

Specification

Diamine derivative.

The Field of Technology

This invention relates to the following, namely, blood clotting depressant or prevention and/or therapeutic agent of thrombus or embolus which activated blood coagulating factor X (hereinafter, abbreviated to FXa) is hindered, and powerful anti-blood coagulating action is denoted, and is containing as effective ingredient novel compound that oral administration is possible, too or it

Background Technique

Because facilitation of blood clotting ability is one of important factor, thrombogenesis or the like has excellent dose response, during unstable angina, ????, cerebral embolism, cardiac infarction, pulmonary infarction, lung embolus, Buerger's disease, deep vein thrombosis, disseminated intravascular coagulation syndrome, thrombogenesis after synthetic valve replacement, reocclusion after blood circulation reconstruction and extracorporeal circulation, and there is the durability, and risk of bleeding is low, and it is sought the excellent anticoagulant which promptly sufficient effect obtains with oral administration of low side effect (Thrombosis Research, vol 68, pp 507-512, 1992).

From among study of anticoagulant on the basis of various action mechanisms, as for FXa inhibitor, probability to comprise excellent $\text{C}\ddot{\text{O}}$ solidification drug is suggested.

As for the blood coagulation system, large quantity of thrombin is produced via amplification process by multistage enzyme reaction and is series of reaction to form insoluble fibrin.

Activation of contact factor is followed in internal cause system, and activated factor IX activates multistage factor X in phospholipid membrane in the presence of activated factor VIII, calcium ion/ Ca^{2+} after reaction.

Moreover, activated factor VII activates factor X in the presence of tissue factor in extrinsic factor system.

In other words, activation is reaction to be essential in thrombin production to FXa of factor X in coagulation system.

Prothrombin is restrictedly-decomposed, and factor X (FXa) activated in both systems is formed thrombin.

As for the formed thrombin, production of thrombin is amplified furthermore in order to activate coagulation factor of upstream.

Having hindered it cannot adequately inhibit production of FXa with coagulation system enzyme of upstream than FXa so that internal cause system, extrinsic factor system can be understood as above as for the coagulation system of upstream than FXa, and it is formed with having been produced with as a result thrombin.

Moreover,, as for the coagulation system, it can be achieved with good efficiency by inhibition of FXa which is located in upstream than the thrombin which was formed by being self amplification reaction is hindered inhibition of coagulation system (Thrombosis Research, vol 15, pp 617-629, 1979).

It is thing thing with a large strolling aimlessly of dose to let extend effective dose and bleeding time with experimental bleeding model with thrombus model in another point where FXa inhibitor has excellent, and it is considered that FXa inhibitor is anticoagulant of low risk of bleeding from this experimental result.

Various compounds are reported as FXa inhibitor.

However, generally that practical cannot hinder prothrombinase complex playing a role in thrombogenesis with in vivo is known (Thrombosis Research, vol 68, pp 507-512, 1992, Journal of

Clinical Investigation, vol 171, pp 1383-1389. 1983. Mebio, vol 14, Aug , pp 92-97), and penta saccharide or the like does not denote also effectiveness with oral administration with antithrombin III and antithrombin 111 dependency.

Tick anticoagulant peptide (TAP) (Science, vol 248, pp 593-596, 1990) and antistacin (AST) isolated from mite and the leech which were a bloodsucker (Journal of Biological Chemistry, vol 263, pp 10162-10167, 1988) hinder FXa, too, and antithrombotic effect is denoted from venous blood plug model to arterial thrombotic model, but these are peptides of polymer and are ineffectiveness with oral administration.

In this way, development of FXa inhibitor of the low molecular which could be orally-administered which directly hindered coagulation factor in antithrombin 111 independency was performed.

Accordingly strongFXa inhibitory action is had, and it is fast, and the object of this invention is to put forward novel compound denoting sustained antithrombotic effect and also sufficient with oral administration.

Disclosure of the invention.

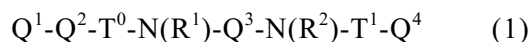
These inventors examined synthesis of novel FXa inhibitor and pharmacologic action.

Diamine derivative, salts thereof, solventate thereof or the N-oxide thereof which showed FXa inhibitory action to be strong as a result and strong anticoagulation action was discovered.

Moreover, these compounds continuously hindered strong FXa and also immediate effect target in oral administration, and an useful thing was found as therapeutic drug and prophylactic of various kinds of diseases on the basis of thrombus / embolus by showing strong anticoagulation action and antithrombotic action.

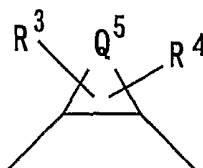
This invention was completed as a result of this discovery.

In other words, this invention puts forward a compound represented by general formula (1)



[wherein, R^1 and R^2 each independently denote hydrogen atom, hydroxy group, alkyl group or alkoxy group,

Q^1 denotes saturated or unsaturated 5-6 membered cyclic hydrocarbon group which may have substituent, saturated or unsaturated 5-7 membered heterocyclic group which may have substituent, saturated or unsaturated dicyclic or tricyclic condensed hydrocarbon group which may have substituent or saturated or unsaturated bicyclic or tricyclic condensed polycyclic group which may have substituent, Q^2 is a single bond, divalent saturated or unsaturated 5-6 membered cyclic hydrocarbon group which may have substituent, divalent saturated or unsaturated 5-7 membered heterocyclic group, divalent saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon group which may have substituent or divalent saturated or unsaturated bicyclic or tricyclic condensed heterocyclic group which may have substituent, Q^3 denotes a following group



(in this group, Q^5 is 1-8 C alkylene group, 2-8 C alkenylene group or group $-(CH_2)_m-CH_2-A-CH_2-(CH_2)_n-$ (in this group, m and n each independently denote an integer of 0, 1-3, and A denotes oxygen atom, nitrogen atom, sulfur atom, $-SO-$, SO_2- , $-NH-$, $-O-NH-$, $-NH-NH-$, $-S-NH-$, $-SO-NH-$ or $-SO_2-NH-$),

R^3 and R^4 are substituted on carbon atom, nitrogen atom or sulphur atom on a ring including Q^5 and each independently denote hydrogen atom, hydroxy group, alkyl group, alkenyl group, alkynyl group, halogen atom, halogeno alkyl group, cyano group, cyano alkyl group, amino group, amino alkyl group, N-alkylamino alkyl group, N,N-dialkylaminoalkyl group, acyl group, acyl alkyl group, acylimino-group which may have substituent, alkoxyimino group, hydroxyimino group, acylamino alkyl group, alkoxy group, alkoxyalkyl group, hydroxyalkyl group, carboxyl group, carboxyalkyl group, alkoxy-carbonyl group, alkoxy-carbonyl alkyl group, alkoxy-carbonyl alkylamino group, carboxyalkyl amino group, alkoxy-carbonylamino group, alkoxy-carbonylamino alkyl group, carbamoyl group, N-alkylcarbamoyl group which may have substituent on alkyl group, N,N-dialkyl carbamoyl group which may have substituent on alkyl group, N-alkenyl carbamoyl group, N-alkenyl carbamoyl alkyl group, N-alkenyl-N-alkylcarbamoyl group, N-alkenyl-N-alkylcarbamoyl alkyl group, N-alkoxy carbamoyl group, N-alkyl-N-alkoxy carbamoyl group, N-alkoxy carbamoyl alkyl group, N-alkyl-N-alkoxy carbamoyl alkyl group,

carbamoyl group optionally-substituted by 1-3 alkyl group, alkylsulfonyl group, alkylsulfonyl alkyl group, 3-6 membered heterocyclic carbonyl group which may have substituent, carbamoyl alkyl group, N-alkylcarbamoyl alkyl group which may have substituent on alkyl group, N,N-dialkyl carbamoyl alkyl group which may have substituent on alkyl group, carbamoyloxy alkyl group, N-alkylcarbamoyl oxy alkyl group, N,N-dialkyl carbamoyloxy alkyl group, 3-6 membered heterocyclic carbonyl alkyl group which may have substituent, 3-6 membered heterocyclic carbonyl oxy alkyl group which may have substituent, aryl group, aralkyl group, heteroaryl group, heteroaryl alkyl group, alkylsulfonyl amino group, arylsulfonylamino group, alkylsulfonyl amino alkyl group, arylsulfonylamino alkyl group, alkylsulfonyl aminocarbonyl group, arylsulfonylamino carbonyl group, alkylsulfonyl aminocarbonyl alkyl group, arylsulfonylamino carbonyl alkyl group, oxo group, carbamoyloxy group, aralkyloxy group, carboxyalkyl oxy group, acyl oxy group, acyloxyalkyl group, aryl sulphonyl group, alkoxy carbonyl alkylsulfonyl group, carboxyalkyl sulphonyl group, alkoxy carbonyl acyl group, alkoxyalkyl oxycarbonyl group, hydroxy acyl group, alkoxy acyl group, halogeno acyl group, carboxy acyl group, aminoacyl group, acyloxyacyl group, acyloxyalkyl sulphonyl group, hydroxyalkyl sulphonyl group, alkoxyalkyl sulphonyl group, 3-6 membered heterocyclic sulphonyl group which may have substituent, N-alkylamino acyl group, N,N-dialkylamino acyl group, N,N-dialkyl carbamoyl acyl group which may have substituent on alkyl group, N,N-dialkyl carbamoyl alkylsulfonyl group which may have substituent on alkyl group or alkylsulfonyl acyl group, or R³ and R⁴ are bonded together and denote 1-5 C alkylene group, 2-5 C alkenylene group, 1-5 C alkylene dihydroxy group or carbonyldioxy group),

Q⁴ denotes aryl group which may have substituent, aryl alkenyl group which may have substituent, aryl alkynyl group which may have substituent, heteroaryl group which may have substituent, heteroaryl alkenyl group which may have substituent, saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon group which may have substituent, saturated or unsaturated bicyclic or tricyclic condensed heterocyclic group which may have substituent,

T⁰ denotes carbonyl group or thiocarbonyl group,

T¹ denotes carbonyl group, sulphonyl group, group -C(=O)-C(=O)-N(R¹)-, group -C(=S)-C(=O)-N(R')-, group -C(=O)-C(=S)-N(R')-, group -C(=S)-C(=S)-N(R')- (in this group, R¹ denotes hydrogen atom, hydroxy group, alkyl group or alkoxy group), group -C(=O)-A¹-N(R'')- (in this group, A¹ denotes 1-5 C alkylene group which may have substituent, and R'' denotes hydrogen atom, hydroxy group, alkyl group or alkoxy group), group -C(=O)-NH-, group -C(=S)-NH-, group -C(=O)-NH-NH-, group -C(=O)-A²-C(=O)- (in this group, A² denotes single bond or 1-5 C alkylene group), group -C(=O)-A³-C(=O)-NH- (in this group, A³ denotes 1-5 C alkylene group), group -C(=O)-C(NOR^a)-N(R^b)-, group -C(=S)-C

(NOR^a)-N(R^b)- (in this group, R^a denotes hydrogen atom, alkyl group or alkanoyl group, and R^b denotes hydrogen atom, hydroxy group, alkyl group or alkoxy group), group -C(=O)-N=N-, group -C(=S)-N=N- or thiocarbonyl group], and salts thereof, solventate thereof or N-oxide thereof.

Moreover, this invention puts forward a drug, activated blood coagulating factor X inhibitor, blood clotting depressant, thrombus or prevention and/or therapeutic agent of embolus, prevention and/or therapeutic agent of cerebral infarction, cerebral embolism, cardiac infarction, angina pectoris, pulmonary infarction, lung embolus, Buerger's disease, deep vein thrombosis, disseminated intravascular coagulation syndrome, thrombogenesis after synthetic valve / articulation substitution, thrombogenesis after blood circulation reconstruction and reocclusion, systemic inflammatory reaction syndrome (SIRS), poly organ incompetence (MODS), extracorporeal circulation.

Moreover, this invention puts forward intermediate to produce compound (1) represented by aforesaid general formula (1).

Moreover, this invention puts forward use for drug production of compound, salts thereof, solventate thereof or N-oxide thereof represented by aforesaid general formula (1).

This invention puts forward treatment process of thrombus or embolus to be characterised in that administered effective dose of compound, salts thereof, solventate thereof or N-oxide thereof represented by the aforesaid general formula (1) furthermore.

Ideal form for Carrying Out the Invention

Below substituent is explained in diamine derivative of this invention represented by general formula (1).

< About group Q⁴. >

Q⁴ denotes aryl group which may have substituent, aryl alkenyl group which may have substituent, aryl alkynyl group which may have substituent, heteroaryl group which may have substituent, heteroaryl alkenyl group which may have substituent, saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon group which may have substituent, saturated or unsaturated bicyclic or tricyclic condensed heterocyclic group which may have substituent. .

In group Q⁴, 6-14 C aryl group, for example phenyl group, naphthyl group, anthryl group, phenanthryl group are nominated as aryl group.

As far as aryl alkenyl group is concerned, and group constructed with 6-14 C aryl group and 2-6C alkenylene group is denoted, and for example styryl groups are nominated.

As far as aryl alkynyl group is concerned, group constructed with 6-14C aryl group and 2-6C alkynylene group is denoted, and for example phenylethynyl is nominated.

Heteroaryl group denotes monovalent group of aromaticity to contain heteroatom of at least 1 selected from oxygen atom, sulfur atom and nitrogen atom, and heteroaryl group of total number of members 5 or 6, for example pyridyl group, pyridazinyl group, furyl group, thienyl group, pyrrolyl group, thiazolyl group, oxazolyl group, pyrimidinyl group, tetrazolyl group are nominated.

Heteroaryl alkenyl group denotes group constructed with aforesaid heteroaryl group and 2-6C alkenylene group, and for example thienyl ethenyl group, pyridyl ethenyl groups are nominated.

Condensation hydrocarbon group having saturated or unsaturated di or tricyclic characteristics denotes the one how saturated or unsaturated two or three membered condensation hydrocarbon was formed under 1 value, and saturated or unsaturated 2-6 thereof or condensation hydrocarbon of tricyclic characteristics denotes condensation hydrocarbon of bicyclic characteristics or tricyclic characteristics that saturated or unsaturated 5-6 membered cyclic hydrocarbon of same race or heterologous was condensed two or three, and was formed.

Saturated or unsaturated 5-6 membered cyclic hydrocarbon finishes being nominated for example cyclopentane, cyclopentene, cyclohexane, cyclohexene, cyclohexa diene, benzene and the like in this case.

As embodiment example of condensation hydrocarbon group having saturated or unsaturated di or tricyclic characteristics, indenyl group, indanyl group, tetrahydro naphthyl group, naphthyl group are nominated.

Moreover the position that condensation hydrocarbon group having saturated or unsaturated di or tricyclic characteristics is combined with T¹ in general formula (1) is not restricted in particular.

As condensed polycyclic group having saturated or unsaturated di or tricyclic characteristics, saturated or unsaturated two or three membered fused heterocycle denotes the one which comprised under 1 value, and saturated or unsaturated bi or tricyclic condensed heterocyclic group denotes following (1)-(3).

(1).

Fused heterocycle of similar dicyclic characteristics or tricyclic characteristics that or saturated or unsaturated 5-7 membered heterocycle of heterologous was condensed two or three, and was formed, (2).

Fused heterocycle and (3) of dicyclic characteristics or tricyclic characteristics that 5-7 membered heterocycle that 1 was saturated or unsaturated and 1-2 saturated or unsaturated 5-6 membered cyclic hydrocarbon were condensed it, and was formed.

Fused heterocycle of tricyclic characteristics that 5-7 membered heterocycle that 2 was saturated or unsaturated and saturated or unsaturated 5-6 membered cyclic hydrocarbon of 1 were condensed it, and was formed.

The position that aforesaid saturated or unsaturated bicyclic or tricyclic condensed polycyclic group is combined with T¹ in general formula (1) is not restricted in particular.

Aforesaid saturated or unsaturated 5-7 membered heterocycle denotes heterocycle containing heteroatom of at least 1 selected from oxygen atom, sulfur atom and nitrogen atom, and furan, pyrrole, thiophene, pyrazole, imidazole, oxazole, oxazolidine, thiazole, thiadiazole, phthalazane (sic, ?), pyran, pyridine, pyrimidine, pyridazine, pyrrolidine, piperazine, piperidine, oxazine, oxadi azine, morpholine, thiazine,

thiadiazine, thiomorpholine, tetrazole, triazole, triazine, thiadiazine, oxadi azine, azepin, diazepine, triazepine, thiazepine, oxazepine and the like can be nominated as embodiment.

Moreover, saturated or unsaturated 5-6 membered cyclic hydrocarbon denotes one and the same as saturated or unsaturated 5-6 membered cyclic hydrocarbon exemplified in explanation of the condensation hydrocarbon group which had saturated or unsaturated bicyclic or tricyclic characteristics.

As embodiment of condensed polycyclic group having saturated or unsaturated bicyclic or tricyclic characteristics benzofuryl group, iso benzofuryl group, benzothienyl group, indolyl group, indolinyl group, iso indolyl group, iso indolinyl group, indazolyl group, quinolyl group, dihydro quinolyl group, 4-oxo - dihydro quinolyl group (dihydro quinoline -4-one), tetrahydro quinolyl group, isoquinolyl group, tetrahydro isoquinolyl group, chromenyl group, chromanyl group, in chromanyl group, 4H-4-oxo benzopyranyl group, 3,4-dihydro -4H-4-oxo benzopyranyl group, 4H-quinolidinyl group, quinazolinyl group, dihydro quinazolinyl group, tetrahydroquinazolinyl group, quinoxalinyl group, tetrahydro quinoxalinyl group, cinnolinyl group, tetrahydro cinnonyl group, indolizinyl group, tetrahydro indolizinyl group, benzothiazolyl group, tetrahydrobenzo thiazolyl group, benzoxazolyl group, benzo iso thiazolyl group, benzo isoxazolyl group, benzimidazolyl group, naphthyridinyl group, tetrahydro naphthyridinyl group, thieno pyridyl group, tetrahydrothieno pyridyl group, thiazolo pyridyl group, tetrahydro thiazolo pyridyl group, thiazolo pyridazinyl group, tetrahydro thiazolo pyridazinyl group, pyrrolo pyridyl group, dihydropyrrolo pyridyl group, tetrahydropyrrolo pyridyl group, pyrrolo pyrimidinyl group, dihydropyrrolo pyrimidinyl group, pyrido quinazolinyl group, dihydropyrido quinazolinyl group, pyrido pyrimidinyl group, tetrahydropyrido pyrimidinyl group, pyrano thiazolyl group, dihydropyrano thiazolyl group, furo pyridyl group, tetrahydrofuro pyridyl group, oxazolo pyridyl group, tetrahydro oxazolo pyridyl group, oxazolo pyridazinyl group, tetrahydro oxazolo pyridazinyl group, pyrrolo thiazolyl group, dihydropyrrolo thiazolyl group, pyrrolo oxazolyl group, dihydropyrrolo oxazolyl group, thieno pyrrolyl group, thiazolo pyrimidinyl group, 4-oxo - tetrahydro cinnolinyl group, 1,2,4-benzo thiadiazinyl group, 1,1-dihydroxy -2H-1,2,4-benzo thiadiazinyl group, 1,2,4-benzoxa diazinyl group, cyclopenta pyranyl group, thieno furanyl group, furo pyranyl group, pyrido oxazinyl group, pyrazolo oxazolyl group, imidazo thiazolyl group, imidazo pyridyl group, tetrahydroimidazo pyridyl group, pyrazino pyridazinyl group, benz isoquinolyl group, furo cinnolyl group, pyrazolo thiazolo pyridazinyl group, tetrahydropyrazolo thiazolo pyridazinyl group, hexahydro thiazolo pyridazino

pyridazinyl group, imidazo triazinyl group, oxazolo pyridyl group, benzoxazepinyl group, benzo azepinyl group, tetrahydrobenzo azepinyl group, benzo di azepinyl group, benzo tri azepinyl group, thieno azepinyl group, tetrahydrothieno azepinyl group, thieno di azepinyl group, thieno tri azepinyl group, thiazolo azepinyl group, tetrahydro thiazolo azepinyl group, 4,5,6,7- tetrahydro -5,6- tetramethylene thiazolo pyridazinyl group, 5,6- trimethylene -4,5,6,7- tetrahydro thiazolo pyridazinyl group are nominated.

It is not limited in particular in fused form of aforesaid condensed polycyclic group.

As far as for example naphthyridinyl radical is concerned, and 1,5-, 1,6-, 1,7-, 1,8-, 2,6- or 2,7-naphthyridinyl radical may be used, and as far as thieno pyridyl group is concerned, thieno [2,3-b] pyridyl group, thieno [2,3-c] pyridyl group, thieno [3,2-b] pyridyl group, thieno [3,2-c] pyridyl group, thieno [3,4-b] pyridyl group, thieno [3,4-c] pyridyl group may be used, as far as thieno pyrrolyl radical is concerned, thieno [2,3-b] pyrrolyl group, thieno [2,3-b] pyrrolyl group and the like and the like may be used.

As far as thiazolo pyridyl group is concerned, thiazolo [4,5-b] pyridyl group, thiazolo [4,5-c] pyridyl group, thiazolo [5,4-b] pyridyl group, thiazolo [5,4-c] pyridyl group, thiazolo [3,4-a] pyridyl group, thiazolo [3,2-a] pyridyl group may be used, as far as thiazolo pyridazinyl radical is concerned, thiazolo [4,5-c] pyridazinyl group, thiazolo [4,5-d] pyridazinyl group, thiazolo [5,4-c] pyridazinyl group, thiazolo [3,2-b] pyridazinyl radical may be used, as far as pyrrolo pyridyl group is concerned, pyrrolo [2,3-b] pyridyl group, pyrrolo [2,3-c] pyridyl group, pyrrolo [3,2-b] pyridyl group, pyrrolo [3,2-c] pyridyl group, pyrrolo [3,4-b] pyridyl group, pyrrolo [3,4-c] pyridyl group may be used, as far as pyrido pyrimidinyl radical is concerned, pyrido [2,3-d] pyrimidinyl group, pyrido [3,2-d] pyrimidinyl group, pyrido [3,4-d] pyrimidinyl group, pyrido [4,3-d] pyrimidinyl group, pyrido [1,2-c] pyrimidinyl group, pyrido [1,2-a] pyrimidinyl group may be used, as far as pyrano thiazolyl radical is concerned, pyrano [2,3-d] thiazolyl group, pyrano [4,3-d] thiazolyl group, pyrano [3,4-d] thiazolyl group, pyrano [3,2-d] thiazolyl radical may be used, as far as furo pyridyl group is concerned, furo [2,3-b] pyridyl group, furo [2,3-c] pyridyl group, furo [3,2-b] pyridyl group, furo [3,2-c] pyridyl group, furo [3,4-b] pyridyl group, furo [3,4-c] pyridyl group may be used, as far as oxazolo pyridyl group is concerned, oxazolo [4,5-b] pyridyl group, oxazolo [4,5-c] pyridyl group, oxazolo [5,4-b] pyridyl group, oxazolo [5,4-c] pyridyl

group, oxazolo [3,4-a] pyridyl group, oxazolo [3,2-a] pyridyl group may be used, as far as oxazolo pyridazinyl radical is concerned, oxazolo [4,5-c] pyridazinyl group, oxazolo [4,5-d] pyridazinyl group, oxazolo [5,4-c] pyridazinyl group, oxazolo [3,4-b] pyridazinyl radical may be used, as far as pyrrolo thiazolyl radical is concerned, pyrrolo [2,1-b] thiazolyl group, pyrrolo [1,2-c] thiazolyl group, pyrrolo [2,3-d] thiazolyl group, pyrrolo [3,2-d] thiazolyl group, pyrrolo [3,4-d] thiazolyl group may be used, as far as pyrrolo oxazolyl radical is concerned, pyrrolo [2,1-b] oxazolyl group, pyrrolo [1,2-c] oxazolyl group, pyrrolo [2,3-d] oxazolyl group, pyrrolo [3,2Hd] oxazolyl group, pyrrolo [3,4-d] oxazolyl group may be used, as far as benzo azepinyl radical is concerned, 1H-1- benzo azepinyl group, 1H-2- benzo azepinyl group, which of 1H-3- benzo azepinyl group may be used, and moreover even benzo azepinyl group of dihydro oxo derivative type such as 4,5- dihydro -1- oxo -1H-2- benzo azepinyl group takes good care.

As far as benzo di azepinyl radical is concerned, 1H-1,3- benzo di azepinyl group, 1H-1,4- benzo di azepinyl group, 1H-1,5- benzo di azepinyl radical may be used, and also every thing of 4,5- dihydro -4- oxo -1H-1,3- benzo di azepinyl group takes good care of even benzo di azepinyl group of Åq dihydro oxo derivative type.

As far as benzo tri azepinyl radical is concerned, 1H-1,3,4- benzo tri azepinyl group, 1H-1,3,5- benzo tri azepinyl radical may be used, and also every thing of 4,5- dihydro -5- oxo -1H-1,3,4- benzo tri azepinyl group takes good care of even benzo tri azepinyl group of Åq dihydro oxo derivative type.

As far as thieno azepinyl radical is concerned, thieno [2,3-b] azepinyl group, thieno [2,3-c] azepinyl group, thieno [2,3-d] azepinyl group, thieno [3,2-c] azepinyl group, thieno [3,2-b] azepinyl group may be used, moreover thieno azepinyl group of dihydro oxo derivative type such as 5,6,7,8-tetrahydro -4- oxo -4H- thieno [3,2-c] azepinyl group may be used, in the same way one of condensation of an any may be used in thieno di azepinyl group and thieno tri azepinyl group, and moreover one of dihydro oxo derivative type may be used, as far as benzothiazepinyl radical is concerned, 1H-1- benzothiazepinyl group, 1H-2- benzothiazepinyl group, 1H-3- benzothiazepinyl radical may be used, and moreover benzothiazepinyl group of dihydro oxo derivative type such as 4,5- dihydro -1- oxo -1H-2- benzothiazepinyl group may be used, as far as benzoxazepinyl radical is concerned, 1H-1- benzoxazepinyl group, 1H-2- benzoxazepinyl group, IHH3- benzoxazepinyl radical may be used, and

moreover benzoxazepinyl group of dihydro oxo derivative type may be used, and 4,5- dihydro -1- oxo - 1H-2- benzoxazepinyl groups are also fine other than fused form of these in one.

Aforesaid aryl group, heteroaryl group, aryl alkenyl group, heteroaryl alkenyl group, saturated or, un condensation hydrocarbon group and saturated or unsaturated bicyclic or tricyclic condensed polycyclic group may respectively contain 1-3 substituents, carbon number 1-6 halogeno alkyl group, amino group, cyano group, amino alkyl group, nitro group, hydroxyalkyl group (for example hydroxymethyl group, 2-hydroxyethyl group or the like), alkoxyalkyl group (for example methoxymethyl group, 2-methoxyethyl group or the like), carboxyl group, the carboxyalkyl group that hydroxy group, fluorine atom, chlorine atom, bromine atom, halogen atom of iodine atom, halogen atom substituted 1-3 as substituent (for example carboxymethyl group, 2- carboxyethyl group or the like)

Alkoxy carbonyl alkyl group (for example methoxycarbonylmethyl group, ethoxycarbonylmethyl group or the like)

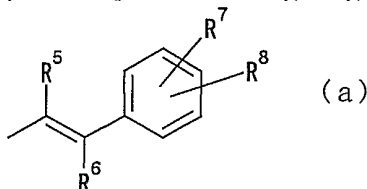
Acyl group (alkanoyl group such as for example formyl group, acetyl group, propionyl group or the like), amidino group, hydroxy amidino group, linear, branched or cyclic 1-6C alkyl group (for example methyl group, ethyl group or the like), linear, branched or cyclic 1-6C alkoxy group (for example methoxy group, ethoxy group or the like)

The amidino group which linear, branched or cyclic 2-7C alkoxy carbonyl group substituted (for example methoxycarbonyl amidino group, ethoxycarbonyl amidino group or the like), linear, branched or cyclic 2-6C alkenyl group (for example vinyl group, allyl group or the like), straight or branched chain 2-6C alkynyl group (for example ethynyl group, propynyl group or the like)

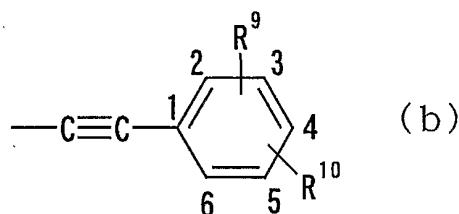
Mono or dialkylamino group (for example ethylamino group, dimethylamino function, methylethylamino group) and 5-6membered nitrogen containing heterocyclic groups substituted by linear, branched or cyclic 2-6C alkoxy carbonyl group (for example methoxycarbonyl group, ethoxycarbonyl group or the like), carbamoyl group, mono or dialkyl carbamoyl group which linear, branched or cyclic 1-6C alkyl group on nitrogen atom substituted, linear, branched or cyclic 1-6C alkyl

group (for example pyrrolidino group, piperidino group, piperazino group, morpholino group or the like) are nominated.

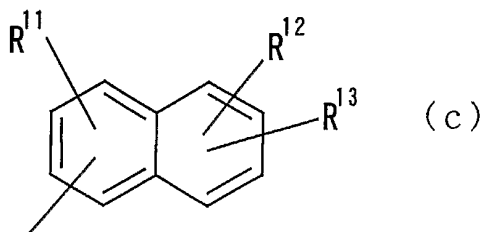
Among aforesaid groups, group Q⁴ is preferably the following 12 groups (a)-(l), in other words,



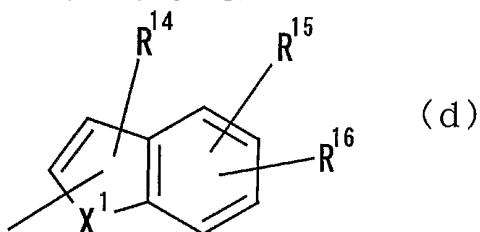
(in this group, R⁵ and R⁶ each independently denote hydrogen atom, cyano group, halogen atom, alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, alkoxycarbonyl group, alkoxycarbonyl alkyl group or phenyl group which may be substituted by cyano group, hydroxy group, halogen atom, alkyl group or alkoxy group. R⁷ and R⁸ each independently denote hydrogen atom, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, carbamoyl group, N-alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkoxycarbonyl group, amidino group or alkoxycarbonyl alkyl group),



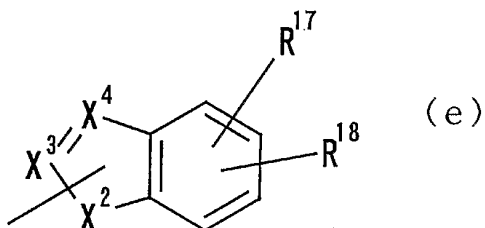
(in this group, R⁹ and R¹⁰ each independently denote hydrogen atom, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, carbamoyl group, N-alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkoxycarbonyl group, amidino group or alkoxycarbonyl alkyl group),



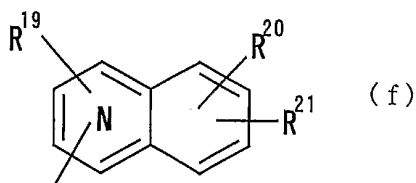
(in this group, R^{11} , R^{12} and R^{13} each independently denote hydrogen atom, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, carbamoyl group, N-alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkoxy-carbonyl group, amidino group or alkoxy-carbonyl alkyl group),



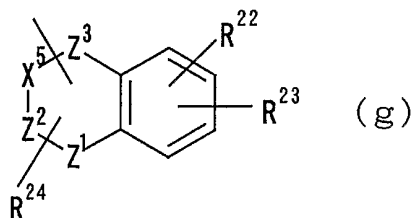
(in this group, X^1 denotes CH_2 , CH , NH , NOH , N , O or S , and R^{14} , R^{15} and R^{16} each independently denote hydrogen atom, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, carbamoyl group, N-alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkoxy-carbonyl group, amidino group or alkoxy-carbonyl alkyl group),



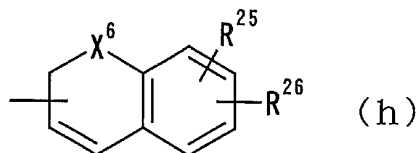
(in this group, X^2 denotes NH , N , O or S , X^3 denotes N , C or CH , X^4 denotes N , C or CH , and R^{17} and R^{18} each independently denote hydrogen atom, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, carbamoyl group, N-alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkoxy-carbonyl group, amidino group or alkoxy-carbonyl alkyl group. Wherein the case that X^3 and X^4 are the combination of C and CH or are both C or CH is excluded),



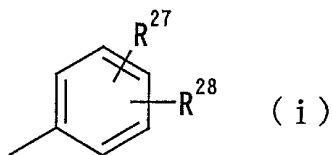
(in this group, N denotes that 1 or 2 of carbon atom of a ring in which R¹⁹ is substituted is substituted with nitrogen atom, and R¹⁹, R²⁰ and R²¹ each independently denote hydrogen atom, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, carbamoyl group, N-alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkoxy carbonyl group, amidino group or alkoxy carbonyl alkyl group),



(in this group, X⁵ denotes CH₂, CH, N or NH, Z¹ denotes N, NH or O, Z² denotes CH₂, CH, C or N, Z³ denotes CH₂, CH, S, SO₂ or C=O, X⁵-Z² denotes that X⁵ and Z² are bonded with single bond or double bond, R²² and R²³ each independently denote hydrogen atom, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, carbamoyl group, N-alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkoxy carbonyl group, amidino group or alkoxy carbonyl alkyl group, and R²⁴ denotes hydrogen atom or alkyl group),

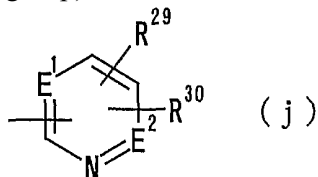


(in this group, X⁶ denotes O or S, and R²⁵ and R²⁶ each independently denote hydrogen atom, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, carbamoyl group, N-alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkoxy carbonyl group, amidino group or alkoxy carbonyl alkyl group),

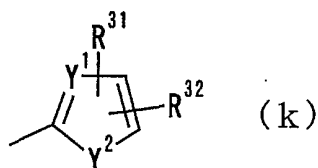


(in this group, R²⁷ and R²⁸ each independently denote hydrogen atom, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl

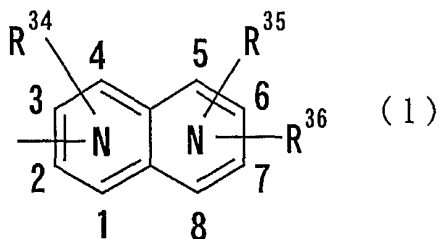
group, carbamoyl group, N-alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkoxy-carbonyl group, amidino group or alkoxy-carbonyl alkyl group),



(in this group, E¹ and E² each independently denote N or CH, and R²⁹ and R³⁰ each independently denote hydrogen atom, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, carbamoyl group, N-alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkoxy-carbonyl group, amidino group or alkoxy-carbonyl alkyl group),



(in this group, Y¹ denotes CH or N, Y² denotes N(R³³)-(in this group, R³³ denotes hydrogen atom or 1-6 C alkyl group), O or S, and R³¹ and R³² each independently denote hydrogen atom, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, carbamoyl group, N-alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkoxy-carbonyl group, amidino group or alkoxy-carbonyl alkyl group) and



(in this group, the numbers 1-8 denote positions, each N denotes that any one of carbon atom at 1-4 and any one of carbon atom at 5-8 is respectively substituted by one nitrogen atom, R³⁴, R³⁵ and R³⁶ each independently denote hydrogen atom, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, carbamoyl group, N-

alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkoxycarbonyl group, amidino group or alkoxycarbonyl alkyl group) are nominated as preferred groups.

