substituted C1-C3 side chains and C_{3-12} heterocyclic groups; wherein the C_{3-12} heterocyclic groups which contain 1 to 3 heteroatoms selected from O, S and N;

and their pharmaceutically acceptable salts, stereoisomers and enantimers.

According to the invention, wherein the functional group i) ~ iv) are selected from the group consisting of chlorine, hydroxyl, methoxyl, dimethylamino, piperazin-1-yl, 4-methylpiperazin-1-yl, 4-ethylpiperazin-1-yl, 4-(2-hydroxyethyl)piperazin-1-yl, 4-Benzylpiperazin-1-yl, 4-phenylpiperazin-1-yl, morpholino, thiomorpholino, piperidin-1-yl, 4-hydroxypiperidin-1-yl, 4-Benzylpiperidin-1-yl, (1,4'-Bipiperidin)-1'-yl, 4-(3-(piperidin-4-yl)propyl)piperidin-1-yl, pyrrolidin-1-yl, 2-oxopiperidin-1-yl, methylamino, ethylamino, propylamino, butylamino, isobutylamino, pentan-3-ylamino, (2-(dimethylamino)ethyl)amino, (2-(diethylamino)ethyl)amino, 2-ethanolamino, 3-propanolamino, 5-pentanolamino, (1-hydroxybutan-2-yl)amino, (4-methylpentan-2-yl)amino, (2-Aminoethyl)amino, (2-((2-hydroxyethyl)amino)ethyl)amino, (2-morpholinoethyl)amino, (3-(dimethylamino)propyl)amino, (3-(diethylamino)propyl)amino, (3-((2-hydroxyethyl)amino)propyl)amino, (2,3-dihydro-1H-inden-2-yl)amino, cyclohexylamino, (1-Benzylpiperidin-4-yl)amino, (thiophen-2-ylmethyl)amino, (cyclohexylmethyl)amino, benzylamino, (pyridin-2-ylmethyl)amino, (Benzo[d][1,3]dioxol-5-ylmethyl)amino, (2-methoxybenzyl)amino, (3,4-dimethoxybenzyl)amino, phenethylamino,

(4-methoxyphenethyl)amino, (4-aminophenethyl)amino, guanidine and piperidin-1-ylamino.

According to the invention, wherein the compound is selected from the group consisting of:

3-((4-Chlorophenyl)thio)-2-hydroxyquinoline-4-carboxylic acid,
6,10-Dichloro-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-hydroxy-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-methoxy-12H-thiochromeno[2,3-c]quinolin-12-one10-Chloro-6-dimethylamino-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-(piperazin-1-yl)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-(4-methylpiperazin-1-yl)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-(4-ethylpiperazin-1-yl)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-(4-(2-hydroxyethyl)piperazin-1-yl)-12H-thiochromeno[2,3-c]quinolin-12one,
6-(4-Benzylpiperazin-1-yl)-10-chloro-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-(4-phenylpiperazin-1-yl)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-morpholino-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-thiomorpholino-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-(piperidin-1-yl)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-(4-hydroxypiperidin-1-yl)-12H-thiochromeno[2,3-c]quin

recrystallized by dichloromethane to give compound 3 (6,10-Dichloro-12H-thiochromeno[2,3-c] quinolin-12-one);

(3) a solution of compound 3 (6,10-Dichloro-12H-thiochromeno [2,3-c]quinolin-12-one) in DMF was added conc. HCl and refluxed, after 6 hours, the conc. HCl was added dropwise and refluxed for another 12 hours, the mixture was evaporated in vacuo and treated with H_2O, after filtered the crude solid was washed with EtOH to give compound 4 (10-Chloro-6-hydroxy-12H-thiochromeno[2,3-c]quinolin-12-one);

(4) a suspension of compound 3 (6,10-Dichloro-12H-thiochromeno[2,3-c]quinolin-12-one) and sodium methoxide in methanol was refluxed for 16 h, after cooled the solvent was removed, filtrated and washed with ethanol and n-hexane to collect compound 5 (10-Chloro-6-methoxy-12H-thiochromeno[2,3-c]quinolin-12-one);

(5) a solution of compound 3 (6,10-Dichloro-12H-thiochromeno[2,3-c]quinolin-12-one), appropriate secondary amines and sodium carbonate in DMSO was refluxed for 10 hours, then the reaction was added ice-water, the precipitate was filtered, washed with water/methanol and collected to get compound 6-21:

10-Chloro-6-dimethylamino-12H-thiochromeno[2,3-c]quinolin-12-one,

10-Chloro-6-(piperazin-1-yl)-12H-thiochromeno[2,3-c]quinolin-12-one,

10-Chloro-6-(4-methylpiperazin-1-yl)-12H-thiochromeno[2,3

(6) a solution of compound 3 (6,10-Dichloro-12H-thiochromeno[2,3-c]quinolin-12-one) in DMSO was added appropriate primary amines and refluxed for 8 hours, after cooled the reaction was added water, the precipitate was filtered and washed with water and methanol to collect compound N1~N34:

10-Chloro-6-methylamino-12H-thiochromeno[2,3-c]quinolin-12-one,

10-Chloro-6-ethylamino-12H-thiochromeno[2,3-c]quinolin-12-one,

10-Chloro-6-propylamino-12H-thiochromeno[2,3-c]quinolin-12-one,

6-(Butylamino)-10-chloro-12H-thiochromeno[2,3-c]quinolin-12-one,

10-Chloro-6-isobutylamino-12H-thiochromeno[2,3-c]quinolin-12-one,

10-Chloro-6-(pentan-3-ylamino)-12H-thiochromeno[2,3-c]quinolin-12-one,

10-Chloro-6-((2-(dimethylamino)ethyl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,

10-Chloro-6-((2-(diethylamino)ethyl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,

10-Chloro-6-(2-ethanolamino)-12H-thiochromeno[2,3-c]quinolin-12-one,

10-Chloro-6-(3-propanolamino)-12H-thiochromeno[2,3-c]quinolin-12-one,

10-Chloro-6-(5-pentanolamino)-12H-thiochromeno[2,3-c]qui

(100 mL). The precipitate was filtered and washed with water and hot methanol to collect the yellow solid.

Example 1

3-((4-Chlorophenyl)thio)-2-hydroxyquinoline-4-carboxylic acid (TC-SCl) (2)

The pure compound was obtained as a gray solid (yield 86%). (R_f = 0.5 at EA: AcOH = 20: 1). Mp 306-308 ºC. ^{1}H NMR (300 MHz, DMSO-d_6): δ (ppm) 7.26 (3H, t, J = 7.6 Hz, Ar-H), 7.34 (2H, d, J = 6.0 Hz, Ar-H), 7.39 (1H, d, J = 8.0 Hz, Ar-H), 7.46 (1H, d, J = 8.0 Hz, Ar-H), 7.62 (1H, t, J = 8.0 Hz, Ar-H), 12.22 (1H, s, -COOH). ^{13}C NMR (100 MHz, DMSO-d_6): δ (ppm) 115.58, 116.26, 120.36, 123.21, 126.21, 129.33, 130.30, 131.47, 132.54, 134.36, 140.11, 151.69, 159.37, 166.80 (<u>C</u>O). HRMS (ESI) calcd for $C_{16}H_{10}NO_3SCl$ $[M]^+$ 331.0070; found $[M+H]^+$ 332.0147 (100), $[M+H+2]^+$ 334.0122 (33); found $[M-H]^-$ 330.0002.

Example 2

6,10-Dichloro-12H-thiochromeno[2,3-c]quinolin-12-one (3)

The yellow solid material was isolated in 90% yield (R_f = 0.50 at CH_2Cl_2: n-hexane = 1: 1). Mp 259-261ºC (CH_2Cl_2). ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.71 (2H, m, Ar-H), 7.77-7.85 (2H, m, Ar-H), 8.10-8.13 (m, 1H, Ar-H), 8.60 (t, 1H, J = 1.2 Hz, Ar-H), 9.67-9.71 (1H, m, Ar-H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 124.87, 126.28, 127.85, 129.17, 129.39, 129.93, 130.31, 131.20, 131.90, 133.01, 133.09, 133.38, 134.43, 145.27, 146.61, 180.64 (<u>C</u>O). HRMS (ESI) calcd for $C_{16}H_7NOSCl_2$ $[M]^+$ 330.9625; found $[M+H]^+$ 331.9699 (100), $[M+H+2]^+$ 333.9672 (67), $[M+H+4]^+$ 335.9645 (11).