatic heterocyclic

group (optionally condensed with a benzene ring) containing 1 to 4 hetero atom(s) selected from a nitrogen atom, an oxygen atom and a sulfur atom, each of which may have 1 to 3 substituent(s) selected from halogen, nitro, hydroxy, optionally halogenated C_{1-6} alkyl, optionally halogenated C_{1-6} alkoxy and aminosulfonyl, and the ring formed by linking Ar_2 and L_2 together is a ring represented by the formula:



wherein r represents an integer of 0 to 2, s represents an integer of 1 to 3 and r+s is an integer of 2 to 5, and H ring represents a benzene ring which may have 1 to 3 substituents(s) selected from halogen, hydroxy, optionally halogenated C_{1-6} alkyl and optionally halogenated C_{1-6} alkoxy.

26. A compound represented by the formula:



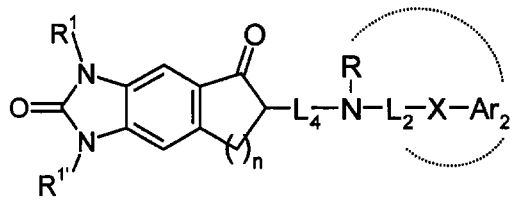
(Ic)

wherein Ar_4 represents a benzene ring group having 1 or 2 substituent(s) selected from aminosulfonyl, mono- or di-C_{1-6} alkylaminosulfonyl, C_{1-6} alkyl-carbonylamino and C_{1-6} alkylsulfonylamino, and optionally further having 1 to 4 substituent(s), L_1 represents a C_{4-6} alkylene group which may have a substituent, L_2 represents a C_{2-4} alkylene group

which may have a substituent, R represents a hydrogen atom or a hydrocarbon group which may have a substituent, X represents a bond, an oxygen atom or NR^{1a} (wherein R^{1a} represents a hydrogen atom, a hydrocarbon group which may have a substituent, an acyl group or a heterocyclic group which may have a substituent), and Ar_2 represents an aromatic ring group which may have a substituent, or Ar_2 and R, or Ar_2 and L_2 may link together to form a ring, or a salt thereof.

27. The compound according to claim 26, wherein Ar_4 is a benzene ring group having 1 or 2 substituent(s) selected from aminosulfonyl, mono- or di-C_{1-6} alkylaminosulfonyl, C_{1-6} alkyl-carbonylamino and C_{1-6} alkylsulfonylamino, and optionally further having 1 or 2 C_{1-4} alkoxy(s), L_1 is a C_{4-5} alkylene group, L_2 is a C_{2-3} alkylene group optionally having hydroxy or oxo, R is a hydrogen atom or a C_{1-4} alkyl group, X is a bond, and Ar_2 is a C_{6-10} aryl group or a 5- or 6-membered aromatic heterocyclic group (optionally condensed with a benzene ring) containing 1 to 4 hetero atom(s) selected from a nitrogen atom, an oxygen atom and a sulfur atom, each of which may have 1 to 3 substituent(s) selected from halogen, nitro, hydroxy, optionally halogenated C_{1-6} alkyl, optionally halogenated C_{1-6} alkoxy and aminosulfonyl.

28. A compound represented by the formula:



(Id)

wherein R^1 and $R^{1'}$ represent a hydrogen atom, a hydrocarbon group which may have a substituent, an acyl group or a heterocyclic group which may have a substituent, n represents an integer of 1 or 2, L_4 represents a C_{3-5} alkylene group which may have a substituent, L_2 represents a C_{2-4} alkylene group which may have a substituent, R represents a hydrogen atom or a hydrocarbon group which may have a substituent, X represents a bond, an oxygen atom or NR^{1a} (wherein R^{1a} represents a hydrogen atom, a hydrocarbon group which may have a substituent, an acyl group or a heterocyclic group which may have a substituent), and Ar_2 represents an aromatic ring group which may have a substituent, or Ar_2 and R, or Ar_2 and L_2 may link together to form a ring, or a salt thereof.