Below these groups are explained.

In explanation of R^5 - R^6 in aforesaid group, halogen atom denotes a fluorine atom, chlorine atom, bromine atom or iodine atom, alkyl group denotes straight chain, branched or cyclic group of carbon number 1-6, alkenyl group denotes straight chain, branched or cyclic group of carbon number 2-6, alkynyl group denotes straight or branched chain group of carbon number 2-6, hydroxyalkyl group denotes a group in which one hydroxy group is substituted on aforesaid C^1 - C^6 alkyl group, alkoxy group denotes straight chain, branched or cyclic group of carbon number 1-6, alkoxyalkyl group denotes a group in which one of aforesaid C^1 - C^6 alkoxy group is substituted on aforesaid C^1 - C^6 alkyl group, carboxyalkyl group denotes a group in which one carboxyl group is substituted on aforesaid C^1 - C^6 alkyl group, acyl group denotes aryl alkanoyl group in which aforesaid C^6 - C^{14} aryl group is substituted on 1-6 C alkanoyl group (including formyl), aroyl group such as benzoyl group, naphthoyl group and the like or aforesaid C^1 - C^6 alkanoyl group, N-alkylcarbamoyl group denotes carbamoyl group in which aforesaid C^1 - C^6 alkyl group is substituted on nitrogen atom, N,N-dialkyl carbamoyl group denotes carbamoyl group in which aforesaid C^1 - C^6 alkyl group is substituted for 2 on nitrogen atom, alkoxycarbonyl group denotes a group comprising aforesaid C^1 - C^6 alkoxy group and carbonyl group, alkoxycarbonyl alkyl group denotes a group in which one of aforesaid C^1 - C^6 alkoxycarbonyl group is substituted on aforesaid C^1 - C^6 alkyl group and halogeno alkyl group denotes a group in which halogen atom of 1-3 is substituted on aforesaid C^1 - C^6 alkyl group. Moreover, in aforesaid explanation, site of substitution is not restricted in particular.

In following group

(in this group, R^5 , R^6 , R^7 and R^8 have the same aforesaid meanings, and the numbers 1-6 denote positions), R^5 and R^6 each independently preferably-denote a hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group. As R^5 and R^6 , hydrogen atom or alkyl group is more preferred, and methyl group is preferred in the case of alkyl group. Moreover, as R^7 and R^8 , it is preferred the case that one of them is hydrogen atom and the other is hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group, and the case that the other is hydrogen atom, halogen atom, alkyl group or alkynyl group is particularly

preferred. As halogen atom in this case, fluorine atom, chlorine atom and bromine atom are preferred, as alkyl group, methyl group is preferred, as alkynyl group, ethynyl group is particularly preferred. As embodiment group represented by aforesaid formula, chloro styryl group, fluoro styryl group, bromo styryl group, ethynyl styryl group can be nominated as preferred example, and the position in which halogen atom, alkyl group or alkynyl group is substituted in their group should not be restricted in particular, however, 4 position in aforesaid formula is particularly preferred. As embodiments, 4-chloro styryl group, 4-fluoro styryl group, 4-bromo styryl group, 4-ethynyl styryl group can be nominated as preferred example.

In the following group

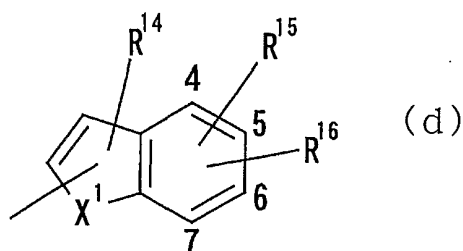
(in this group, R^9 and R^{10} have the same aforesaid meanings, and the numbers 1-6 denote positions), R^9 and R^{10} each independently preferably-denote a hydrogen atom, halogen atom, alkyl group or alkynyl group. Moreover, the case of R^9 is hydrogen atom and R^{10} is hydrogen atom, halogen atom, alkyl group or alkynyl group is preferred. As halogen atom in this case, fluorine atom, chlorine atom and bromine atom are preferred, as alkyl group, methyl group is preferred, as alkyl group, ethynyl group is particularly preferred. As embodiment group represented by aforesaid formula, chlorophenyl-ethynyl group, fluorophenyl-ethynyl group, bromo phenyl-ethynyl group, ethynyl phenyl-ethynyl group can be nominated as preferred example, and the position in which halogen atom, alkyl group or alkynyl group is substituted in their group should not be restricted in particular, however, 4 position in aforesaid formula is particularly preferred. As embodiments, 4-chlorophenyl-ethynyl group, 4-fluorophenyl-ethynyl group, 4-bromo phenyl-ethynyl group, 4-ethynyl phenyl-ethynyl group can be nominated as preferred example.

In the following group

(in this group, R^{11} , R^{12} and R^{13} have the same aforesaid meanings, and the numbers 1-8 denote positions), R^{11} , R^{12} and R^{13} each independently preferably-denote a hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group. As R^{11} , hydrogen atom, alkyl group, halogen atom and hydroxy group are preferred, and hydrogen atom in particular is preferred. As R^{12} and R^{13} , it is preferred the case that one of them is hydrogen atom and the other is hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group, and the case that the other is hydrogen atom, halogen atom, alkyl group or alkynyl group is particularly preferred. As halogen atom in this case, fluorine atom, chlorine atom and bromine atom are

preferred, as alkyl group, methyl group is preferred, as alkynyl group, ethynyl group is preferred. As aforesaid naphthyl group, 2-naphthyl group is preferred than 1-naphthyl group, and in case of 2-naphthyl group, it should not be restricted in particular as the position which halogen atom, alkyl group or alkynyl group is substituted, however, 6-position or 7-position in aforesaid formula is preferred, and 6-position is most preferred. Moreover, a group in which chlorine atom, fluorine atom, bromine atom, alkynyl group or the like is substituted in these naphthyl groups is more preferred, furthermore, a group in which chlorine atom, fluorine atom, bromine atom, alkynyl group or the like is substituted is particularly preferred. As embodiments, 6-chloro-2-naphthyl group, 6-fluoro-2-naphthyl group, 6-bromo-2-naphthyl group, 6-ethynyl-2-naphthyl group, 7-chloro-2-naphthyl group, 7-fluoro-2-naphthyl group, 7-bromo-2-naphthyl group, 7-ethynyl-2-naphthyl group can be nominated as preferred example.

In the following group

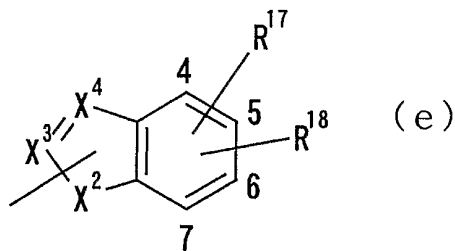


(in this group, X^1 , R^{14} , R^{15} and R^{16} have the same aforesaid meanings, and the numbers 4-7 denote positions), NH, NOH, N, O and S are preferred as X^1 , and NH, O and S are more preferred. R^{14} is preferably hydrogen atom, halogen atom, acyl group, N-alkylcarbamoyl group, N,N-dialkyl carbamoyl group, alkyl group, and, as for R^{15} and R^{16} , each independently hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group are preferred. As R^{15} and R^{16} , the case that one of them is hydrogen atom or halogen atom, preferably fluorine atom or chlorine atom and the other is hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group is preferred, wherein the case that the other is hydrogen atom, halogen atom, alkyl group or alkynyl group is particularly preferred. As halogen atom in this case, fluorine atom, chlorine atom and bromine atom are preferred, as alkyl group, methyl group is preferred, as alkynyl group, ethynyl group is preferred. It should not be restricted in particular as the position in which halogen atom, alkyl group or alkynyl group is substituted, however, 4, 5 or 6-position in aforesaid formula is preferred. As embodiment group represented by aforesaid formula, 5-chloro indolyl group, 5-fluoro indolyl group, 5-bromo indolyl group, 5-ethynyl indolyl group, 5-methyl indolyl group, 5-chloro-4-fluoro indolyl group, 5-chloro-3-fluoro indolyl group, 5-fluoro-3-chloro indolyl group, 5-ethynyl-3-

fluoro indolyl group, 5-chloro-3-(N,N-dimethylcarbamoyl) indolyl group, 5-fluoro-3-(N,N-dimethylcarbamoyl) indolyl group, 5-chloro-3-formyl indolyl group, 5-fluoro-3-formyl indolyl group, 6-chloro indolyl group, 6-fluoro indolyl group, 6-bromo indolyl group, 6-ethinyl indolyl group, 6-methyl indolyl group, 5-chlorobenzo thienyl group, 5-fluorobenzo thienyl group, 5-bromo benzothienyl group, 5-ethinyl benzothienyl group, 5-methylbenzo thienyl group, 5-chloro-4-fluorobenzo thienyl group, 6-chlorobenzo thienyl group, 6-fluorobenzo thienyl group, 6-bromo benzothienyl group, 6-ethinyl benzothienyl group, 6-methylbenzo thienyl group, 5-chlorobenzo furyl group, 5-fluorobenzo furyl group, 5-bromo benzofuryl group, 5-ethinyl benzofuryl group, 5-methylbenzo furyl group, 5-chloro-4-fluorobenzo furyl group, 6-chlorobenzo furyl group, 6-fluorobenzo furyl group, 6-bromo benzofuryl group, 6-ethinyl benzofuryl group, 6-methylbenzo furyl group or the like can be nominated as preferred example, and the position that these substituents are combined with T¹ is not restricted in particular, however, 2 or 3 position in aforesaid formula (d) is preferred, in embodiment, 5-chloroindol-2-yl group, 5-fluoro indol-2-yl group, 5-bromo indol-2-yl group, 5-ethinyl indol-2-yl group, 5-methylindol-2-yl group, 5-chloro-4-fluoro indol-2-yl group, 5-chloro-3-fluoro indol-2-yl group, 3-bromo-5-chloroindol-2-yl group, 3-chloro-5-fluoro indol-2-yl group, 3-bromo-5-fluoro indol-2-yl group, 5-bromo-3-chloroindol-2-yl group, 5-bromo-3-fluoro indol-2-yl group, 5-chloro-3-formylindol-2-yl group, 5-fluoro-3-formylindol-2-yl group, 5-bromo-3-formylindol-2-yl group, 5-ethinyl-3-formylindol-2-yl group, 5-chloro-3-(N,N-dimethylcarbamoyl) indol-2-yl group, 5-fluoro-3-(N,N-dimethylcarbamoyl) indol-2-yl group, 5-bromo-3-(N,N-dimethylcarbamoyl) indol-2-yl group, 5-ethinyl-3-(N,N-dimethylcarbamoyl) indol-2-yl group, 6-chloroindol-2-yl group, 6-fluoro indol-2-yl group, 6-bromo indol-2-yl group, 6-ethinyl indol-2-yl group, 6-methylindol-2-yl group, 5-chloroindol-3-yl group, 5-fluoro indol-3-yl group, 5-bromo indol-3-yl group, 5-ethinyl indol-3-yl group, 5-methylindol-3-yl group, 5-chloro-4-fluoro indol-3-yl group, 6-chloroindol-3-yl group, 6-fluoro indol-3-yl group, 6-bromo indol-3-yl group, 6-ethinyl indol-3-yl group, 6-methylindol-3-yl group, 5-chlorobenzo thiophen-2-yl group, 5-fluorobenzo thiophen-2-yl group, 5-bromo benzo thiophen-2-yl group, 5-ethinyl benzo thiophen-2-yl group, 5-methylbenzo thiophen-2-yl group, 5-chloro-4-fluorobenzo thiophen-2-yl group, 6-chlorobenzo thiophen-2-yl group, 6-fluorobenzo thiophen-2-yl group, 6-bromo benzo thiophen-2-yl group, 6-ethinyl benzo thiophen-2-yl group, 6-methylbenzo thiophen-2-yl group, 5-chlorobenzo thiophen-3-yl group, 5-fluorobenzo thiophen-3-yl group, 5-bromo benzo thiophen-3-yl group, 5-ethinyl benzo thiophen-3-yl group, 5-methylbenzo thiophen-3-yl group, 5-chloro-4-fluorobenzo thiophen-3-yl group, 6-chlorobenzo thiophen-3-yl group, 6-fluorobenzo thiophen-3-yl group, 6-bromo benzo thiophen-3-yl group, 6-ethinyl benzo thiophen-3-yl group, 6-methylbenzo thiophen-3-yl group, 5-chlorobenzo furan-2-yl group, 5-fluorobenzo furan-2-yl group, 5-bromo benzofuran-2-yl group, 5-ethinyl benzofuran-2-yl group, 5-

methylbenzofuran-2-yl group, 5-chloro-4-fluorobenzo furan-2-yl group, 6-chlorobenzo furan-2-yl group, 6-fluorobenzo furan-2-yl group, 6-bromo benzofuran-2-yl group, 6-ethinyl benzofuran-2-yl group, 6-methylbenzofuran-2-yl group, 5-chlorobenzo furan-3-yl group, 5-fluorobenzo furan-3-yl group, 5-bromo benzofuran-3-yl group, 5-ethinyl benzofuran-3-yl group, 5-methylbenzofuran-3-yl group, 5-chloro-4-fluorobenzo furan-3-yl group, 6-chlorobenzo furan-3-yl group, 6-fluorobenzo furan-3-yl group, 6-bromo benzofuran-3-yl group, 6-ethinyl benzofuran-3-yl group, 6-methylbenzofuran-3-yl group and the like are more preferably, and 5-chloroindol-2-yl group, 5-fluoro indol-2-yl group, 5-bromo indol-2-yl group, 5-ethinyl indol-2-yl group, 5-methylindol-2-yl group, 5-chloro-4-fluoro indol-2-yl group, 6-chloroindol-2-yl group, 6-fluoro indol-2-yl group, 6-bromo indol-2-yl group, 6-ethinyl indol-2-yl group, 6-methylindol-2-yl group, 5-chloro-3-fluoro indol-2-yl group, 3-bromo-5-chloroindol-2-yl group, 3-chloro-5-fluoro indol-2-yl group, 3-bromo-5-fluoro indol-2-yl group, 5-bromo-3-chloroindol-2-yl group, 5-bromo-3-fluoro indol-2-yl group, 5-chloro-3-formylindol-2-yl group, 5-fluoro-3-formylindol-2-yl group, 5-bromo-3-formylindol-2-yl group, 5-ethinyl-3-formylindol-2-yl group, 5-chloro-3-(N,N-dimethylcarbamoyl) indol-2-yl group, 5-fluoro-3-(N,N-dimethylcarbamoyl) indol-2-yl group, 5-bromo-3-(N,N-dimethylcarbamoyl) indol-2-yl group, 5-ethinyl-3-(N,N-dimethylcarbamoyl) indol-2-yl group, 5-chlorobenzo thiophen-2-yl group, 5-fluorobenzo thiophen-2-yl group, 5-bromo benzo thiophen-2-yl group, 5-ethinyl benzo thiophen-2-yl group, 5-methylbenzo thiophen-2-yl group, 5-chloro-4-fluorobenzo thiophen-2-yl group, 6-chlorobenzo thiophen-2-yl group, 6-fluorobenzo thiophen-2-yl group, 6-bromo benzo thiophen-2-yl group, 6-ethinyl benzo thiophen-2-yl group, 6-methylbenzo thiophen-2-yl group, 5-chlorobenzo furan-2-yl group, 5-fluorobenzo furan-2-yl group, 5-bromo benzofuran-2-yl group, 5-ethinyl benzofuran-2-yl group, 5-methylbenzofuran-2-yl group, 5-chloro-4-fluorobenzo furan-2-yl group, 6-chlorobenzo furan-2-yl group, 6-fluorobenzo furan-2-yl group, 6-bromo benzofuran-2-yl group, 6-ethinyl benzofuran-2-yl group, 6-methylbenzofuran-2-yl group are particularly preferred.

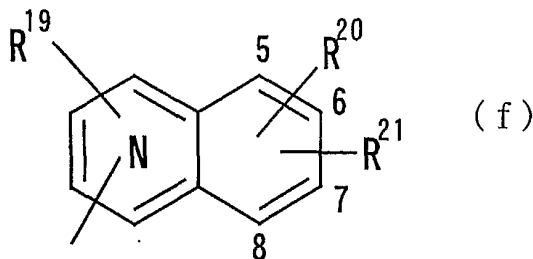
In the following group



(in this group, X², X³, X⁴, R¹⁷ and R¹⁸ have the same aforesaid meanings, and the numbers 4-7 denote positions), X² is preferably NH, O or S, and it is preferred that either of X³ and X⁴ is CH or C, and in particular it is preferred that one is C. R¹⁷ and R¹⁸ each independently preferably-denote a hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group. As R¹⁷ and R¹⁸, the case that one of them is hydrogen atom and the other is hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group is preferred, wherein the case that the other is hydrogen atom, halogen atom, alkyl group or alkynyl group is particularly preferred. As halogen atom in this case, fluorine atom, chlorine atom and bromine atom are preferred, as alkyl group, methyl group is preferred, as alkynyl group, ethynyl group is preferred. It should not be restricted in particular as the position in which halogen atom, alkyl group or alkynyl group is substituted, however, 5 or 6-position in aforesaid formula is preferred. As embodiment group represented by aforesaid formula, 5-chloro indazolyl group, 5-fluoro indazolyl group, 5-bromo indazolyl group, 5-ethynyl indazolyl group, 6-chloro indazolyl group, 6-fluoro indazolyl group, 6-bromo indazolyl group, 6-ethynyl indazolyl group, 5-chlorobenzo imidazolyl group, 5-fluorobenzo imidazolyl group, 5-bromo benzimidazolyl group, 5-ethynyl benzimidazolyl group, 6-chlorobenzo imidazolyl group, 6-fluorobenzo imidazolyl group, 6-bromo benzimidazolyl group, 6-ethynyl benzimidazolyl group, 5-chlorobenzo thiazolyl group, 5-fluorobenzo thiazolyl group, 5-bromo benzothiazolyl group, 5-ethynyl benzothiazolyl group, 6-chlorobenzo thiazolyl group, 6-fluorobenzo thiazolyl group, 6-bromo benzothiazolyl group, 6-ethynyl benzothiazolyl group, 5-chlorobenzo oxazolyl group, 5-fluorobenzo oxazolyl group, 5-bromo benzoxazolyl group, 5-ethynyl benzoxazolyl group, 6-chlorobenzo oxazolyl group, 6-fluorobenzo oxazolyl group, 6-bromo benzoxazolyl group, 6-ethynyl benzoxazolyl group, 5-chlorobenzo iso thiazolyl group, 5-fluorobenzo iso thiazolyl group, 5-bromo benzo iso thiazolyl group, 5-ethynyl benzo iso thiazolyl group, 6-chlorobenzo iso thiazolyl group, 6-fluorobenzo iso thiazolyl group, 6-bromo benzo iso thiazolyl group, 6-ethynyl benzo iso thiazolyl group, 5-chlorobenzo isoxazolyl group, 5-fluorobenzo isoxazolyl group, 5-bromo benzo isoxazolyl group, 5-ethynyl benzo isoxazolyl group, 6-chlorobenzo isoxazolyl group, 6-fluorobenzo isoxazolyl group, 6-bromo benzo isoxazolyl group, 6-ethynyl benzo isoxazolyl group or the like can be nominated as preferred example, and the position that theses substituents are combined with T¹ is not restricted in particular, however, 5-chloro indazol-3-yl group, 5-fluoro indazol-3-yl group, 5-bromo indazol-3-yl group, 5-ethynyl indazol-3-yl group, 6-chloro indazol-3-yl group, 6-fluoro indazol-3-yl group, 6-bromo indazol-3-yl group, 6-ethynyl indazol-3-yl group, 5-chlorobenzo imidazol-2-yl group, 5-fluorobenzo imidazol-2-yl group, 5-bromo benzimidazol-2-yl group, 5-ethynyl benzimidazol-2-yl group, 6-chlorobenzo imidazol-2-yl group, 6-fluorobenzo imidazol-2-yl group, 6-bromo benzimidazol-2-yl group, 6-ethynyl benzimidazol-2-yl group, 5-chlorobenzo thiazol-2-yl

group, 5-fluorobenzo thiazol-2-yl group, 5-bromo benzothiazol-2-yl group, 5-ethinyl benzothiazol-2-yl group, 6-chlorobenzo thiazol-2-yl group, 6-fluorobenzo thiazol-2-yl group, 6-bromo benzothiazol-2-yl group, 6-ethinyl benzothiazol-2-yl group, 5-chlorobenzo oxazol-2-yl group, 5-fluorobenzo oxazol-2-yl group, 5-bromo benzo oxazol-2-yl group, 5-ethinyl benzo oxazol-2-yl group, 6-chlorobenzo oxazol-2-yl group, 6-fluorobenzo oxazol-2-yl group, 6-bromo benzo oxazol-2-yl group, 6-ethinyl benzo oxazol-2-yl group, 5-chlorobenzo iso thiazol-3-yl group, 5-fluorobenzo iso thiazol-3-yl group, 5-bromo benzo iso thiazol-3-yl group, 5-ethinyl benzo iso thiazol-3-yl group, 6-chlorobenzo iso thiazol-3-yl group, 6-fluorobenzo iso thiazol-3-yl group, 6-bromo benzo iso thiazol-3-yl group, 6-ethinyl benzo iso thiazol-3-yl group, 5-chlorobenzo isoxazol-3-yl group, 5-fluorobenzo isoxazol-3-yl group, 5-bromo benzo isoxazol-3-yl group, 5-ethinyl benzo isoxazol-3-yl group, 6-chlorobenzo isoxazol-3-yl group, 6-fluorobenzo isoxazol-3-yl group, 6-bromo benzo isoxazol-3-yl group, 6-ethinyl benzo isoxazol-3-yl group are more preferred, and among these, 5-chlorobenzo imidazol-2-yl group, 5-fluorobenzo imidazol-2-yl group, 5-bromo benzimidazol-2-yl group, 5-ethinyl benzimidazol-2-yl group, 6-chlorobenzo imidazol-2-yl group, 6-fluorobenzo imidazol-2-yl group, 6-bromo benzimidazol-2-yl group, 6-ethinyl benzimidazol-2-yl group, 5-chlorobenzo thiazol-2-yl group, 5-fluorobenzo thiazol-2-yl group, 5-bromo benzothiazol-2-yl group, 5-ethinyl benzothiazol-2-yl group, 6-chlorobenzo thiazol-2-yl group, 6-fluorobenzo thiazol-2-yl group, 6-bromo benzothiazol-2-yl group, 6-ethinyl benzothiazol-2-yl group, 5-chlorobenzo oxazol-2-yl group, 5-fluorobenzo oxazol-2-yl group, 5-bromo benzo oxazol-2-yl group, 5-ethinyl benzo oxazol-2-yl group, 6-chlorobenzo oxazol-2-yl group, 6-fluorobenzo oxazol-2-yl group, 6-bromo benzo oxazol-2-yl group, 6-ethinyl benzo oxazol-2-yl group are in particular preferred, and furthermore, 5-chlorobenzo imidazol-2-yl group, 5-fluorobenzo imidazol-2-yl group, 5-bromo benzimidazol-2-yl group, 5-ethinyl benzimidazol-2-yl group are even more preferred.

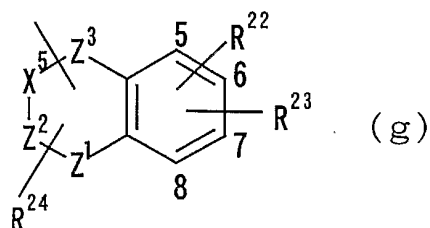
In following group



(in this group, N denotes that 1 or 2 of carbon atom on ring in which R¹⁹ is substituted is substituted by nitrogen atom, and R¹⁹, R²⁰ and R²¹ have the same aforesaid meanings, and the numbers 5-8 denote positions), R¹⁹, R²⁰ and R²¹ preferably independently denote hydrogen atom, cyano group, halogen

atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group, as R¹⁹, hydrogen atom is in particular preferred and as R²⁰ and R²¹, the case that one of them is hydrogen atoms and the other is hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group is preferred, wherein the case that the other is hydrogen atom, halogen atom, alkyl group or alkynyl group is particularly preferred. As halogen atom in this case, fluorine atom, chlorine atom and bromine atom are preferred, as alkyl group, methyl group is preferred, as alkynyl group, ethynyl group is preferred. the position in which halogen atom, alkyl group or alkynyl group is substituted should not be restricted in particular, however, 6 or 7 position in aforesaid formula is preferred. As embodiment group represented by aforesaid formula, quinolinyl group, in quinolinyl group, cinnolinyl group are proposed and 6-chloro quinolinyl group, 6-fluoro quinolinyl group, 6-bromo quinolinyl group, 6-ethinyl quinolinyl group, 6-chloro iso quinolinyl group, 6-fluoro iso quinolinyl group, 6-bromo iso quinolinyl group, 6-ethinyl iso quinolinyl group, 7-chloro cinnolinyl group, 7-fluoro cinnolinyl group, 7-bromo cinnolinyl group, 7-ethinyl cinnolinyl group and the like are preferred. In particularly, 6-chloroquinolin-2-yl group, 6-fluoro quinolin-2-yl group, 6-bromo quinolin-2-yl group, 6-ethinyl quinolin-2-yl group, 6-chloroquinolin-3-yl group, 6-fluoro quinolin-3-yl group, 6-bromo quinolin-3-yl group, 6-ethinyl quinolin-3-yl group, 7-chloroquinolin-2-yl group, 7-fluoro quinolin-2-yl group, 7-bromo quinolin-2-yl group, 7-ethinyl quinolin-2-yl group, 7-chloroquinolin-3-yl group, 7-fluoro quinolin-3-yl group, 7-bromo quinolin-3-yl group, 7-ethinyl quinolin-3-yl group, 6-chloroisoquinolin-3-yl group, 6-fluoro isoquinolin-3-yl group, 6-bromo isoquinolin-3-yl group, 6-ethinyl isoquinolin-3-yl group, 7-chloroisoquinolin-3-yl group, 7-fluoro isoquinolin-3-yl group, 7-bromo isoquinolin-3-yl group, 7-ethinyl isoquinolin-3-yl group, 7-chloro cinnolin-3-yl group, 7-fluoro cinnolin-3-yl group, 7-bromo cinnolin-3-yl group, 7-ethinyl cinnolin-3-yl group and the like are preferred, and furthermore, 6-chloroquinolin-2-yl group, 6-fluoro quinolin-2-yl group, 6-bromo quinolin-2-yl group, 6-ethinyl quinolin-2-yl group, 7-chloroquinolin-3-yl group, 7-fluoro quinolin-3-yl group, 7-bromo quinolin-3-yl group, 7-ethinyl quinolin-3-yl group, 7-chloroisoquinolin-3-yl group, 7-fluoro isoquinolin-3-yl group, 7-bromo isoquinolin-3-yl group, 7-ethinyl isoquinolin-3-yl group, 7-chloro cinnolin-3-yl group, 7-fluoro cinnolin-3-yl group, 7-bromo cinnolin-3-yl group, 7-ethinyl cinnolin-3-yl group are even more preferred.

In following group

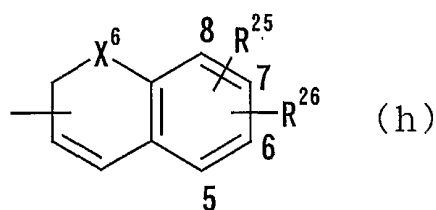


(in this group, the numbers 5-8 denote positions, X^5 denotes CH_2 , CH , N or NH , Z^1 denotes N , NH or O , Z^2 denotes CH_2 , CH , C or N , Z^3 denotes CH_2 , CH , S , SO_2 or $C=O$, X^5-Z^2 denotes that X^5 and Z^2 are bonded with single bond or double bond, R^{22} , R^{23} and R^{24} have the same aforesaid meanings, and the numbers 5-8 denote positions), R^{22} and R^{23} preferably each independently denote a hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group. As R^{22} and R^{23} , the case that one of them is hydrogen atom and the other is hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group is preferred, wherein the case that the other is hydrogen atom, halogen atom, alkyl group or alkynyl group is particularly preferred. As halogen atom in this case, fluorine atom, chlorine atom and bromine atom are preferred, as alkyl group, methyl group is preferred, as alkynyl group, ethynyl group is preferred. It is not the one which should be restricted in particular as the position which halogen atom, alkyl group or alkynyl group substitute, but 6 or 7 position in aforesaid formula is preferred. As R^{24} , hydrogen atom or alkyl group is preferred, as alkyl group, methyl group is preferred. As R^{24} , hydrogen atom is particularly preferred. As embodying group represented by aforesaid type, 4-oxo dihydroquinolinyl group, tetrahydroquinolinyl group, dihydroquinazolin-4-on-2-yl group, 4-oxo tetrahydrocinnolinyl group, 4-oxo benzopyranyl group, 4-oxo benzo thiadiazinyl group, 1,1-dihydroxy-4-oxo benzo thiadiazinyl group, benz oxadiazinyl group can be nominated. As more embodying group, 6-chloro-4-oxo-dihydroquinolinyl group, 6-fluoro-4-oxo quinolinyl group, 6-bromo-4-oxo-dihydroquinolinyl group, 6-ethinyl-4-oxo-dihydroquinolinyl group, 7-chloro-4-oxo-dihydroquinolinyl group, 7-fluoro-4-oxo-dihydroquinolinyl group, 7-bromo-4-oxo-dihydroquinolinyl group, 7-ethinyl-4-oxo-dihydroquinolinyl group, 6-chloro-4-oxo-1,4-dihydroquinazolinyl group, 6-fluoro-4-oxo-1,4-dihydroquinazolinyl group, 6-bromo-4-oxo-1,4-dihydroquinazolinyl group, 6-ethinyl-4-oxo-1,4-dihydroquinazolinyl group, 7-chloro-4-oxo-1,4-dihydroquinazolinyl group, 7-fluoro-4-oxo-1,4-dihydroquinazolinyl group, 7-ethinyl-4-oxo-1,4-dihydroquinazolinyl group, 6-chloro-1,2,3,4-tetrahydroquinolinyl group, 6-fluoro-1,2,3,4-tetrahydroquinolinyl group, 6-bromo-1,2,3,4-tetrahydroquinolinyl group, 6-ethinyl-1,2,3,4-tetrahydroquinolinyl group, 7-chloro-1,2,3,4-tetrahydroquinolinyl group, 7-fluoro-1,2,3,4-

