(12) STANDARD PATENT (11) Application No. **AU 2003272898 B2**
(19) AUSTRALIAN PATENT OFFICE

(54) Title
Novel herbicides, usage thereof, novel thienopyrimidine derivatives, intermediates of the same, and process for production thereof

(51) International Patent Classification(s)
C07D 495/04 (2006.01) ***C07C 255/40*** (2006.01)
A01N 43/90 (2006.01) ***C07D 333/36*** (2006.01)
C07C 69/92 (2006.01)

(21) Application No: **2003272898** (22) Date of Filing: **2003.09.26**

(87) WIPO No: **WO04/029060**

(30) Priority Data

(31) Number	(32) Date	(33) Country
2002-281271	**2002.09.26**	**JP**

(43) Publication Date: **2004.04.19**
(43) Publication Journal Date: **2004.05.20**
(44) Accepted Journal Date: **2009.08.13**

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(12)特許協力条約に基づいて公開された国際出願

(19) 世界知的所有権機関
国際事務局

(43) 国際公開日
2004 年4 月8 日 (08.04.2004)

PCT

(10) 国際公開番号
WO 2004/029060 A1

(51) 国際特許分類[7]: C07D 495/04, A01N 43/90

(21) 国際出願番号: PCT/JP2003/012356

(22) 国際出願日: 2003 年9 月26 日 (26.09.2003)

(25) 国際出願の言語: 日本語

(26) 国際公開の言語: 日本語

(30) 優先権データ:
特願2002-281271 2002 年9 月26 日 (26.09.2002) JP

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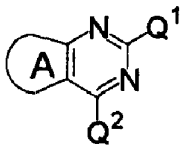
(81) 指定国 (国内): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) 指定国 (広域): ARIPO 特許 (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), ユーラシア特許 (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), ヨーロッパ特許 (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR),

[続葉有]

(54) Title: NOVEL HERBICIDES, USAGE THEREOF, NOVEL THIENOPYRIMIDINE DERIVATIVES, INTERMEDIATES OF THE SAME, AND PROCESS FOR PRODUCTION THEREOF

(54) 発明の名称: 新規除草剤、その使用方法、新規チエノピリミジン誘導体及びその中間体並びにその製造方法

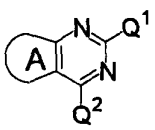


(I)

(57) Abstract: Herbicides containing as the active ingredient substituted thienopyrimidine derivatives represented by the general formula (I); usage thereof; novel compounds useful as the herbicides; a process for production of the compounds; and intermediates thereof: (I) wherein each symbol is as defined in the description.

(57) 要約:

一般式（Ｉ）



(I)

で表される置換チエノピリミジン誘導体を有効成分として含有する除草剤、その使用方法、該除草剤として有用な新規化合物及び該化合物の製造方法並びにその中間体に関する。（式中の記号は明細書中に定義されている。）

WO 2004/029060 A1

OAPI 特許 (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

添付公開書類:
— 国際調査報告書

２文字コード及び他の略語については、定期発行される各*PCT*ガゼットの巻頭に掲載されている「コードと略語のガイダンスノート」を参照。

Novel Herbicides, Method of Using the Same, Novel Substituted Thienopyrimidine Derivative and Intermediate Thereof, and Process for Producing the Same

Technical Field

The present invention relates to a herbicide containing a substituted thienopyrimidine derivative as an active ingredient, a method of using the same, a novel compound useful as the herbicide and a process for producing the same, and an intermediate thereof.

Background of the Invention

It is indispensable to supply important crops stably for solving the food crisis caused by world population growth that is expected to come near future. For stable supply of the crops, it is necessary to kill or control weeds harmful at cultivation and harvest of the crops economically and efficiently. Therefore, it is increasingly important to develop a novel herbicide or plant growth regulator which can offer a solution.

On the other hand, most of the reports on substituted thienopyrimidines are those on physiological activities thereof in pharmaceutical application and no description thereof as herbicides have not been found in the reports (for example, cf. WO98/50037, WO96/14319, EP-150469-A1, DE-2323149 and WO02/55524).

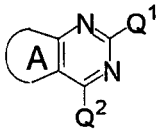
Disclosure of the Invention

In order to respond to such a social request, an object of the present invention is to provide a novel herbicide having a high safety for crops and also an excellent herbicidal activity against weeds.

As a result of intensive studies for solving the above-described problem, inventors of the present invention have found that a thienopyrimidine having a specific substituent exhibit a herbicidal activity, and have accomplished the invention.

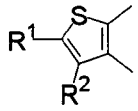
Disclosed herein are embodiments (1) to (20). The present invention as claimed concerns embodiments (21) to (25):

(1) A herbicide comprising, as an active ingredient, a substituted thienopyrimidine derivative represented by formula (I):



(I)

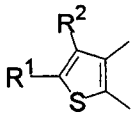
wherein A represents the following A1 or A2:



A1

wherein R^1 represents hydrogen or alkyl which may be substituted, and

R^2 represents hydrogen, halogen or alkyl which may be substituted:



A2

wherein R^1 and R^2 have the same meanings as described above,

Q^1 represents hydrogen, halogen, cyano, hydroxyl, carboxyl, or $-X^1R^3$,

wherein X^1 is a single bond, -O-, $-SO_n-$ in which n represents an integer of 0 to 2, $-OSO_n-$ in which n has the same meaning as described above, -CO-, $-CO_2-$, $-OCO_2-$, or -OC(O)-, and

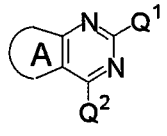
R^3 represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, amino which may be substituted, aryl which may be substituted, or a heterocyclic group which may be substituted,

Q^2 represents hydrogen, halogen, hydroxyl, or $-X^2R^4$,

wherein X^2 is a single bond, -O-, $-SO_n-$ in which n has the same meaning as described above, $-OSO_n-$ in which n has the same meaning as described above, -CO-, $-CO_2-$, $-OCO_2-$, or -OC(O)-, and

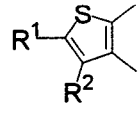
R^4 represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, amino which may be substituted, aryl which may be substituted, or a heterocyclic group which may be substituted.

(2) A herbicide comprising, as an active ingredient, a substituted thienopyrimidine derivative represented by formula (I):



(I)

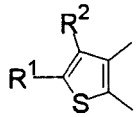
wherein A represents the following A1 or A2:



A1

wherein R^1 represents hydrogen, (C_1-C_6)alkyl, or halo(C_1-C_6)alkyl, and

R^2 represents hydrogen, halogen, (C_1-C_6)alkyl, or halo(C_1-C_6)alkyl:


A2

wherein R^1 and R^2 have the same meanings as described above,

Q^1 represents hydrogen, halogen, cyano, hydroxyl, carboxyl, or $-X^1R^3$,

wherein X^1 is a single bond, -O-, $-SO_n-$ in which n represents an integer of 0 to 2, $-OSO_n-$ in which n has the same meaning as described above, -CO-, $-CO_2-$, $-OCO_2-$, or -OC(O)-, and

R^3 represents (C_1-C_{10})alkyl; halo(C_1-C_{10})alkyl; cyclo(C_3-C_6)alkyl; halocyclo(C_3-C_6)alkyl; (C_1-C_6)alkoxy(C_1-C_6)alkyl; halo(C_1-C_6)alkoxy(C_1-C_6)alkyl; (C_1-C_4)alkyl(C_3-C_6)cycloalkyl; cyclo(C_3-C_6)alkyl(C_1-C_4)alkyl; (C_1-C_3)alkoxycarbonyl(C_1-C_3)alkyl; (amino)hydroxy(C_2-C_6)alkyl; (C_1-C_4)alkylthio(C_1-C_4)alkyl; (C_1-C_4)alkylsulfinyl(C_1-C_4)alkyl; (C_1-C_4)alkylsulfonyl(C_1-C_4)alkyl; (C_2-C_6)alkenyl; halo(C_2-C_6)alkenyl; hydroxy(C_2-C_6)alkenyl; hydroxyhalo(C_2-C_6)alkenyl; phenyl(C_2-C_6)alkenyl; (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl; amino; mono(C_1-C_6)alkylamino; monohalo(C_1-C_6)alkylamino; di(C_1-C_6)alkylamino of which alkyl moieties are the same or different; dihalo(C_1-C_6)alkylamino of which dihaloalkyl moieties are the same or different;

phenylamino; substituted phenylamino having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl; substituted aryl having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo$(C_3-$