29. The compound according to claim 28, wherein R^1 and $R^{1'}$ are a hydrogen atom or an optionally halogenated C_{1-6} alkyl group, respectively, L_4 is a C_{3-4} alkylene group, L_2 is a C_{2-3} alkylene group which may have hydroxy or oxo, R is a hydrogen atom or a C_{1-4} alkyl group, X is a bond, and Ar_2 is a C_{6-10} aryl group or a 5- or 6-membered aromatic heterocyclic ring group (optionally condensed with a benzene ring) containing 1 to 4 hetero atom(s) selected

from a nitrogen atom, an oxygen atom and a sulfur atom, each of which may have 1 to 3 substituent(s) selected from halogen, nitro, hydroxy, optionally halogenated C_{1-6} alkyl, optionally halogenated C_{1-6} alkoxy and aminosulfonyl.

30. 8-(5-[[2-(2-chlorophenyl)ethyl]amino]pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one or a salt thereof,

5-[5-[[2-(2-chlorophenyl)ethyl](methyl)amino]pentanoyl]-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one or a salt thereof,

1,3-dimethyl-5-[5-({2-[2-(trifluoromethoxy)phenyl]ethyl}amino)pentanoyl]-1,3-dihydro-2H-benzimidazol-2-one or a salt thereof,

8-{5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]pentanoyl}-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one or a salt thereof,

8-{5-[[2-(2-methoxyphenyl)ethyl](methyl)amino]pentanoyl}-1-methyl-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one or a salt thereof,

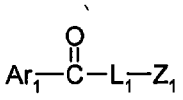
1,3-dimethyl-5-[5-({2-[2-(trifluoromethoxy)phenyl]ethyl}amino)pentanoyl]-1,3-dihydro-2H-benzimidazol-2-one or a salt thereof,

8-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one or a salt thereof, or

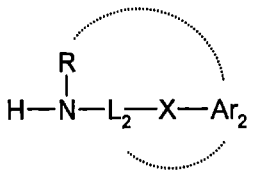
5-(5-{[2-(2-chlorophenyl)ethyl]amino}pentanoyl)-1,3-dimethyl-1,3-dihydro-2H-benzimidazol-2-one or a salt thereof.

31. A prodrug of the compound according to any one of claims 14, 22, 26 and 28 or a salt thereof.

32. A process for preparing the compound according to claim 14, which comprises reacting a compound represented by the formula:

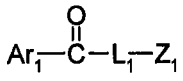


wherein Z_1 represents a leaving group, and the other respective symbols are as defined in claim 14, or a salt thereof with a compound represented by the formula:



wherein respective symbols are as defined in claim 14, or a salt thereof.

33. A process for preparing the compound represented by the formula:

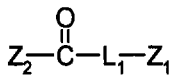


wherein Z_1 represents a leaving group, and the other respective symbols are as defined in claim 14, or a salt thereof, which comprises reacting a compound represented by

the formula:

Ar_1-H

wherein Ar_1 is as defined in claim 14, or a salt thereof with a compound represented by the formula:



wherein Z_2 represents a leaving group, Z_1 is as defined above, and L_1 is as defined in claim 14, or a salt thereof.

34. The process according to claim 33, wherein zinc chloride is used as a catalyst and nitroalkane is used as a solvent.

35. A medicine comprising the compound according to any one of claims 14, 22, 26 and 28 or a salt thereof or a prodrug thereof.

36. The medicine according to claim 35, which is a preventive or therapeutic agent for voiding disturbance.

37. The medicine according to claim 35, which is a preventive or therapeutic agent for voiding disturbance accompanied with benign prostatic hyperplasia.

38. The medicine according to claim 37, which is a preventive or therapeutic agent for voiding disturbance due to detrusor underactivity.

39. A method for preventing or treating voiding disturbance, which comprises administering an effective amount of the compound according to any one of claims 14,

22, 26 and 28 or a salt thereof or a prodrug thereof to a mammal.

40. Use of the compound according to any one of claims 14, 22, 26 and 28 or a salt thereof or a prodrug thereof for preparing a preventive or therapeutic agent for voiding disturbance.

41. A method for screening a compound having a voiding disturbance preventing or treating effect by Pressure Flow Study, which comprises using an animal model loaded with an α agonist.

42. The screening method according to claim 41, wherein the α agonist is phenylephrine.

43. A compound having a voiding disturbance preventing or treating effect obtained by the screening method according to claim 41, or a salt thereof.

SEQUENCE LISTING

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