tetrahydroquinolinyl group, 7-bromo-1,2,3,4-tetrahydroquinolinyl group, 7-ethinyl-1,2,3,4-tetrahydroquinolinyl group, 6-chloro-1,2,3,4-tetrahydro-4-oxo cinnolinyl group, 6-fluoro-1,2,3,4-tetrahydro-4-oxo cinnolinyl group, 6-bromo-1,2,3,4-tetrahydro-4-oxo cinnolinyl group, 6-ethinyl-1,2,3,4-tetrahydro-4-oxo cinnolinyl group, 7-chloro-1,2,3,4-tetrahydro-4-oxo cinnolinyl group, 7-fluoro-1,2,3,4-tetrahydro-4-oxo cinnolinyl group, 7-bromo-1,2,3,4-tetrahydro-4-oxo cinnolinyl group, a7-ethinyl-1,2,3,4-tetrahydro-4-oxo cinnolinyl group, 6-chloro-4H-4-oxo benzopyranyl group, 6-fluoro-4H-4-oxo benzopyranyl group, 6-bromo-4H-4-oxo benzopyranyl group, 6-ethinyl-4H-4-oxo benzopyranyl group, 7-chloro-4H-4-oxo benzopyranyl group, 7-fluoro-4H-4-oxo benzopyranyl group, 7-bromo-4H-4-oxo benzopyranyl group, 7-ethinyl-4H-4-oxo benzopyranyl group, 6-chloro-1,1-dihydroxy-2H-1,2,4-benzo thiadiazinyl group, 6-fluoro-1,1-dihydroxy-2H-1,2,4-benzo thiadiazinyl group, 6-bromo-1,1-dihydroxy-2H-1,2,4-benzo thiadiazinyl group, a6-ethinyl-1,1-dihydroxy-2H-1,2,4-benzo thiadiazinyl group, 7-chloro-1,1-dihydroxy-2H-1,2,4-benzo thiadiazinyl group, 7-fluoro-1,1-dihydroxy-2H-1,2,4-benzo thiadiazinyl group, 7-bromo-1,1-dihydroxy-2H-1,2,4-benzo thiadiazinyl group, 7-ethinyl-1,1-dihydroxy-2H-1,2,4-benzo thiadiazinyl group, 6-chloro-2H-1,2,4-benz oxadiazinyl group, a6-fluoro-2H-1,2,4-benz oxadiazinyl group, 6-bromo-2H-1,2,4-benz oxadiazinyl group, 6-ethinyl-2H-1,2,4-benz oxadiazinyl group, 7-chloro-2H-1,2,4-benz oxadiazinyl group, a7-fluoro-2H-1,2,4-benz oxadiazinyl group, 7-bromo-2H-1,2,4-benz oxadiazinyl group, 7-ethinyl-2H-1,2,4-benz oxadiazinyl group and the like are proposed in particular 6-chloro-1,4-dihydroquinolin-4-one-2-yl group, 6-fluoro-1,4-dihydroquinolin-4-one-2-yl group, 6-bromo-1,4-dihydroquinolin-4-one-2-yl group, 6-ethinyl-1,4-dihydroquinolin-4-on-2-yl group, 7-chloro-1,4-dihydroquinolin-4-on-2-yl group, 7-fluoro-1,4-dihydroquinolin-4-on-2-yl group, 7-bromo-1,4-dihydroquinolin-4-on-2-yl group, 7-ethinyl-1,4-dihydroquinolin-4-on-2-yl group, 6-chloro-1,4-dihydroquinazolin-4-on-2-yl group, 6-fluoro-1,4-dihydroquinazolin-4-on-2-yl group, 6-bromo-1,4-dihydroquinazolin-4-on-2-yl group, a6-ethinyl-1,4-dihydroquinazolin-4-on-2-yl group, 7-chloro-1,4-dihydroquinazolin-4-on-2-yl group, a7-fluoro-1,4-dihydroquinazolin-4-on-2-yl group, 7-bromo-1,4-dihydroquinazolin-4-on-2-yl group, 7-ethinyl-1,4-dihydroquinazolin-4-on-2-yl group, 6-chloro-1,2,3,4-tetrahydroquinoline-2-yl group, 6-fluoro-1,2,3,4-tetrahydroquinoline-2-yl group, 6-bromo-1,2,3,4-tetrahydroquinoline-2-yl group, 6-ethinyl-1,2,3,4-tetrahydroquinoline-2-yl group, 6-chloro-1,2,3,4-tetrahydro-4-oxo cinnoline-2-yl group, a6-fluoro-1,2,3,4-tetrahydro-4-oxo cinnoline-2-yl group, 6-bromo-1,2,3,4-tetrahydro-4-oxo cinnoline-2-yl group, 6-ethinyl-1,2,3,4-tetrahydro-4-oxo cinnoline-2-yl group, 7-chloro-1,2,3,4-tetrahydro-4-oxo cinnoline-2-yl group, 7-fluoro-1,2,3,4-tetrahydro-4-oxo cinnoline-2-yl group, 7-bromo-1,2,3,4-tetrahydro-4-oxo

cinnoline-2-yl group, 7-ethinyl-1,2,3,4-tetrahydro-4-oxo cinnoline-2-yl group, 6-chloro-4H-4-oxo benzopyran-2-yl group, 6-fluoro-4H-4-oxo benzopyran-2-yl group, 6-bromo-4H-4-oxo benzopyran-2-yl group, 6-ethinyl-4H-4-oxo benzopyran-2-yl group, 7-chloro-4H-4-oxo benzopyran-2-yl group, 7-fluoro-4H-4-oxo benzopyran-2-yl group, 7-bromo-4H-4-oxo benzopyran-2-yl group, 7-ethinyl-4H-4-oxo benzopyran-2-yl group, 6-chloro-1,1-dihydroxy-2H-1,2,4-benzothiadiazin-3-yl group, 6-fluoro-1,1-dihydroxy-2H-1,2,4-benzothiadiazin-3-yl group, 6-bromo-1,1-dihydroxy-2H-1,2,4-benzothiadiazin-3-yl group, 6-ethinyl-1,1-dihydroxy-2H-1,2,4-benzothiadiazin-3-yl group, 7-chloro-1,1-dihydroxy-2H-1,2,4-benzothiadiazin-3-yl group, 7-fluoro-1,1-dihydroxy-2H-1,2,4-benzothiadiazin-3-yl group, 7-bromo-1,1-dihydroxy-2H-1,2,4-benzothiadiazin-3-yl group, 7-ethinyl-1,1-dihydroxy-2H-1,2,4-benzothiadiazin-3-yl group, 6-chloro-2H-1,2,4-benz oxadiazin-3-yl group, 6-fluoro-2H-1,2,4-benz oxadiazin-3-yl group, 6-bromo-2H-1,2,4-benz oxadiazin-3-yl group, 6-ethinyl-2H-1,2,4-benz oxadiazin-3-yl group, 7-chloro-2H-1,2,4-benz oxadiazin-3-yl group, 7-fluoro-2H-1,2,4-benz oxadiazin-3-yl group, 7-bromo-2H-1,2,4-benz oxadiazin-3-yl group, 7-ethinyl-2H-1,2,4-benz oxadiazin-3-yl group are preferred, wherein 6-chloro-1,4-dihydroquinolin-4-one-2-yl group, 6-fluoro-1,4-dihydroquinolin-4-one-2-yl group, 6-bromo-1,4-dihydroquinolin-4-one-2-yl group, 6-ethinyl-1,4-dihydroquinolin-4-one-2-yl group, 6-chloro-1,4-dihydroquinazolin-4-one-2-yl group, 6-fluoro-1,4-dihydroquinazolin-4-one-2-yl group, 6-bromo-1,4-dihydroquinazolin-4-one-2-yl group, 6-ethinyl-1,4-dihydroquinazolin-4-one-2-yl group are preferred furthermore.

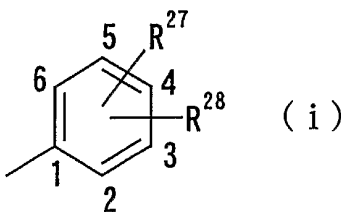
Following group



(in this group, X^6 denotes 0 or S, and R^{25} and R^{26} has the same aforesaid meanings, and a number of 5-8 denotes position) 0 is preferred, and, as for X^6 , R^{25} and R^{26} each independently preferably-denote a hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group. It is preferred the case that one of R^{25} and R^{26} , those on the other hands are hydrogen atoms, and hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group are the preferred case the other. Wherein the case that the other is hydrogen atom, halogen atom, alkyl group or alkynyl group is particularly preferred. In this case as halogen atom, fluorine atom,

chlorine atom and bromine atom are preferred, as alkyl group, methyl group is preferred, as alkynyl group, ethynyl group is preferred. It is not the one which should be restricted in particular as the position which halogen atom, alkyl group or alkynyl group substitute, but 6 or 7 position in aforesaid formula is preferred. As embodying group, 6-chloro-2H-chromen-3-yl group, 6-fluoro-2H-chromen-3-yl group, 6-bromo-2H-chromen-3-yl group, 6-ethynyl-2H-chromen-3-yl group, 7-chloro-2H-chromen-3-yl group, 7-fluoro-2H-chromen-3-yl group, 7-bromo-2H-chromen-3-yl group, 7-ethynyl-2H-chromen-3-yl group are nominated. 7-chloro-2H-chromen-3-yl group, 7-fluoro-2H-chromen-3-yl group, 7-bromo-2H-chromen-3-yl group, 7-ethynyl-2H-chromen-3-yl radical are particularly preferred.

Following group

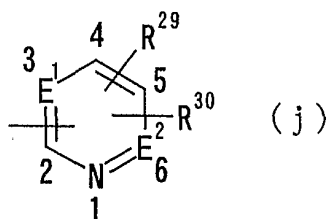


(in this group, R^{27} and R^{28} have the same aforesaid meanings, and a number of 1-6 denotes position. as R^{27} and R^{28} , is the preferred the case that one of them is hydrogen atom or halogen atom, and the other is hydrogen atom, cyano group, nitro group, amino group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group or N,N-dialkyl carbamoyl group, and, is the preferred case. Wherein the case that the other is hydrogen atom, halogen atom, alkyl group or alkynyl group is particularly preferred. In this case as halogen atom, fluorine atom, chlorine atom and bromine atom are preferred, as alkyl group, methyl group is preferred, as alkynyl group, ethynyl group is particularly preferred.

As embodying group represented by aforesaid type, can be proposed as chlorophenyl group, fluorophenyl group, preferred example such as bromo phenyl group, ethynyl phenyl group, chloro fluorophenyl group, and, as the position which put it under them, and halogen atom, alkyl group or alkynyl group substitute, should be restricted in particular is not substituent in case of 1, substituent in particular prefer the third place in aforesaid type and 4 position in case of 2, combination of 4 and 2 or 3 position in aforesaid type is particularly preferred. As embodiments it can be proposed as 4-chlorophenyl group, 4-fluorophenyl group, 4-bromo phenyl group, 4-ethynyl phenyl group, 3-chlorophenyl group, 3H

fluorophenyl group, 3-bromo phenyl group, 3-ethinyl phenyl group, 3-chloro-4-fluorophenyl group, 4-chloro-3-fluorophenyl group, 4-chloro-2-fluorophenyl group, 2-chloro-4-fluorophenyl group, 4-bromo-2-fluorophenyl group, 2-bromo-4-fluorophenyl group, 2,4-dichlorophenyl group, 2,4-difluorophenyl group, 2,4-dibromo phenyl group, 4-chloro-3-methylphenyl group, 4-fluoro-3-methylphenyl group, 4-bromo-3-methylphenyl group, 4-chloro-2-methylphenyl group, 4-fluoro-2-methylphenyl group, 4-bromo-2-methylphenyl group, 3,4-dichlorophenyl group, 3,4-difluorophenyl group, preferred example of 3,4-dibromo phenyl group.

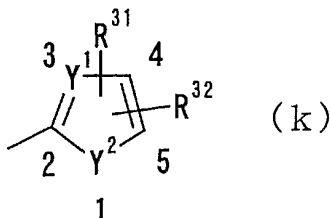
In



(in this group, E^1 , B^2 , R^{29} and R^{30} have the same aforesaid meanings, and the numbers of 1-6 denote positions), as R^{29} and R^{30} , it is preferred the case that one of them is hydrogen atom or halogen atom, and the other is hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group and is the preferred case. Wherein the case that the other is hydrogen atom, halogen atom, alkyl group or alkynyl group is particularly preferred. In this case as halogen atom, fluorine atom, chlorine atom and bromine atom are preferred, as alkyl group, methyl group is preferred, as alkynyl group, ethynyl group is particularly preferred. As embodying group represented by aforesaid type, pyridyl group, pyrimidyl group, pyridazinyl group and the like is nominated, it is not the one which should be restricted in particular as the position which halogen atom, alkyl group or alkynyl group substitute in those groups, but 4 position in aforesaid formula and around 5 are particularly preferred when there is a bond of group T^1 at 2 position in aforesaid formula. In embodiment 2-pyridyl group, 3-pyridyl group, 4-pyridyl group, 4-chloro-2-pyridyl group, 4-fluoro-2-pyridyl group, 4-bromo-2-pyridyl group, 4-ethinyl-2-pyridyl group, 4-chloro-3-pyridyl group, 4-fluoro-3-pyridyl group, 4-bromo-3-pyridyl group, 4-ethinyl-3-pyridyl group, a5-chloro-2-pyridyl group, 5-fluoro-2-pyridyl group, 5-bromo-2-pyridyl group, 5-ethinyl-2-pyridyl group, 4-chloro-5-fluoro-2-pyridyl group, 5-chloro-4-fluoro-2-pyridyl group, 5-chloro-3-pyridyl group, 5-fluoro-3-pyridyl group, 5-bromo-3-pyridyl group, 5-ethinyl-3-pyridyl group, 5-chloro-2-pyrimidyl group, 5-fluoro-2-pyrimidyl group, it can be proposed as 5-

bromo-2-pyrimidyl group, 5-ethinyl-2-pyrimidyl group, 4-chloro-3-pyridazinyl group, 4-fluoro-3-pyridazinyl group, 4-bromo-3-pyridazinyl group, 4-ethinyl-3-pyridazinyl group, 6-chloro-3-pyridazinyl group, 6-fluoro-3-pyridazinyl group, 6-bromo-3-pyridazinyl group, preferred example such as 6-ethinyl-3-pyridazinyl group, in particular 2-pyridyl group, 3-pyridyl group, 4-pyridyl group, 4-chloro-2-pyridyl group, 4-fluoro-2-pyridyl group, 4-bromo-2-pyridyl group, 4-ethinyl-2-pyridyl group, 4-chloro-3-pyridyl group, 4-fluoro-3-pyridyl group, 4-bromo-3-pyridyl group, 4-ethinyl-3-pyridyl group, 5-chloro-2-pyridyl group, 5-fluoro-2-pyridyl group, 5-bromo-2-pyridyl group, 5-ethinyl-2-pyridyl group, 4-chloro-5-fluoro-2-pyridyl group, 5-chloro-4-fluoro-2-pyridyl group, 5-chloro-3-pyridyl group, 5-fluoro-3-pyridyl group, 5-bromo-3-pyridyl group, 5-ethinyl-3-pyridyl group, 6-chloro-3-pyridazinyl group, 6-fluoro-3-pyridazinyl group, 6-bromo-3-pyridazinyl group, 6-ethinyl-3-pyridazinyl group are preferred. Wherein 2-pyridyl group, 3-pyridyl group, 4-pyridyl group, 5-chloro-2-pyridyl group, 5-fluoro-2-pyridyl group, 5-bromo-2-pyridyl group, 5-ethinyl-2-pyridyl group, 5-chloro-4-fluoro-2-pyridyl group, 4-chloro-5-fluoro-2-pyridyl group, 4-chloro-3-pyridazinyl group, 4-fluoro-3-pyridazinyl group, 4-bromo-3-pyridazinyl group, 4-ethinyl-3-pyridazinyl group are preferred furthermore.

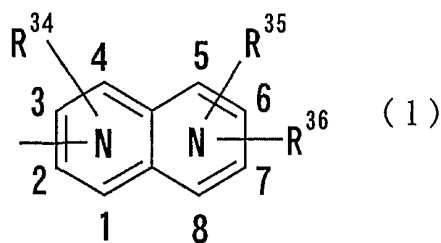
Moreover, it is following group



(in this group, Y^1 , Y^2 , R^{31} and R^{32} have the same aforesaid meanings, and a number of 1-5 denotes position), as R^{31} and R^{32} , it is preferred the case that one of them is hydrogen atom or halogen atom and the other is hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group are the preferred case the other. Wherein the case that the other is hydrogen atom, halogen atom, alkyl group or alkynyl group is particularly preferred. In this case as halogen atom, fluorine atom, chlorine atom and bromine atom are preferred, as alkyl group, methyl group is preferred, as alkynyl group, ethynyl group is particularly preferred. As embodying group represented by aforesaid type, thienyl group, pyrrolyl group, furyl group, oxazolyl group, thiazolyl group and the like are proposed, it is not the one which should be restricted in particular as the position which it is put under them, and halogen atom, alkyl group or alkynyl group substituted in those groups, however, 4 and 5

position in aforesaid formula are particularly preferred. As embodiments 4-chloro-2-thienyl group, 4-fluoro-2-thienyl group, 4-bromo-2-thienyl group, 4-ethinyl-2-thienyl group, 4-chloro-2-pyrrolyl group, 4-fluoro-2-pyrrolyl group, 4-bromo-2-pyrrolyl group, 4-ethinyl-2-pyrrolyl group, 4-chloro-2-furyl group, 4-fluoro-2-furyl group, 4-bromo-2-furyl group, 4-ethinyl-2-furyl group, 5-chloro-2-thienyl group, 5-fluoro-2-thienyl group, 5-bromo-2-thienyl group, 5-ethinyl-2-thienyl group, 5-chloro-2-thiazolyl group, 5-fluoro-2-thiazolyl group, 5-bromo-2-thiazolyl group, 5-ethinyl-2-thiazolyl group, 5-chloro-2-oxazolyl group, 5-fluoro-2-oxazolyl group, 5-bromo-2-oxazolyl group, 5-ethinyl-2-oxazolyl group are nominated. In particular, 5-chloro-2-thiazolyl group, 5-fluoro-2-thiazolyl group, 5-bromo-2-thiazolyl group, 5-ethinyl-2-thiazolyl group are preferred.

And moreover following group



(in this group, the numbers of 1-8 denote positions, each N denotes that any one of carbon atom at 1-4 and any one of carbon atom at 5-8 is respectively substituted by one nitrogen atom and R^{34} - R^{36} have the same aforesaid definition), the position of each nitrogen atom may be in any positioning, and R^{34} is preferably hydrogen atom or halogen atom, the case that one of R^{35} and R^{36} is hydrogen atom or halogen atom and the other is hydrogen atom, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group or halogeno alkyl group is preferred. Wherein the case that the other is hydrogen atom, halogen atom, alkyl group or alkynyl group is particularly preferred. As halogen atom, fluorine atom, chlorine atom and bromine atom are preferred, as alkyl group, methyl group is preferred, as alkynyl group, ethynyl group is particularly preferred. As the position which halogen atom, alkyl group or alkynyl group substitute, it is not the one which should be restricted in particular, as embodying group represented by aforesaid type 6-chloro-1,5-naphthyridin-2-yl group, 6-fluoro-1,5-naphthyridin-2-yl group, 6-bromo-1,5-naphthyridin-2-yl group, 6-ethinyl-1,5-naphthyridin-2-yl group, 7-chloro-1,5-naphthyridin-2-yl group, 7-fluoro-1,5-naphthyridin-2-yl group, 7-bromo-1,5-naphthyridin-2-yl group, 7-ethinyl-1,5-naphthyridin-2-yl group, 6-chloro-1,5-naphthyridin-3-yl group, 6-fluoro-1,5-naphthyridin-3-yl group, 6-bromo-1,5-naphthyridin-3-yl group, 6-ethinyl-1,5-naphthyridin-3-yl group,

7-chloro-1,5-naphthyridin-3-yl group, 7-fluoro-1,5-naphthyridin-3-yl group, 7-bromo-1,5-naphthyridin-3-yl group, 7-ethinyl-1,5-naphthyridin-3-yl group, 6-chloro-1,7-naphthyridin-2-yl group, 6-fluoro-1,7-naphthyridin-2-yl group, 6-bromo-1,7-naphthyridin-2-yl group, 6-ethinyl-1,7-naphthyridin-2-yl group, 6-chloro-1,7-naphthyridin-3-yl group, 6-fluoro-1,7-naphthyridin-3-yl group, 6-bromo-1,7-naphthyridin-3-yl group, 6-ethinyl-1,7-naphthyridin-3-yl group, 6-chloro-1,8-naphthyridin-2-yl group, 6-fluoro-1,8-naphthyridin-2-yl group, 6-bromo-1,8-naphthyridin-2-yl group, 6-ethinyl-1,8-naphthyridin-2-yl group, 7-chloro-1,8-naphthyridin-2-yl group, 7-fluoro-1,8-naphthyridin-2-yl group, 7-bromo-1,8-naphthyridin-2-yl group, 7-ethinyl-1,8-naphthyridin-2-yl group, 6-chloro-1,8-naphthyridin-3-yl group, 6-fluoro-1,8-naphthyridin-3-yl group, 6-bromo-1,8-naphthyridin-3-yl group, 6-ethinyl-1,8-naphthyridin-3-yl group, 7-chloro-1,8-naphthyridin-3-yl group, 7-fluoro-1,8-naphthyridin-3-yl group, 7-bromo-1,8-naphthyridin-3-yl group, 7-ethinyl-1,8-naphthyridin-3-yl group, 6-chloro-2,5-naphthyridin-3-yl group, 6-fluoro-2,5-naphthyridin-3-yl group, 6-bromo-2,5-naphthyridin-3-yl group, 6-ethinyl-2,5-naphthyridin-3-yl group, 7-chloro-2,5-naphthyridin-3-yl group, 7-fluoro-2,5-naphthyridin-3-yl group, 7-bromo-2,5-naphthyridin-3-yl group, 7-ethinyl-2,5-naphthyridin-3-yl group, 6-chloro-2,6-naphthyridin-3-yl group, 6-fluoro-2,6-naphthyridin-3-yl group, 6-bromo-2,6-naphthyridin-3-yl group, 6-ethinyl-2,6-naphthyridin-3-yl group, 7-chloro-2,6-naphthyridin-3-yl group, 7-fluoro-2,6-naphthyridin-3-yl group, 7-bromo-2,6-naphthyridin-3-yl group, 7-ethinyl-2,6-naphthyridin-3-yl group, 6-chloro-2,8-naphthyridin-3-yl group, 6-fluoro-2,8-naphthyridin-3-yl group, 6-bromo-2,8-naphthyridin-3-yl group, 6-ethinyl-2,8-naphthyridin-3-yl group, 7-chloro-2,8-naphthyridin-3-yl group, 7-fluoro-2,8-naphthyridin-3-yl group, 7-bromo-2,8-naphthyridin-3-yl group, 7-ethinyl-2,8-naphthyridin-3-yl group, and the like are proposed, as preferred in particular, 7-chloro-2,5-naphthyridin-3-yl group, 7-fluoro-2,5-naphthyridin-3-yl group, 7-bromo-2,5-naphthyridin-3-yl group, 7-ethinyl-2,5-naphthyridin-3-yl group and the like are nominated.

In addition, optionally substituted thieno pyrrolyl group is preferred under 12 kinds of aforesaid(a)-(1), too. Substituent 1-3 may contain it, as substituent, hydroxy group, nitro group, amino group, cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group, halogeno alkyl group, hydroxyalkyl group, alkoxy group, alkoxyalkyl group, carboxyl group, carboxyalkyl group, acyl group, carbamoyl group, N-alkylcarbamoyl group, N, -N-dialkyl carbamoyl group, alkoxycarbonyl group, amidino group and alkoxycarbonyl alkyl group can be nominated, and wherein cyano group, halogen atom, alkyl group, alkenyl group, alkynyl group and halogeno alkyl group are preferred. As embodiments 2-chloro-thieno

[213-b] pyrrole-5-yl group, 2-fluoro-thieno [2,3-b] pyrrole-5-yl group, 2-bromo-thieno [2,3-b] pyrrole-5-yl group or 2-ethinyl-thieno [2,3-b] pyrrole-5-yl group can be nominated as preferred.

About group Q¹.

In accordance with this invention, Q¹ denotes condensed polycyclic group having optionally substituted saturated or unsaturated 5-6 membered cyclic hydrocarbon group, optionally substituted saturated or unsaturated 5-7 membered heterocyclic group, optionally substituted saturated or unsaturated bicyclic or tricyclic characteristics or optionally substituted saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon group.

As aforesaid saturated or unsaturated 5-6 membered cyclic hydrocarbon group, for example cyclopentyl group, cyclopentenyl group, cyclohexyl group, cyclohexenyl group, phenyl group can be nominated, cyclopentyl group, cyclohexyl group and phenyl group are preferred, and phenyl group is more preferred.

As saturated or unsaturated 5-7 membered heterocyclic group, the one how the heterocycle which contained heteroatom of at least 1 selected from oxygen atom, sulfur atom and nitrogen atom was formed under 1 value is denoted, for example furyl group, pyrrolyl group, thienyl group, pyrazolyl group, imidazolyl group, pyrazolinyl group, oxazolyl group, oxazolinyll group, thiazolyl group, thiazolinyl group, thiadiazolyl group, furazanyl group, pyranyl group, pyridyl group, pyrimidyl group, pyridazinyl group, pyrrolidinyl group, piperazinyl group, piperidinyl group, oxazinyl group, oxadiazinyl group, morpholinyl group, thiazinyl group, thiadiazinyl group, thiomorpholinyl group, tetrazolyl group, triazolyl group, triazinyl group, azepinyl group, diazepinyl group or tri azepinyl group can be nominated, thienyl group, pyrazolyl group, imidazolyl group, oxazolyl group, thiazolyl group, thiadiazolyl group, furazanyl group, pyridyl group, pyrimidyl group, pyridazinyl group, pyrrolidinyl group, piperazinyl group, piperidinyl group, morpholinyl group, thiadiazinyl group and triazolyl group are preferred, and thienyl group, thiazolyl group, pyrazolyl group, imidazolyl group, pyridyl group, pyrimidyl group, pyridazinyl group, pyrrolidinyl group, piperazinyl group and piperidinyl group are more preferred. Moreover, among these heterocyclic groups. As far as nitrogen containing heterocyclic group is concerned, n-oxide may comprise.

As saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon group, same is denoted as saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon group described in explanation of Q⁴ in general formula (1), as embodying example, indenyl group, indanyl group, naphthyl group, tetrahydronaphthyl group, anthryl group, phenanthryl group can be nominated, indenyl group, indanyl group, naphthyl group and tetrahydronaphthyl group are preferred.

As saturated or unsaturated bicyclic or tricyclic condensed polycyclic group, same is denoted as saturated or unsaturated bicyclic or tricyclic condensed polycyclic group described in explanation of Q⁴ in general formula (1), as embodying example, it is benzofuryl group, isobenzofuryl group, benzothienyl group, indolyl group, indolinylyl group, isoindolyl group, isoindolinylyl group, indazolyl group, quinolyl group, dihydroquinolyl group, 4-oxo-dihydroquinolyl group (dihydroquinolin-4-one), tetrahydroquinolyl group, isoquinolyl group, tetrahydroisoquinolyl group, chromenyl group, chromanyl group, in chromanyl group, 4H-4-oxo benzopyranyl group, 3,4-dihydro-4H-4-oxo benzopyranyl group, 4H-quinolidinylyl group, quinazolinylyl group, dihydroquinazolinylyl group, tetrahydroquinazolinylyl group, quinoxalinylyl group, tetrahydroquinoxalinylyl group, cinnolinylyl group, tetrahydrocinnolinylyl group, indolizinylyl group, tetrahydroindolizinylyl group, benzothiazolyl group, tetrahydrobenzo thiazolyl group, benzoxazolyl group, benzo isothiazolyl group, benzo isoxazolyl group, benzimidazolyl group, naphthyridinylyl group, tetrahydronaphthyridinylyl group, thieno pyridyl group, tetrahydrothieno pyridyl group, thiazolo pyridyl group, tetrahydrothiazolo pyridyl group, thiazolo pyridazinylyl group, tetrahydrothiazolo pyridazinylyl group, pyrrolo pyridyl group, dihydropyrrolo pyridyl group, tetrahydropyrrolo pyridyl group, pyrrolo pyrimidinylyl group, dihydropyrrolo pyrimidinylyl group, pyrido quinazolinylyl group, dihydropyrido quinazolinylyl group, pyrido pyrimidinylyl group, tetrahydropyrido pyrimidinylyl group, pyrano thiazolyl group, dihydropyrano thiazolyl group, furo pyridyl group, tetrahydrofuro pyridyl group, oxazolo pyridyl group, tetrahydrooxazolo pyridyl group, oxazolo pyridazinylyl group, tetrahydrooxazolo pyridazinylyl group, pyrrolo thiazolyl group, dihydropyrrolo thiazolyl group, pyrrolo oxazolyl group, dihydropyrrolo oxazolyl group, thieno pyrrolyl group, thiazolo pyrimidinylyl group, dihydrothiazolo pyrimidinylyl group, 4-oxo H tetrahydrocinnolinylyl group, 1,2,4-benzo thiadiazinylyl group, 1,1-dihydroxy-2H-1,2,4-benzo thiadiazinylyl group, a1,2,4-benzoxa diazinylyl group, cyclopentapyranyl group, thieno furanyl group, furo pyranyl group, pyrido oxazinylyl group, pyrazolo oxazolyl group, imidazo thiazolyl group, imidazo pyridyl group, tetrahydroimidazo pyridyl group, pyrazino pyridazinylyl group, benz isoquinolyl group, furo

cinnolyl group, pyrazolo thiazolo pyridazinyl group, tetrahydropyrazolo thiazolo pyridazinyl group, hexahydrothiazolo pyridazino pyridazinyl group, imidazo triazinyl group, oxazolo pyridyl group, benzoxazepinyl group, benzo azepinyl group, tetrahydrobenzo azepinyl group, benzodiazepinyl group, benzo tri azepinyl group, thieno azepinyl group, tetrahydrothieno azepinyl group, thieno diazepinyl group, thieno tri azepinyl group, thiazolo azepinyl group, tetrahydrothiazolo azepinyl group, 4,5,6,7-tetrahydro-5,6-tetramethylene thiazolo pyridazinyl group, 5,6-trimethylene-4,5,6,7-tetrahydrothiazolo pyridazinyl group are nominated, benzothiazolyl group, tetrahydrobenzo thiazolyl group, thieno pyridyl group, tetrahydrothieno pyridyl group, thieno pyrrolyl group, thiazolo pyridyl group, tetrahydrothiazolo pyridyl group, thiazolo pyridazinyl group, tetrahydrothiazolo pyridazinyl group, pyrrolo pyrimidinyl group, dihydropyrrolo pyrimidinyl group, pyrano thiazolyl group, dihydropyrano thiazolyl group, furo pyridyl group, tetrahydrofuro pyridyl group, oxazolo pyridyl group, tetrahydrooxazolo pyridyl group, pyrrolo pyridyl group, dihydropyrrolo pyridyl group, tetrahydropyrrolo pyridyl group, oxazolo pyridazinyl group, tetrahydrooxazolo pyridazinyl group, pyrrolo thiazolyl group, dihydropyrrolo thiazolyl group, pyrrolo oxazolyl group, dihydropyrrolo oxazolyl group, thiazolo pyrimidinyl group, dihydrothiazolo pyrimidinyl group, benzo azepinyl group, tetrahydrobenzo azepinyl group, thiazolo azepinyl group, tetrahydrothiazolo azepinyl group, thieno azepinyl group, tetrahydrothieno azepinyl group, 4,5,6,7-tetrahydro-5,6-tetramethylene thiazolo pyridazinyl group and 5,6-trimethylene-4,5,6,7-tetrahydrothiazolo pyridazinyl group are preferred, tetrahydrobenzo thiazolyl group, tetrahydrothieno pyridyl group, tetrahydrothiazolo pyridyl group, tetrahydrothiazolo pyridazinyl group, dihydropyrrolo pyrimidinyl group, dihydropyrano thiazolyl group, tetrahydrooxazolo pyridyl group, dihydropyrrolo thiazolyl group, 4,5,6,7-tetrahydro-5,6-tetramethylene thiazolo pyridazinyl group and 5,6-trimethylene-4,5,6,7-tetrahydrothiazolo pyridazinyl group are in particular preferred.

It is not limited in particular to form of condensation in aforesaid condensed polycyclic group. As far as for example thienopyridine is concerned, it may be any of thieno [2,3-b] pyridine, thieno [2,3-c] pyridine, thieno [3,2-b] pyridine, thieno [3,2-c] pyridine, thieno [3,4-b] pyridine, thieno [3,4-c] pyridine, and thieno [2,3-c] pyridine and thieno [3,2-c] pyridine are preferred \$. As far as thieno pyrrolyl radical is concerned and is good with thieno [2,3-b] pyrrolyl, thieno [3,2-b] pyrrolyl group. As far as thiazolopyridine is concerned and may be any of thiazolo [4,5-b] pyridine, thiazolo [4,5-c] pyridine, thiazolo [5,4-b] pyridine, thiazolo [5,4-c] pyridine, thiazolo [3,4-a] pyridine, thiazolo [3,2-a] pyridine. As far as thiazolo [4,5-c] pyridine and thiazolo [5,4-c] pyridine are preferred. As far as

thiazolo pyridazine is concerned, it may be any of thiazolo [4,5-c] pyridazine, thiazolo [4,5-d] pyridazine, thiazolo [5] 4-c] pyridazine, thiazolo [3,2-b] pyridazine, and thiazolo [4,5-d] pyridazine is preferred \$. As far as pyrrolopyridine is concerned, it may be any of pyrrolo [2,3-b] pyridine, pyrrolo [2,3-c] pyridine, pyrrolo [3,2-b] pyridine, pyrrolo [3,2-c] pyridine, pyrrolo [3,4-b] pyridine, pyrrolo [3,4-c] pyridine, and pyrrolo [2,3-c] pyridine and pyrrolo [3,2-c] pyridine are preferred \$. As far as pyrrolo pyrimidine is concerned, it may be any of pyrrolo [3,4-d] pyrimidine, pyrrolo [3,2-d] pyrimidine, pyrrolo [2,3-d] pyrimidine, and pyrrolo [3,4-d] pyrimidine is preferred \$. As far as pyridopyrimidine is concerned, it may be any of pyrido [2,3-d] pyrimidine, pyrido [3,2-d] pyrimidine, pyrido [3,4-d] pyrimidine, pyrido [4,3-d] pyrimidine, pyrido [1,2-c] pyrimidine, pyrido [1,2-a] pyrimidine, and pyrido [3,4-d] pyrimidine and pyrido [4,3-d] pyrimidine are preferred \$. As far as pyrano thiazole is concerned, it may be any of pyrano [2,3-d] thiazole, pyrano [4,3-d] thiazole, pyrano [3,4-d] thiazole, pyrano [3,2-d] thiazole, and pyrano [4,3-d] thiazole and pyrano [3,4-d] thiazole are preferred \$. As far as furopyridine is concerned, it may be any of furo [2,3-b] pyridine, furo [2,3-c] pyridine, furo [3,2-b] pyridine, furo [3,2-c] pyridine, furo [3,4-b] pyridine, furo [3,4-c] pyridine, and furo [2,3-c] pyridine and furo [3,2-c] pyridine are preferred \$. As far as oxazolo pyridine is concerned, oxazolo [4,5-b] pyridine, oxazolo [4,5-c] pyridine, oxazolo [5,4-b] pyridine, oxazolo [5,4-c] pyridine, oxazolo [3,4/ a] pyridine, an any of oxazolo [3,2-a] pyridine may be used, and oxazolo [4,5-b] pyridine and oxazolo [5,4-c] pyridine are preferred. As far as oxazolo pyridazine is concerned, it may be any of oxazolo [4,5-c] pyridazine, oxazolo [4,5-d] pyridazine, oxazolo [5,4-c] pyridazine, oxazolo [3,4-b] pyridazine, and oxazolo [4,5-d] pyridazine is preferred \$. As far as pyrrolo thiazole is concerned, pyrrolo [2,1-b] thiazole, pyrrolo [1,2-c] thiazole, pyrrolo [2,3-d] thiazole, pyrrolo [3,2-d] thiazole, an any of pyrrolo [3,4-d] thiazole may be used, and pyrrolo [3,4-d] thiazole is preferred. As far as pyrrolo oxazole is concerned, it may be any of pyrrolo [2,1-b] oxazole, pyrrolo [1,2-c] oxazole, pyrrolo [2,3-d] oxazole, pyrrolo [3,2-d] oxazole, pyrrolo [3,4-d] oxazole, and pyrrolo [3,4-d] oxazole is preferred. As far as benzoazepin is concerned and may be any of 1H-1-benzoazepin, 1H-2-benzoazepin, 1H-3-benzoazepin. As far as 1H-3-benzoazepin is preferred. Thiazolo [4,5-c] azepin is concerned, it may be any of 4H-thiazolo [4,5-c] azepin, 4H-thiazolo [4,5-d] azepin, 4H-thiazolo [5,4-c] azepin, and 4H-thiazolo [4,5-d] azepin is preferred \$. As far as thieno [2,3-c] azepin is concerned, it may be any of 4H-thieno [2,3-d] azepin, 4H-thieno [3,2-c] azepin, and 4H-thieno [2,3-d] azepin is preferred \$.