C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl(C_1-C_6)alkyl; substituted aryl(C_1-C_6)alkyl having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen atom, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

a heterocyclic group of which heterocycle is oxirane, oxetane, tetrahydrofuran, furan, thiophene, pyrrole, pyrrolidine, oxazole, oxazoline, oxazolidine, thiazole, thiazoline, thiazolidine, imidazole, imidazoline, imidazolidine, triazole, triazolidine, isoxazole, isoxazoline, isothiazole, isothiazolidine, pyrazole, pyrazoline, pyrazolidine, tetrazol, tetrahydropyran, pyridine, pyrimidine, pyridazine, morpholine, thiomorpholine, piperazine, piperidine, or oxazine;

a substituted heterocyclic group of which heterocycle has the same meaning as described above, having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_1-C_6)alkylcarbonyloxy, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, and halocyclo(C_3-C_6)alkoxy;

heterocyclic (C_1-C_6)alkyl of which heterocycle has the same meaning as described above; or substituted heterocyclic (C_1-C_6)alkyl of which heterocycle has the same meaning as described above, having, on its ring, one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_1-C_6)alkylcarbonyloxy, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, and halocyclo(C_3-C_6)alkoxy,

Q^2 represents hydrogen, halogen, hydroxyl, or $-X^2R^4$;

wherein X^2 represents a single bond, -O-, $-SO_n-$ in which n has the same meaning as described above, $-OSO_n-$ in which n has the same meaning as described above, -CO-, $-CO_2-$, $-OCO_2-$, or -OC(O)-, and

R^4 represents (C_1-C_{10})alkyl; halo(C_1-C_{10})alkyl; cyclo(C_3-C_6)alkyl; halocyclo(C_3-C_6)alkyl; (C_1-C_6)alkoxy(C_1-C_6)alkyl; halo(C_1-C_6)alkoxy(C_1-C_6)alkyl; (C_1-C_4)alkylcyclo(C_3-C_6)alkyl; cyclo(C_3-C_6)alkyl(C_1-C_4)alkyl; (C_1-C_3)alkoxycarbonyl(C_1-C_3)alkyl; (C_1-C_4)alkylthio(C_1-C_4)alkyl; (C_1-C_4)alkylsulfinyl(C_1-C_4)alkyl; (C_1-C_4)alkylsulfonyl(C_1-C_4)alkyl; (C_2-C_6)alkenyl; halo(C_2-C_6)alkenyl; hydroxy(C_2-C_6)alkenyl; hydroxyhalo(C_2-C_6)alkenyl; phenyl(C_2-C_6)alkenyl; (C_2-C_6)alkynyl; halo(C_2-C_6)alkynyl; amino; mono(C_1-C_6)alkylamino; monohalo(C_1-C_6)alkylamino; di(C_1-C_6)alkylamino of which alkyl moieties are the same or different; dihalo(C_1-C_6)alkylamino of which haloalkyl moieties are the same or different;

phenylamino; substituted phenylamino having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl; substituted aryl having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl(C_1-C_6)alkyl; substituted aryl(C_1-C_6)alkyl having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo$(C_3-$

C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

a heterocyclic group of which heterocycle has the same meaning as described above; a substituted heterocyclic group of which heterocycle has the same meaning as described above, having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_1-C_6)alkylcarbonyloxy, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, and halocyclo(C_3-C_6)alkoxy;

heterocyclic (C_1-C_6)alkyl of which heterocycle has the same meaning as described above; or substituted heterocyclic (C_1-C_6)alkyl of which heterocycle has the same meaning as described above, having, on its ring, one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_1-C_6)alkylcarbonyloxy, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, and halocyclo(C_3-C_6)alkoxy.

(3) The herbicide according to (1) or (2),

wherein Q^1 is OR^3,

wherein R^3 represents (C_1-C_{10})alkyl; halo(C_1-C_{10})alkyl; cyclo(C_3-C_6)alkyl; (C_1-C_6)alkoxy(C_1-C_6)alkyl; halo(C_1-C_6)alkoxy(C_1-C_6)alkyl; (C_1-C_4)alkyl(C_3-C_6)cycloalkyl; cyclo(C_3-C_6)alkyl(C_1-C_4)alkyl; (C_1-C_3)alkoxycarbonyl(C_1-C_3)alkyl; (amino)hydroxy(C_2-C_6)alkyl; (C_1-C_4)alkylthio(C_1-C_4)alkyl; (C_1-C_4)alkylsulfinyl(C_1-C_4)alkyl; (C_1-C_4)alkylsulfonyl(C_1-C_4)alkyl; (C_2-C_6)alkenyl; halo(C_2-C_6)alkenyl; hydroxy(C_2-C_6)alkenyl; hydroxyhalo(C_2-C_6)alkenyl; phenyl(C_2-C_6)alkenyl; (C_2-C_6)alkynyl; halo(C_2-C_6)alkynyl; amino; mono(C_1-C_6)alkylamino; monohalo(C_1-C_6)alkylamino; di(C_1-C_6)alkylamino of which alkyl moieties are the same or different; dihalo(C_1-C_6)alkylamino of which dihaloalkyl moieties are the same or different;

phenylamino; substituted phenylamino having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, mono(C_1-

C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl; substituted aryl having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl(C_1-C_6)alkyl; substituted aryl(C_1-C_6)alkyl having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

a heterocyclic group of which heterocycle is oxirane, oxetane, tetrahydrofuran, furan, thiophene, pyrrole, pyrrolidine, oxazole, oxazoline, oxazolidine, thiazole, thiazoline, thiazolidine, imidazole, imidazoline, imidazolidine, triazole, triazolidine, isoxazole, isoxazoline, isothiazole, isothiazolidine, pyrazole, pyrazoline, pyrazolidine, tetrazol, tetrahydropyran, pyridine, pyrimidine, pyridazine, morpholine, thiomorpholine, piperazine, piperidine, or oxazine;

a substituted heterocyclic group of which heterocycle has the same meaning as described above, having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, (C_1-C_6)alkylcarbonyloxy, (C_1-C_6)alkoxy, and halo(C_1-C_6)alkoxy;

heterocyclic (C_1-C_6)alkyl of which heterocycle has the same meaning as described above; or substituted heterocyclic (C_1-C_6)alkyl of which heterocycle has the same meaning as described above, having, on its ring, one or more substituents which are same or different and are selected from the group consisting of halogen, cyano, nitro, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, (C_1-C_6)alkylcarbonyloxy, (C_1-C_6)alkoxy, and halo(C_1-C_6)alkoxy.

