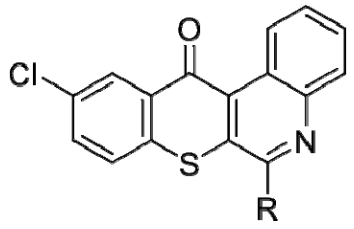
What is claimed is:

1. A compound as shown in formulation (I):



(I)

wherein the R is selected from the groups consisting of:

i) halo, amino, hydroxyl and thiol groups;

ii) $NH(C_nH_{2n+1})$,wherein C_nH_{2n+1} is selected from the group consisting of linear alkyl chains, alkyl groups with substituted side chains, alkyl side chains with a substituted amino group and alkyl side chains with a substituted hydroxyl group, wherein $1 \leq n \leq 10$;

iii) $O(CH_2)_nH$, $N(CH_3)_2$, $NH(CH_2)_nNH(CH_2)_nOH$, wherein $1 \leq n \leq 10$;

iv) nitrogen-containing cycloalkyl groups and heterocyclic compounds of C_{3-12} which contain 1 to 3 heteroatoms selected from O, S and N, wherein the ortho-, para- and meta- position can be further selected independently from one of the groups consisting of: hydrogen group, (C_nH_{2n+1}) alkyl groups, (C_nH_{2n+1}) hydroxyl groups, $(C_nH_{2n+1})C_{3-12}$ cycloalkyl groups, $(CH_2)_nC_{3-12}$ nitrogen-containing cycloalkyl groups, (C_nH_{2n+1}) benzene rings, formyl group and $(C_nH_{2n+1})COC_{3-12}$ nitrogen-containing cycloalkyl groups, wherein $0 \leq n \leq 10$;

v) $NH(CH_2)_nR_1$, $0 \leq n \leq 10$, wherein R_1 is selected from the groups consisting of: $N(CH_3)_2$, $C(NH_2)_2$, linear alkyl chains of $NH(CH_2)_nH$, alkyl groups with substituted side chains,

alkyl side chains with a substituted amino group and alkyl

side chains with a substituted hydroxyl group;

vi) $NH(CH_2)_nR_2$, $0 \leq n \leq 10$, wherein R_2 is selected from the groups consisting of: benzene rings, C_{3-12} cycloalkyl groups and heterocyclic groups of which contain 1 to 3 heteroatoms selected from O, S and N, wherein the ortho-, para- and meta-position can be further selected independently from one of the groups consisting of: Methoxyl group, amino group, benzene rings, alkyl, amino, nitro,hydroxyl groups with 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, and stereoisomers.

2. The compound according to claim 1, wherein the R group consisting of i) ~ vi) 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.

3. The compound according to claim 1, 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-one
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-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,

n-12-one,

10-Chloro-6-((4-methoxyphenethyl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,

6-((4-Aminophenethyl)amino)-10-chloro-12H-thiochromeno[2,3-c]quinolin-12-one,

2-(10-Chloro-12-oxo-12*H*-thiochromeno[2,3-*c*]quinolin-6-yl)guanidine,

10-Chloro-6-(piperidin-1-ylamino)-12*H*-thiochromeno[2,3-*c*]quinolin-12-one,

and their salts.

4. A pharmaceutical composition comprising the effective dosage compound according to claim 1 and at least one pharmaceutically acceptable vehicle, diluent or excipient.
5. Use of the pharmaceutical composition according to claim 4 in the preparation of a medicament for inhibiting Topoisomerase I activity.
6. Use of the pharmaceutical composition according to claim 4 in the preparation of a medicament for inhibiting Topoisomerase II activity.
7. Use of the pharmaceutical composition according to claim 4 in the preparation of a medicament for the treatment of cancer.
8. The use according to claim 7, wherein the cancer is selected from the groups consisting of leukemia, non-small cell lung cancer, colorectal cancer, central nervous system (CNS) cancer, melanoma, ovarian cancer, renal cancer, prostate cancer and breast cancer.
9. A method for preparation of the compound according to claim 1

derivatives, wherein the method comprising:

(1) mix isatin, 2-((4-chlorophenyl)thio)acetic acid and sodium acetate was heated at 150 ˚C for 1 h, after cooling the mixture was added acetic acid, the precipitate was collected, washed with acetic acid, water and n-hexane, and obtained compound 2 (3-((4-Chlorophenyl)thio)-2-hydroxyquinoline-4-carboxylic acid);

(2) a solution of compound 2 (3-((4-Chlorophenyl)thio)-2-hydroxyquinoline-4-carboxylic acid) in phosphoryl trichloride was heated at 150 ˚C for 48 h, after cooling the mixture was poured into water 0 ˚C, the precipitate was collected by filtration, then added into 10% $NaHCO_3$ with vigorous stirring for 1 h, the resulting precipitate was collected and washed with H_2O, the crude solid was 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-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]quinolin-12-one,
6-(4-Benzylpiperidin-1-yl)-10-chloro-12H-thiochromeno[2,3-c]quinolin-12-one,
6-([1,4'-Bipiperidin]-1'-yl)-10-chloro-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-(4-(3-(piperidin-4-yl)propyl)piperidin-1-yl)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-(pyrrolidin-1-yl)-12H-thiochromeno[2,3-c]quinolin-12-one, and
10-Chloro-6-(2-oxopiperidin-1-yl)-12H-thiochromeno[2,3-c]quinolin-12-one respectively;

(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-