Moreover, among these heterocyclic groups. As far as nitrogen containing heterocyclic group is concerned, n-oxide may comprise. Moreover the position that aforesaid substituent is combined with Q² is not restricted in particular.

Halogeno alkyl group, amino group, cyano group, amidino group, hydroxy amidino group, linear, branched or cycliC₁-6C alkyl group that \$\$\$\$\$\$ which had tricyclic characteristics or aforesaid saturated or unsaturated 5-6 membered cyclic hydrocarbon group, saturated or unsaturated 5-7 membered heterocyclic group, saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon group or saturated or unsaturated 2 Hara might respectively contain 1-3 substituents, and hydroxy group, fluorine atom, chlorine atom, bromine atom, halogen atom of iodine atom, halogen atom substituted 1-3 as substituent thereof (hereinafter it is called CI-C day alkyl group). Straight, branched or cyclic one is denoted and for example, is methyl group, ethyl group, isopropyl group, straight or branched chain C₁-C₆ alkyl group such as tert-butyl group or the like, cyclopropyl group, cyclobutyl group, cyclopentyl group, C₃-C₆ cycloalkyl group) such as 1-methylcyclopropyl group or the like, C₃-C₆ cycloalkyl C₁-C₆ alkyl group (for example cyclopropylmethyl group or the like), hydroxy C₁-C₆ alkyl group (for example hydroxyethyl group, 1,1-dimethyl-2-hydroxyethyl group or the like) C₁-C₆ alkoxy group (for example methoxy group, ethoxy group or the like), C₁-C₆ alkoxy C₁-C₆ alkyl group, carboxyl group, C₂-C₆ carboxyalkyl group (for example carboxymethyl group or the like). C₂-C₆ alkoxy carbonyl C₁-C₆ alkyl group (for example methoxycarbonylmethyl group, tert butoxycarbonyl methyl group or the like), the amidino group which C₂-C₆ alkoxy carbonyl group substituted, C₂-C₆ alkenyl group (for example vinyl group, allyl group or the like), C₂-C₆ alkynyl group (for example ethynyl group, propynyl group or the like). C₂-C₆ alkoxy carbonyl group (for example methoxycarbonyl group, ethoxycarbonyl group, tert butoxy carbonyl group or the like), amino C₁-C₆ alkyl group (for example aminomethyl group, amino ethyl group or the like), C₁-C₆ alkylamino C₁-C₆ alkyl group (for example N-methylaminomethyl group, N-ethylamino methyl group or the like), di (C₁-C₆ alkyl) amino C₁-C₆ alkyl group (for example N,N-dimethylaminomethyl group, N,N-diethylamino methyl group, N-ethyl-N-methylamino ethyl group or the like). C₂-C₆ alkoxy carbonylamino C₁-C₆ alkyl group (for example methoxycarbonylamino ethyl group, tert butoxycarbonyl amino ethyl group or the like), C₁-C₆ alkanoyl group (for example formyl group, acetyl group, methyl propionyl group, cyclopentane carbonyl group or the like), C₁-C₆ alkanoyl amino C₁-C₆ alkyl group (for example acetylamino methyl group or the like), C₁-C₆ alkylsulfonyl group (for example methanesulphonyl group or the like), C₁-C₆

alkylsulfonyl amino C₁-C₆ alkyl group (for example methanesulphonyl aminomethyl group or the like). Carbamoyl group, C₁-C₆ alkylcarbamoyl group (for example methylcarbamoyl group, ethyl carbamoyl group, isopropyl carbamoyl group, tert-butyl carbamoyl group or the like), N,N-di (C₁-C₆ alkyl) carbamoyl group (for example dimethylcarbamoyl group, diethylcarbamoyl group, methylethyl carbamoyl group or the like), C₁-C₆ alkylamino group (for example N-methylamino group, N-ethylamino group or the like). 5-6membered heterocyclic group including di (C₁-C₆ alkyl) amino group (for example N,N-dimethylamino function, N,N-diethylamino group, N-ethyl-N-methylamino group or the like), 1 or 2 or more same or different nitrogen, sulfur atom or oxygen (for example pyrrolidinyl group, piperidinyl group, piperazinyl group, morpholinyl group, pyridyl group, pyrimidinyl group, tetrahydropyranyl group or the like). Aforesaid 5-6membered heterocyclic group -C₁-C₄ alkyl group (for example morpholinomethyl group or the like) or aforesaid 5-6membered heterocyclic group-amino-C₁-C₄ alkyl group (for example N-[oxazol-2-yl] aminomethyl group or the like) are nominated.

If embodying example of Q¹ is denoted, a5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridin-2-yl group, 4,5,6,7-tetrahydrothiazolo [5,4-c] pyridin-2-yl group, 5-cyclopropyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridin-2-yl group, 5-carboxymethyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridin-2-yl group, 5-butyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridin-2-yl group, 5-(4-pyridyl)-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridin-2-yl group, 5-methyl-4,5,6,7-tetrahydrothiazolo [4,5-c] pyridin-2-yl group, 6-methyl-4,5,6,7-tetrahydrothieno [2,3-c] pyridin-2-yl group, 5-methyl-4,5,6,7-tetrahydrooxazolo [5,4-c] pyridin-2-yl group, 5-methyl-4,6-dihydro-5H-pyrrolo [3,4-d] thiazol-2-yl group, a5,7-dihydro-6-methyl pyrrolo [3,4 md] pyrimidine H₂-yl group, 5,6-dimethyl-4,5,6,7-tetrahydrothiazolo [4,5-d] pyridazin-2-yl group, 5,6-dimethyl-4,5,6,7-tetrahydrooxazolo [4-5-d] pyridazin-2-yl group, 5-dimethylamino-4,5,6,7-tetrahydrobenzo (d) thiazol-2-yl group, 5-(4-pyridyl)-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridin-2-yl group, dicyclic characteristics heterocyclic group such as for example 6,7-dihydro-4H-pyrano [4,3-d] thiazol-2-yl group and the like, a4-pyridyl group, pyridyl group such as for example 2-pyridyl group and the like, dihydrooxazolyl group such as for example 4,5-dihydro-oxazol-2-yl group and the like, 4-[N-(4,5-dihydro-oxazol-2-yl)-N-methylaminomethyl] thiophen-2-yl group, 4-[N-(4,5-dihydro-oxazol-2-yl)-N-methylaminomethyl]-3-chlorothiophen-2-yl group, 5-(N-methylaminomethyl) thiazol-2-yl group, 5-(N-methylaminomethyl) thiophen-2-yl group, 5-(N,N-dimethylaminomethyl) thiazol-2-yl group, 5-(N,N-dimethylaminomethyl) thiophen-2-yl group, 5-6membered heterocyclic

group such as for example 5-(N,N-dimethylaminomethyl) pyridin-2-yl group and the like are nominated. Wherein, these examples, what is not restricted one in Q¹.

About group Q², group Q² denotes single bond, having divalent saturated or unsaturated 5-6 membered cyclic hydrocarbon group which may have substituent, divalent saturated or unsaturated 5-7 membered heterocyclic group which may have substituent, divalent saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon group which may have substituent or divalent saturated or unsaturated bicyclic or tricyclic condensed polycyclic group which may have substituent.

In group Q², divalent saturated or unsaturated 5-6 membered cyclic hydrocarbon group denotes the one in which saturated or unsaturated 5-6 membered cyclic hydrocarbon described in explanation of Q⁴ in general formula (1) becomes divalent group, and cyclohexylene group, cyclohexenylene group, phenylene group can be nominated for embodiment example, and cyclohexylene group and phenylene group are preferred.

Divalent saturated or unsaturated 5-7 membered heterocyclic group denotes the one in which saturated or unsaturated 5-7 membered heterocycle described in explanation of Q⁴ in general formula (1) becomes divalent group, and as embodiment example, the one in which furan, pyrrole, thiophene, pyrazole, imidazole, oxazole, oxazolidine, thiazole, thiadiazole, furazane, pyran, pyridine, pyrimidine, pyridazine, pyrrolidine, piperazine, piperidine, oxazine, oxadiazine, morpholine, thiazine, thiadiazine, thiomorpholine, tetrazole, triazole, triazine, azepin, diazepine, triazepine and the like becomes divalent group can be proposed, wherein the one in which pyrazole, imidazole, oxazolyl, thiazole, thiadiazole, furazane, pyridine, pyrimidine, pyridazine, pyrrolidine, piperazine, piperidine, triazole, triazine, azepin, diazepine and triazepine can be proposed as preferred examples of those forming divalent group.

As divalent saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon group, saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon described in explanation of Q⁴ in general formula (1) denotes those forming divalent group, as embodying example, indene, indan, naphthalene, tetrahydronaphthalene, anthracene, phenanthrene and the like can be proposed as those forming divalent group, indan and naphthalene can be proposed as preferred example of those forming divalent group.

As divalentsaturated or unsaturated bicyclic or tricyclic condensed polycyclic group, saturated or unsaturated bicyclic or tricyclic fused heterocycle described in explanation of Q⁴ in general formula (1) denotes those forming divalent group, as embodying example benzofuran, benzo thiophene, indole, iso indole, indazole, quinoline, tetrahydroquinoline, isoquinoline, tetrahydroisoquinoline, quinazoline, dihydroquinazoline, tetrahydroquinazoline, quinoxaline, tetrahydroquinoxaline, cinnoline, tetrahydrocinnoline, India lysine, tetrahydroindolizin, benzothiazole, tetrahydrobenzo thiazole, naphthyridine, tetrahydronaphthyridine, thienopyridine, tetrahydrothieno pyridine, thiazolopyridine, tetrahydrothiazolopyridine, thiazolo pyridazine, tetrahydrothiazolo pyridazine, pyrrolopyridine, dihydropyrrolo pyridine, tetrahydropyrrolo pyridine, pyrrolo pyrimidine, dihydropyrrolo pyrimidine, dihydropyrrolo quinazoline, pyrano thiazole, dihydropyrano thiazole, furopyridine, tetrahydrofuro pyridine, oxazolo pyridine, tetrahydrooxazolo pyridine, oxazolo pyridazine, tetrahydrooxazolo pyridazine, pyrrolo thiazole, dihydropyrrolo thiazole, pyrrolo oxazole, dihydropyrrolo oxazole, benzoazepin and the like can be nominated those forming divalent group, benzofuran, benzo thiophene, indole, indazole, / quinoline, isoquinoline, tetrahydroisoquinoline, benzothiazole, naphthyridine, thienopyridine, thiazolopyridine, tetrahydrothiazolopyridine, thiazolo pyridazine, pyrrolopyridine, tetrahydropyrrolo pyridine, pyridopyrimidine, pyrano thiazole, dihydropyrano thiazole, furopyridine, oxazolo pyridine, oxazolo pyridazine, pyrrolo thiazole, dihydropyrrolo thiazole, pyrrolo oxazole and dihydropyrrolo oxazole can be proposed as preferred example of those forming divalent group. It is not limited in particular to form of condensation in aforesaid condensed polycyclic group. As far as for example naphthyridine is concerned, a1,5-, 1,6-, 1,7-, 1,8-, 2,6-, 2,7-naphthyridine may be used, as far as thienopyridine is concerned, thieno [2,3-b] pyridine, thieno [2,3-c] pyridine, thieno [3,2-b] pyridine, thieno [3,2-c] pyridine, thieno [3,4-b] pyridine, thieno [3,4-c] pyridine may be used, as far as thiazolopyridine is concerned, thiazolo [4,5-b] pyridine, thiazolo [4,5-c] pyridine, thiazolo [5,4-b] pyridine, thiazolo [5,4-c] pyridine, thiazolo [3,4-a] pyridine, thiazolo [3,2-a] pyridine may be used, as far as thiazolo pyridazine is concerned, thiazolo [4,5-c] pyridazine, thiazolo [4,5-d] pyridazine, thiazolo [5,4-c] pyridazine, thiazolo [3,2-b] pyridazine may be used, as far as pyrrolopyridine is concerned, pyrrolo [2,3-b] pyridine, pyrrolo [2,3-c] pyridine, pyrrolo [3,2-b] pyridine, pyrrolo [3,2-c] pyridine, pyrrolo [3,4-b] pyridine, pyrrolo [3,4-c] pyridine may be used, as far as pyrrolo pyrimidine is concerned, pyrrolo [3,4-d] pyrimidine, pyrrolo [3,2-d] pyrimidine, pyrrolo [2,3-d] pyrimidine may be used, as far as pyridopyrimidine is concerned, pyrido [2,3-d] pyrimidine, pyrido [3,2-d] pyrimidine, pyrido [3,4-d]

pyrimidine may be used. As far as pyrano thiazole is concerned, pyrano [2,3-d] thiazole, pyrano [4,3-d] thiazole, pyrano [3,4-d] thiazole, pyrano [3,2-d] thiazole may be used, as far as furo pyridine is concerned, furo [2,3-b] pyridine, furo [2,3-c] pyridine, furo [3,2-b] pyridine, furo [3,2-c] pyridine, furo [3,4-b] pyridine, furo [3,4-c] pyridine may be used, as far as oxazolo pyridine is concerned, oxazolo [4,5-b] pyridine, oxazolo [4,5-c] pyridine, oxazolo [5,4-b] pyridine, oxazolo [5,4-c] pyridine, oxazolo [3,4-a] pyridine, oxazolo [3,2-a] pyridine may be used, as far as oxazolo pyridazine is concerned, oxazolo [4,5-c] pyridazine, oxazolo [4,5-d] pyridazine, oxazolo [5,4-c] pyridazine, oxazolo [3,4-b] pyridazine may be used, as far as pyrrolo thiazole is concerned, pyrrolo [2,1-b] thiazole, pyrrolo [1,2-c] thiazole, pyrrolo [3,2-d] thiazole, pyrrolo [3,4-d] thiazole may be used, the chloro oxazole which is as far as is concerned, pyrrolo [2,1-b] oxazole, pyrrolo [1,2-c] oxazole, pyrrolo [2,3-d] oxazole, pyrrolo [3,2-d] oxazole, pyrrolo [3,4-d] oxazole may be used, and moreover even one is good other than fused form of these.

Aforesaid divalentsaturated or unsaturated 5-6 membered cyclic hydrocarbon group, divalentsaturated or unsaturated 5-7 membered heterocyclic group, divalent saturated halogeno alkyl group, amino group, cyano group, amino alkyl group, amidino group, hydroxy amidino group that or unsaturated condensed hydrocarbon group and divalentsaturated or unsaturated bicyclic or tricyclic condensed polycyclic group might respectively contain 1-3 substituents, and hydroxy group, fluorine atom, chlorine atom, bromine atom, halogen atom of iodine atom, halogen atom substituted 3 from 1 as substituent thereof, linear, branched or cycliC₁-6C alkyl group (for example methyl group, ethyl group or the like), linear, branched or cycliC₁-6C alkoxy group (for example methoxy group, ethoxy group or the like). The amidino group which linear, branched or cycliC₂-7C alkoxy carbonyl group substituted (for example methoxy carbonyl amidino group, ethoxy carbonyl amidino group or the like), linear, branched or cycliC₂-6C alkenyl group (for example vinyl group, allyl group or the like), straight or branched chain 2-6C alkynyl group (for example ethynyl group, propynyl group or the like), linear, branched or cycliC₂-6C alkoxy carbonyl group (for example methoxy carbonyl group, ethoxy carbonyl group or the like) or carbamoyl group are nominated.

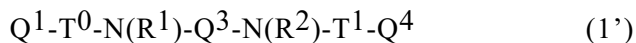
Single bond of among the aforesaid Q², optionally substituted divalentsaturated or unsaturated 5-6 membered cyclic hydrocarbon group, optionally substituted divalentsaturated or unsaturated 5-7 membered heterocyclic group and optionally substituted divalentsaturated or unsaturated bicyclic or tricyclic condensed polycyclic group are preferred. Wherein single bond, divalentsaturated or unsaturated 5-6

membered cyclic hydrocarbon group, divalentsaturated or unsaturated5-7 membered heterocyclic group are more preferred.

Moreover, when group Q¹ is optionally substituted saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon group or optionally substituted saturated or unsaturated bicyclic or tricyclic condensed polycyclic group, single bond is preferred group Q². Wherein, in aforesaid combination, Q² is single bond general formula (1)



(wherein, R¹, R², Q¹, Q², Q³, Q⁴, T⁰ and T¹ have the same aforesaid definition) becomes the following general formula (1')



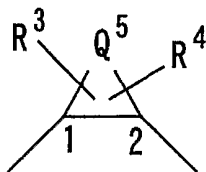
(wherein, Q¹ denotes an aforesaid bicyclic or tricyclic condensed hydrocarbon group or bicyclic or tricyclic condensed polycyclic group, and R¹, R², Q³, Q⁴, T⁰ and T¹ have the same aforesaid definition).

More preferably, group Q¹ is thieno pyridyl group which may have substituent, tetrahydrothieno pyridyl group which may have substituent, thiazolo pyridyl group which may have substituent, tetrahydrothiazolo pyridyl group which may have substituent, thiazolo pyridazinyl group which may have substituent, tetrahydrothiazolo pyridazinyl group which may have substituent, pyrano thiazolyl group which may have substituent, dihydropyrano thiazolyl group which may have substituent furo pyridyl group which may have substituent, tetrahydrofuro pyridyl group which may have substituent, oxazolo pyridyl group which may have substituent, tetrahydrooxazolo pyridyl group which may have substituent, pyrrolo pyridyl group which may have substituent, dihydropyrrolo pyridyl group which may have substituent, tetrahydropyrrolo pyridyl group which may have substituent, pyrrolo pyrimidinyl group which may have substituent, dihydropyrrolo pyrimidinyl group which may have substituent, oxazolo pyridazinyl group which may have substituent, tetrahydrooxazolo pyridazinyl group which may have substituent, pyrrolo thiazolyl group which may have substituent, dihydropyrrolo thiazolyl group which may have substituent, pyrrolo oxazolyl group which may have substituent, optionally substituted dihydropyrrolo oxazolyl group, optionally substituted benzothiazolyl group, optionally substituted tetrahydrobenzo thiazolyl group, optionally substituted thiazolo pyrimidinyl group, optionally substituted dihydrothiazolo pyrimidinyl group, it is optionally substituted benzo azepinyl group,

optionally substituted tetrahydrobenzo azepinyl group, optionally substituted thiazolo azepinyl group, optionally substituted tetrahydrothiazolo azepinyl group, optionally substituted thieno azepinyl group, optionally substituted tetrahydrothieno azepinyl group, optionally substituted 4,5,6,7-tetrahydro-5,6-tetramethylene thiazolo pyridazinyl group or optionally substituted 5,6-trimethylene-4,5,6,7-tetrahydrothiazolo pyridazinyl group, and the those that group Q² is single bond are preferred.

Moreover, when group Q¹ is optionally substituted saturated or unsaturated 5-7 membered heterocyclic group or optionally substituted saturated or unsaturated 5-6 membered cyclic hydrocarbon group, group Q² is preferably with optionally substituted divalent saturated or unsaturated 5-7 membered heterocyclic group or optionally substituted divalent saturated or unsaturated 5-6 membered cyclic hydrocarbon group, as group Q¹-Q²-, it can nominate as preferred example of 4-(4-pyridyl) phenyl group, 4-(2-pyridyl) phenyl group, 5-(4-pyridyl) thiazolyl group, 1-(4-pyridyl) piperidinyl group, 4-(4-pyridyl) piperidyl group, 4-hydroxy-1-(4-pyridyl) piperidin-4-yl group, biphenyl group, 4-(2-amino sulphonyl phenyl) phenyl group, 4-(2-amidino phenyl) phenyl group, 4-(2-methyl sulphonyl phenyl) phenyl group, 4-(2-aminomethyl phenyl) phenyl group, 4-(2-carbamoyl phenyl) phenyl group, 4-(2-imidazolyl) phenyl group, 4-(1-methyl-2-imidazolyl) phenyl group, 4-(2,3,4,5-tetrahydropyrimidine-2-yl) phenyl group, 4-(1-methyl-2,3,4,5-tetrahydropyrimidine-2-yl) phenyl group, 4-(5-tetrazolyl) phenyl group, 4-(4-pyridyl) piperidin-4-yl group, 3-(4-piperidyl) isoxazolinone-5-yl group, 3-(4-amidino phenyl) isoxazolinone-5-yl group, 3-(4-piperidyl) iso oxazolidin-5-yl group, 3-(4-amidino phenyl) iso oxazolidin-5-yl group, 2-(4-piperidyl)-1,3,4-thiadiazol-5-yl group, 2-(4-aminophenyl)-1,3,4-oxadiazol-5-yl group, 4-(4-piperidyl) piperidin-1-yl group, 4-(4-piperidyl) piperazin-1-yl group, 4-(4-piperazinyl) piperazin-1-yl group, 1-(4-pyrimidinyl) piperidin-1-yl group, 1-(2-methylprimidine-4-yl) piperidin-4-yl group, 1-(4-pyrimidinyl) pyrrolidin-3-yl group, 1-(4-methylprimidine-6-yl) piperazin-4-yl group, 1-(2-methylprimidine-4-yl) pyrrolidin-4-yl group, 1-(6-chloropyrimidine-4-yl) piperidin-4-yl group, 5-(4-chlorophenyl) thiophen-2-yl group, 2-(4-chlorophenyl) thiazol-4-yl group, 3-(4-chlorophenyl)-1H-pyrrole-2-yl group, 4-(4-pyrimidinyl) phenyl group, 4-(4-imidazolyl) phenyl group or the like.

About group Q³, group Q³ following group

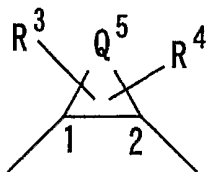


(in this group, Q^5 denotes 1-8 C alkylene group, 2-8 C alkenylene group or group-(CH_2) $_m$ - CH_2 -A- CH_2 -(CH_2) $_n$ -) (in this group, m and n each independently denote an integer of 0, 1-3, and A denotes oxygen atom, nitrogen atom, sulfur atom, -SO-, -SO₂-, -NH-, -CO-NH-, -NH-NH-, -S-NH-, -SO-NH- or SO-NH-, and 1 and 2 denote position).

On carbon atom on ring including Q^5 , R^3 and R^4 , nitrogen atom or sulfur atom substitute it, each independently, hydrogen atom, hydroxy group, alkyl group, alkenyl group, alkynyl group, halogen atom, halogeno alkyl group, cyano group, cyano alkyl group, amino group, amino alkyl group, N-alkylamino alkyl group, N,N-dialkylaminoalkyl group, acyl group, acyl alkyl group, optionally substituted acylimino group, alkoxyimino group, hydroxyimino group, acylamino alkyl group, alkoxy group, alkoxyalkyl group, hydroxyalkyl group, carboxyl group, carboxyalkyl group, alkoxy-carbonyl group, alkoxy-carbonyl alkyl group, alkoxy-carbonyl alkylamino group, carboxyalkyl amino group, alkoxy-carbonylamino group, alkoxy-carbonylamino alkyl group, carbamoyl group, N-alkylcarbamoyl group which may contain substituent on alkyl group, N,N-dialkyl carbamoyl group which may contain substituent on alkyl group, N-alkenyl carbamoyl group, N-alkenyl carbamoyl alkyl group, n-alkenyl-N-alkylcarbamoyl group, N-alkenyl-N-alkylcarbamoyl alkyl group, N-alkoxy carbamoyl group, N-alkyl-N-alkoxy carbamoyl group, N-alkoxy carbamoyl alkyl group, N-alkyl-N-alkoxy carbamoyl alkyl group, amino group optionally - substituted by 1-3 alkyl group, alkylsulfonyl group, alkylsulfonyl alkyl group, optionally substituted 3-6 membered heterocyclic carbonyl group, carbamoyl alkyl group, N-alkylcarbamoyl alkyl group which may contain substituent on alkyl group, N,N-dialkyl carbamoyl alkyl group which may contain substituent on alkyl group, carbamoyloxy alkyl group, n-alkylcarbamoyloxy alkyl group, N,N-dialkyl carbamoyloxy alkyl group, optionally substituted 3-6 membered heterocyclic carbonyl alkyl group, optionally substituted 3-6 membered heterocyclic carbonyl oxy alkyl group, aryl group, aralkyl group, heteroaryl group, heteroaryl alkyl group, alkylsulfonyl amino group, arylsulfonylamino group, alkylsulfonyl amino alkyl group, arylsulfonylamino alkyl group, alkylsulfonyl aminocarbonyl group, arylsulfonylamino carbonyl group, alkylsulfonyl aminocarbonyl alkyl group, arylsulfonylamino carbonyl alkyl group, oxo group, carbamoyloxy group, aralkyloxy group, carboxyalkyl oxy group, acyl oxy group, acyloxyalkyl group, aryl sulphonyl group, alkoxy-carbonyl alkylsulfonyl group, carboxyalkyl sulphonyl group,

alkoxycarbonyl acyl group, alkoxyalkyl oxycarbonyl group, hydroxy acyl group, alkoxy acyl group, halogeno acyl group, carboxy acyl group, aminoacyl group, n,N-dialkyl carbamoyl acyl group which may contain substituent on acyloxyacyl group, acyloxyalkyl sulphonyl group, hydroxyalkyl sulphonyl group, alkoxyalkyl sulphonyl group, optionally substituted 3-6 membered heterocyclic sulphonyl group, N-alkylamino acyl group, N,N-dialkylamino acyl group, alkyl group, n,N-dialkyl carbamoyl alkylsulfonyl group or alkylsulfonyl acyl group which may contain substituent on alkyl group is denoted or R^3 and R^4 bond together and denote 1-5 C alkylene group, 2-5 C alkenylene group, 1-5 C alkylene dihydroxy group or carbonyldioxy group).

About following group, it is described in greater detail.



(in this group, Q^5 , R^3 and R^4 have the same aforesaid meanings, and 1 and 2 denote position).

Part of cyclic structure including aforesaid group Q^5 is 3-10 membered divalent cyclic hydrocarbon group which may contain 1 double bond or 5-12 membered divalent heterocyclic group containing 1-2 heteroatom. However, 3-8 membered divalent cyclic hydrocarbon group or 5-8 membered divalent heterocyclic group is preferred, and 5-7 membered divalent cyclic hydrocarbon group or 5-7 membered divalent heterocyclic group is more preferred. Wherein the one that Q^5 is 3-6C alkylene group or group- $(CH_2)_m-CH_2-A-CH_2-(CH_2)_n$ -(in this group, m and n each independently denote 0 or 1, and A is the same as above) are preferred. The those that Q^5 in particular is 4C alkylene group are preferred.

Moreover, this cyclic hydrocarbon group or heterocyclic group can take cis and trans configuration in relation of 1 and 2 position, but trans is preferred in cases where 5 membered and is preferred with cis and both of trans in cases where 6-7 membered.

In aforesaid substituent R^3 and R^4 are described in greater detail it. Halogen atom denotes a fluorine atom, chlorine atom, bromine atom, iodine atom. As alkyl group, the thing which straight chained, branched chain or cyclic C_1-C_6 alkyl group (for example methyl group, cyclopropyl group, isobutyl

group or the like) was proposed, and halogen atom of 1-3 substituted aforesaid alkyl group for as halogeno alkyl group (for example chloromethyl group, 1-bromoethyl group, trifluoromethyl group or the like) is nominated. As cyano alkyl group, the thing which cyano group of 1 substituted the aforesaid C₁-C₆ alkyl group for (for example cyanomethyl group, 1-cyanoethyl group or the like) is nominated. As far as alkenyl group is concerned, those of branched or straight chain carbon number 2-6 to contain double bond 1 (for example vinyl group, allyl group or the like) are nominated. As far as alkynyl group is concerned, those of branched or straight chain carbon number 2-6 to contain triple bond 1 (for example ethynyl group, propynyl group or the like) are nominated. As acyl group, the aryl alkanoyl group which C₆-C₁₄ aryl group 1 substituted to alkanoyl group of C₁-C₆ (for example formyl group, acetyl group or the like), C₇-C₁₅ aroyl group such as for example benzoyl group, naphthoyl group and the like or aforesaid C₁-C₆ alkanoyl group (for example phenacetyl group or the like) is nominated. As acyl alkyl group, the those which 1 of aforesaid acyl group substituted the aforesaid C₁-C₆ alkyl group for (for example acetyl methyl group or the like) are nominated. As alkoxy group, straight chained, branched chain or cyclic C₁-C₆ alkoxy group (for example methoxy group, cyclo propoxy group, isopropoxy group or the like) is nominated. As alkoxyalkyl group, the those which 1 of aforesaid C₁-C₆ alkoxy group substituted the aforesaid C₁-C₆ alkyl group for (for example methoxymethyl group, ethoxymethyl group or the like) are nominated. As hydroxyalkyl group, the thing which 1 hydroxy group substituted the aforesaid C₁-C₆ alkyl group for (for example hydroxymethyl group, 1-hydroxyethyl group or the like) is nominated. As carboxyalkyl group, the thing which carboxyl group of 1 substituted the aforesaid C₁-C₆ alkyl group for (for example carboxymethyl group, 1-carboxyethyl group or the like) is nominated. As alkoxy carbonyl group, radical consisting of the aforesaid C₁-C₆ alkoxy group and carbonyl group (for example methoxycarbonyl group, ethoxycarbonyl group or the like) is nominated. As alkoxy carbonyl alkyl group, the those which 1 of aforesaid alkoxy carbonyl group substituted the aforesaid C₁-C₆ alkyl group for (for example methoxycarbonylethyl group, ethoxycarbonyl ethyl group or the like) are nominated. As carbamoyl alkyl group, the radical that carbamoyl group was substituted to the aforesaid C₁-C₆ alkyl group (for example carbamoylmethyl group, carbamoylethyl group) is nominated.

As far as heteroaryl group is concerned, same groups as heteroaryl group existing with demonstration of Q⁴ of general formula (1) is nominated. As far as heteroaryl alkyl group is concerned, the those which 1 of aforesaid heteroaryl group substituted aforesaid C₁-C₆ alkyl group for (for example thienylmethyl

group, pyridyl ethyl group or the like) are nominated. As far as aryl is concerned, one of carbon number 6-14 such as for example phenyl group, naphthyl group and the like is proposed, and, to aryl group, 1-3 groups selected from aforesaid C₁-C₆ alkyl group, aforesaid C₁-C₆ alkanoyl group, hydroxy group, nitro group, cyano group, halogen atom, aforesaid C₂-C₆ alkenyl group, aforesaid C₂-C₆ alkynyl group, aforesaid C₁-C₆ halogeno alkyl group, aforesaid C₁-C₆ alkoxy group, carboxy-group, carbamoyl group, aforesaid C₁-C₆ alkoxy-carbonyl group may substitute it. The those which 1 of aforesaid C₆-C₁₄ aryl group substituted aforesaid C₁-C₆ alkyl group for as aralkyl group (for example benzyl group, phenethyl group or the like) are nominated. Moreover, in aforesaid explanation, site of substitution is not restricted in particular. Other than the one which aforesaid C₁-C₆ acyl group substituted amino group for as the acylimino-group which might contain substituent (for example formyl amino group, acetylamino group or the like), the acyl group that halogen atom, hydroxy group, C₁-C₆ alkoxy group, amino group, N-C₁-C₆ alkylamino group, N,N-di-C₁-C₆ alkylamino group, carboxyl group, C₂-C₆ alkoxy-carbonyl group and the like substituted 1 to multiple pieces on acyl group (for example 2-methoxyacetyl amino group, 3-amino propionyl amino group or the like) is nominated. As acylamino alkyl group, the thing which the aforesaid C₁-C₆ acylimino-group substituted aforesaid C₁-C₆ alkyl group for (for example formyl aminomethyl group, acetylamino methyl group or the like) is nominated. As amino alkyl group, the thing which amino group substituted for 1 to the aforesaid C₁-C₆ alkyl group (for example aminomethyl group, 1-amino ethyl group or the like) is nominated. As N-alkylamino alkyl group, the those which 1 of C₁-C₆ alkyl group on nitrogen atom of amino-C₁-C₆ alkyl group substituted (for example N-methylaminomethyl group, N-methylamino ethyl group or the like) are nominated. The one which C₁-C₆ alkyl group 2 on nitrogen atom of amino-C₁-C₆ alkyl group substituted as N,N-dialkylaminoalkyl group (for example N,N-dimethylaminomethyl group, N-ethyl-N-methylamino ethyl group or the like) is nominated. As N-alkenyl carbamoyl group, the thing which straight or branched chain C₂-C₆ alkenyl group substituted carbamoyl group for (for example allyl carbamoyl group or the like) is nominated. As N-alkenyl carbamoyl alkyl group, the thing which aforesaid N-C₂-C₆ alkenyl carbamoyl group substituted C₁-C₆ alkyl group for (for example allyl carbamoylethyl group or the like) is nominated. As N-alkenyl-N-alkylcarbamoyl group, the thing which straight or branched chain C₁-C₆ alkyl group on nitrogen atom of aforesaid N-C₂-C₆ alkenyl carbamoyl group substituted (for example N-allyl-N-methylcarbamoyl group or the like) is nominated. As N-alkenyl-N-alkylcarbamoyl alkyl group, the thing which straight or branched chain C₁-C₆ alkyl group on nitrogen atom of aforesaid NMC₂-C₆ alkenyl carbamoyl alkyl group substituted (for example N-allyl-N-methylcarbamoyl methyl group or the like) is

nominated. As N-alkoxy carbamoyl group, the thing which straight or branched chain C₁-C₆ alkoxy group substituted carbamoyl group for (for example methoxy carbamoyl group or the like) is nominated.