(4) The herbicide according to any one of (1) to (3),

wherein Q^2 is $(C_1$-$C_{10})$alkyl; cyclo$(C_3$-$C_6)$alkyl; $(C_1$-$C_6)$alkylthio; cyclo$(C_3$-$C_6)$alkylthio; $(C_1$-$C_6)$alkylsulfinyl; cyclo$(C_3$-$C_6)$alkylsulfinyl; $(C_1$-$C_6)$alkylsulfonyl; cyclo$(C_3$-$C_6)$alkylsulfonyl;

a heterocyclic group of which heterocycle is oxirane, oxetane, tetrahydrofuran, furan, thiophene, pyrrole, pyrrolidine, oxazole, oxazoline, oxazolidine, thiazole, thiazoline, thiazolidine, imidazole, imidazoline, imidazolidine, triazole, triazolidine, isoxazole, isoxazoline, isothiazole, isothiazolidine, pyrazole, pyrazoline, pyrazolidine, tetrazol, tetrahydropyran, pyridine, pyrimidine, pyridazine, morpholine, thiomorpholine, piperazine, piperidine, or oxazine;

a substituted heterocyclic group of which heterocycle has the same meaning as described above, having, its on ring, one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing $(C_1$-$C_6)$alkyl, fluorine-containing $(C_1$-$C_6)$alkoxy, and fluorine-containing $(C_1$-$C_6)$alkylthio;

heterocyclic oxy of which heterocycle has the same meaning as described above; heterocyclic oxy of which heterocycle has the same meaning as described above, having, its on ring, one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing $(C_1$-$C_6)$alkyl, fluorine-containing $(C_1$-$C_6)$alkoxy, and fluorine-containing $(C_1$-$C_6)$alkylthio; or

$-X^2R^4$,

wherein X^2 is a single bond, -O-, -S-, -SO- or $-SO_2$-, and

R^4 is phenyl which is substituted with one or more substituents which are the same or different and selected from the group consisting of fluorine-containing $(C_1$-$C_6)$alkyl, fluorine-containing $(C_1$-$C_6)$alkoxy, and fluorine-containing $(C_1$-$C_6)$alkylthio and which is optionally substituted with one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, $(C_1$-$C_6)$alkyl, halo$(C_1$-$C_6)$alkyl, cyclo$(C_3$-$C_6)$alkyl, halocyclo$(C_3$-$C_6)$alkyl, $(C_2$-$C_6)$alkenyl, halo$(C_2$-$C_6)$alkenyl, $(C_2$-$C_6)$alkynyl, halo$(C_2$-$C_6)$alkynyl, $(C_1$-$C_6)$alkoxy, halo$(C_1$-$C_6)$alkoxy, cyclo$(C_3$-$C_6)$alkoxy, halocyclo$(C_3$-$C_6)$alkoxy, $(C_1$-$C_6)$alkylthio, halo$(C_1$-$C_6)$alkylthio, cyclo$(C_3$-$C_6)$alkylthio, halocyclo$(C_3$-$C_6)$alkylthio, $(C_1$-$C_6)$alkylsulfinyl, halo$(C_1$-$C_6)$alkylsulfinyl, cyclo$(C_3$-$C_6)$alkylsulfinyl, halocyclo$(C_3$-$C_6)$alkylsulfinyl, $(C_1$-$C_6)$alkylsulfonyl, halo$(C_1$-$C_6)$alkylsulfonyl, cyclo$(C_3$-$C_6)$alkylsulfonyl, and halocyclo$(C_3$-$C_6)$alkylsulfonyl.

(5) The herbicide according to (1) or (2),

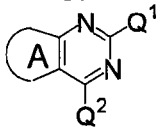
wherein Q^1 is hydrogen; halogen; cyano; hydroxyl; carboxyl; $(C_1$-$C_{10})$alkyl; halo$(C_1$-$C_6)$alkyl; $(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl; halo$(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl; $(C_1$-$C_{10})$alkoxy; halo$(C_1$-$C_6)$alkoxy; cyclo$(C_3$-$C_6)$alkoxy; halocyclo$(C_3$-$C_6)$alkoxy; $(C_1$-

C_6)alkoxy(C_1-C_6)alkoxy; halo(C_1-C_6)alkoxy(C_1-C_6)alkoxy;(C_1-C_3)alkoxycarbonyl(C_1-C_3)alkoxy; (amino)hydroxy(C_2-C_6)alkoxy; (C_1-C_6)alkylthio(C_1-C_6)alkoxy; (C_1-C_6)alkylsulfinyl(C_1-C_6)alkoxy; (C_1-C_6)alkylsulfonyl(C_1-C_6)alkoxy; di(C_1-C_3)alkylamino(C_1-C_3)alkoxy of which alkyl moieties are the same or different; (C_2-C_6)alkenyl; halo(C_2-C_6)alkenyl; hydroxyhalo(C_2-C_6)alkenyl; (C_2-C_6)alkenyloxy; halo(C_2-C_6)alkenyloxy; (C_2-C_6)alkynyloxy; amino; mono(C_1-C_6)alkylamino; di(C_1-C_6)alkylamino of which alkyl moieties are the same or different; substituted phenyl which is substituted with halo(C_1-C_3)alkyls which are the same or different; pyrrolyl; imidazolyl; substituted imidazolyl which is substituted with one or more (C_1-C_3) alkyl which are the same or different; pyrazolyl; substituted pyrazolyl which is substituted with one or more (C_1-C_3)alkyls or halo(C_1-C_6)alkyls which are the same or different; substituted pyrazolyl(C_1-C_3)alkyl which is substituted with one or more halo(C_1-C_3)alkyls which are the same or different; triazolyl; phenoxy; substituted phenoxy which is substituted with one or more substituents which are the same or different and are selected from the group consisting of halo(C_1-C_3)alkyl and halo(C_1-C_3)alkoxy; (C_1-C_3)alkylthio; (C_1-C_3)alkylsulfinyl; (C_1-C_3)alkylsulfonyl; (C_1-C_6)alkylsulfonyloxy; halo(C_1-C_6)alkylsulfonyloxy; phenylsulfonyloxy; substituted phenylsulfonyloxy which is substituted with one or more (C_1-C_3)alkyls which are the same or different; di(C_1-C_3)alkylaminosulfonyloxy of which alkyl moieties are the same or different; (C_2-C_4)alkenylsulfonyloxy; (C_1-C_3)alkylcarbonyloxy; (C_1-C_3)alkoxycarbonyloxy; (C_1-C_3)alkoxycarbonyl; aminocarbonyl; or substituted phenylaminocarbonyl which is substituted with one or more halogens which are the same or different,

Q^2 represents halogen; hydroxyl; (C_1-C_6)alkyl; halo(C_1-C_6)alkyl; cyclo(C_3-C_6)alkyl; halocyclo(C_3-C_6)alkyl; (C_1-C_6)alkoxy; halo(C_1-C_6)alkoxy; (C_1-C_6)alkylthio; (C_1-C_6)alkylsulfinyl; (C_1-C_6)alkylsulfonyl; substituted phenyl having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, (C_1-C_3)alkyl, halo(C_1-C_3)alkyl, (C_1-C_3)alkoxy, halo(C_1-C_3)alkoxy, and halo(C_1-C_3)alkylthio; substituted pyrazolyl having one or more substituents which are the same or different and are selected from the group consisting of halogen, (C_1-C_3)alkyl, and halo(C_1-C_3)alkyl; furyl; substituted thienyl which is substituted with one or more (C_1-C_3)alkyls which are the same or different; substituted pyridyl having one halogens which are the same or different; or substituted phenoxy having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, (C_1-C_3)alkyl, halo(C_1-C_3)alkyl, (C_1-C_3)alkoxy, halo(C_1-C_3)alkoxy, and halo(C_1-C_3)alkylthio.

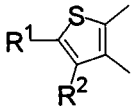
(6) A herbicidal method, which comprises carrying out a soil treatment, a field foliar treatment, or an irrigation treatment with an effective amount of the herbicide according to any one of (1) to (5).

