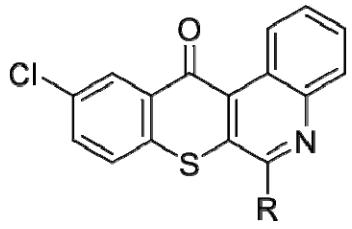
What is claimed is:

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



(I)

wherein the R is selected from the groups consisting of:

i) 2-oxopiperidin-1-yl ;

ii) $NH(C_nH_{2n+1})$, wherein $1 \leq n \leq 10$;

iii) $NH(CH_2)_nR_1$, $0 \leq n \leq 10$, 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 hydroxy group;

iv) $NH(CH_2)_nR_2$, $0 \leq n \leq 10$, 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, C1-C3 alkyl group containing amino, nitro, or hydroxyl substituted groups 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 functional group i) ~ iii) are selected from the group consisting of

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:

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

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]quinolin-12-one,

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

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

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

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

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

10-Chloro-6-((3-(dimethylamino)propyl)amino)-12H-thiochrom

eno[2,3-c]quinolin-12-one,
10-Chloro-6-((3-(diethylamino)propyl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-((3-((2-hydroxyethyl)amino)propyl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-((2,3-dihydro-1H-inden-2-yl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-(cyclohexylamino)-12H-thiochromeno[2,3-c]quinolin-12-one,
6-((1-Benzylpiperidin-4-yl)amino)-10-chloro-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-((thiophen-2-ylmethyl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-((cyclohexylmethyl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,
6-(Benzylamino)-10-chloro-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-((pyridin-2-ylmethyl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,
6-((Benzo[d][1,3]dioxol-5-ylmethyl)amino)-10-chloro-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-((2-methoxybenzyl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-((3,4-dimethoxybenzyl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-(phenethylamino)-12H-thiochromeno[2,3-c]quinolin-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 the treatment of cancer.
6. The use according to claim 5, wherein the treatment of cancer is achieved by inhibiting Topoisomerase I activity.
7. The use according to claim 5, wherein the treatment of cancer is achieved by inhibiting Topoisomerase II activity.
8. The use according to claim 5, wherein the cancers are 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), appropriate piperidin-2-one 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 21: 10-Chloro-6-(2-oxopiperidin-1-yl)-12H-thiochromeno[2,3-c] quinolin-12-one respectively;

(4) 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]quinolin-12-one,
10-Chloro-6-((1-hydroxybutan-2-yl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,
10-Chloro-6-((4-methylpentan-2-yl)amino)-12H-thiochromeno[2,3-c]quinolin-12-one,
6-((2-Aminoethyl)amino)-10-chloro-12H-thiochromeno[2,3-c]quinolin-12-one,

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

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

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

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

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

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

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

6-((1-Benzylpiperidin-4-yl)amino)-10-chloro-12H-thiochromeno[2,3-c]quinolin-12-one,

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

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

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

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

6-((Benzo[d][1,3]dioxol-5-ylmethyl)amino)-10-chloro-12H-thiochromeno[2,3-c]quinolin-12-one,

10-Chloro-6-((2-methoxybenzyl)amino)-12H-thiochromeno[2

,3-c]quinolin-12-one,
10-Chloro-6-((3,4-dimethoxybenzyl)amino)-12H-thiochrome
no[2,3-c]quinolin-12-one,
10-Chloro-6-(phenethylamino)-12H-thiochromeno[2,3-c]quin
olin-12-one,
10-Chloro-6-((4-methoxyphenethyl)amino)-12H-thiochromen
o[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, and
10-Chloro-6-(piperidin-1-ylamino)-12*H*-thiochromeno[2,3-*c*]
quinolin-12-one respectively.