As N-alkoxy carbamoyl alkyl group, the thing which aforesaid N-C₁-C₆ alkoxy carbamoyl group substituted straight or branched chain C₁-C₆ alkyl group for (for example methoxy carbamoylmethyl group or the like) is nominated. As N-alkyl-N-alkoxy carbamoyl group, the thing which straight or branched chain C₁-C₆ alkoxy group and C₁-C₆ alkyl group substituted carbamoyl group for (for example N-ethyl-N-methoxy carbamoyl group or the like) is nominated. As N-alkyl-N-alkoxy carbamoyl alkyl group, the thing which aforesaid N-C₁-C₆ alkyl-N-C₁-C₆ alkoxy carbamoyl group substituted straight or branched chain C₁-C₆ alkyl group for (for example N-ethyl-N-methoxy carbamoylmethyl group or the like) is nominated. As amino group optionally-substituted by alkyl group of 1-3, other than carbazoyl group, the carbazoyl radical which straight or branched chain C₁-C₆ alkyl group of 1-3 substituted (for example 1-methyl carbazoyl group, 1,2-dimethyl carbazoyl group or the like) is nominated. As alkylsulfonyl group, straight chained, branched chain or cyclic C₁-C₆ alkylsulfonyl radical (for example methanesulphonyl group or the like) is nominated. As alkylsulfonyl alkyl group, the thing which aforesaid C₁-C₆ alkylsulfonyl group substituted straight or branched chain C₁-C₆ alkyl group for (for example methanesulphonyl methyl group or the like) is nominated. As alkoxyimino group, C₁-C₆ alkoxyimino radical (for example methoxyimino group, ethoxy imino group or the like) is nominated. As alkoxy-carbonyl alkylamino group, the those which 1 of aforesaid C₁-C₆ alkoxy-carbonyl alkyl group substituted amino group for (for example methoxycarbonylmethyl amino group, ethoxycarbonyl propylamino group or the like) are nominated. As carboxyalkyl amino group, the one how aforesaid carboxy C₁-C₆ alkyl group substituted for 1 to amino group (for example carboxymethyl amino group, carboxyethyl amino group or the like) is proposed. The those which 1 of aforesaid C₁-C₆ alkoxy-carbonyl group substituted amino group for as alkoxy-carbonylamino group (for example methoxycarbonylamino group, tert butoxycarbonyl amino group or the like) are nominated. As alkoxy-carbonylamino alkyl group, the thing which aforesaid C₁-C₆ alkoxy-carbonylamino group 4 substituted aforesaid alkyl group (for example methoxycarbonylamino methyl group, butoxycarbonyl amino ethyl group or the like) is nominated. N-alkylcarbamoyl group which may contain substituent on alkyl group denotes carbamoyl group substituted by straight, branched chain or cyclic C₁-C₆ alkyl group which may be substituted by hydroxy group, amino group, N-C₁-C₆ alkylamino group, amidino group, halogen atom, carboxyl group, cyano group, carbamoyl group, C₁-C₆ alkoxy group, C₁-C₆ alkanoyl

group, C₁-C₆ alkanoyl amino group, C₁-C₆ alkylsulfonyl amino group and the like, and for example N-methylcarbamoyl group, N-ethyl carbamoyl group, N-isopropyl carbamoyl group, N-cyclopropyl carbamoyl group, N-(2-hydroxyethyl) carbamoyl group, N-(2-fluoroethyl) carbamoyl group, N-(2-cyanoethyl) carbamoyl group, N-(2-methoxyethyl) carbamoyl group, N-carboxymethyl carbamoyl group, N-(2-amino ethyl) carbamoyl group, N-(2-amidino ethyl) carbamoyl group or the like are nominated. N,N-dialkyl carbamoyl group which may contain substituent on alkyl group denotes carbamoyl group substituted by two of straight, branched chain or cyclic C₁-C₆ alkyl group which may be substituted by hydroxy group, amino group, N-C₁-C₆ alkylamino group, amidino group, halogen atom, carboxyl group, cyano group, carbamoyl group, C₁-C₆ alkoxy group, C₁-C₆ alkanoyl group, C₁-C₆ alkanoyl amino group, C₁-C₆ alkylsulfonyl amino group and the like, and for example N,N-dimethylcarbamoyl group, N,N-diethylcarbamoyl group, N-ethyl-N-methylcarbamoyl group, N-isopropyl-N-methylcarbamoyl group, N-(2-hydroxyethyl)-N-methylcarbamoyl group, N,N-bis (2-hydroxyethyl) carbamoyl group, N,N-bis (2-fluoroethyl) carbamoyl group, N-(2-cyanoethyl)-N-methylcarbamoyl group, N-(2-methoxyethyl)-N-methylcarbamoyl group, N-carboxymethyl-N-methylcarbamoyl group, N,N-bis (2-amino ethyl) carbamoyl group or the like are nominated. As N-alkylcarbamoyl alkyl group which may contain substituent on alkyl group, the one in which N-alkylcarbamoyl group which may contain substituent on aforesaid C₁-C₆ alkyl group is substituted on straight or branched chain C₁-C₆ alkyl group (for example N-methylcarbamoyl methyl group, N-[2-hydroxyethyl] carbamoylmethyl group or the like) is nominated. As N,N-dialkyl carbamoyl alkyl group which may contain substituent on alkyl group, the one in which N,N-dialkyl carbamoyl group which may contain substituent on aforesaid C₁-C₆ alkyl group is substituted on straight or branched chain C₁-C₆ alkyl group (for example N,N-dimethylcarbamoylmethyl group, N-[2-hydroxyethyl]-N-methylcarbamoyl methyl group or the like) is nominated. 3-6 membered heterocycle carbonyl group which may have substituent is a group constituted from saturated or unsaturated heterocyclic ring and carbonyl group, and heterocyclic ring denotes 3-6 membered heterocyclic ring which may include 1-3 heteroatom (nitrogen atom, oxygen atom, sulfur atom and the like), and the heterocyclic ring may have substituent such as hydroxy group, halogen atom, amino group, C₁-C₆ alkyl group and the like, and as embodiments, aziridinyl carbonyl group, azetidiny carbonyl group, 3-hydroxy azetidiny carbonyl group, 3-methoxy azetidiny carbonyl group, pyrrolidinylcarbonyl group, 3-hydroxy pyrrolidinylcarbonyl group, 3-fluoro pyrrolidinylcarbonyl group, piperidinyl carbonyl group, piperazinyl carbonyl group, morpholinyl carbonyl group, tetrahydropyranyl carbonyl group, pyridyl carbonyl group, furoyl group,

thiophenecarbonyl group and the like are nominated. As 3-6 membered heterocyclic carbonyl alkyl group which may have substituent, the one in which one of aforesaid 3-6 membered heterocyclic carbonyl group which may contain substituent is substituted on aforesaid C₁-C₆ alkyl group (for example azetidiny carbonyl methyl group, pyrrolidinylcarbonyl ethyl group or the like) is nominated. As 3-6 membered heterocyclic carbonyl oxy alkyl group which may have substituent, the one in which one of 3-6 membered heterocycle carbonyl oxy group which is constituted from 3-6 membered heterocycle carbonyl group which may contain aforesaid substituent and oxygen atom is substituted on aforesaid C₁-C₆ alkyl group (for example piperidinyl carbonyl oxy ethyl group, morpholinyl carbonyl oxymethyl group or the like) is nominated. As carbamoyloxy alkyl group, the one in which one carbamoyloxy group consisted from carbamoyl group and oxygen atom is substituted on aforesaid C₁-C₆ alkyl group (for example carbamoyloxy methyl group, carbamoyloxy ethyl group or the like) is nominated. As N-alkylcarbamoyloxy alkyl group, the one in which one N-alkylcarbamoyloxy group constituted from N-alkylcarbamoyl group which may contain substituent on aforesaid C₁-C₆ alkyl group and oxygen atom is substituted on aforesaid C₁-C₆ alkyl group (for example N-methylcarbamoyloxymethyl group, N-methylcarbamoyloxy ethyl group or the like) is nominated. As N,N-dialkyl carbamoyloxy alkyl group, the one in which one N,N-dialkyl carbamoyloxy group constructed from N,N-dialkyl carbamoyl group which may contain substituent on aforesaid C₁-C₆ alkyl group and oxygen atom is substituted to aforesaid C₁-C₆ alkyl group (for example N,N-dimethylcarbamoyloxymethyl group, N-ethyl-N-methylcarbamoyloxy ethyl group or the like) is nominated. As alkylsulfonyl amino group, the one in which one alkylsulfonyl group containing the aforesaid C₁-C₆ alkyl group is substituted on amino group (for example methylsulphonylamino group, isopropyl sulfonyl amino group or the like) is nominated. As far as arylsulfonylamino radical is concerned, the thing which aryl sulphonyl group 1 to contain aforesaid aryl group substituted amino group for (for example phenylsulfonyl amino group, naphthyl sulfonyl amino group or the like) is nominated. As alkylsulfonyl amino alkyl group, the those which 1 of aforesaid C₁-C₆ alkylsulfonyl amino group substituted the aforesaid C₁-C₆ alkyl group for (for example methylsulphonylamino methyl group, methylsulphonylamino ethyl group or the like) is nominated. As far as arylsulfonylamino alkyl group is concerned, the those which of aforesaid arylsulfonylamino group substituted aforesaid C₁-C₆ alkyl group for (for example phenylsulfonyl aminomethyl group, naphthyl sulfonyl amino ethyl group or the like) are nominated. As alkylsulfonyl aminocarbonyl group, radical consisting of the aforesaid C₁-C₆ alkylsulfonyl amino group and carbonyl group (for example methylsulphonylamino carbonyl group, isopropyl sulfonyl aminocarbonyl group or the like) is

nominated. As far as arylsulfonylamino carbonyl group is concerned, radical consisting of aforesaid arylsulfonylamino group and carbonyl group (for example phenylsulfonyl aminocarbonyl group, naphthyl sulfonyl aminocarbonyl group or the like) is nominated. As alkylsulfonyl aminocarbonyl alkyl group, the thing which the aforesaid C₁-C₆ alkylsulfonyl aminocarbonyl group substituted aforesaid C₁-C₆ alkyl group for (for example methylsulphonylamino carbonyl methyl group, isopropyl sulfonyl aminocarbonyl methyl group or the like) is nominated. As far as arylsulfonylamino carbonyl alkyl group is concerned, the thing which aforesaid arylsulfonylamino carbonyl group substituted aforesaid C₁-C₆ alkyl group for (for example phenylsulfonyl aminocarbonyl methyl group, naphthyl sulfonyl aminocarbonyl methyl group or the like) is nominated. Acyl oxy group denotes group consisting of aforesaid acyl group and oxygen atom (for example formyloxy group, acetyl oxy group or the like). As acyloxyalkyl group, the thing which aforesaid acyl oxy group substituted the aforesaid C₁-C₆ alkyl group for (for example formyloxy methyl group, acetyl oxymethyl group or the like) is nominated. As aralkyloxy group, the radical which aforesaid aryl group substituted aforesaid C₁-C₆ alkoxy group for (for example benzyloxy group, naphthyl methoxy group or the like) is nominated.

As carboxyalkyl oxy group, the thing which carboxyl group substituted on aforesaid alkoxy group (for example carboxymethoxy group, carboxy ethoxy group or the like) is nominated.

As far as furylsulphonyl radical is concerned, C₆-14 aryl sulphonyl group (for example phenylsulfonyl group, naphthyl sulphonyl group or the like) is nominated. As alkoxy carbonyl alkylsulfonyl group, radical consisting of the aforesaid C₁-C₆ alkoxy carbonyl alkyl group and sulphonyl group (for example methoxycarbonyl ethyl sulphonyl group, ethoxycarbonyl ethylsulfonyl group or the like) is nominated. As carboxyalkyl sulphonyl group, radical consisting of aforesaid carboxyalkyl group and sulphonyl group (for example carboxymethyl sulphonyl group, carboxyethyl sulphonyl group or the like) is nominated. As alkoxy carbonyl acyl group, radical consisting of aforesaid alkoxy carbonyl alkyl group and carbonyl group (for example methoxycarbonylmethyl carbonyl group, ethoxycarbonylmethyl carbonyl group or the like) is nominated. As alkoxyalkyl oxycarbonyl group, the thingone in which the aforesaid C₁-C₆ alkoxy group 1 substituted aforesaid alkoxy carbonyl group for (for example methoxymethyl oxycarbonyl group, methoxyethyl oxycarbonyl group or the like) is nominated. As hydroxy acyl group, the thing which hydroxy group 1 substituted aforesaid acyl group (C₁-C₆ alkanoyl and aroyl) (for example glycoloyl group, lactoyl group, benzyloyl group or the like) is nominated. As alkoxy acyl group,

the one in which one of aforesaid C₁-C₆ alkoxy group is substituted on aforesaid acyl group for (for example methoxyacetyl group, ethoxy acetyl group or the like) is nominated. As halogeno acyl group, group consisting of aforesaid halogeno alkyl group and carbonyl group (for example b \$\$\$\$\$\$\$\$ group, trifluoromethyl carbonyl group or the like) is nominated. As carboxy acyl group, the thing which carboxy-group 1 substituted aforesaid acyl group for (for example carboxy acetyl group, 2-carboxy propionyl group or the like) is nominated. As aminoacyl group, the thing which amino group 1 substituted aforesaid acyl group (C₁-C₆ alkanoyl and aroyl are being included) for (for example aminomethyl carbonyl group, 1-amino ethyl carbonyl group or the like) is nominated. As acyloxyacyl group, radical consisting of aforesaid acyloxyalkyl group and carbonyl group (for example formyloxy methyl carbonyl group, acetyl oxymethyl carbonyl group or the like) is nominated. As acyloxyalkyl sulphonyl group, radical consisting of aforesaid acyloxyalkyl group and sulphonyl group (for example formyloxy methylsulfonyl group, acetyl oxymethyl sulphonyl group or the like) is nominated. As hydroxyalkyl sulphonyl group, radical consisting of the aforesaid C₁-C₆ hydroxyalkyl group and sulphonyl group (for example hydroxymethyl sulphonyl group, 1-hydroxyethyl sulphonyl group or the like) is nominated. As alkoxyalkyl sulphonyl group, radical consisting of the aforesaid C₁-C₆ alkoxyalkyl group and sulphonyl group (for example methoxymethyl sulphonyl group, ethoxyethyl sulphonyl group or the like) is nominated. As optionally substituted 3-6 membered heterocyclic sulphonyl group, radical consisting of 3-6 membered heterocycle and the sulphonyl group which may contain aforesaid substituent (for example aziridinyl sulphonyl group, azetidiny sulphonyl group, pyrrolidinyl sulphonyl group, piperidinyl sulphonyl group, piperazinyl sulphonyl group, morpholinyl sulphonyl group, tetrahydropyranyl sulphonyl group or the like) is nominated. As N-alkylamino acyl group, the thing which aforesaid C₁-C₆ alkyl group on nitrogen atom of aforesaid aminoacyl group substituted for 1 (for example N-methylamino acetyl group, N-ethylamino acetyl group or the like) is nominated. As N,N-dialkylamino acyl group, the one how in which two of aforesaid C₁-C₆ alkyl group are substituted on nitrogen atom of aforesaid aminoacyl group (for example N,N-dimethylamino acetyl group, N-ethyl-N-methylamino acetyl group or the like) is proposed. As N,N-dialkyl carbamoyl acyl group which may contain substituent on alkyl group, it is nominated the one in which N,N-dialkyl carbamoyl group which may contain substituent on aforesaid C₁-C₆ alkyl group is substituted on aforesaid acyl group (for example N,N-dimethylcarbamoyl acetyl group, N,N-diethylcarbamoyl acetyl group, N-ethyl-N-methylcarbamoyl acetyl group or the like). As N,N-dialkyl carbamoyl alkylsulfonyl group which may contain substituent on alkyl group, group consisting of N,N-dialkyl carbamoyl group

and the sulphonyl group which may contain substituent on aforesaid C₁-C₆ alkyl group (for example N,N-dimethylcarbamoylmethyl sulphonyl group, N-[2-hydroxyethyl]-N-methylcarbamoyl methylsulfonyl group or the like) is proposed. The thing which alkylsulfonyl group 1 to contain aforesaid C₁-C₆ alkyl group as alkylsulfonyl acyl group substituted acyl group for (for example methylsulfonyl acetyl group, isopropyl sulfonyl acetyl group or the like) is nominated.

As alkylene group, branched or straight chain alkylene group of carbon number 1-5 is denoted, and for example, methylene group, ethylene group, propylene group or the like is nominated. As alkenylene group, it is 2-5C alkenylene group that 1 contains double bond, and for example, vinylene group, propenylene group or the like are proposed. For example, as alkylene dihydroxy group, a thing of carbon number 1-5 such as for example methylenedioxy group, ethylenedioxy group, propylene dihydroxy group and the like is nominated. Carbonyldioxy group is radical represented by-CO-C(=O)-O-. Moreover, in aforesaid explanation, position of substitution is not restricted in particular.

Among these substituents represented by R³ and R⁴, hydrogen atom, hydroxy group, alkyl group, alkenyl group, alkynyl group, halogen atom, halogeno alkyl group, amino group, hydroxyimino group, alkoxyimino group, amino alkyl group, N-alkylamino alkyl group, N,N-dialkylaminoalkyl group, acyl group, acyl alkyl group, acylimino-group which may have substituent, acylamino alkyl group, alkoxy group, alkoxyalkyl group, hydroxyalkyl group, carboxyl group, carboxyalkyl group, alkoxy-carbonyl group, alkoxy-carbonyl alkyl group, alkoxy-carbonylamino group, alkoxy-carbonylamino alkyl group, carbamoyl group, N-alkylcarbamoyl group which may contain substituent on alkyl group, N,N-dialkyl carbamoyl group which may contain substituent on alkyl group, N-alkenyl carbamoyl group, N-alkenyl carbamoyl alkyl group, N-alkenyl-N-alkylcarbamoyl group, N-alkenyl-N-alkylcarbamoyl alkyl group, N-alkoxy carbamoyl group, N-alkyl-N-alkoxy carbamoyl group, N-alkoxy carbamoyl alkyl group, N-alkyl-N-alkoxy carbamoyl alkyl group, carbazoyl group optionally-substituted by 1-3 alkyl group, alkylsulfonyl group, alkylsulfonyl alkyl group, 3-6 membered heterocyclic carbonyl group which may have substituent, 3-6 membered heterocyclic carbonyl oxy alkyl group which may have substituent, carbamoyl alkyl group, carbamoyloxy alkyl group, N-alkylcarbamoyloxy alkyl group, N,N-dialkyl carbamoyloxy alkyl group, N-alkylcarbamoyl alkyl group which may contain substituent on alkyl group, N,N-dialkyl carbamoyl alkyl group which may contain substituent on alkyl group, alkylsulfonyl amino group, alkylsulfonyl amino alkyl group, oxo group, acyl oxy group, acyloxyalkyl group, aryl sulphonyl

group, alkoxycarbonyl alkylsulfonyl group, carboxyalkyl sulphonyl group, alkoxycarbonyl acyl group, carboxy acyl group, alkoxyalkyl oxycarbonyl group, halogeno acyl group, N,N-dialkylamino acyl group, acyloxyacyl group, hydroxy acyl group, alkoxy acyl group, alkoxyalkyl sulphonyl group, N,N-dialkyl carbamoyl acyl group, N,N-dialkyl carbamoyl alkylsulfonyl group, alkylsulfonyl acyl group and the like are preferred, and moreover alkylene group, alkenylene group, alkylene dihydroxy group, carbonyldioxy group and the like which R³ and R⁴ linked together are preferred.

As R³ and R⁴, the case in which R³ is hydrogen atom and R⁴ is substituent which is nominated as aforesaid preferred group is preferred. N,N-dialkyl carbamoyl group which may contain substituent on N-alkylcarbamoyl group, the alkyl group which may contain substituent on hydrogen atom, hydroxy group, alkyl group, halogen atom, hydroxyimino group, N-alkylamino alkyl group, N,N-dialkylaminoalkyl group, acyl group, optionally substituted acylimino-group, acylamino alkyl group, alkoxy group, alkoxyalkyl group, hydroxyalkyl group, carboxyl group, alkoxycarbonyl group, alkoxycarbonyl alkyl group, alkoxycarbonylamino group, carbamoyl group, alkyl group more preferred group as R⁴ in this case, n-alkenyl carbamoyl group, N-alkenyl carbamoyl alkyl group, Nh alkenyl-N-alkylcarbamoyl group, N-alkenyl-N-alkylcarbamoyl alkyl group, N-alkoxy carbamoyl group, N-alkyl-N-alkoxy carbamoyl group, N m alkyl-N-alkoxy carbamoyl alkyl group, amino group optionally-substituted by 1-3 alkyl group, alkylsulfonyl group, alkylsulfonyl alkyl group, optionally substituted 3-6 membered heterocyclic carbonyl group, optionally substituted 3-6 membered heterocyclic carbonyl oxy alkyl group, carbamoyl alkyl group, N,N-dialkyl carbamoyloxy alkyl group, N-alkylcarbamoyl alkyl group which may contain substituent on alkyl group, N,N-dialkyl carbamoyl alkyl group which may contain substituent on alkyl group, alkylsulfonyl amino group, alkylsulfonyl amino alkyl group, acyl oxy group, aryl sulphonyl group, alkoxycarbonyl alkylsulfonyl group, carboxyalkyl sulphonyl group, alkoxycarbonyl acyl group, carboxy acyl group, alkoxyalkyl oxycarbonyl group, halogeno acyl group, N,N-dialkylamino acyl group, acyloxyacyl group, hydroxy acyl group, alkoxy acyl group, alkoxyalkyl sulphonyl group, N,N-dialkyl carbamoyl acyl group, N,N-dialkyl carbamoyl alkylsulfonyl group, alkylsulfonyl acyl group and the like are nominated.

Moreover, as the group which is in particular preferred as R⁴ of among these groups hydrogen atom, hydroxy group, alkyl group, N,N-dialkylaminoalkyl group, optionally substituted acylimino-group, acylamino alkyl group, alkoxy group, alkoxyalkyl group, hydroxyalkyl group, alkoxycarbonyl group,

alkoxycarbonylamino group, carbamoyl group, N-alkylcarbamoyl group which may contain substituent on alkyl group, N,N-dialkyl carbamoyl group which may contain substituent on alkyl group, N-alkenyl carbamoyl group, N-alkenyl carbamoyl alkyl group, N-alkenyl-N-alkylcarbamoyl group, N-alkenyl-N-alkylcarbamoyl alkyl group, N-alkyl-N-\$\$\$\$\$\$\$\$\$ \$, amino group optionally-substituted by 1-3 alkyl group, alkylsulfonyl group, alkylsulfonyl alkyl group, optionally substituted 3-6 membered heterocyclic carbonyl group, N,N-dialkyl carbamoyloxy alkyl group, N-alkylcarbamoyl alkyl group which may contain substituent on alkyl group, N,N-dialkyl carbamoyl alkyl group which may contain substituent on alkyl group, alkylsulfonyl amino group, alkylsulfonyl amino alkyl group, acyl oxy group, acyl group, alkoxyalkyl oxycarbonyl group, halogeno acyl group, N,N-dialkylamino acyl group, hydroxy acyl group, alkoxy acyl group are nominated.

As example of preferred embodying substituent of R³ and R⁴, hydrogen atom, hydroxy group, methyl group, ethyl group, isopropyl group, N,N-dimethylaminomethyl group, N,N-dimethylaminoethyl group, N,N-diethylamino methyl group, acetylamino group, methoxyacetyl amino group, acetylamino methyl group, acetylamino ethyl group, methoxy group, ethoxy group, methoxymethyl group, methoxyethyl group, hydroxymethyl group, 2-hydroxyethyl group, 1-hydroxy-1-methylethyl group, methoxycarbonyl group, ethoxycarbonyl group, methoxycarbonylamino group, ethoxycarbonylamino group, N-allyl carbamoyl group, N-allyl carbamoylmethyl group, N-allyl-N-methylcarbamoyl group, N-allyl-N-methylcarbamoyl methyl group, N-methoxy-N-methylcarbamoyl group, N,N-dimethyl carbazoyl group, N, N, N'-trimethyl carbazoyl group, methanesulphonyl group, methanesulphonyl methyl group, ethane sulfonyl methyl group, N-methylcarbamoyl group, N-ethyl carbamoyl group, N-propyl carbamoyl group, N-isopropyl carbamoyl group, N-tert-butyl carbamoyl group, N-cyclopropyl carbamoyl group, N-cyclopropylmethyl carbamoyl group, N-(1-ethoxycarbonyl cyclopropyl) carbamoyl group, N-(2-hydroxyethyl) carbamoyl group, N-(2-fluoroethyl) carbamoyl group, N-(2-methoxyethyl) carbamoyl group, N-(carboxymethyl) carbamoyl group, N-(2-amino ethyl) carbamoyl group, n-(2-amidino ethyl) carbamoyl group, N,N-dimethylcarbamoyl group, N,N-diethylcarbamoyl group, N-ethyl-N-methylcarbamoyl group, N-isopropyl-N-methylcarbamoyl group, N-methyl-N-propyl carbamoyl group, N-(2-hydroxyethyl)-N-methylcarbamoyl group, N-(2-fluoroethyl)-N-methylcarbamoyl group, N,N-bis (2-hydroxyethyl) carbamoyl group, N,N-bis (2-fluoroethyl) carbamoyl group, N-(2-methoxyethyl)-N-methylcarbamoyl group, N-carboxymethyl-N-methylcarbamoyl group, n,N-bis (2-amino ethyl) carbamoyl group, azetidino carbonyl group, 3-methoxy azetidino carbonyl group, 3-hydroxy azetidino

carbonyl group, pyrrolidino carbonyl group, 3-hydroxy pyrrolidino carbonyl group, 3-fluoro pyrrolidino carbonyl group, 3,4-dimethoxy pyrrolidino carbonyl group, piperidino carbonyl group, piperazino carbonyl group, morpholino carbonyl group (tetrahydropyran-4-yl) carbonyl group, benzoyl group), pyridyl carbonyl group, N-methylcarbamoyl methyl group, N-methylcarbamoyl ethyl group, N-ethyl carbamoylmethyl group, N-(2-fluoroethyl) carbamoylmethyl group, N-(2-methoxyethyl) carbamoylmethyl group, N,N-dimethylcarbamoylmethyl group, N,N-dimethylcarbamoyl ethyl group, N-(2-fluoroethyl)-N-methylcarbamoyl methyl group, N-(2-methoxyethyl)-N-methylcarbamoyl methyl group, n,N-dimethylcarbamoyloxymethyl group, 2-(N-ethyl-N-methylcarbamoyloxy) ethyl group, methylsulphonylamino group, ethylsulfonyl amino group, methylsulphonylamino methyl group, methylsulphonylamino ethyl group, acetyl group, propionyl group, isobutyryl group, 2-methoxyethoxy carbonyl group, trifluoroacetyl group, N,N-dimethylamino acetyl group, N-ethyl-Nh methylamino acetyl group, hydroxyacetyl group, 1,1-dimethyl-2-hydroxyethyl carbonyl group, methoxyacetyl group, 1,1-dimethyl-2-methoxyethyl carbonyl group are nominated.

As with above-mentioned, R^3 is hydrogen atom R^3 and R^4 , and the substituent that R^4 is aforesaid embodiment is the preferred case. In particular N,N-dialkyl carbamoyl group which may contain substituent on alkyl group is preferred. Wherein N,N-dimethylcarbamoyl radical is the preferred case. Wherein, as for R^3 and R^4 , there are not any restrictions in any way to these embodying substituents.

About group T^0 , group T^0 denotes carbonyl group or thiocarbonyl group, but carbonyl group is more preferred.

About group T^1 , group T^1 carbonyl group, sulphonyl group, group $-C(=O)-C(=O)-N(R')$ -, group $-C(=S)-C(=O)-N(R')$ -, group $-C(=O)-C(=S)-N(R')$ -, group $-C(=S)-C(=S)-N(R')$ - (in this group, R' denotes hydrogen atom, hydroxy group, alkyl group or alkoxy group), group $-C(=O)-A^1-N(R'')$ - (in this group, A^1 denotes 1-5 C alkylene group which may have substituent, and R'' denotes hydrogen atom, hydroxy group, alkyl group or alkoxy group), group $-C(=O)-NH-$, group $-C(=S)-NH-$, group $-C(=O)-NH-NH-$, group $-C(=O)-A^2-C(=O)-$ (in this group, A^2 denotes single bond or 1-5 C alkylene group), group $-C(=O)-A^3-C(=O)-NH-$ (in this group, A^3 denotes 1-5 C alkylene group), group $-C(=O)-C(NOR^a)-N(R^b)-$, group $-C(=S)-C(NOR^a)-N(R^b)-$ (in this group, R^a denotes hydrogen atom, alkyl group or alkanoyl group, and R^b denotes

hydrogen atom, hydroxy group, alkyl group or alkoxy group), group $-C(=O)-N=N-$, group $-C(=S)-N=N-$ or thiocarbonyl group.

In above-mentioned group, 1-5 C alkylene group in A^1 , A^2 and A^3 denotes straight, branched or cyclic alkylene group of 1-5 C and for example, methylene group, ethylene group, propylene group, cyclopropylene group, 1,3-cyclopentylene group and the like are nominated. In R' , R'' , R^a and R^b , alkyl group denotes straight, branched or cyclic alkyl group of 1-6 C, and for example, methyl group, ethyl group or the like is nominated. As alkoxy group, straight, branched or cyclic alkoxy group of carbon number 1-6 is denoted, and for example, methoxy group, ethoxy group or the like is nominated.

In R_a , group consisting of straight, branched or cyclic C_1-6C alkyl group and carbonyl group is denoted as alkanoyl group, and for example acetyl group, propionyl group or the like is nominated.

As group T^1 , carbonyl group, group $-C(=O)-C(=O)-N(R')$ -, group $-C(=S)-C(=O)-N(R')$ -, group $-C(=O)-C(=S)-N(R')$ -, group $-C(=S)-C(=S)-N(R')$ - and group $-C(=O)-CH_2-N(R')$ - are preferred, and carbonyl group, group $-C(=O)-C(=O)-N(R')$ -, group $-C(=S)-C(=O)-N(R')$ -, group $-C(=O)-C(=S)-N(R')$ - and group $-C(=S)-C(=S)-N(R')$ - are particularly preferred.

About group R^1 and group R^2 .

R^1 and R^2 are each independently denote hydrogen atom, hydroxy group, alkyl group or alkoxy group, and it is preferably hydrogen atom or alkyl group, and hydrogen atom is even more preferred.

In R^1 and R^2 , alkyl group denotes straight, branched or cyclic alkyl group of 1-6 C, and for example, methyl group, ethyl group or the like is nominated. Alkoxy group denotes straight, branched or cyclic alkoxy group of carbon number 1-6, and for example, methoxy group, ethoxy group or the like is nominated. R^1 and R^2 are preferably each independently hydrogen atom or alkyl group, and the case which both are hydrogen atom is more preferred.

When T^1 is carbonyl group or sulphonyl group and Q^5 in group Q^3 is 1-8 C alkylene group or 2-8 C alkenylene group, Q^4 is preferably, among aforesaid 12 kinds of groups, (b), (f), (g), (h), (i), (j), (k) and

(l) (wherein, in group (f), as for N, 2 of carbon atom of ring in which R¹⁹ is substituted is substituted by nitrogen atom).

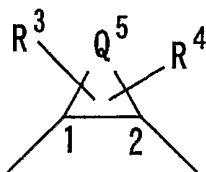
Moreover, N-alkylcarbamoyl group or N,N-dialkyl carbamoyl group is preferred as substituent on group Q⁵ when T¹ is carbonyl group or sulphonyl group and Q⁵ in group Q³ is 1-8 C alkylene group or 2-8 C alkenylene group.

When T¹ is group -C(=O)-(=O)-N(R')-, group -C(=S)-(=O)-N(R')-, group -C(=O)-(=S)-N(R')- or group -C(=S)-(=S)-N(R')-, and Q⁵ in group Q³ is 1-8C alkylene group or 2-8C alkenylene group, (i), of among 12 kinds of groups that Q⁴ is aforesaid, (i) and (k) are the preferred case.

Moreover, N-alkylcarbamoyl group or N,N-dialkyl carbamoyl group is preferred substituent on group Q⁵ when T¹ is group -C(=O)-(=O)-N(R')-, group -C(=S)-(=O)-N(R')-, group -C(=O)-(=S)-N(R')- or group -C(S)-C(=S)-N(R')-, and Q⁵ in group Q³ is 1-8C alkylene group or 2-8C alkenylene group.

It is characteristic in group T¹ and combination of group Q³, and compound, salts thereof, solventate thereof or N-oxide thereof represented by general formula (1) of this invention comprises following two § ((1) and (11)) when [subj] classifies roughly.

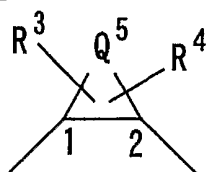
(I). When T¹ denotes carbonyl group, sulphonyl group or thiocarbonyl group, and Q³ denotes following group



(in the group, Q⁵ is group -(CH₂)_m-CH₂-A-CH₂-(CH₂)_n- (in this group, m and n each independently denote an integer of 0, 1-3 and A denotes oxygen atom, nitrogen atom, sulfur atom, -SO-, -SO₂-, -NH-, -O-NH-, -NH-NH-, -S-NH-, -SO-NH- or SO₂-NH-).