(7) A substituted thienopyrimidine derivative represented by formula (I):



(I)

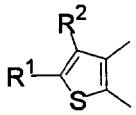
wherein A represents the following A1 or A2:



A1

wherein R^1 represents hydrogen, $(C_1\text{-}C_6)$alkyl, or halo$(C_1\text{-}C_6)$alkyl,

R^2 represents hydrogen, halogen, $(C_1\text{-}C_6)$alkyl, or halo$(C_1\text{-}C_6)$alkyl:



A2

wherein R^1 and R^2 have the same meanings as described above,

Q^1 represents hydrogen, halogen, cyano, hydroxyl, carboxyl, or $-X^1R^3$,

wherein X^1 is a single bond, -O-, $-SO_n-$ in which n represents an integer of 0 to 2, $-OSO_n-$ in which n has the same meaning as described above, -CO-, $-CO_2-$, $-OCO_2-$, or -OC(O)-,

R^3 represents $(C_1\text{-}C_{10})$alkyl; halo$(C_1\text{-}C_{10})$alkyl; cyclo$(C_3\text{-}C_6)$alkyl; halocyclo$(C_3\text{-}C_6)$alkyl; $(C_1\text{-}C_6)$alkoxy$(C_1\text{-}C_6)$alkyl; halo$(C_1\text{-}C_6)$alkoxy$(C_1\text{-}C_6)$alkyl; $(C_1\text{-}C_4)$alkyl$(C_3\text{-}C_6)$cycloalkyl; cyclo$(C_3\text{-}C_6)$alkyl$(C_1\text{-}C_4)$alkyl; $(C_1\text{-}C_3)$alkoxycarbonyl$(C_1\text{-}C_3)$alkyl; (amino)hydroxy$(C_2\text{-}C_6)$alkyl; $(C_1\text{-}C_4)$alkylthio$(C_1\text{-}C_4)$alkyl; $(C_1\text{-}C_4)$alkylsulfinyl$(C_1\text{-}C_4)$alkyl; $(C_1\text{-}C_4)$alkylsulfonyl$(C_1\text{-}C_4)$alkyl; $(C_2\text{-}C_6)$alkenyl; halo$(C_2\text{-}C_6)$alkenyl; hydroxy$(C_2\text{-}C_6)$alkenyl; hydroxyhalo$(C_2\text{-}C_6)$alkenyl; phenyl$(C_2\text{-}C_6)$alkenyl; $(C_2\text{-}C_6)$alkynyl; halo$(C_2\text{-}C_6)$alkynyl; amino; mono$(C_1\text{-}C_6)$alkylamino; monohalo$(C_1\text{-}C_6)$alkylamino; di$(C_1\text{-}C_6)$alkylamino of which alkyl moieties are the same or different; dihalo$(C_1\text{-}C_6)$alkylamino of which haloalkyl moieties are the same or different; phenylamino; substituted phenylamino having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, $(C_1\text{-}C_6)$alkyl, halo$(C_1\text{-}C_6)$alkyl, cyclo$(C_3\text{-}C_6)$alkyl, halocyclo$(C_3\text{-}C_6)$alkyl, $(C_2\text{-}C_6)$alkenyl, halo$(C_2\text{-}C_6)$alkenyl, $(C_2\text{-}C_6)$alkynyl, halo$(C_2\text{-}C_6)$alkynyl, $(C_1\text{-}C_6)$alkoxy, halo$(C_1\text{-}C_6)$alkoxy, cyclo$(C_3\text{-}C_6)$alkoxy, halocyclo$(C_3\text{-}C_6)$alkoxy, $(C_1\text{-}C_6)$alkylthio, halo$(C_1\text{-}C_6)$alkylthio, cyclo$(C_3\text{-}C_6)$alkylthio, halocyclo$(C_3\text{-}C_6)$alkylthio, $(C_1\text{-}C_6)$alkylsulfinyl, halo$(C_1\text{-}C_6)$alkylsulfinyl, cyclo$(C_3\text{-}C_6)$alkylsulfinyl, halocyclo$(C_3\text{-}C_6)$alkylsulfinyl, $(C_1\text{-}C_6)$alkylsulfonyl, halo$(C_1\text{-}$

C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl; substituted aryl having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl(C_1-C_6)alkyl; substituted aryl(C_1-C_6)alkyl having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

a heterocyclic group of which heterocycle is oxirane, oxetane, tetrahydrofuran, furan, thiophene, pyrrole, pyrrolidine, oxazole, oxazoline, oxazolidine, thiazole, thiazoline, thiazolidine, imidazole, imidazoline, imidazolidine, triazole, triazolidine, isoxazole, isoxazoline, isothiazole, isothiazolidine, pyrazole, pyrazoline, pyrazolidine, tetrahydropyran, pyridine, pyrimidine, pyridazine, tetrazol, morpholine, thiomorpholine, piperazine, piperidine, or oxazine;

a substituted heterocyclic group of which heterocycle has the same meaning as described above, having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_1-C_6)alkylcarbonyloxy, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, and halocyclo(C_3-C_6)alkoxy;

heterocyclic (C_1-C_6)alkyl of which heterocycle has the same meaning as described above; or substituted heterocyclic (C_1-C_6)alkyl of which heterocycle has the same meaning as described above, having, on its ring, one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_1-C_6)alkylcarbonyloxy, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, and halocyclo(C_3-C_6)alkoxy,

Q^2 represents hydrogen, halogen, hydroxyl, or $-X^2R^4$,

wherein X^2 is a single bond, -O-, $-SO_n-$ in which n has the same meaning as described above, $-OSO_n-$ in which n has the same meaning as described above, -CO-, $-CO_2-$, $-OCO_2-$, or -OC(O)-, and

R^4 represents (C_1-C_{10})alkyl; halo(C_1-C_{10})alkyl; cyclo(C_3-C_6)alkyl; halocyclo(C_3-C_6)alkyl; (C_1-C_6)alkoxy(C_1-C_6)alkyl; halo(C_1-C_6)alkoxy(C_1-C_6)alkyl; (C_1-C_4)alkylcyclo(C_3-C_6)alkyl; cyclo(C_3-C_6)alkyl(C_1-C_4)alkyl; (C_1-C_3)alkoxycarbonyl(C_1-C_3)alkyl; (C_1-C_4)alkylthio(C_1-C_4)alkyl; (C_1-C_4)alkylsulfinyl(C_1-C_4)alkyl; (C_1-C_4)alkylsulfonyl(C_1-C_4)alkyl; (C_2-C_6)alkenyl; halo(C_2-C_6)alkenyl; hydroxy(C_2-C_6)alkenyl; hydroxyhalo(C_2-C_6)alkenyl; phenyl(C_2-C_6)alkenyl; (C_2-C_6)alkynyl; halo(C_2-C_6)alkynyl; amino; mono(C_1-C_6)alkylamino; monohalo(C_1-C_6)alkylamino; di(C_1-C_6)alkylamino of which alkyl moieties are the same or different; dihalo(C_1-C_6)alkylamino of which haloalkyl moieties are the same or different;

phenylamino; substituted phenylamino having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl; substituted aryl having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio,

halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl(C_1-C_6)alkyl; substituted aryl(C_1-C_6)alkyl having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

a heterocyclic group of which heterocycle has the same meaning as described above; a substituted heterocyclic group of which heterocycle has the same meaning as described above, having one or more substituents which are same or different and are selected from the group consisting of halogen, cyano, nitro, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_1-C_6)alkylcarbonyloxy, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, and halocyclo(C_3-C_6)alkoxy;

heterocyclic (C_1-C_6)alkyl of which heterocycle has the same meaning as described above; or substituted heterocyclic (C_1-C_6)alkyl of which heterocycle has the same meaning as described above, having, on its ring, one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_1-C_6)alkylcarbonyloxy, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, and halocyclo(C_3-C_6)alkoxy.