(II). The case in which T¹ denotes group -C(=O)-C(=O)-N(R')-, group -C(=S)-C(=O)-N(R')-, group -C(=O)-C(=S)-N(R')-, group -C(=S)-C(=S)-N(R')- (in this group, R' hydrogen atom, hydroxy group, alkyl

group or alkoxy group). Group-C(=O)-ALN(R")-(in this group, A optionally substituted 1-5C alkylene group is denoted, and R "denotes a hydrogen atom, hydroxy group, alkyl group or alkoxy group). Group-C(=O)-NH-, group -C(=S)-NH-, group -C(=O)-NH-NH-, group -C(=O)-A²-C(=O)-(C which in this group, denote 1-5C alkylene group whether A² is single bond) group -C(=O)-A³-C(=O)-NH-(in this group, A³ denotes a 1-5C alkylene group), group -C(=O)-C (NORa)-N(Rb)-, group -C(=S)-C (NORa)-N(Rb)-(in this group, Ra denotes a hydrogen atom, alkyl group or alkanoyl group, and Rb denotes a hydrogen atom, hydroxy group, alkyl group or alkoxy group), group -C(=O)-N=N-, group -C(=S)-N=N- or thiocarbonyl group is denoted, q 3 denotes following group



(in this group, - Q⁵ denotes 1-8 C alkylene group, 2-8 C alkenylene group or group -(CH₂)_m-CH₂-A-CH₂-(CH₂)_n-) (in this group, m and n each independently denote an integer of 0, 1-3, and A denotes oxygen atom, nitrogen atom, sulfur atom, -SO-, -SO₂-, -NH-, -O-NH-, -NH-NH-, -S-NH-, -SO-NH- or SO₂-NH-)).

In the aforesaid (I) and (II), following (i) and (ii) can preferred nominated respectively .

(i) the one in which group R¹ and group R² are each independently hydrogen atom or alkyl group, group Q¹ is saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon group which may have substituent or saturated or unsaturated bicyclic or tricyclic condensed polycyclic group which may have substituent, group Q² is a single bond and group Q³ comprises wherein group Q⁵ in group Q³ is group -(CH₂)_m-CH₂-A-CH₂-(CH₂)_n- (in this group, m and n each independently denote 0 or 1, and A is the same as above), and is the one which group Q⁴ is selected from nine kinds of the group (a)-(h) and (l) among aforesaid 12 kind groups, group T⁰ is carbonyl group or thiocarbonyl group and group T¹ is carbonyl group or sulphonyl group.

(ii) the one in which in general formula (1), group R¹ and R² are each independently hydrogen atom or alkyl group, group Q¹ is optionally substituted saturated or unsaturated bicyclic or tricyclic condensed hydrocarbon group or optionally substituted saturated or unsaturated bicyclic or tricyclic condensation

heterocyclic group, and group Q² is single bond in group Q³ group Q⁵ in group Q³ 3-6 C alkylene group or group-(CH₂)_m-CH₂-A-CH₂-(CH₂)_n-(in this group, m and n each independently denote 0 or 1). A is the one which it is the same as above, and \$ \$, group Q⁴ are selected from three kinds of groups of (i) of among aforesaid 12 kinds of group, (i) and (k), and group To is carbonyl group or thiocarbonyl group, the one how group T \$ is group -C(=O)-(=O)-N(R')-, group -C(=S)-(=O)-N(R')-group -C(=O)-(=S)-N(R')- or group -C(=S)-C(=S)-N(R')-.

Stereoisomer or optical isomer to be derived from asymmetric carbon atom may be present, but an any of stereoisomer of these, optical isomer and mixture thereof is of this invention, too, and compound represented by general formula (1) of this invention is contained in.

As salt of compound represented by general formula (1) of this invention, if it is the salt which can be pharmacologically permitted, it is not restricted in particular. However, as embodiments hydrochloride, hydrobromic acid salt, hydroiodic acid salt, phosphate, nitrate and mineral acid salt such as for example sulfate or the like, benzoate, methanesulfonate, 2-hydroxy ethane sulfonate and acetate and organic sulfonate species such as for example p-toluenesulfonate or the like, propanoic acid salt, oxalate, malonate, succinate, glutarate, adipate, tartrate, maleate, malate or organic carboxylate species such as for example mandelic acid salt or the like are nominated. Moreover, salt of alkali metal ion or alkaline earth metal ion may be formed when compound represented by general formula (1) contains acidic group. If it is the one which can be pharmacologically permitted as solventate, it is not restricted in particular. However, as embodiments hydrate, ethanol vegetables with dressing or the like are nominated. Moreover, N-oxide body may be comprising when nitrogen atom is present in general formula (1).

As compounds of this invention, compound, the following compound and salt or the like of compound, salts thereof or the like are particularly preferred shown in later-described Example.

- 1). 3-chloro-N-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-[[5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl) [1,6] naphthyridine-7-carboxamide,
- 2) 7-chloro-N-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-[[5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl)-4-fluoro cinnoline-3-carboxamide,

- 3) 7-chloro-N-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-[[5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl)-4a,8a-dihydro-4H-1,2,4-benzoxadiazine-3-carboxamide,
- 4) N-((1S, 2R, 4S)-4 [(dimethylamino) carbonyl]-2-[[5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl)-6-fluoro-4-oxo-1,4-dihydroquinoline-2-carboxamide,
- 5) 7-chloro-N-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-[[5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl)-5-oxo-4,5-dihydro-1H-1,3,4-benzotriazepine-2-carboxamide,
- 6) 6-chloro-N-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-[[5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl)-4-oxo-3,4-dihydro-2(1H)-cinnoline carboxamide,
- 7) 6-chloro-N-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-[[5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl)-1,2,3,4-tetrahydroquinoline-2-carboxamide,
- 8) N-((1R, 2S, 5S)-2-[[3-(3-chlorophenyl)-2-\$\$\$\$\$] amino)-5-[(dimethylamino) carbonyl] cyclohexyl)-5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-carboxamide,
- 9) N-((1R, 2S, 5S)-2-[(4-chlorobenzoyl) amino]-5-[(dimethylamino) carbonyl] cyclohexyl)-5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-carboxamide,
- 10) N-((1R, 2S, 5S)-2-[[5-chloroindol-2-yl) carbonyl] amino)-5-[(dimethylamino) carbonyl] cyclohexyl)-6-methyl-5,6,7,8-tetrahydro-4H-thiazolo [4,5-d] azepin-2-carboxamide,
- 11) 5-chloro-N-[(1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-[[5-(3-pyrrolidinyl oxy) thiazol-2-yl) carbonyl] amino) cyclohexyl] indole-2-carboxamide,
- 12) N¹-(4-chlorophenyl)-N²-((1S, 2R)-2-[[5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl) ethane diamide,
- 13) N¹-(5-chloropyridine-2-yl)-N²-((1S, 2R)-2-[[5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl) ethane diamide,
- 14) N¹-(5-chloropyridine-2-yl)-N²-((1S, 2R)-2-[[5-methyl-5,6-dihydro-4H-pyrrolo [3,4-d] thiazol-2-yl) carbonyl] amino) cyclohexyl) ethane diamide,
- 15) N¹-(4-chlorophenyl)-N²-((1S, 2R)-2-[[5-methyl-5,6-dihydro-4H-pyrrolo [3,4-d] thiazol-2-yl) carbonyl] amino) cyclohexyl) ethane diamide,
- 16) N¹-(5-chloropyridine-2-yl)-N²-((1R, 2R)-2-[[5-methyl-5,6-dihydro-4H-pyrrolo [3,4-d] thiazol-2-yl) carbonyl] amino) cyclopentyl) ethane diamide,

- 17) N¹-(4-chlorophenyl)-N²-((1R, 2R)-2-{{(5-methyl-5,6-dihydro-4H-pyrrolo [3,4-d] thiazol-2-yl) carbonyl] amino) cyclopentyl) ethane diamide,
- 18) N¹-(4-chlorophenyl)-N²-((1R, 2R)-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cycloheptyl) ethane diamide,
- 19) N¹-(5-chloropyridine-2-yl)-N²-((1R, 2R)-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) \$\$\$\$\$\$) ethane diamide,
- 20) N¹-(5-chloropyridine-2-yl)-N²-((1R, 2R)-2-{{(5-methyl-5,6-dihydro-4H-pyrrolo [3,4-d] thiazol-2-yl) carbonyl] amino) cycloheptyl) ethane diamide,
- 21) N¹-(4-chlorophenyl)-N²-((1R, 2R)-2-{{(5-methyl-5,6-dihydro-4H-pyrrolo [3,4-d] thiazol-2-yl) carbonyl] amino 1 cycloheptyl) ethane diamide,
- 22) N¹-(5-chloro-6-methylpyridine-2-yl)-N²-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl) ethane diamide,
- 23) N¹-(5-chloro-3-methylpyridine-2-yl)-N²-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl) ethane diamide,
- 24) N¹-(5-chloro-4-methylpyridine-2-yl)-N²-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl) ethane diamide,
- 25) N¹-(4-chloro-3-hydroxyphenyl)-N²-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl) ethane diamide,
- 26) N¹-(4-chloro-2-hydroxyphenyl)-N²-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl) ethane diamide,
- It "is N²-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl) ethane diamide"
- 27) N¹-[4-chloro-2-(fluoromethyl) phenyl],
- 28) N¹-[4-chloro-2-(methoxymethyl) phenyl]-N²-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclohexyl) ethane diamide,
- 29) N-{{(1R, 2S, 5S)-2-({[1-(4-chloroanilino) cyclopropyl] carbonyl) amino)-5-{{(dimethylamino) carbonyl) cyclohexyl)-5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-carboxamide,
- 30) N¹-(5-chloropyridine-2-yl)-N²-((1R, 2R, 4R)-4-(hydroxymethyl)-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclopentyl) ethane diamide,
- 31) N¹-(5-chloropyridine-2-yl)-N²-((1R, 2R, 4S)-4-(hydroxymethyl)-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl] amino) cyclopentyl) ethane diamide,

- 32) N¹-((3R, 4S)-1-acetyl-3-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl} amino) piperidine-4-yl)-N²-(5-chloropyridine-2-yl) ethane diamide,
- 33) N¹-(5-chloropyridine-2-yl)-N²-((3R, 4S)-1-(methylsulfonyl)-3-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl} amino) piperidine-4-yl) ethane diamide,
- 34) N¹-{(1S, 2R, 4S)-2-{{(3-chlorobenzo thiophen-2-yl) carbonyl} amino)-4-[(dimethylamino) carbonyl] cyclohexyl)-N²-(5-chloropyridine-2-yl) ethane diamide,
- 35) N¹-(5-chloropyridine-2-yl)-N²-((1S, 2R, 4S)-4-[(dimethylamino) carbo thio yl]-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl} amino) cyclohexyl) ethane diamide,
- 36) N¹-(5-chloropyridine-2-yl)-N²-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbo thio yl} amino) cyclohexyl) ethane diamide,
- 37) N¹-(5-chloropyridine-2-yl)-N²-((3R, 4S)-1-(2-methoxy ethane thio yl)-3-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl} amino) piperidine-4-yl) ethane diamide,
- 38) N¹-(5-chloropyridine-2-yl)-N²-((3R, 4S)-1-(2-methoxyacetyl)-3H{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbo thio yl} amino) piperidine-4-yl) ethane diamide,
- 39) N-[(3R, 4S)-4-({2-[[5-chloropyridine-2-yl] amino]-2-oxo ethane thio yl) amino)-1-(2-methoxyacetyl) piperidin-3-yl]-5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-carboxamide,
- 40) N-[(3R, 4S)-4-({2-[[5-chloropyridine-2-yl] amino]-2-thioxo acetyl) amino)-1-(2-methoxyacetyl) piperidine-3-yl]-5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-carboxamide,
- 41) N¹-(4-chlorophenyl)-N²-((3R, 4S)-1-(2-methoxy ethane thio yl)-3-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl} amino) piperidine-4-yl) ethane diamide,
- 42) N¹-(4-chlorophenyl)-N²-((3R, 4S)-1-(2-methoxyacetyl)-3-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbo thio yl} amino) piperidine-4-yl) ethane diamide,
- 43) N-[(3R, 4S)-4-{{2-(4-chloroanilino)-2-oxo ethane thio yl} amino)-1-(2-methoxyacetyl) piperidine-3-yl]-5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-carboxamide,
- 44) N-[(3R, 4S)-4-({2-[[4-chlorophenyl] amino]-2-thioxo acetyl) amino)-1-(2-methoxyacetyl) piperidin-3-yl]-5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-carboxamide,
- 45) N¹-((1S, 2R, 4S)-4-(1-azetidiny carbonyl)-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl} amino) cyclohexyl)-N²-(5-chloropyridine-2-yl) ethane diamide,
- 46) N¹-(5-chloropyridine-2-yl)-N²-[(1S, 2R, 4S)-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl} amino)-4-(1-pyrrolidinylcarbonyl) cyclohexyl] ethane diamide,

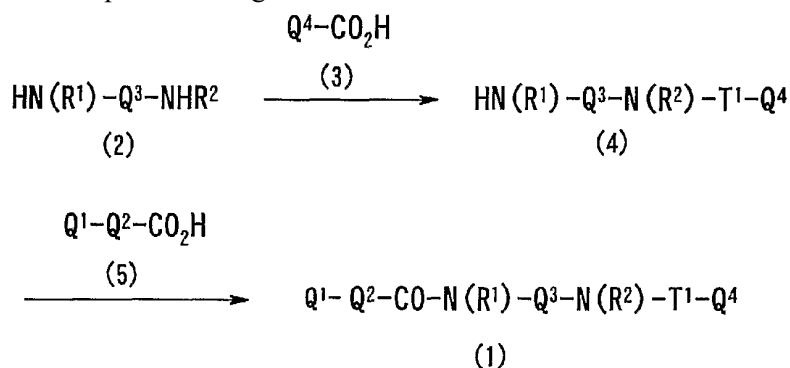
- 47) N¹-(5-chloropyridine-2-yl)-N²-[(1S, 2R, 4S)-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl} amino)-4-(1-piperidinyl carbonyl) cyclohexyl] ethane diamide,
- 48) N¹-(5-chloropyridine-2-yl)-N²-[(1S, 2R, 4S)-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl} amino)-4-(4-morpholinyl carbonyl) cyclohexyl] ethane diamide,
- 49) N¹-(5-chloropyridine-2-yl)-N²-((1S, 2R, 4S)-4-[(methylamino) carbonyl]-2-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-yl) carbonyl} amino) cyclohexyl) ethane diamide,
- 50) N-{{(1R, 2S, 5S)-2-({2-[[6-6-chloropyridazine-3-yl] amino]-2-oxo ethane thio yl) amino)-5-[(dimethylamino) carbonyl] cyclohexyl)-5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-carboxamide,
- 51) N¹-(4-bromo phenyl)-N²-((3R, 4S)-1-(2-methoxyacetyl)-3-{{(5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-C] pyridine-2-yl) carbonyl} amino) piperidine-4-yl) ethane diamide,
- 52) N¹-(5-chloropyridine-2 m yl)-N²-((3R, 4S)-1-(2-methoxyacetyl)-3-{{4-(pyridine-4-yl) benzoyl} amino) piperidine-4-yl) ethane diamide,
- 53) N¹-(5-chloropyridine-2-yl)-N²-[(3R, 4S)-1-(2-methoxyacetyl)-3-({[2-[pyridine-4-yl] pyrimidine-5-yl] carbonyl) amino) piperidine-4-yl] ethane diamide,
- 54) N¹-(5-chloropyridine-2-yl)-N²-[(1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-({[2-[pyridine-4-yl] pyrimidine-5-yl] carbonyl) amino) cyclohexyl] ethane diamide,
- 55) N-{{(1R, 2S, 5S)-2-{{2-(4-chloroanilino)-2-oxo ethane (methoxy) imido yl} amino) mouth-5-[(dimethylamino) carbonyl] cyclohexyl)-5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-carboxamide,
- 56) N-{{(1R, 2S, 5S)-2-{{2-(4-chloroanilino)-2-(methoxyimino) acetyl} amino)-5-[(dimethylamino) carbonyl] cyclohexyl)-5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-carboxamide,
- 57) N¹-(5-chloropyridine-2-yl)-N²-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-{{(4,4,5-trimethyl-5,6-dihydro-4H-pyrrolo [3,4-d] thiazol-2-yl) carbonyl} amino) cyclohexyl) ethane diamide,
- 58) N¹-(5-chloropyridine-2-yl)-N²-((1S, 2R, 4S)-4-[(dimethylamino) carbonyl]-2-{{(4,4-ethylene-5-methyl-5,6-dihydro-4H-pyrrolo [3,4-d] thiazol-2-yl) carbonyl} amino) cyclohexyl) ethane diamide,
- 59) N-{{(1R, 2S, 5S)-2-({[(E)-2-(4-chlorophenyl) ethenyl] sulfonyl) amino)-5-[(dimethylamino) carbonyl] cyclohexyl)-5-methyl-4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-carboxamide,
- 60) N-{{(1R, 2S, 5S)-2-{{(4-chlorobenzyl) sulfonyl} amino)-5-[(dimethylamino) carbonyl] cyclohexyl)-5-methyl-4,5,6,7-tetrahydrothia [5,4-c] pyridine-2-carboxamide,

61) N-((1R, 2S, 5S)-2-[(2-[[4-chlorophenyl] sulfonyl] amino) acetyl] amino)-5-[((\$\$\$\$\$\$\$\$\$\$) cyclohexyl)-5-methyl mountain 4,5,6,7-tetrahydrothiazolo [5,4-c] pyridine-2-carboxamide.

Below a process for the production of diamine derivative (1) of this invention will be described.

Process for Production 1

Compound, salts thereof, solventate thereof or N-oxide thereof represented by general formula (1) can be produced by the for example following method.



(wherein, Q¹, Q², Q³, Q⁴, R¹ and R² have the same aforesaid meanings, and T¹ denotes carbonyl group) carboxylic acid (3) is derivitised in mixed acid anhydride, halide or active ester and the like, and reacted with diamine (2), and thereby compound (4) is produced by what is reacted with diamine (2), and it is possible to produce compound of this invention (1) by reacting carboxylic acid (5) under similar condition in the obtained compound (4). Reaction reagent and condition to be conventionally-used in peptide synthesis in reaction of aforesaid each step for quasi if make it, is good. Aforesaid mixed acid anhydride can be produced for example ethyl chloroformate, chloroformate ester species such as for example chloroformic acid isobutyl and the like if reacted with carboxylic acid (3) in the presence of base. Acid halide can be produced by treating carboxylic acid (3) with acid halide such as for example thionyl chloride, oxalyl chloride and the like. All kinds of one exists with activated ester. However, it can be produced it if for example phenols such as for example p-nitrophenol and the like, N-hydroxybenzotriazole or N-hydroxy succinimide or the like and carboxylic acid (3) are reacted using condensing agent such as N, N'-dicyclohexylcarbodiimide or 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide / hydrochloride or the like. Moreover, active esters can be produced by reactions of such as

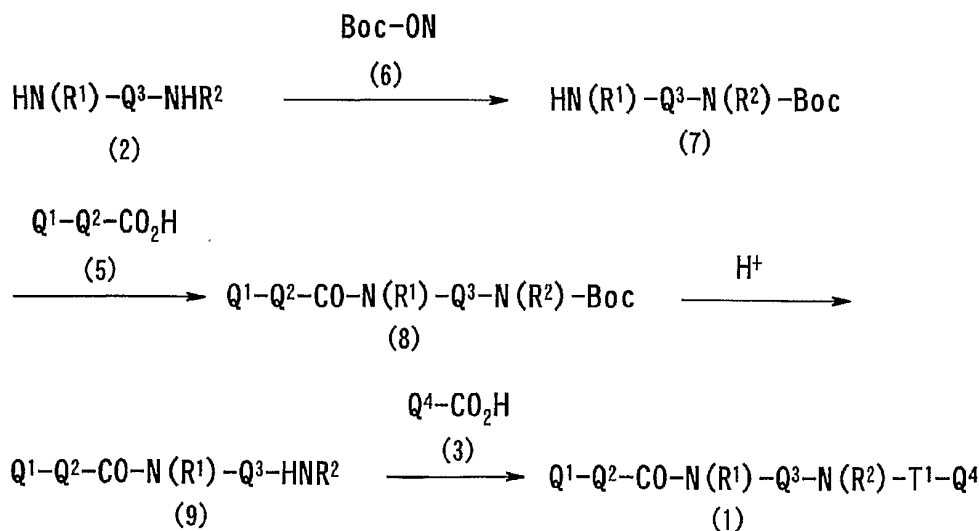
carboxylic acid (3) and pentafluorophenyl trifluoro acetate or the like of reaction (salting in) carboxylic acid (3) and triphenylphosphine and 2,2'-dipyridyl disulphide of reaction carboxylic acid (3) and cyanophosphonic acid diethyl ester of reaction carboxylic acid (3) and 1-benzotriazolyl oxy tripyrrolidino phosphonium hexafluoro phosphite reaction it (Mukoyama method) can be produced it by or the like. It is possible to produce compound (4) by reacting mixed acid anhydride of carboxylic acid (3) obtained in this way, with diamine (2) at 78°C- 150°C in inert solvent in the presence of suitable base with diamine (2). It is possible to produce compound of this invention (1) by reacting mixed acid anhydride of carboxylic acid (5), active ester or acid halide under similar condition with the obtained compound (4). Reagent and reaction conditions are similar to reagent and reaction conditions in reaction of diamine (2) and carboxylic acid (3) in reaction of compound (4) and carboxylic acid (5).

As embodying base used for aforesaid each step, for example carbonate of alkali metal or alkaline earth metal such as sodium carbonate, potassium carbonate, sodium ethoxide, potassium butoxide, sodium hydroxide, potassium hydroxide, sodium hydride, potassium hydride, alkali metal alkoxide, alkali metal hydroxide or hydride or alkyllithium such as n-butyllithium, organometallic base exemplified by dialkylamino lithium such as lithium diisopropylamide, organometallic base of bis silyl amine such as lithium bis (trimethylsilyl) amide, or pyridine, 2,6-lutidine, collidine, 4-dimethylaminopyridine, triethylamine, N-methylmorpholine, diisopropyl ethylamine, organic base or the like such as diazabicyclo[5.4.0] undec-7-en (DBU) are nominated.

As solvent of inactivity to be used for this reaction, alkyl halide system solvent such as dichloromethane, chloroform, carbon tetrachloride or the like, ether type solvent such as tetrahydrofuran, 1,2-dimethoxyethane, dioxane or the like, aromatic system solvent such as benzene, toluene or the like, N,N-dimethylformamide, amide system solvent such as N,N-dimethylacetamide, N-methylpyrrolidin-2-on or the like are proposed and can be used with sulfoxide system solvent such as dimethylsulfoxide, sulfolane or the like depending on case, ketone system solvent or the like such as acetone, methyl ethyl ketone or the like in addition to these.

Process for Production 2

As for compound of this invention (1), the following method can produce by.



(wherein, Q¹, Q², Q³, Q⁴, R¹ and R² have the same aforesaid meanings, and T¹ denotes carbonyl group, and Boc denotes tert butoxycarbonyl group, and Boc-ON denotes 2-(tert butoxycarbonyl oximino)-2-phenylacetonitrile).

Diamine (2) is processed as above with Boc-ON (6), and compound (7) in which the other hand of the two amino groups is protected with tert-butoxycarbonyl group is produced, carboxylic acid (5) is reacted with the obtained (7), and compound (8) is produced, and continuing this is treated acid, and compound (9) is formed, thereafter, it is possible to produce compound of this invention (1) by reacting it with carboxylic acid (3). Compound (7) can be produced by reacting at 10°C-40 degrees in the presence triethylamine in solvent such as for example dichloromethane and the like. It is possible to produce compound (8) by reacting mixed acid anhydride of compound (7) and carboxylic acid (5), active ester or acid halide under reaction conditions and described reagent for process for the production 1. It is possible the obtained compound (8) is processed by using the like of trifluoroacetic acid at 20 degrees-70 degrees, and to produce amine (9). If reagent and one same as condition described with process for the production 1 are used in reaction of the obtained amine (9) and carboxylic acid (3), it is good.

By the way, tert butoxycarbonyl group of compound (7) can be replaced by the protecting group of other amino group. In that case, reagent (6) is replaced with other reagent, and the reaction conditions that met it need to be being used. As protecting group of other amino group, alkoxycarbonyl group such as for example alkanoyl group, methoxycarbonyl group, ethoxycarbonyl group and the like such as for

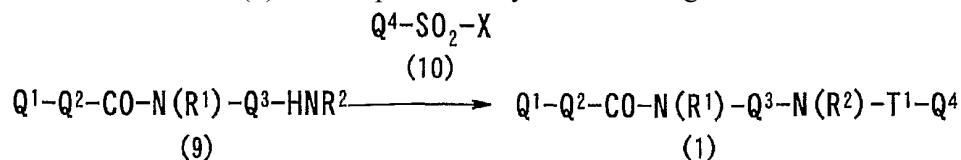
example acetyl group and the like, benzyloxycarbonyl group, para methoxybenzyl oxycarbonyl group, aroyl group such as for example arylmethyl group, benzoyl group and the like such as for example aryl methoxycarbonyl group, benzyl group, triphenylmethyl group and the like such as for example para (or ortho) nitrobenzyl oxycarbonyl group and the like or aryl sulphonyl group such as for example 2,4-dinitrobenzene sulphonyl group, ortho nitrobenzene sulphonyl group and the like is nominated. If reagent and the condition which met protecting group thereof even if it was cleaved, and it was made of the protecting group which is their well are selected if it is chosen corresponding to properties of compound protecting amino group, these protecting groups are good.

Process for Production 3

Compound of this invention (1) is reacted diamine (2) with sulfonic halide (10), and thereafter, what is produced by condensing it with carboxylic acid (5) is possible. INSERTFORMULA. (wherein, Q¹, Q², Q³, Q⁴, R¹ and R² have the same aforesaid meanings, and T¹ denotes sulphonyl group, and X denotes a halogen atom). It is possible to produce compound (4) by reacting diamine (2) and sulfonic halide (10) at 10 degrees-30 degrees in the presence of base such as for example triethylamine and the like in inert solvent. If inert solvent and base are suitably-selected from described one for process for the production 1 and are used, it is OK. It is possible to produce compound of this invention (1) by it is used with reagent and the condition which described obtained (4) with process for the production 1, and condensing it with carboxylic acid (5). Moreover sulfonic halide (10) can be synthesized by well known method (WO96/10022, WOOO/09480) or process in accordance with this in the presence of suitable base.

Process for Production 4

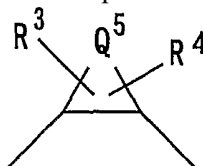
The compound of this invention (1) can be produced by the following method.



(wherein, Q¹, Q², Q³, Q⁴, R¹, R² and X have the same aforesaid meanings, and T¹ denotes sulphonyl group). In other words, it is possible to produce compound (1) by reacting amine (9) with sulfonic halide (10) in the presence of base in inert solvent at -10°C -30 degrees. If inert solvent and base are suitably-selected from those described for process for the production 1 and are used, it is good.

Process for Production 5

When part of Q³ part is the following group in compound of this invention (1),



(in this group, R³, R⁴ and Q⁵ have the same aforesaid meanings, and 1 and 2 denote position), relation of 1 and 2 position, geometric isomer of trans form and cis form is present. Below a process for the production of compound (1) of such cis form and trans form will be described.

A process for the production of trans body. (wherein, Q⁵, R³ and R⁴ have the same aforesaid definition).

As Production Example of trans-diol (12a) from cyclic alkene (11), for example a conversion from cyclohexene to trans-cyclohexane diol (Organic Synthesis, 1955, vol III, pp 217) and the like are known. Moreover, as Production Example of trans-diamine (2a) from trans-diol (12a), conversions (WO98/30574) are reported to trans-cyclopentane diamine from trans-cyclopentane diol. In accordance with these report, it is possible to produce trans-diamine (2a) from cyclic alkene (11).

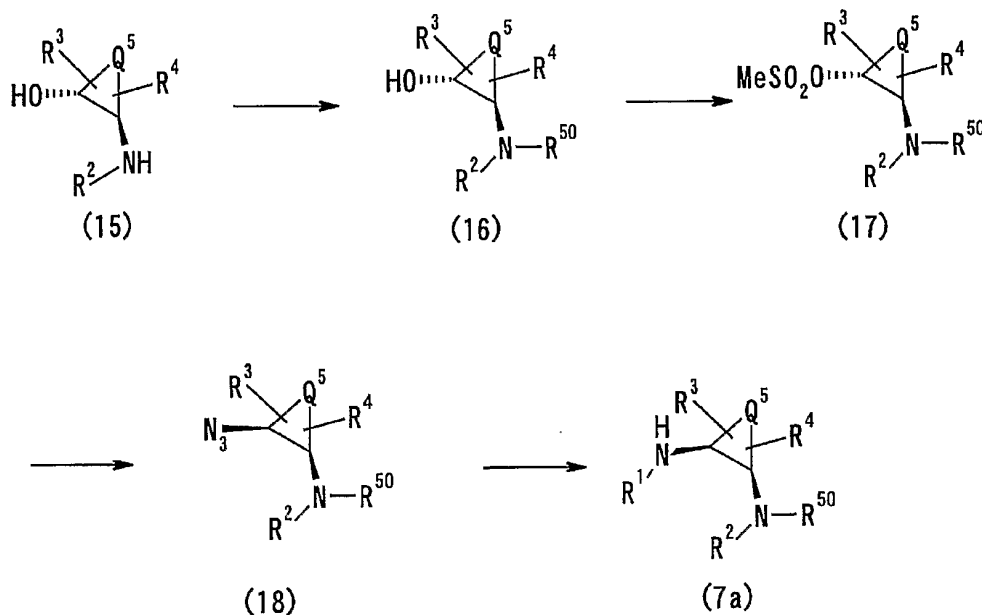
Compound (1) of trans form can derive trans-diamine (2a) produced by aforesaid process by process of aforesaid process for the production 1-4. INSERTFORMULA. (wherein, Q⁵, R³ and R⁴ have the same aforesaid definition).

As Production Example of cis-diol (12b) from cyclic alkene (11), a cConversion from cyclohexene to cis-cyclohexane diol (J. Org. Chem. 1998, vol 63, pp 6094) and the like are known as Production Example of cis-diol (12b) from cyclic alkene (11). Moreover, as Production Example of cis-diamine (2b) from cis-diol (12b), conversions (WO98/30574) and the like are reported. In accordance with these reports, it is possible to produce cis-diamine (2b).

The cis-diamine (2b) produced by aforesaid process can be derived to compound (1) of cis form by aforesaid processes for the production 1-4.

Process for Production 6

In compound of this invention (1), there may be trans form and cis form of Q³ part as described above, and geometric isomers are present. However, optical isomer can be also present for each. Below a process for the production of optically active substance will be described.



(wherein, Q⁵, R¹, R², R³ and R⁴ have the same aforesaid meanings, and R⁵⁰ denotes protecting group of amino group).

As preparation method of amino alcohol derivative (15) of 1,2-trans form of optically active substance, for example, preparation method of 1,2-trans-2-amino cyclopentanol of optically active substance from cyclopentene oxide or preparation method of 1,2-trans-2-amino cyclohexanol of optically active substance from cyclohexane oxide is known (Tetrahedron: Asymmetry, 1996, vol 7, pp 843, J. Org. Chem. 1985, vol 50, pp 4154, J. Med. Chem. 1998, vol 41, pp 38). Amino group of amino alcohol derivative (15) of optically active substance produced by such already known method or applying a process thereof is reacted with suitable protection reagent, and it is possible to produce compound (16). As protecting group corresponding to R⁵⁰ in compound (16), alkoxycarbonyl group such as methoxycarbonyl group, ethoxycarbonyl group, tert butoxycarbonyl group and the like, aryl methoxycarbonyl group such as benzyloxycarbonyl group, para methoxybenzyl oxycarbonyl group, para

(or ortho) nitrobenzyl oxycarbonyl group and the like, aryl sulphonyl group such as 2,4-dinitrobenzene sulphonyl group, ortho nitrobenzene sulphonyl group and the like are preferred even among of ordinary acyl type protecting group. For example, when it is protected with tert butoxycarbonyl group, it is possible to produce compound (16) by reacting amino alcohol derivative (15) with di-tert-butyl dicarbonate at a t-78°C - 50°C in inert solvent. The inert solvent is suitably-selected from species described in the process for the production 1 and is used.

It is possible to produce compound (17) by reacting compound (16) with methanesulfonyl chloride at -78°C - 50°C in the presence of base in inert solvent. The inert solvent is suitably-selected from those described in the process for the production 1 and is used. As base, organic base or the like such as pyridine, 2,6-lutidine, collidine, 4-dimethylaminopyridine, triethylamine, N-methylmorpholine, diisopropyl ethylamine, diazabicyclo[5.4.0] undec-7-en (DBU) are preferred.

It is possible to produce compound (18) by reacting compound (17) with sodium azide at -10°C - 150°C in suitable solvent. As solvent, amide system solvent such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidin-2-one and the like, alcohol system solvent such as methanol, ethanol or the like, ether type solvent such as for example tetrahydrofuran, 1,2-dimethoxyethane, dioxane and the like, benzene system solvent such as for example toluene and the like, halocarbon such as for example dichloromethane, chloroform, carbon tetrachloride and the like, acetone, dimethylsulfoxide or mixed solvent or the like of their solvent and water are suitable.

Process to convert azide derivative (18) into compound (7a). Process to be hydrogenated using palladium system catalyst, Raney nickel catalyst or platinum catalyst, there is plurality of process of reaction or the like using reaction triphenylphosphine using zinc in the presence of reaction nickel chloride or cobalt chloride using lithium aluminium hydride, sodium borohydride, reducing agent such as hydrogenated boron zinc or the like, if reaction conditions maintained corresponding to property of compound are selected, it is OK. For example, it is possible palladium carbon of 1-20 % is hydrogenated by temperature of 10 degrees-70 degrees as catalyst in suitable solvent with azide derivative (18), and to produce compound (7a). Hydrogen pressure can be discharged than atmospheric pressure. As solvent, alcohol system solvent such as methanol, ethanol or the like, ether type solvent such as tetrahydrofuran, 1,2-dimethoxyethane, dioxane or the like, N,N-dimethylformamide, amide system solvent such as for

example N,N-dimethylacetamide, N-methylpyrrolidin-2-one and the like, ester solvent such as ethyl acetate or the like, acetic acid, hydrochloric acid, water or a mixed solvent thereof is suitable.