(8) The substituted thienopyrimidine derivative according to (7), wherein A represents A1,

Q^1 represents OR^3, wherein R^3 has the same meaning as defined in (7),

Q^2 represents hydrogen, halogen, hydroxyl, or $-X^2R^4$,

wherein X^2 has the same meaning as defined in (7), and

R^4 is $(C_1$-$C_{10})$alkyl; halo$(C_1$-$C_{10})$alkyl; cyclo$(C_3$-$C_6)$alkyl; $(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl; halo$(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl; $(C_1$-$C_4)$alkylcyclo$(C_3$-$C_6)$alkyl; cyclo$(C_3$-$C_6)$alkyl$(C_1$-$C_4)$alkyl; $(C_1$-$C_3)$alkoxycarbonyl$(C_1$-$C_3)$alkyl; $(C_1$-$C_4)$alkylthio$(C_1$-$C_4)$alkyl; $(C_1$-$C_4)$alkylsulfinyl$(C_1$-$C_4)$alkyl; $(C_1$-$C_4)$alkylsulfonyl$(C_1$-$C_4)$alkyl; $(C_2$-$C_6)$alkenyl; halo$(C_2$-$C_6)$alkenyl; hydroxy$(C_2$-$C_6)$alkenyl; hydroxyhalo$(C_2$-$C_6)$alkenyl; phenyl$(C_2$-$C_6)$alkenyl; $(C_2$-$C_6)$alkynyl; halo$(C_2$-$C_6)$alkynyl; amino; mono$(C_1$-$C_6)$alkylamino; monohalo$(C_1$-$C_6)$alkylamino; di$(C_1$-$C_6)$alkylamino of which alkyl moieties are the same or different; dihalo$(C_1$-$C_6)$alkylamino of which haloalkyl moieties are the same or different;

phenylamino; substituted phenylamino having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, $(C_1$-$C_6)$alkyl, halo$(C_1$-$C_6)$alkyl, $(C_2$-$C_6)$alkenyl, halo$(C_2$-$C_6)$alkenyl, $(C_2$-$C_6)$alkynyl, halo$(C_2$-$C_6)$alkynyl, $(C_1$-$C_6)$alkoxy, halo$(C_1$-$C_6)$alkoxy, $(C_1$-$C_6)$alkylthio, halo$(C_1$-$C_6)$alkylthio, $(C_1$-$C_6)$alkylsulfinyl, halo$(C_1$-$C_6)$alkylsulfinyl, $(C_1$-$C_6)$alkylsulfonyl, halo$(C_1$-$C_6)$alkylsulfonyl, mono$(C_1$-$C_6)$alkylamino, and di$(C_1$-$C_6)$alkylamino of which alkyl moieties are the same or different;

substituted aryl having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing $(C_1$-$C_6)$alkyl, fluorine-containing $(C_1$-$C_6)$alkoxy, and fluorine-containing $(C_1$-$C_6)$alkylthio;

a heterocyclic ring of which heterocycle has the same meaning as defined in (7); or a substituted heterocyclic ring of which heterocycle has the same meaning as described above, having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, $(C_1$-$C_6)$alkyl, halo$(C_1$-$C_6)$alkyl, $(C_1$-$C_6)$alkylcarbonyloxy, $(C_1$-$C_6)$alkoxy, and halo$(C_1$-$C_6)$alkoxy, and

wherein

(a) when X^2 is a single bond, R^4 is not amino, mono$(C_1$-$C_6)$alkylamino or monohalo$(C_1$-$C_6)$alkylamino, and

(b) when X^2 is –O-, R^4 is not $(C_1$-$C_{10})$alkyl.

(9) The substituted thienopyrimidine derivative according to (7),

wherein A represents A1,

Q^1 represents hydrogen, halogen, cyano, hydroxyl, carboxyl, or $-X^1R^3$;

wherein X^1 is a single bond, $-SO_n-$ in which n represents an integer of 0 to 2, $-OSO_n-$ in which n has the same meaning as described above, -CO-, $-CO_2-$, $-OCO_2-$, or -OC(O)-;

R^3 has the same meaning as defined in (7),

Q^2 represents substituted aryl having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing (C_1-C_6)alkyl, fluorine-containing (C_1-C_6)alkoxy, and fluorine-containing (C_1-C_6)alkylthio;

substituted aryloxy having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing (C_1-C_6)alkyl, fluorine-containing (C_1-C_6)alkoxy, and fluorine-containing (C_1-C_6)alkylthio;

substituted arylthio having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing (C_1-C_6)alkyl, fluorine-containing (C_1-C_6)alkoxy, and fluorine-containing (C_1-C_6)alkylthio;

substituted arylsulfinyl having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing (C_1-C_6)alkyl, fluorine-containing (C_1-C_6)alkoxy, and fluorine-containing (C_1-C_6)alkylthio; or

substituted arylsulfonyl having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing (C_1-C_6)alkyl, fluorine-containing (C_1-C_6)alkoxy, and fluorine-containing (C_1-C_6)alkylthio, and

wherein, when Q^1 is (C_1-C_6)alkyl in which X^1 is a single bond and R^3 is (C_1-C_6)alkyl, Q^2 is not substituted aryloxy which is substituted with at least one fluorine-containing alkyl.

(10) The substituted thienopyrimidine derivative according to (7),

wherein A represents A1,

Q^1 represents hydrogen; halogen; cyano; hydroxyl; carboxyl; (C_1-C_{10})alkyl; halo(C_1-C_6)alkyl; (C_1-C_6)alkoxy(C_1-C_6)alkyl; halo(C_1-C_6)alkoxy(C_1-C_6)alkyl; (C_1-C_{10})alkoxy; halo(C_1-C_6)alkoxy; cyclo(C_3-C_6)alkoxy; halocyclo(C_3-C_6)alkoxy; (C_1-C_6)alkoxy(C_1-C_6)alkoxy; halo(C_1-C_6)alkoxy(C_1-C_6)alkoxy; (C_1-C_3)alkoxycarbonyl(C_1-C_3)alkoxy; (amino)hydroxy(C_2-C_6)alkoxy; (C_1-C_6)alkylthio(C_1-C_6)alkoxy; (C_1-C_6)alkylsulfinyl(C_1-C_6)alkoxy; (C_1-C_6)alkylsulfonyl(C_1-C_6)alkoxy; di(C_1-C_3)alkylamino(C_1-C_3) alkoxy of which alkyl moieties are the same or different; (C_2-C_6)alkenyl; halo(C_2-C_6)alkenyl; hydroxyhalo(C_2-C_6)alkenyl; (C_2-C_6)alkenyloxy; halo(C_2-C_6)alkenyloxy; (C_1-C_6)alkynyloxy; amino; mono(C_1-C_6)alkylamino; di(C_1-C_6)alkylamino of which alkyl moieties are the same or different; substituted phenyl which is substituted with one or more halo(C_1-C_3)alkyls which are the same or different; pyrrolyl; imidazolyl; substituted imidazolyl which is substituted with one or more (C_1-C_3)alkyls which are the same or different; pyrazolyl; substituted pyrazolyl having one or more substituents which are the same or different and are selected from (C_1-C_3)alkyl

and halo(C_1-C_3)alkyl; substituted pyrazolyl(C_1-C_3)alkyl having one or more substituents which are the same or different and are selected from the group consisting of halo(C_1-C_3)alkyl; triazolyl; phenoxy; substituted phenoxy having one or more substituents which are the same or different and are selected from the group consisting of halo(C_1-C_3)alkyl and halo(C_1-C_3)alkoxy; (C_1-C_3)alkylthio; (C_1-C_3)alkylsulfinyl; (C_1-C_3)alkylsulfonyl; (C_1-C_6)alkylsulfonyloxy; halo(C_1-C_6)alkylsulfonyloxy; phenylsulfonyloxy; substituted phenylsulfonyloxy having one or more substituents which is substituted with one or more (C_1-C_3)alkyls which are the same or different; di(C_1-C_3)alkylaminosulfonyloxy of which alkyl moieties are the same or different; (C_2-C_4)alkenylsulfonyloxy; (C_1-C_3)alkylcarbonyloxy; (C_1-C_3)alkoxycarbonyl; aminocarboxyl; substituted phenylaminocarbonyl which is substituted with one or more halogens on its ring which are the same or different,

Q^2 represents halogen; hydroxyl; (C_1-C_6)alkyl; halo(C_1-C_6)alkyl; cyclo(C_3-C_6)alkyl; halocyclo(C_3-C_6)alkyl; (C_1-C_6)alkoxy; halo(C_1-C_6)alkoxy; (C_1-C_6)alkylthio; (C_1-C_6)alkylsulfinyl; (C_1-C_6)alkylsulfonyl; substituted phenyl having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, (C_1-C_3)alkyl, halo(C_1-C_3)alkyl, (C_1-C_3)alkoxy, halo(C_1-C_3)alkoxy, and halo(C_1-C_3)alkylthio; substituted pyrazolyl having one or more substituents which are the same or different and are selected from the group consisting of halogen, (C_1-C_3)alkyl, and halo(C_1-C_3)alkyl; furyl; substituted thienyl substituted with one or more (C_1-C_3)alkyls which are the same or different; substituted pyridyl substituted with one or more halogens which are the same or different; or substituted phenoxy having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, (C_1-C_3)alkyl, halo(C_1-C_3)alkyl, (C_1-C_3)alkoxy, halo(C_1-C_3)alkoxy, and halo(C_1-C_3)alkylthio.

(11) The substituted thienopyrimidine derivative according to any one of (7), (9) and (10), wherein A represents A1, and Q^1 represents halogen or hydroxyl.

(12) The substituted thienopyrimidine derivative according to (7), wherein A is A2,

Q^1 represents $-OR^3$, wherein R^3 has the same meaning as defined in (7),

Q^2 represents hydrogen, halogen, hydroxyl, or $-X^2R^4$,

wherein X^2 is a single bond, -O-, $-SO_n-$ in which n represents an integer of 0 to 2, $-OSO_n-$ in which n has the same meaning as described above, $-CO_2-$, $-OCO_2-$, or -OC(O)-;

R^4 represents (C_1-C_{10})alkyl; halo(C_1-C_{10})alkyl; cyclo(C_3-C_6)alkyl; (C_1-C_6)alkoxy(C_1-C_6)alkyl; halo(C_1-C_6)alkoxy(C_1-C_6)alkyl; (C_1-C_4)alkylcyclo(C_3-C_6)alkyl;

cyclo(C_3-C_6)alkyl(C_1-C_4)alkyl; (C_1-C_3)alkoxycarbonyl(C_1-C_3)alkyl; (C_1-C_4)alkylthio(C_1-C_4)alkyl; (C_1-C_4)alkylsulfinyl(C_1-C_4)alkyl; (C_1-C_4)alkylsulfonyl(C_1-C_4)alkyl; (C_2-C_6)alkenyl; halo(C_2-C_6)alkenyl; hydroxy(C_2-C_6)alkenyl; hydroxyhalo(C_2-C_6)alkenyl; phenyl(C_2-C_6)alkenyl; (C_2-C_6)alkynyl; halo(C_2-C_6)alkynyl; amino; mono(C_1-C_6)alkylamino; monohalo(C_1-C_6)alkylamino; di(C_1-C_6)alkylamino of which alkyl moieties are the same or different; dihalo(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

phenylamino; substituted phenylamino which having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl; substituted aryl which having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different, and

wherein, when X^2 is a single bond, R^4 is not amino; mono(C_1-C_6)alkylamino; monohalo(C_1-C_6)alkylamino; di(C_1-C_6)alkylamino of which alkyl moieties are the same or different; dihalo(C_1-C_6)alkylamino of which alkyl moieties are the same or different; phenylamino; substituted phenylamino which has one or more substituents on its ring which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different; (C_1-C_{10})alkyl; halo(C_1-C_{10})alkyl; cyclo(C_3-C_6)alkyl; (C_1-C_6)alkoxy(C_1-C_6)alkyl; halo(C_1-C_6)alkoxy(C_1-C_6)alkyl; (C_1-C_4)alkyl(C_3-C_6)cycloalkyl; cyclo(C_3-C_6)alkyl(C_1-C_4)alkyl; (C_1-C_3)alkoxycarbonyl(C_1-C_3)alkyl; (C_1-

C_4)alkylthio(C_1-C_4)alkyl; (C_1-C_4)alkylsulfinyl(C_1-C_4)alkyl; or (C_1-C_4)alkylsulfonyl(C_1-C_4)alkyl.

(13) The substituted thienopyrimidine derivative according to (7),

wherein A is A2,

Q^1 represents halogen, cyano, carboxyl, or $-X^1R^3$;

wherein X^1 is a single bond, $-SO_n-$ in which n represents an integer of 0 to 2, $-OSO_n-$ in which n has the same meaning as described above, -CO-, $-CO_2-$, $-OCO_2-$, or -OC(O)-, and

R^3 has the same meaning as defined in (7), and

Q^2 represents:

substituted aryl having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing (C_1-C_6)alkyl, fluorine-containing (C_1-C_6)alkoxy, and fluorine-containing (C_1-C_6)alkylthio;

substituted aryloxy having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing (C_1-C_6)alkyl, fluorine-containing (C_1-C_6)alkoxy, and fluorine-containing (C_1-C_6)alkylthio;

substituted arylthio having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing (C_1-C_6)alkoxy, and fluorine-containing (C_1-C_6)alkylthio;

substituted arylsulfinyl having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing (C_1-C_6)alkyl, fluorine-containing (C_1-C_6)alkoxy, and fluorine-containing (C_1-C_6)alkylthio; or

substituted arylsulfonyl having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing (C_1-C_6)alkyl, fluorine-containing (C_1-C_6)alkoxy, and fluorine-containing (C_1-C_6)alkylthio.

(14) The substituted thienopyrimidine derivative according to (7),

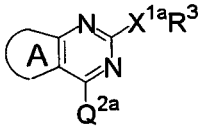
wherein A is A2,

Q^1 represents halogen; cyano; carboxyl; (C_1-C_{10})alkyl; halo(C_1-C_6)alkyl; (C_1-C_6)alkoxy(C_1-C_6)alkyl; halo(C_1-C_6)alkoxy(C_1-C_6)alkyl; (C_1-C_{10})alkoxy; halo(C_1-C_6)alkoxy; cyclo(C_3-C_6)alkoxy; halocyclo(C_3-C_6)alkoxy; (C_1-C_6)alkoxy(C_1-C_6)alkoxy; halo(C_1-C_6)alkoxy(C_1-C_6)alkoxy; (C_1-C_3)alkoxycarbonyl(C_1-C_3)alkoxy; hydroxyamino(C_1-C_3)alkoxy(C_1-C_3)alkoxy; (C_1-C_6)alkylthio(C_1-C_6)alkoxy; (C_1-C_6)alkylsulfinyl(C_1-C_6)alkoxy; (C_1-C_6)alkylsulfonyl(C_1-C_6)alkoxy; di(C_1-C_3)alkylamino(C_1-C_3)alkoxy; (C_2-C_6)alkenyl; halo(C_2-C_6)alkenyl; hydroxyhalo(C_2-C_6)alkenyl; (C_2-C_6)alkenyloxy; halo(C_2-C_6)alkenyloxy; (C_2-C_6)alkynyloxy; amino;

mono(C_1-C_6)alkylamino; di(C_1-C_6)alkylamino of which alkyl moieties are the same or different; substituted phenyl which is substituted with one or more halo(C_1-C_3)alkyls which are the same or different; pyrolyl; imidazolyl; substituted imidazolyl which is substituted with one or more (C_1-C_3)alkyls which are the same or different; pyrazolyl; substituted pyrazolyl having one or more substituents which are the same or different and are selected from the group consisting of (C_1-C_3)alkyl and halo(C_1-C_3)alkyl; substituted pyrazolyl(C_1-C_3)alkyl which is substituted on its ring with one or more halo(C_1-C_3)alkyls which are the same or different; triazolyl; phenoxy; substituted phenoxy having one or more substituents which are the same or different and are selected from the group consisting of halo(C_1-C_3)alkyl and halo(C_1-C_3)alkoxy; (C_1-C_3)alkylthio; (C_1-C_3)alkylsulfinyl; (C_1-C_3)alkylsulfonyl; (C_1-C_3)alkylsulfonyloxy; halo(C_1-C_3)alkylsulfonyloxy; phenylsulfonyloxy; substituted phenylsulfonyloxy which is substituted with one or more (C_1-C_3)alkyls which are the same or different; di(C_1-C_3)alkylaminosulfonyloxy of which alkyl moieties are the same or different; (C_2-C_4)alkenylsulfonyloxy; (C_1-C_3)alkylcarbonyloxy; (C_1-C_3)alkoxycarbonyloxy; (C_1-C_3)alkoxycarbonyl; aminocarbonyl; or substituted phenylaminocarbonyl which is substituted with one or more halogens which are the same or different,