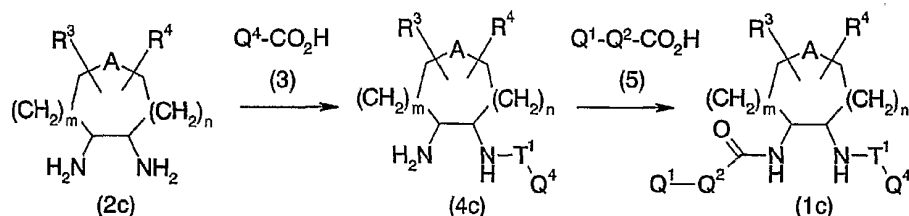
Compound (1) of optical activity can derive amine (7a) of optical activity produced by aforesaid process according to aforesaid process for the production 2. Moreover, it can be produced by process to be same about enantiomer (1) of optically active substance (1) obtained from amine (7a) of optical activity.

Moreover, as for compound (1) of optical activity, there is process too it is separated with column comprising from optically active carrier, and to be produced racemic body (1). Moreover, intermediate (2) produced racemic body (1), (4), (7), (8) or (9) are separated with column formed from optics wisdom carrier, and (2) of optical activity, (4), (7), (9) or (8) are isolated, and continuing compound (1) of optical activity can be produced according to how to make method 1-4. Or conversely, process to resolve by crystallisation with salt of carboxylic acid with optical activity as process to isolate (1) of optical activity, (2), (4), (7), (8) or (9), process to resolve by crystallisation with salt of base of optical activity is possible, too.

Process for Production 7

Below it is explained in detail about a process for the production of compound (1c) including heteroatom in Q³ of among compound of this invention (1).

What is produced by The compound represented by general formula (1c, compound represented by), salts thereof, solventate thereof or their N-oxy \$\$, the for example following method is possible.



(wherein, Q¹, Q², Q⁴, R³, R⁴, A, m and n have the same aforesaid meanings, and T¹ denotes carbonyl group).

Carboxylic acid (3) is derived to mixed acid anhydride, acid halide or active ester or the like, and compound (4c) is produced by reaction with compound (2c), and it is possible to produce compound of this invention (1c) by reacting carboxylic acid (5) with the obtained compound (4c) under similar condition.

Reaction reagent and condition to be conventionally-used in peptide synthesis in reaction of above-mentioned each step for quasi if make it, is good. Aforesaid mixed acid anhydride can be produced for example ethyl chloroformate, chloroformate ester species such as chloroformic acid isobutyl or the like if reacted with carboxylic acid (3) in the presence of base. Acid halide can be produced by treating carboxylic acid (3) with thionyl chloride, acid halide such as oxalyl chloride or the like. All kinds of one exists with activated ester. However, it can be produced phenols such as for example p-nitrophenol or the like, N-hydroxybenzotriazole or N-hydroxy succinic acid imide or the like and carboxylic acid (3) if reacted using N,N-dicyclohexylcarbodiimide (DCC) or condensing agent such as 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide / hydrochloride or the like. Moreover, active ester can be produced by reaction (salting in) carboxylic acid (3) of carboxylic acid (3) and reaction cyanophosphonic acid diethyl ester of reaction carboxylic acid (3) and 1-benzotriazolyl oxy tripyrrolidino phosphonium hexafluoro phosphite such as pentafluorophenyl trifluoro acetate or the like and triphenyl phosphine or reaction (Mukoyama method) or the like of 2,2-dipyridyl disulphide. In the presence of suitable base suitable with mixed acid anhydride of carboxylic acid (3) which obtained, active ester or acid halide with compound (2c) the solvent of inactivity under cooling to heating.

It is possible to produce compounds of this invention (1c) by reacting mixed acid anhydride, active ester or acid halide of carboxylic acid (5), under same condition with the obtained compound (4c). Reagents and reaction conditions in the reaction of compound (2c) and carboxylic acid (3) are similar to reagent and reaction conditions in reaction of compound (2c) and carboxylic acid (3) in reaction of compound (4c) and carboxylic acid (5).

As embodying base used for above-mentioned each step, the organometallic base which for example, is exemplified by alkali metal alkoxide, sodium hydroxide such as carbonate, sodium ethoxide, potassium butoxide or the like of alkali metal or alkaline earth metal, alkali metal hydroxide such as potassium hydroxide or the like, sodium hydride, alkali metal hydride such as potassium hydride or the like,

alkyllithium such as n-butyllithium or the like, dialkylamino lithium such as lithium diisopropylamide or the like sodium carbonate, potassium carbonate or the like, organometallic base of bis silyl amine such as lithium bis (trimethylsilyl) amide or the like or pyridine, 2,6-lutidine, 4-dimethylaminopyridine, triethylamine, N-methylmorpholine, diisopropyl ethylamine, organic base or the like such as diazabicyclo[5.4.0] undec-7-en (DBU) are nominated.

As the inert solvent used in this reaction, alkyl halide system solvent such as dichloromethane, chloroform or the like, ether type solvent such as tetrahydrofuran, 1,4-dioxane or the like, aromatic system solvent such as benzene, toluene or the like, amide system solvent such as N,N-dimethylformamide or the like are proposed and, in addition to these, can be used with sulfoxide system solvent such as dimethylsulfoxide or the like depending on case, ketone system solvent or the like such as acetone or the like.

Moreover, in aforesaid production step, it is possible to produce compound of this invention (1c) by putting on and taking off of appropriate protecting group and operation of conversion of functional group.

As protecting group of amino group, peptide synthesis can be used, and as embodiments, alkoxycarbonyl group such as tert butoxycarbonyl group, methoxycarbonyl group, ethoxycarbonyl group and the like, aryl methoxycarbonyl group such as benzyloxycarbonyl group, para methoxybenzyl oxycarbonyl group, para (or ortho) nitrobenzyl oxycarbonyl group and the like, benzyl group, 4-methoxybenzyl group, triphenylmethyl group and the like, alkanoyl group such as formyl group, acetyl group and the like, aroyl group such as benzoyl group and the like, , or aryl sulphonyl group such as 2,4-dinitrobenzene sulphonyl group, ortho nitrobenzene sulphonyl group and the like are nominated.

As protecting group of hydroxy group, protecting groups of hydroxy group usually used for synthesis of organic compound can be used, in embodiment, alkoxymethyl group such as methoxymethyl group and the like, arylmethyl group such as benzyl group, 4-methoxybenzyl group, triphenylmethyl group and the like, alkanoyl group such as acetyl group and the like, aroyl group such as benzoyl group and the like, tert-butyl diphenyl silyloxy group and the like are nominated. The carboxy-group can be protected as esters with alkyl group such as methyl group, ethyl group, tert-butyl group and the like or as ester of

arylmethyl group such as for example benzyl group and the like. If in accordance with normal methods it is performed, putting on and taking off of aforesaid protecting group is good.

Compound in compound of this invention (1c) can be derived with various derivative by converting functional group of compound thereof into. For example, the compound which is the nitrogen atom that A is unsubstituted, by acylating it using mixed acid anhydride, acid halide or active ester or the like by ordinary \$\$\$\$ technique amide compound, by reacting it with sulfonic halide or the like sulphonamide compound, by reacting aryl halide or the like with N-alkyl compound by reacting alkyl halide N-aryl compound, it is possible to produce carbamate compound with process or the like reacting isocyanate. Moreover what is produced by acid treating compound (1c) produced according to process for the production 7 from diamine (2c) which protected for example A with tert butoxycarbonyl group as for the compound which is the nitrogen atom that A is unsubstituted is possible.

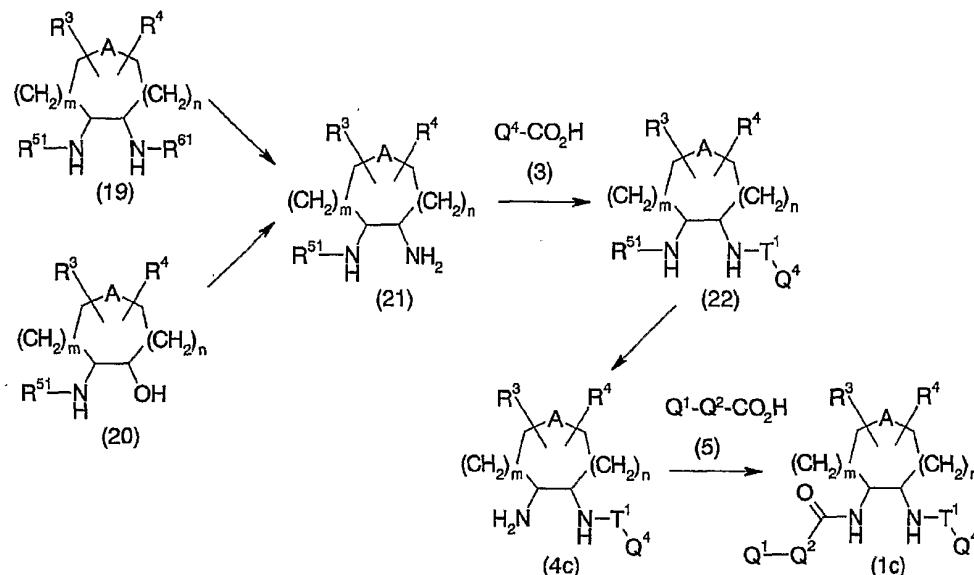
The compounds of this invention produced in this way can be isolated and purified by well known method, for example extraction, precipitation, fractionation chromatography, fractional crystallisation, recrystallization or the like. Moreover, salt of the compounds of this invention can derive it into desired salt by subjection to ordinary salt producing reaction.

Moreover, optical isomer is present because the compounds of this invention contain asymmetric carbon. As for those optically active substances, what is produced using the process that racemic body is separated it with the column chromatography or the like which used process and the optically active carrier which optically active amine or acid and salt were formed, and resolved by crystallisation other than process to be produced from optically active diamine (2c) is possible.

Moreover, in reaction of compound (2c) and carboxylic acid (3), it is possible that T¹ produces compound (1c) which is sulphonyl group by replacing carboxylic acid (3) with sulfonic halide (10).

Process for Production 8

As for compound of this invention (1c), the following method can produce by.



(wherein, Q^1 , Q^2 , Q^4 , R^3 , R^4 , A, m and n have the same aforesaid meanings, and T^1 denotes carbonyl group, and R^{51} and R^{61} denote protecting group of amino group)

It is possible to be produced protecting group R^{61} of compound (19) which compound (21) protects amino group of compound (2c), and is obtained by being eliminated. Wherein, if it is group used to protection of amino group usually, it is not limited in particular, and, as protecting group of the amino group which is exemplified as R^{51} and R^{61} , protecting group of the amino group which it assumed that it was representative, and was described with process for the production 7 is nominated, but R^{51} and R^{61} need to be the protecting groups which can be eliminated under process or the condition which is different in this case. For example, R^{51} is tert butoxy carbonyl group R^{61} is benzyloxycarbonyl group, or the like can be nominated as representative. These protecting groups can be selected and rejected according to the If when reagent and the condition which met protecting group thereof even if it was made are selected in elimination of protecting groups to be their well if [subj] \$\$\$\$\$\$ corresponding to property or the like of compound protecting amino group, those protecting groups are good.

Moreover, it is possible that compound (21) is produced by converting hydroxy group of amino alcohol body (20) into amino group. As Production Example of amino alcohol body (20), conversions (Tetrahedron Lett. Vol 37, pp 7457, 1996) are known to 3-hydroxy-4-amino thio pyran 1,1-dioxide from for example methionine.

As process to convert hydroxy group of amino alcohol body (20) into amino group, amino alcohol body (20) is reacted methane sulphonyl chloride, p-toluenesulfonyl chloride, anhydrous trifluoromethanesulfonic acid or the like, and thereafter, it is reacted ammonia, benzylamine, p-methoxybenzyl amine, the first grade 2,4-dimethoxybenzyl amine or the like, the second grade arylalkylamine species such as dibenzylamine or the like, hydroxylamine species or the like such as N-benzylhydroxyamine, N, O-dibenzyl hydroxylamine or the like, and process benzyl group is eliminated it in accordance with requirements, and to produce diamine (21) is nominated. Moreover, it is reacted with phthalimide or succinimide by reaction (Mukoyama method) or the like treated amino alcohol body (20) with triphenyl phosphine and azo dicarboxylic acid ethyl ester, and thereafter, diamine (21) can derive it by what is treated with hydrazine or N-methylhydrazine or the like. And moreover in the formula A is SO₂, and it is reacted methane sulphonyl chloride, p-toluenesulfonyl chloride, anhydrous trifluoromethanesulfonic acid or the like, and thereafter, amino alcohol body (20) is treated with suitable base when it is n=0, or ammonia, benzylamine, p-methoxybenzyl amine, the first grade arylalkylamine species such as 2,4-dimethoxybenzyl amine or the like, the second grade arylalkylamine species such as dibenzylamine or the like, hydroxylamine species or the like such as N-benzylhydroxyamine, N, O-dibenzyl hydroxylamine or the like are added alpha, beta-unsaturated cyclic sulfone to form by treating amino alcohol body (20) with direct triphenyl phosphine and azo dicarboxylic acid ethyl ester, and which produces diamine (21) by eliminating with benzyl group is possible in accordance with requirements.

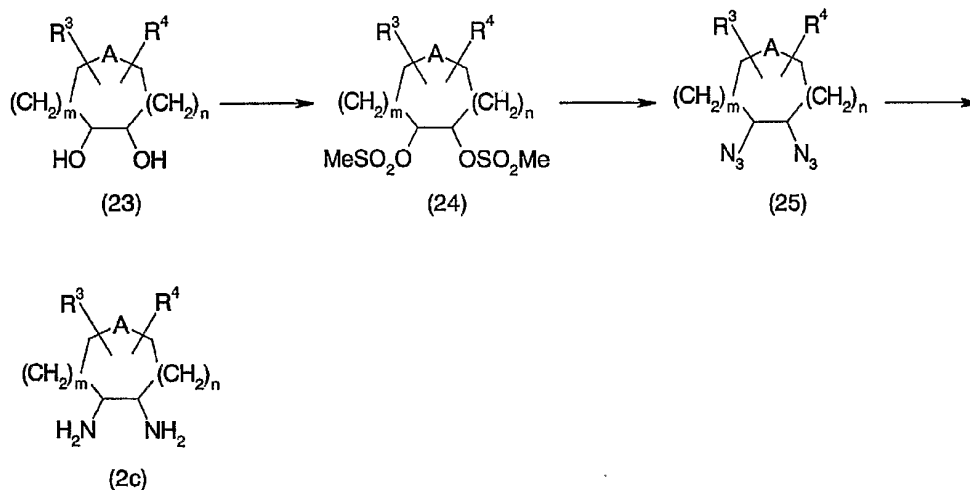
Carboxylic acid (3) is reacted in the obtained diamine compound (21), and compound (22) is produced, and continuing R⁵¹ is eliminated it, and compound (4c) is obtained, and thereafter, it is possible to produce compound of this invention (1c) by what is reacted with carboxylic acid (5).

If a tentative plan and one same as reaction conditions which process for the production 7 described in reaction of reaction and compound (4c) and carboxylic acid (5) of compound (21) and carboxylic acid (3) are used, it is good.

In the same way, in reaction of compound (21) and carboxylic acid (3), it is possible that T¹ produces compound (1c) which is sulphonyl group by replacing by the sulfonic halide (10) carboxylic acid (3).

Process for Production 9

A typical process for the production of intermediate (2c) in accordance with process for the production 7 is described.



(wherein, R³, R⁴, A, m and n have the same aforesaid definitions).,

As Production Example of diol body (23), conversions (in Tetrahedron=Asymmetry, vol 8, pp 1861, 1997) are known to (R, R)-tetrahydrofuran diol or (R, R)-N-benzyl pyrrolidine diol from conversions from for example 1,2,3,6-tetrahydropyridine to 1-benzyloxycarbonyl-3,4-cl-s-dihydroxypyrrolidine (Tokkai 7-138264), L-tartaric acid. Such already known process, or process thereof is applied, and it is possible to produce diol body (23) by carrying in accordance with requirements out elimination of protecting groups and functional group conversion.

It is possible to produce compound (24) by under cooling reacting diol body (23) with methane sulphonyl chloride under-room temperature in the presence of base in inert solvent. If the inert solvent is suitably-selected from among those described with process for the production 7 and is used, \$ / \$, alkyl halide system solvent such as dichloromethane, chloroform or the like, tetrahydrofuran, ether type solvent such as 1,4-dioxane or the like are in particular preferred. As base, pyridine, 2,6-lutidine, 4-dimethylaminopyridine, triethylamine, N-methylmorpholine, diisopropyl ethylamine, organic base or the like such as diazabicyclo[5.4.0] undec-7-en (DBU) are preferred.

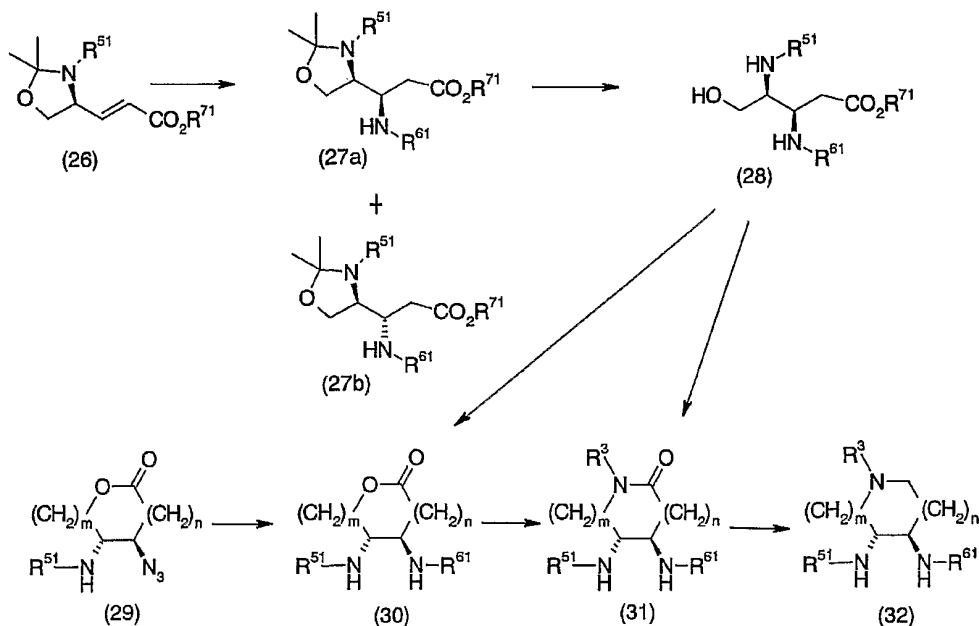
It is possible to produce azide body (25) by being under cooling reacted compound (24) with sodium azide under-heat in suitable solvent. As solvent, n,N-dimethylformamide, amide system solvent such as N-methylpyrrolidin-2-on or the like, alcohol system solvent such as methanol, ethanol or the like, tetrahydrofuran, ether type solvent such as 1,4-dioxane or the like, aromatic system solvent such as benzene, toluene or the like, alkyl halide system solvent such as dichloromethane, chloroform or the like, dimethylsulfoxide, acetone or the like are suitable. Moreover, aforesaid solvent in common use is good as mixture of water.

If reaction or the like using reaction triphenyl phosphine using zinc in the presence of reaction nickel chloride or cobalt chloride using process, lithium aluminium hydride, reducing agent such as sodium borohydride or the like which process to convert azide body (25) into compound (2c) is hydrogenated using palladium system catalyst, a Raney nickel catalyst or platinum catalyst plurality of process \$\$\$\$\$\$ with \$\$ and \$\$ according to \$\$, \$\$ \$ ec \$ of compound, it is \$\$\$. Hydrogen pressure can be discharged than atmospheric pressure. As solvent, alcohol system solvent such as methanol, ethanol or the like, tetrahydrofuran, ether type solvent such as 1,4-dioxane or the like, N,N-dimethylformamide, amide system solvent such as N-methylpyrrolidin-2-on or the like, ester \$\$\$ such as ethyl acetate or the like, acetic acid, hydrochloric acid, water or a mixed solvent thereof is suitable. Diamine body (2c) produced by aforesaid process can be led to compounds of this invention (1c) according to aforesaid process for the production 7.

When it is trans-1-substituted-3,4-dihydroxypyrrolidine and the like whether diol body (23) is trans-3,4-dihydroxy tetrahydrofuran, optically active substance is present. Optically active diamine body (2c) can derive these optically active diol body (23), and optically active compound of this invention (1c) according to process for the production 7.

Process for Production 10

A representative process for the production of optically active compound (30) (31) and (32) which include in compound (19) in accordance with a process for the production 8 is described. Moreover the coordination of asymmetric carbon shown in the following production pathway is shown as one example.



(wherein, m , n , R^3 , R^{51} and R^{61} have the same aforesaid meanings, and R^{71} denotes protecting group of carboxy group).

Optically active alpha, beta-unsaturated ester body (26) can be produced by process described in literature (J. Org. Chem. Vol 61, pp 581, 1996; J. Org. Chem. Vol 57, pp 6279, 1992 and the like) or by applying process thereof. It is possible to produce diastereomer (27a) and (27b) by causing to act Is cooled in suitable solvent with optically active alpha, beta-unsaturated ester body (26) and amine under-heat it is possible to produce diastereomer (27a) and (27b) by what is caused to act on. If amine is suitably-selected from among one described with aforesaid process for the production 8 and is used, it is OK. The organic solvent which is not reacted with substrate, product or reagent or the like as solvent, alcohol system solvent such as methanol, ethanol or the like, tetrahydrofuran, 1,2-dimethoxyethane, ether type solvent such as 1,4-dioxane or the like are in particular desirables. Moreover, process literature (J. Org. Chem. Vol 63, pp 7263, 1998) is applied, and alpha, beta-unsaturated ester body (26) and organometallic base or the like such as lithium N-benzyl (trimethylsilyl) amide or the like are reacted, and it is possible to produce diastereomer (27a) and (27b). For example, by separating this diastereomer, it is possible to use (27a) for the next reaction.

If acid treatment under-heat is under cooling formed compound (27a) in suitable solvent, compound (28) is produced. As the acid which is used, hydrochloric acid, sulphuric acid, Lewis acid such as boron trifluoride or the like, trifluoroacetic acid, p-toluenesulfonic acid or the like are proposed, and, as solvent used for reaction, water, alcohol system solvent or the like such as methanol, ethanol or the like are used. Aforesaid solvent is good as mixture of water. Moreover, there is the case that protecting group R⁶¹ of amino group is cut in this reaction. In that case, it needs to be reacted with protection reagent of in accordance with requirements suitable amino group.

By acid under-heat under cooling treating compound (28) in solvent, it is possible to produce optically active compound (30). As the acid which is used, if it is suitably-selected from among aforesaid acid and is used, Lewis acid, p-toluenesulfonic acid or the like such as boron trifluoride or the like is in particular preferred well. As solvent used for reaction, ether type solvent such as 1,4-dioxane, tetrahydrofuran or the like, aromatic system solvent such as benzene, toluene or the like are used. Moreover, compound (30) can be produced from azide body (29). As Production Example of azide body (29) which is optics wisdom, conversions (in Can. J. Chem-, vol 71, pp 1407, 1993) are known to S, R)-(3S, 4S)-3-amino-4-azide-5-oxo tetrahydrofuran from for example L-aspartic acid. Such already known process, or process thereof is applied, and it is possible to produce optically active azide body (29) by carrying in accordance with requirements out elimination of protecting groups and functional group conversion. Reduce azide of azide body (29) as amino group, thereafter, it is possible to produce compound (30) to be reacted with protection reagent of suitable amino group. If reagent and one same as reaction conditions described by process to convert azide body (25) of process for the production 9 into compound (2c) are used in reduction of azide, it is good.

Compound (31) converts hydroxy group part of compound (28) into amino group. As process to convert hydroxy group of compound (28) into amino group, it can be performed according to the aforesaid process for the production 8. Or alcohol body (28) is processed with oxidant, and thereafter, obtained aldehyde body is aminated reductively and compound (31) can be produced. As oxidant to be used by the aforesaid reaction, as embodiments pyridinium chlorochromate (PCC), pyridinium dichromate acid pyridinium (PDC), sulfur trioxide pyridine saw salt or the like are preferred. As amine, primary alkyl amines such as ammonia, methylamine, ethylamine or the like, benzylamine, p-methoxybenzyl amine, the first grade arylalkylamine species or the like such as 2,4 m dimethoxybenzyl amine or the like are

nominated. There is reaction or the like using process, sodium borohydride, triacetoxy sodium borohydride, reducing agent such as sodium cyanoborohydride or the like to be hydrogenated using palladium system catalyst, Raney nickel catalyst or platinum catalyst, and reduction process is good if reagent and condition are chosen corresponding to property or the like of compound. Moreover, it is good if base which is used is suitably-selected from among base described with process for the production 7 in aforesaid step and is used. Moreover, as for compound (31), what is produced by applying process literature (for ;Heterocycles, vol 53, pp 173, 2000 years) or process thereof is possible using aforesaid compound (30) and amine. As the amine which is used, primary alkyl amines such as ammonia, methylamine, ethylamine or the like, primary arylalkylamine species such as benzylamine, p-methoxybenzyl amine or the like, aniline or the like are nominated.

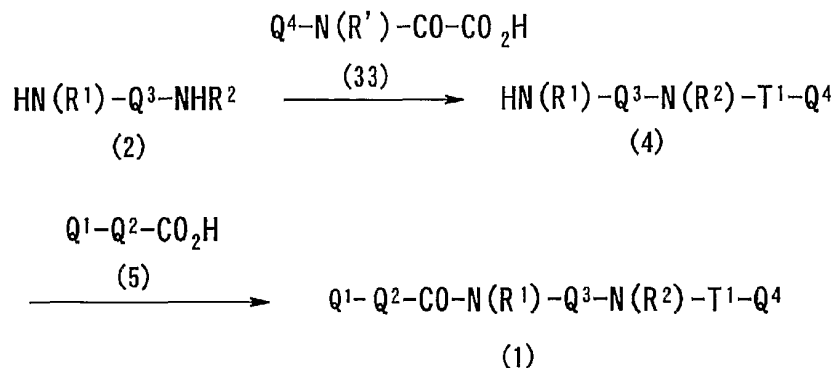
It is possible to produce compound (32) by treating the aforesaid compound (31) using reducing agent under cooling-heating in solvent. As reducing agent, reducing agent such as borane / tetrahydrofuran complex, borane / methyl sulphide complex, lithium aluminium hydride or the like are proposed, but reagent and condition are chosen corresponding to property or the like of compound. As solvent, the organic solvent which is not reacted with substrate, product or reagent or the like, in particularly ether type solvent such as tetrahydrofuran, 1,4-dioxane or the like is desirable.

Compound (30), (31) and (32) produced by aforesaid process can be derived to optically active substance (1c) of the compound of this invention according to aforesaid process for the production 8.

Aforesaid production step is exemplified it about 1 of optically active substance, but what is produced with similar step is possible if starting material is used of differing stereo coordination about optically active substance of differing stereo coordination.

Process for Production 11

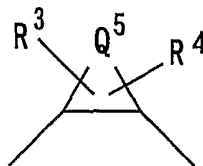
It is possible that compound (1) that T¹ is CO-CO-N(R')-radical (in this group, R' has the same aforesaid definition) is produced with the following pathway.



(wherein, Q^1 , Q^2 , Q^3 , Q^4 , R^1 , R^2 and R' have the same aforesaid meanings and T^1 CO-CO-N(R')).

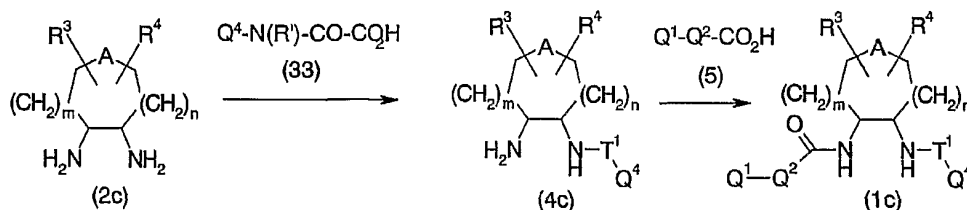
]. In other words, carboxylic acid (33) is derivitised in acid halide or active ester and the like, and compound (4) is produced by what is reacted with diamine (2), and it is possible to produce compound of this invention (1) by reacting carboxylic acid (5) under similar condition in the obtained compound (4). Reaction reagent and condition to be conventionally-used in peptide synthesis in reaction of aforesaid each step for quasi if make it, is good. Aforesaid acid halide can be produced by treating carboxylic acid (33) with thionyl chloride, acid halide such as for example oxalyl chloride and the like. All kinds of one exists with activated ester. However, it can be produced phenols such as for example for example p-nitrophenol and the like, N-hydroxybenzotriazole or N-hydroxy succinimide or the like and carboxylic acid (33) if reacted using N,N-dicyclohexylcarbodiimide or condensing agent such as 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide / hydrochloride or the like. Still active ester carboxylic acid (33) and reaction such as pentafluorophenyl trifluoroacetate or the like, reaction of carboxylic acid (33) and 1-benzotriazolyl oxy tripyrrolidino phosphonium hexafluoro phosphite, reaction of carboxylic acid (33) and cyanophosphonic acid diethyl ester (salting in). It can be produced by carboxylic acid (33) and triphenyl phosphine or reaction (Mukoyama method) or the like . It is possible to produce compound (4) by reacting mixed acid anhydride of carboxylic acid (33) which it was as made, and was obtained thereof, active ester or acid halide at 78 degrees-150°C in inert solvent in the presence of diamine (2) and suitable base. It is possible to produce compound of this invention (1) by reacting mixed acid anhydride of carboxylic acid (5), active ester or acid halide to the obtained compound (4) under similar condition. Reagent and reaction conditions in reaction of compound (4) and carboxylic acid (5) are similar to reagent and reaction conditions in reaction of diamine (2) and carboxylic acid (33). Base and solvent used for aforesaid each step is suitably-selected from among described in process for the production 1.

Moreover, when Q^3 is following group



(in this group, R^3 , R^4 and Q^5 have the same aforesaid meanings, and numbers of 1 and 2 denote positions) and relation of 1 and 2-position produces compound (1) of cis form or trans form, diamine (2a) or (2b) described in process for the production 5 may be used.

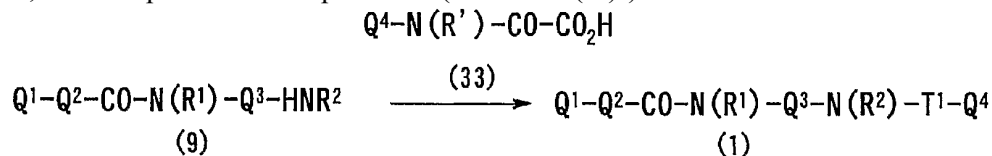
Moreover, when compound (1) including heteroatom such as for example nitrogen atom, oxygen atom, sulfur atom or the like in Q^5 can be produced by replacing carboxylic acid (3) by carboxylic acid (33) in reaction of compound (2c) and carboxylic acid (3) described in process for the production 7. In other words, compound (1) including heteroatom in Q^5 , namely compound (1c) is possible to produce with the following pathway.



(wherein, Q^1 , Q^2 , Q^4 , R^3 , R^4 , R' , A , m and n have the same aforesaid meanings and T^1 denotes $-CO-CO-N(R')$ - group (in this group, R' has the same aforesaid definition)).

Process for Production 12

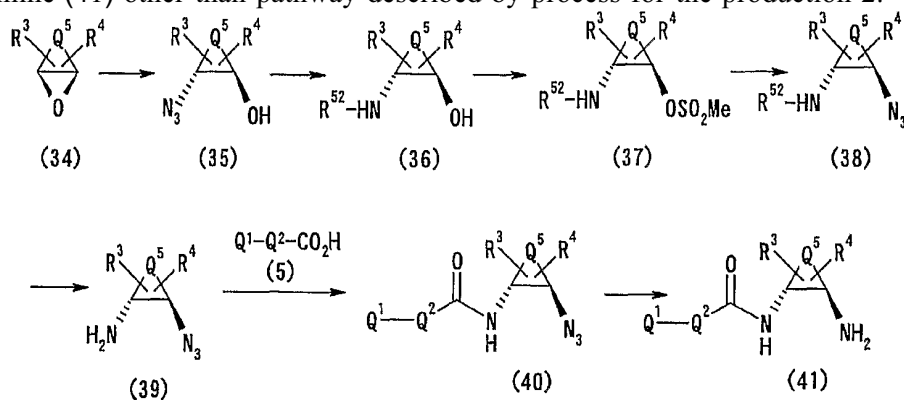
Compound (1) that T^1 is $CO-CO-N(R')$ -radical (in this group, R' has the same aforesaid definition) is the following path, but it is possible to be produced ($CO-CO-N(R')$ -).



(wherein, Q^1 , Q^2 , Q^4 , R^3 , R^4 , R^1 and R' have the same aforesaid meanings and T^1 denotes $-CO-CO-N(R')$ - group (in this group, R' has the same aforesaid definition)).

The same reagent and conditions described in process for the production 1 can be used in reaction of amine (9) and carboxylic acid (33).

Wherein, amine (9) used can be produced even for example the pathway denoted as production pathway of following amine (41) other than pathway described by process for the production 2.



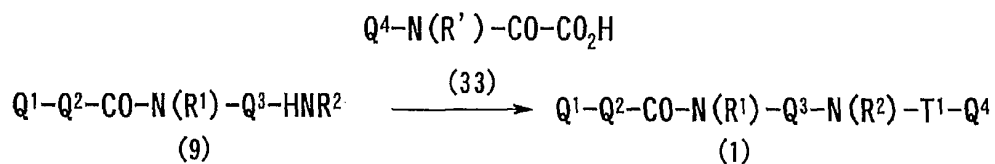
(wherein, R^3 , R^4 , Q^1 , Q^2 and Q^5 have the same aforesaid meanings, and R^{52} denotes protecting group of amino group).