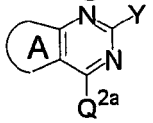
Q^2 is halogen; hydroxyl; (C_1-C_6)alkyl; halo(C_1-C_6)alkyl; cyclo(C_3-C_6)alkyl; halocyclo(C_3-C_6)alkyl; (C_1-C_6)alkoxy; halo(C_1-C_6)alkoxy; (C_1-C_6)alkylthio; (C_1-C_6)alkylsulfinyl; (C_1-C_6)alkylsulfonyl; substituted phenyl having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, (C_1-C_3)alkyl, halo(C_1-C_3)alkyl, (C_1-C_3)alkoxy, halo(C_1-C_3)alkoxy, and halo(C_1-C_3)alkylthio; or substituted phenoxy having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, (C_1-C_3)alkyl, halo(C_1-C_3)alkyl, (C_1-C_3)alkoxy, halo(C_1-C_3)alkoxy, and halo(C_1-C_3)alkylthio.

(15) A method for producing a substituted thienopyrimidine derivative represented by formula (I-2):



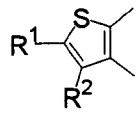
(I-2)

wherein A, R^3, X^{1a} and Q^{2a} have the same meanings as described below; which comprises reacting a compound of formula (I-1):

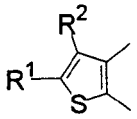


(I-1)

wherein A represents the following A1 or A2:


A1

wherein R^1 represents hydrogen, $(C_1\text{-}C_6)$alkyl, or halo$(C_1\text{-}C_6)$alkyl, and R^2 represents hydrogen, halogen, $(C_1\text{-}C_6)$alkyl, or halo$(C_1\text{-}C_6)$alkyl:


A2

wherein R^1 and R^2 have the same meanings as described above,

Y represents halogen,

Q^{2a} represents:

substituted aryl having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing $(C_1\text{-}C_6)$alkyl, fluorine-containing $(C_1\text{-}C_6)$alkoxy, and fluorine-containing $(C_1\text{-}C_6)$alkylthio;

substituted aryloxy having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing $(C_1\text{-}C_6)$alkyl, fluorine-containing $(C_1\text{-}C_6)$alkoxy, and fluorine-containing $(C_1\text{-}C_6)$alkylthio;

substituted arylthio having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing $(C_1\text{-}C_6)$alkyl, fluorine-containing $(C_1\text{-}C_6)$alkoxy, and fluorine-containing $(C_1\text{-}C_6)$alkylthio;

substituted arylsulfinyl having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing $(C_1\text{-}C_6)$alkyl, fluorine-containing $(C_1\text{-}C_6)$alkoxy, and fluorine-containing $(C_1\text{-}C_6)$alkylthio;

substituted arylsulfonyl having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing $(C_1\text{-}C_6)$alkyl, fluorine-containing $(C_1\text{-}C_6)$alkoxy, and fluorine-containing $(C_1\text{-}C_6)$alkylthio;

with a compound represented by formula (II):

$$R^3X^{1a}H \quad (II)$$

wherein X^{1a} is a single bond, -O-, or -S-,

R^3 represents $(C_1\text{-}C_{10})$alkyl; halo$(C_1\text{-}C_{10})$alkyl; cyclo$(C_3\text{-}C_6)$alkyl; halocyclo$(C_3\text{-}C_6)$alkyl; $(C_1\text{-}C_6)$alkoxy$(C_1\text{-}C_6)$alkyl; halo$(C_1\text{-}C_6)$alkoxy$(C_1\text{-}C_6)$alkyl; $(C_1\text{-}C_4)$alkyl$(C_3\text{-}C_6)$cycloalkyl; cyclo$(C_3\text{-}C_6)$alkyl$(C_1\text{-}C_4)$alkyl; $(C_1\text{-}C_3)$alkoxycarbonyl$(C_1\text{-}C_3)$alkyl; $(C_1\text{-}C_4)$alkylthio$(C_1\text{-}C_4)$alkyl; $(C_1\text{-}C_4)$alkylsulfinyl$(C_1\text{-}C_4)$alkyl; $(C_1\text{-}C_4)$alkylsulfonyl$(C_1\text{-}C_4)$alkyl; $(C_2\text{-}C_6)$alkenyl; halo$(C_2\text{-}C_6)$alkenyl; hydroxy$(C_2\text{-}C_6)$alkenyl; hydroxyhalo$(C_2\text{-}C_6)$alkenyl; phenyl$(C_2\text{-}C_6)$alkenyl; $(C_2\text{-}C_6)$alkynyl; halo$(C_2\text{-}C_6)$alkynyl; amino; mono$(C_1\text{-}C_6)$alkylamino; monohalo$(C_1\text{-}C_6)$alkylamino; di$(C_1\text{-}C_6)$alkylamino of which alkyl moieties are the same or different; dihalo$(C_1\text{-}C_6)$alkylamino of which dihaloalkyl moieties are the same or different;

phenylamino; substituted phenylamino having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl; substituted aryl having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

aryl(C_1-C_6)alkyl; substituted aryl(C_1-C_6)alkyl having on its ring one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, hydroxyl, amino, SH, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_2-C_6)alkenyl, halo(C_2-C_6)alkenyl, (C_2-C_6)alkynyl, halo(C_2-C_6)alkynyl, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, halocyclo(C_3-C_6)alkoxy, (C_1-C_6)alkylthio, halo(C_1-C_6)alkylthio, cyclo(C_3-C_6)alkylthio, halocyclo(C_3-C_6)alkylthio, (C_1-C_6)alkylsulfinyl, halo(C_1-C_6)alkylsulfinyl, cyclo(C_3-C_6)alkylsulfinyl, halocyclo(C_3-C_6)alkylsulfinyl, (C_1-C_6)alkylsulfonyl, halo(C_1-C_6)alkylsulfonyl, cyclo(C_3-C_6)alkylsulfonyl, halocyclo(C_3-C_6)alkylsulfonyl, mono(C_1-C_6)alkylamino, and di(C_1-C_6)alkylamino of which alkyl moieties are the same or different;

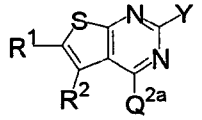
a heterocyclic group of which heterocycle is oxirane, oxetane, tetrahydrofuran, furan, thiophene, pyrrole, pyrrolidine, oxazole, oxazoline, oxazolidine, thiazole, thiazoline, thiazolidine, imidazole, imidazoline, imidazolidine, triazole, triazolidine, isoxazole, isoxazoline, isothiazole, isothiazolidine, pyrazole, pyrazoline,

pyrazolidine, tetrazole, tetrahydropyran, pyridine, pyrimidine, pyridazine, morpholine, thiomorpholine, piperazine, piperidine, or oxazine;

a substituted heterocyclic group of which heterocycle has the same meaning as described above, having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_1-C_6)alkylcarbonyloxy, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, and halocyclo(C_3-C_6)alkoxy;

heterocyclic (C_1-C_6)alkyl of which heterocycle has the same meaning as described above; or substituted heterocyclic (C_1-C_6)alkyl of which heterocycle has the same meaning as described above, having, on its ring, one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, (C_1-C_6)alkyl, halo(C_1-C_6)alkyl, cyclo(C_3-C_6)alkyl, halocyclo(C_3-C_6)alkyl, (C_1-C_6)alkylcarbonyloxy, (C_1-C_6)alkoxy, halo(C_1-C_6)alkoxy, cyclo(C_3-C_6)alkoxy, and halocyclo(C_3-C_6)alkoxy.