Compound (34) in aforesaid production step can be produced by epoxifying cycloalkene by treating with perbenzoic acid or derivatives thereof or the like in solvent such as for example dichloro methane and the like. The ordinary condition for epoxifying alkene can be used as these reaction conditions. Moreover, compound (34) can be produced by process in accordance with J. Org. Chem. vol. 61, 8687-8691[1996] or method in accordance with it.

Azide (35) which in accordance with normal methods compound (34) is treated it with sodium azide and the like, and is obtained is catalytically-reduced, and thereafter, amino group is protected, and compound (36) can derive it. As protecting group of amino group of this case, one described by process for the production 2 is nominated. In the same way as in the process that compound (36) was described with process for the production 5 as azide (38), thereafter, protecting group of amino group is eliminated, and compound (39) can derive it. By reacting compound (39) with carboxylic acid (5) as compound (40), thereafter, it is possible to be formed compound (41) by it is contacted with it, and reducing it.

Process for Production 13

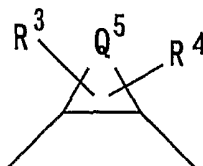
As for compound (1) that T¹ is CO-CO-N(R')-radical (in this group, R' has the same aforesaid definition), what is produced by replacing by the reaction of compound (9) and carboxylic acid (33) reaction of compound (9) and carboxylic acid (3) in pathway in accordance with process for the production 2 .



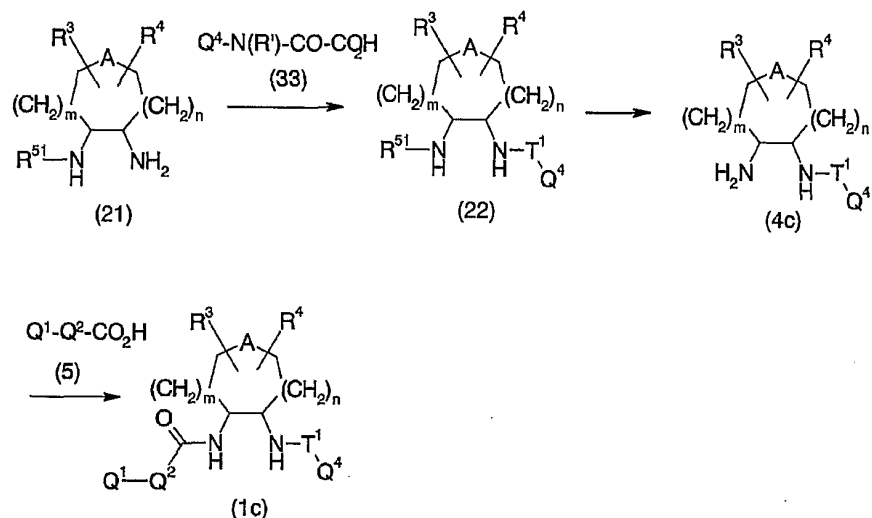
(wherein, Q¹, Q², Q³, Q⁴, R¹, R² and R' have the same aforesaid meanings and T¹ denotes -CO-CO-N(R')- group (in this group, R' has the same aforesaid definition)).

Reaction conditions can be applied the one mentioned in process for the production 2.

Moreover, when Q³ is following group



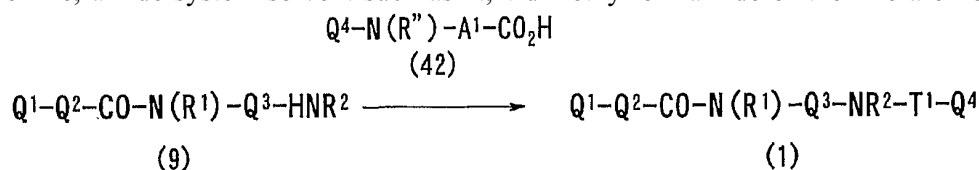
(in this group, R³, R⁴ and Q⁵ have the same aforesaid meanings, and 1 and a number of 2 denote position), and compound (1) including nitrogen atom, oxygen atom or heteroatom such as for example sulfur atom or the like in Q⁵ is produced if carboxylic acid (3) is replaced by the carboxylic acid (33) in reaction of compound (21) in accordance with process for the production 8 and carboxylic acid (3). In other words, compound (1) including heteroatom in Q⁵ with the following pathway.



(wherein, Q¹, Q², Q⁴, R³, R⁴, R', A, m and n have the same aforesaid meanings, T¹ denotes -CO-CO-N(R')- group (in this group, R' has the same aforesaid definition) and R⁵¹ denotes protecting group of amino group).

Process for Production 14

It is possible to produce compound (1) in which T¹ is CO-A¹-N(R'')- group (wherein, R'' denotes a hydrogen atom, hydroxy group, alkyl group or alkoxy group, and A¹ denotes 1-5 C alkylene group optionally having substituent) by reacting compound (9) in accordance with process for the production 2 and Q⁴-N(R'')-A¹-CO₂H (42) at 50-50°C using condensing agent in inert solvent. As condensing agent, for example N,N'-dicyclohexylcarbodiimide or 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide / hydrochloride or the like is nominated. As inert solvent, alkyl halide series solvent such as dichloromethane, chloroform, carbon tetrachloride or the like, ether type solvent such as tetrahydrofuran, 1,2-dimethoxyethane, dioxane or the like, aromatic system solvent such as benzene, toluene or the like, amide system solvent such as N,N-dimethylformamide or the like are nominated.



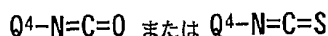
(wherein, Q¹, Q², Q³, Q⁴, R¹, R² and R'' have the same aforesaid meanings, and T¹ denotes -CO-A¹-N(R'')- group (wherein, R'' denotes a hydrogen atom, hydroxy group, alkyl group or alkoxy group, and

A¹ denotes optionally substituted 1-5C alkylene group). Compound 42 of description is reacted ester of arylamine such as for example for example 4-chloroaniline and the like and bromo alkanic acid at 40-120 degrees in the presence of base such as for example potassium carbonate and the like in solvent such as for example acetonitrile or N,N-dimethylformamide and the like in aforesaid process for the production, thereafter, it is possible to be produced by hydrolysing ester using lithium hydroxide, potassium hydroxide, alkali such as for example sodium hydroxide and the like.

Compound 42 may use potassium salt or the like in reaction without further treatment.

Process for Production 15

As for compound (1) in which T¹ is -C(=O)-NH- group or -C(=S)-NH- group can be produced by reacting compound (9) in accordance with process for the production 2 and isocyanate (Q⁴-N=C=O) or isothiocyanate (Q⁴-N=C=S) at 20-50°C degrees in inert solvent is possible whether T¹ is C(=O)-NH-radical. As inert solvent, one such as described in process for the production 14 can be nominated for a representative example. Wherein, isocyanate and isothiocyanate to be used are good when commercial one cannot be used if it is produced using process to be used widely as isocyanate and isothiocyanate.



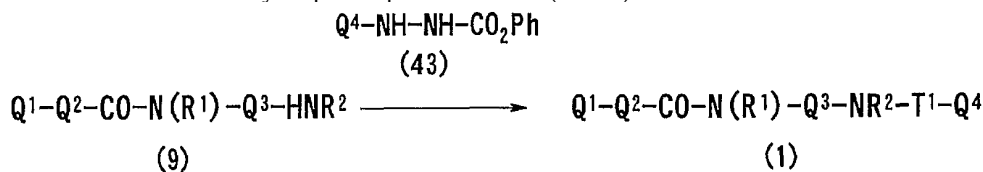
(wherein, Q¹, Q², Q³, Q⁴, R¹ and R² have the same aforesaid meanings, and T¹ denotes -C(=O)-NH-group or -C(=S)-NH- group).

Process for Production 16

It is possible to produced compound (1) that T¹ is CO-NH-NH-radical by in accordance with requirements reacting compound (9) in accordance with process for the production 2 and Q⁴-NH-NH-CO₂Ph (43) at room temperature-150 degrees in the presence of base in inert solvent.

As inert solvent, other than acetonitrile and N,N-dimethylformamide, one such as described in process for the production 14 can be nominated for a representative example. As base, pyridine, 2,6-lutidine, collidine, 4-dimethylaminopyridine, triethylamine, N-methylmorpholine, diisopropyl ethylamine,

diazabicyclo[5.4.0] undec-7-en (DBU) are nominated.

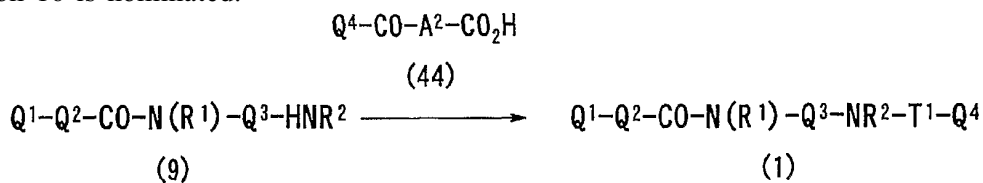


(wherein, Q¹, Q², Q³, Q⁴, R¹ and R² have the same aforesaid meanings, T¹ denotes -CO-NH-NH- group and Ph denotes phenyl group).

It is possible to produce compound (43) described in aforesaid process for the production by for example reacting arylhydrazine such as for example 4-chlorophenyl hydrazine and the like and diphenyl carbonate at room temperature-120°C in a solvent such as for example acetonitrile, N,N-dimethylformamide, dichloromethane, chloroform, tetrahydrofuran, 1,2-dimethoxyethane, dioxane, benzene, toluene and the like.

Process for Production 17

It is possible produced compound (1) in which T¹ is -CO-A²-CO- group (wherein, A² denotes single bond or 1-5 C alkylene group) by reacting compound (9) in accordance with process for the production 2 and Q⁴-CO-A²-CO₂H (44) at -50-50°C using condensing agent in inert solvent . As condensing agent, for example N,N'-dicyclohexylcarbodiimide or 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide / hydrochloride or the like is nominated. As solvent, solvent and the like in accordance with process for the production 16 is nominated.



(wherein, Q¹, Q², Q³, Q⁴, R¹ and R² have the same aforesaid meanings and T¹ denotes -CO-A²-CO- group (wherein, A² denotes single bond or 1-5 C alkylene group).

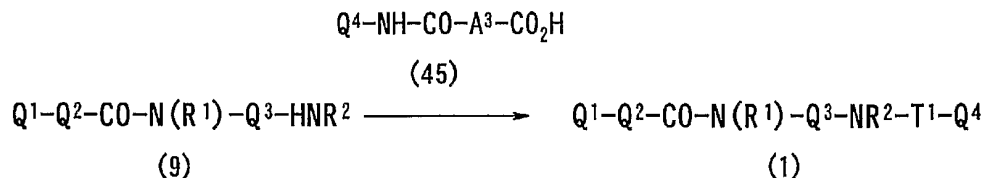
Compound (44) which is described in aforesaid process for the production, in the case wherein A² is a single bond, what is produced by hydrolysing compound produced by Friedel / Crafts reaction aromatic hydrocarbon such as for example for example chlorobenzene and the like and heteroaromatic ring such

as for example thiophene and the like and \$\$\$\$\$ acetate ester (example, CICO-CO₂Et) (example, Q⁴-CO-CO₂Et) using lithium hydroxide, potassium hydroxide, alkali such as for example sodium hydroxide and the like is possible.

Moreover, compound (44) A² in cases where methylene group, aryl carbonyl chloride species such as for example for example 4-chlorobenzoic acid chloride and the like and heteroaryl carbonyl chloride such as for example thiophenecarbonyl chloride and the like are reacted with half ester of malonic acid monocarboxylic acid potassium salt in the presence of magnesium chloride and triethylamine, and what is produced by hydrolysing obtained ketoester derivative (example, Q⁴-CO-CH₂-CO₂Et) using lithium hydroxide, potassium hydroxide, alkali such as for example sodium hydroxide and the like is possible. Aforesaid ketoester induction is ethylene-ketalated carbonyl group thereof, and thereafter the carboxylic acid which it hydrolyses, and is obtained may be used in reaction of compound (9). Moreover, compound (44) A² in cases where alkylene group of carbon number 2 or more, what is produced by hydrolysing ketoester derivative to obtain by aromatic hydrocarbon such as for example for example benzene and the like or heteroaromatic ring such as for example thiophene and the like and Friedel / Crafts reaction of alkylene dicarboxylic acid monoester monochrome lide (example, Q⁴-CO-A₂-CO₂Et) using lithium hydroxide, potassium hydroxide, alkali such as for example sodium hydroxide and the like is possible.

Process for Production 18

Compound (1) that T¹ is CO-A₃-CO-NH-radical (wherein, A³ denotes a 1-5C alkylene group) can be produced by reacting compound (9) in accordance with process for the production 2 and Q⁴-NH-CO-A₃-CO₂H (45) at 50-50 degrees using condensing agent in inert solvent. As condensing agent, for example N, N'-dicyclohexylcarbodiimide or 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide / hydrochloride or the like is nominated. As inert solvent, alkyl halide series solvent such as dichloromethane, chloroform, carbon tetrachloride or the like, ether type solvent such as tetrahydrofuran, 1,2-dimethoxyethane, dioxane or the like, aromatic system solvent such as benzene, toluene or the like, amide system solvent and the like such as N,N-dimethylformamide or the like are nominated.

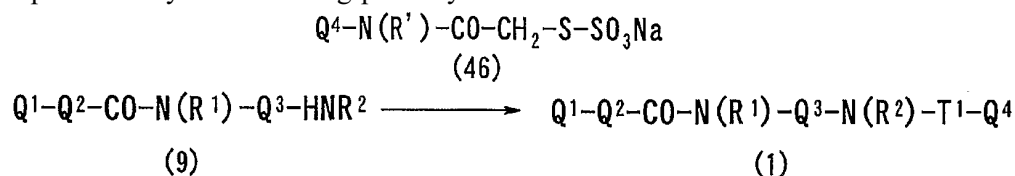


(wherein, Q¹, Q², Q³, Q⁴, R¹ and R² have the same aforesaid meanings, and T¹ denotes CO-A³-CO-group (wherein, A³ denotes a 1-5C alkylene group)).

The compound (45), what is produced by hydrolysing compound produced using that heteroaryl amine such as for example arylamine or aminopyridine and the like such as for example 4-chloroaniline and the like equivalent to Q⁴-NH₂ and alkylene dicarboxylic acid monoester monocarboxylic acid potassium salt were reacted at 50-50 degrees using condensing agent in inert solvent (CO-A³-CO₂Et) using alkali such as for example lithium hydroxide, potassium hydroxide, sodium hydroxide and the like is possible.

Process for Production 19

It is possible that compound (1) that T¹ is CS-CO-N(R')-radical (in this group, R' has the same aforesaid definition) is produced by the following pathway.



(wherein, Q¹, Q², Q³, Q⁴, R¹, R² and R' have the same aforesaid meanings, and T¹ denotes CS-CO-N(R')-group (in this group, R' has the same aforesaid definition).

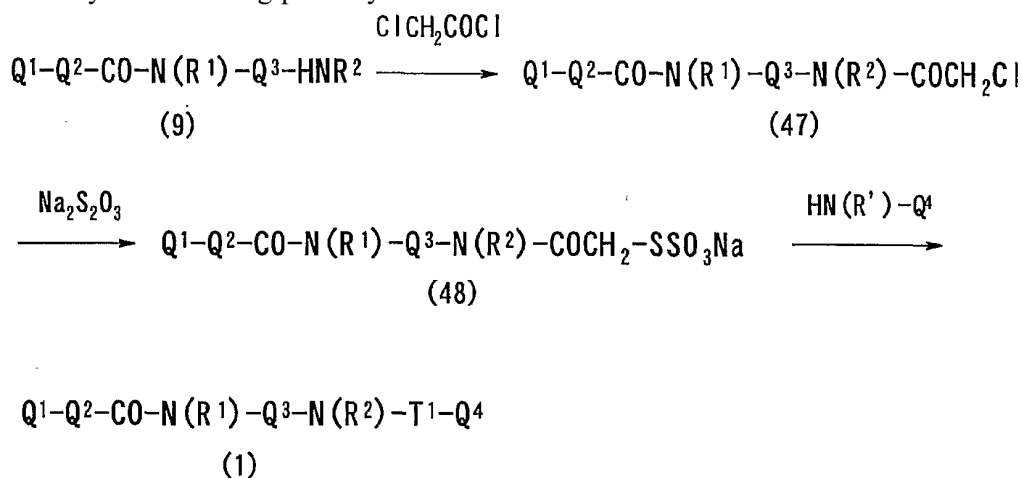
In other words, compound of this invention (1) can be produced by sodium thiosulfate salt (46) and compound (9) are dissolved or suspended in solvent, and heating it.

The reaction temperature is preferably 80-200°C, and around 150 degrees are particularly preferred. As the solvent which is used in this reaction, water, alcohol such as for example methanol, ethanol and the like, basic medium such as for example pyridine, N-methylmorpholine and the like, alkyl halide system solvent such as dichloromethane, chloroform or the like, ether type solvent such as tetrahydrofuran, 1,2-dimethoxyethane, dioxane or the like, amide system solvent such as N,N-dimethylformamide or the

like can be nominated, and these solvent may be suitably mixed and used, and, as example of mixed solvent, mixed solvent or the like of methanol and dichloromethane is nominated. Moreover,. In this reaction, solvent needs not to be always refluxed, when mixed solvent of for example methanol and dichloromethane was used, the reaction liquor (the reaction mixture) is heated to external temperature 150°C, and the solvent is eliminated by distillation and thereafter the residue is subsequently heated at the same temperature.

Process for Production 20

The compound (1) wherein T¹ is CO-CS-N(R')-group (in this group, R' has the same aforesaid definition) can be produced by the following pathway.



(wherein, Q¹, Q², Q³, Q⁴, R¹, R² and R' have the same aforesaid meanings, and T¹ denotes CO-CS-N(R')-group. (in this group, R' has the same aforesaid definition).

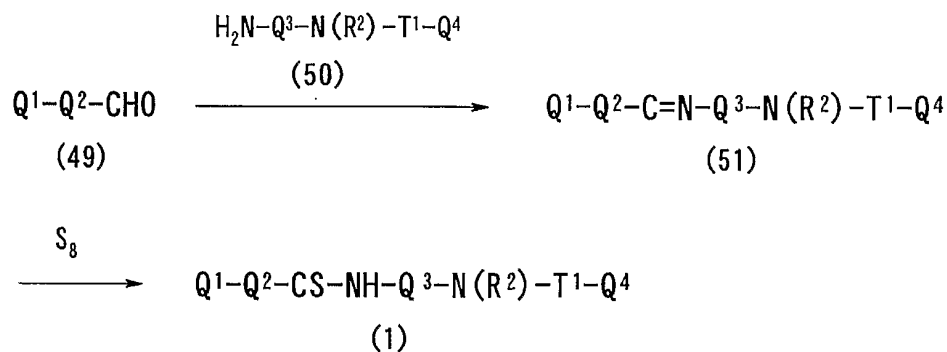
]. In other words, compound (9) is reacted with chlor acetyl chloride in the presence of base, and it is derived into compound (47), and thereafter, sodium thiosulfate derivative (48) can be produced by heating compound (47) in sodium thiosulfate and solvent. In other words, it is possible amine with (48) obtained in this way to produce compound of this invention (1) by heating with HN(R')HQ4.

The one which is used widely in reaction of acid chloride with amine condition or solvent producing compound (47) from compound (9) for quasi if make it, is good. If, sodium thiosulfate and 1 hours approx are heated under reflux in solvent such as for example ethanol and the like to be produced

compound (48) from compound (47), it is OK. When compound (47) is salt such as of for example hydrochloric acid or the like, it may be reacted in the presence of base such as for example sodium bicarbonate and the like. Production conditions of compound (48) need not to be restricted to one described in this place, and temperature, kind of solvent, kind of base can be suitably changed to it. Reaction conditions of compound (48) and $\text{HN}(\text{R}')\text{-Q}^4$ are the same as that described with process for the production 19.

Process for Production 21

It is possible that compound (1) that T^0 is thiocarbonyl group (-CS-group) is produced by the following pathway.

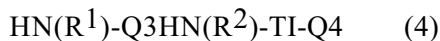


(wherein, Q^1 , Q^2 , Q^3 , Q^4 and R^2 have the same aforesaid meanings, T^1 denotes -SO₂-group -CO-group, HCO-NH-group, -CS-NHH group, -CO-NH-NH-group, -CO-CO-N(R')-group (in this group, R' has the same aforesaid definition), -CO-CS-N(R')-group (in this group, R' has the same aforesaid definition), -CS-CO-N(R')-group (in this group, R has the same aforesaid definition), -CS-CS-N(R')-group (in this group, R' has the same aforesaid definition), -CO-AI-N(R'')-group (in this group, A¹ and R'' has the same aforesaid definition). Denote-CO-A2-CO-group (in this group, A² has the same aforesaid definition), -CO-A3-CO-NH-group (in this group, A³ has the same aforesaid definition), -CO-A8-CO-group (in this group, A³ has the same aforesaid definition)). In other words, compound (49) is dehydrated with amine (50) in the presence of acid catalyst such as for example p-toluenesulfonic acid or the like, and it is derived into compound (51), and thereafter, compound of this invention (1) can be produced by what is heated in solvent such as methanol / dichloro methane liquid mixture or the like with sulfur powder. The one which is used widely for the when that generally is produced Schiff base condition produced compound (51) from compound (49) and amine (50) for quasi if make it, is good. As embodiments it is

good if or the like using apparatus of Dean Starck is heated under reflux in benzene or toluene in the presence of acid catalyst under condition it is made, and to be eliminated water from reaction system. Moreover, molecular sieve may be used when water is eliminated from reaction system.

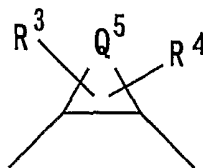
Below important intermediate described in process for the production 1-21 of compound (1) in this invention is described.

1). Compound represented by the following general formula (4) in accordance with aforesaid process for the production 1, 3 and 11 is important as production intermediate of compound (1) in this invention.



[In the formula, R¹, R², Q³ and Q⁴ have the same aforesaid meanings, T¹ denotes carbonyl group, sulphonyl group or CO-CO-N(R')- (in the group, R' has the same aforesaid meaning)).

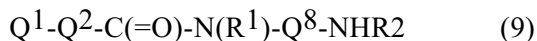
Among aforesaid intermediates, the compound wherein T¹ is group -C(=O)-C(=O)-N(R')- (in this group, R' is hydrogen atom, hydroxy group, alkyl group or alkoxy group) and also the compound wherein T¹ is carbonyl group, and Q³ is following group



is preferred.

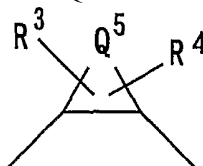
(In this group, R³ and R⁴ have the same aforesaid meanings, Q⁵ denotes group -(CH₂)_m-CH₂-A-CH₂-(CH₂)_n- (in this group, m and n each independently denote an integer of 0, 1-3, and A denotes oxygen atom, nitrogen atom, sulfur atom, -SO-, -SO₂-, -NH-, -CO-NH-, -NH-NH-, -S-NH-, -SO-NH- or -SO₂-NH-)).

2). Compound represented by the following general formula (9) in accordance with process for the production 2, 4 and 12 is important as production intermediate of compound (1) in this invention.



(wherein, R¹, R², Q¹, Q² and Q³ have the same aforesaid definition).

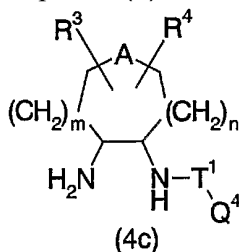
In aforesaid intermediate, the compound wherein Q^3 is following group



is preferred.

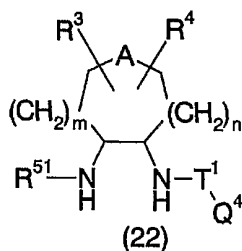
(in this group, R^3 and R^4 have the same aforesaid meanings, Q^5 denotes group-(CH_2) m - CH_2 -A- CH_2 -(CH_2) n). (in this group, m and n each independently denote an integer of 0,1-3, and A denotes a oxygen atom, nitrogen atom, sulfur atom, -SO-, -SO-, -NH-, -O one NH-, -NH-NH-, -S-NH-, -SO-NH- or -SO₂-NH-)).

3). The following compound (4c) in accordance with process for the production 7, 11 and 13 is important as production intermediate of compound (1) in this invention.



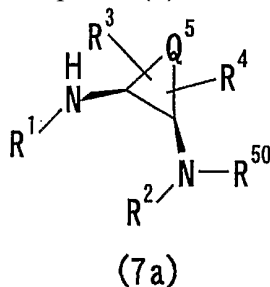
(Wherein, Q^4 , R^3 , R^4 , A, m and n has the same aforesaid meanings, and T^1 denotes carbonyl group, sulphonyl group or -CO-CO-N(R')-group (in this group, R' has the same aforesaid definition)). The compound that T^1 in aforesaid formulae is CO-CO-N(R')-radical in aforesaid intermediate (in this group, R' has the same aforesaid definition). And the compound wherein T^1 is carbonyl group, and A is oxygen atom, nitrogen atom, sulfur atom, -SO-, -SO-, -NH-, -O-NH-, -NH-NH-, -S-NH-, -SO-NH- or SO-NH-A is preferred.

4). The following compound (22) in accordance with process for the production 8 and 13 is important as production intermediate of compound (1) in this invention.



(wherein, Q^4 , R^3 , R^4 , A , m and n ha the same aforesaid meanings, and T^1 denotes carbonyl group, sulphonyl group or CO-CO-N(R')-group). (in this group, R' has the same aforesaid definition). R^{51} denotes protecting group of amino group in) aforesaid intermediate T^1 in aforesaid formulae CO-CO-N(R')-group (in this group, R' has the same aforesaid definition). And T^1 is carbonyl group, and the compound which is oxygen atom, nitrogen atom, sulfur atom, -SO-, -SO-, -NH-, -O-NH-, -NH-NH-, -S-NH-, -SO-NH- or SOO-NH- are preferred.

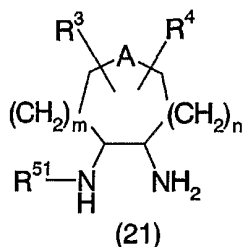
5). The following optically active compound (7a) in accordance with process for the production 6 is important as production intermediate of compound (1) in this invention.



(wherein, Q^5 , R^1 , R^2 , R^3 and R^4 have the same aforesaid meanings, and R^{50} denotes protecting group of amino group).

Among aforesaid intermediate, a compound wherein Q^5 in aforesaid formula is group $-(CH_2)_m-CH_2-A-CH_2-(CH_2)_n-$ (in this group, m and n each independently denote an integer of 0, 1-3, and A denotes an oxygen atom, nitrogen atom, sulfur atom, -SO-, -SO₂-, -NH-, -O-NH-, -NH-NH-, -S-NH-, -SO-NH- or SO₂-NH-) is preferred.

6). The following compound (21) in accordance with process for the production 8 is important as production intermediate of compound (1) in this invention.

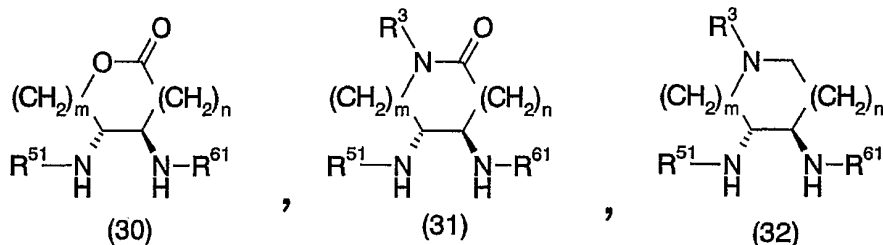


(wherein, R^3 , R^4 , A, m and n have the same aforesaid meanings, and R^{51} denotes protecting group of amino group).

Among aforesaid intermediate, a compound wherein A in aforesaid formula is oxygen atom, nitrogen atom, sulfur atom, -SO-, SO₂-, -NH-, -CO-NH-, -NH-NH-, -S-NH-, -SO-NH- or SO-NH-in INSERTFORMULA (wherein, R^3 , R^4 , A, m and n has the same aforesaid meanings, and R^{51} denotes protecting group of amino group) aforesaid intermediate is preferred.

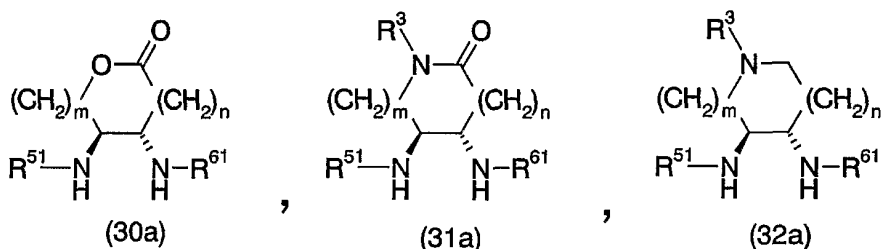
7). The following compound in accordance with a process for the production 10 is important as production intermediate of compound (1) in this invention.

In other words, it is optically active the following trans form compound (30), (31) and (32),.

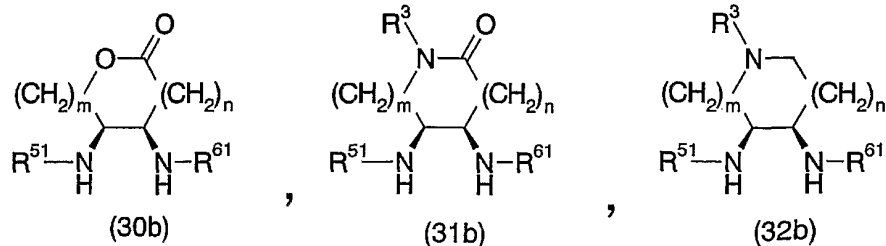


(wherein, R^3 , m and n have the same aforesaid meanings, and R^{51} and R^{61} denote protecting group of amino group)

enantiomers (30a), (31a) and (32a) of the aforesaid compound it is made, and to be produced, (31a) and (32a),

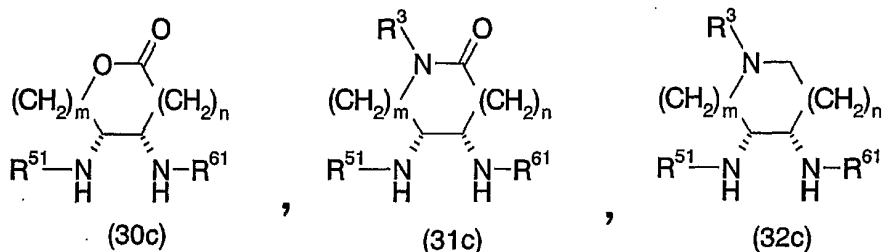


(wherein, R^3 , m and n have the same aforesaid meanings, and R^{51} and R^{61} denotes protecting group of amino group) cis form compounds (30b), (31b) and (32b),



(wherein, R^3 , m and n have the same aforesaid meanings. R^5 and R^{61} denote protecting group of amino group)

and enantiomers thereof (30c), (31c) and (32c)



(wherein, R^3 , m and n have the same aforesaid meanings, and R^{51} and R^{61} denote protecting group of amino group)

are important as production intermediates of compound (1) in this invention.

Because cyclic diamine derivative of this invention shows strong inhibitory action of activated blood coagulating factor X. It is useful as prevention and/or therapeutic agent of, drug for hoof milk species including human being, activated blood coagulating factor X inhibitor, blood clotting depressant, thrombus or prevention and/or therapeutic agent of embolus, prevention and/or therapeutic drug of thrombotic disease, it is also cerebral infarction, menses embolus, cardiac infarction, angina pectoris, pulmonary infarction, lung grave pillar, Buerger's disease, deep vein thrombosis, disseminated intravascular coagulation syndrome, thrombogenesis after synthetic valve / articulation substitution, thrombogenesis and reocclusion after blood circulation reconstruction, systemic inflammatory reaction syndrome (SIRS), multiple organ incompetence (MODS), thrombogenesis in extracorporeal circulation or blood clotting during collection of blood.

When the compounds of this invention are used as drug for human organism, dose is in a range of 1 - 1 g per adult per day, preferably 10 mg - 300 mg. Moreover, dose as animal use is different by a purpose of administration (prevention or treatment), kind and size of the animal which should be treated, kind of disease-causing germs infected with and a level, however, in general it is in a range of 0.1 mg - 200 mg per animal 1 kg in weight as daily dose, preferably 0.5 mg - 100 mg. This daily dose thereof is sorted by once a day or No. 2-4, and it administers. Moreover, daily dose may exceed aforesaid quantity depending on requirement.

medicinal composition containing the compounds of this invention can be adjusted to it at preparation method of the various formulation which is used usually. As formulation of medicinal composition formed the compounds of this invention with a main ingredient, for example tablet, powder, granule, encapsulated formulation and liquid agent, syrup, elixir agent, , and can exemplify suspensions of aqueous as formulation for oral.

As far as injection is concerned, stabilizer, preservatives, solubilizer may be used in formulation, and it is housed one solution to container to be including adjuvant of these and is good as formulation of preparation in use as solid formulation by lyophilizations. Moreover, dose may be put away in container of one once, and also many doses may be housed to container of one.

Moreover, liquid agent, suspension, emulsion, ointment, gel, cream, lotion, spray, patch or the like can be exemplified as preparation for external use.

As far as solid formulation is concerned, including, for example filler species and expander species, bonding agent species, disintegrating agent species, dissolution accelerating agent species, wetting agent species, lubricant species are in accordance with requirements selected, and additive permitted in pharmacy with the compounds of this invention is mixed, and what is formulated pharmaceutically is possible.

As far as liquid formulation is concerned, it may be solution, suspension, latex medicine or the like is nominated, but suspending agent, emulsifier or the like are being included as additive.

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