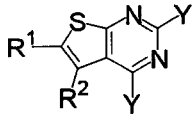
(16) A process for producing a substituted thieno[2,3-d]pyrimidine derivative represented by formula (I-3):



(I-3)

wherein R^1, R^2, Q^{2a}, and Y have the same meanings as described below,

which comprises carrying out a coupling reaction of 2,4-dihalogenothieno[2,3-d]pyrimidine derivative represented by formula (III):



(III)

wherein R^1 represents hydrogen, (C_1-C_6)alkyl, or halo(C_1-C_6)alkyl,

R^2 represents hydrogen, halogen, (C_1-C_6)alkyl, or halo(C_1-C_6)alkyl, and

Y represents halogen which are the same or different,

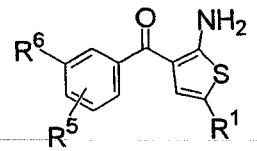
with a compound represented by formula (IV):

$$Q^{2a}\text{-L} \quad \text{(IV)}$$

wherein Q^{2a} represents substituted aryl having one or more substituents which are the same or different and are selected from the group consisting of fluorine-containing (C_1-C_6)alkyl, fluorine-containing (C_1-C_6)alkoxy, and fluorine-containing (C_1-C_6)alkylthio, and

L represents a leaving group.

(17) 2-Amino-3-(substituted benzoyl)thiophene derivative represented by formula (V):



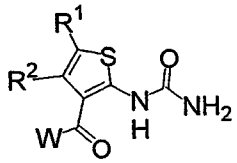
(V)

wherein R^1 represents hydrogen, $(C_1\text{-}C_6)$alkyl, or halo$(C_1\text{-}C_6)$alkyl,

R^5 represents hydrogen, halogen, $(C_1\text{-}C_6)$alkyl, or halo$(C_1\text{-}C_6)$alkyl,

R^6 represents fluorine-containing $(C_1\text{-}C_6)$alkyl, fluorine-containing $(C_1\text{-}C_6)$alkoxy, or fluorine-containing $(C_1\text{-}C_6)$alkylthio.

(18) A ureidethiophene derivative represented by formula (VI):



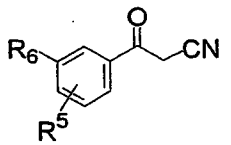
(VI)

wherein R^1 represents hydrogen, $(C_1\text{-}C_6)$alkyl, or halo$(C_1\text{-}C_6)$alkyl,

R^2 represents hydrogen, halogen, $(C_1\text{-}C_6)$alkyl, or halo$(C_1\text{-}C_6)$alkyl, and

W represents aryl or substituted aryl having one or more substituents which are the same or different and are selected from the group consisting of halogen, cyano, nitro, $(C_1\text{-}C_6)$alkyl, halo$(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$alkoxy, halo$(C_1\text{-}C_6)$alkoxy, and halo$(C_1\text{-}C_6)$alkylthio.

(19) A substituted benzoylacetonitrile derivative represented by formula (VII):



(VII)

wherein R^5 represents hydrogen, halogen, $(C_1\text{-}C_6)$alkyl, or halo$(C_1\text{-}C_6)$alkyl,

R^6 represents fluorine-containing $(C_1\text{-}C_6)$alkyl, fluorine-containing $(C_1\text{-}C_6)$alkoxy, and fluorine-containing $(C_1\text{-}C_6)$alkylthio,

and wherein, when R^6 is fluorine-containing $(C_1\text{-}C_6)$alkyl, R^5 is not hydrogen.

(20) 3-(Trifluoromethoxy)ethyl benzoate.

(21) According to one aspect of this invention there is provided a herbicide comprising, as an active ingredient, a substituted thienopyrimidine derivative represented by formula (I):

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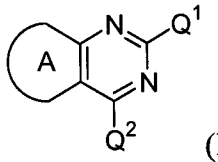
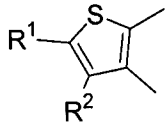


(I)

wherein A represents the following A1:



A1

wherein R^1 represents hydrogen or $(C_1\text{-}C_6)$alkyl, and

R^2 represents hydrogen or $(C_1\text{-}C_6)$alkyl,

Q^1 represents $-X^1R^3$;

wherein X^1 is –O-, and

R^3 represents halo$(C_1\text{-}C_{10})$alkyl;

Q^2 represents $-X^2R^4$;

wherein X^2 represents a single bond, and

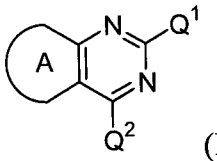
R^4 represents phenyl or substituted phenyl having one or more substiutents which are the same or different and are selected from the group consisting of halogen, cyano, $(C_1\text{-}C_6)$alkyl, halo$(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$alkoxy, halo$(C_1\text{-}C_6)$alkoxy and halo$(C_1\text{-}C_6)$alkylthio.

(22) According to another embodiment of this invention there is provided the herbicide of (21), wherein the substituted thienopyrmidine derivative represented by formula (I) is formulated together with an auxiliary agent into a composition such as a wettable powder, granules, an emulsifiable concentrate or a flowable.

(23) According to another embodiment of this invention there is provided the herbicide of (22), wherein the auxiliary agent is selected from the group consisting of solid carriers, liquid carriers, surface active agents, and mixtures thereof.

(24) According to another embodiment of this invention there is provided a herbicidal method, which comprises carrying out a soil treatment, a field foliar treatment, or an irrigation treatment with an effective amount of the herbicide according to any one of (21) to (23).

(25) A substituted thienopyrmidine derivative represented by formula (I):



(I)

wherein A represents the following A1:

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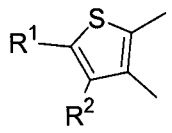


A1

wherein R^1 represents hydrogen or $(C_1\text{-}C_6)$alkyl,

R^2 represents hydrogen or $(C_1\text{-}C_6)$alkyl,

Q^1 represents $-X^1R^3$;

wherein X^1 is –O-,

R^3 represents halo$(C_1\text{-}C_{10})$alkyl;

Q^2 represents $-X^2R^4$;

wherein X^2 is a single bond, and

R^4 represents phenyl or substituted phenyl having one or more substiutents which are the same or different and are selected from the group consisting of halogen, cyano, $(C_1\text{-}C_6)$alkyl, halo$(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$alkoxy, halo$(C_1\text{-}C_6)$alkoxy and halo$(C_1\text{-}C_6)$alkylthio.

Best Mode for Carrying Out the Invention

The substituted thienopyrimidine derivatives useful as the herbicide according to the present invention are represented by the above formula (I), and a use of the compounds including the compounds disclosed in prior art documents as a novel herbicide has been found.

1. Compounds to be used as herbicide of the present invention

R^1 in formula (I) is hydrogen or alkyl which may be substituted.

The substituent for the alkyl group is not particularly limited as far as the resulting compound exhibits a herbicidal activity. Examples thereof include halogens, e.g., fluorine, chlorine, bromine and iodine. Moreover, the above alkyl which may be substituted has preferably from 1 to 6 carbon atoms, more preferably from 1 to 4 carbon atoms.

Preferred specific examples of the alkyl group which may be substituted include (C_1-C_4)alkyl, e.g., methyl, ethyl, propyl, isopropyl, butyl, or isobutyl; and halo(C_1-C_4)alkyl, e.g., chloromethyl, fluoromethyl, trifluoromethyl, 2-chloroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2,2,2-trichloroethyl, 3-chloropropyl, 3-bromopropyl, 3,3,3-trifluoropropyl, 2,2,3,3-tetrafluoropropyl, 2,2,3,3,3-pentafluoropropyl, 1-methyl-2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-(trifluoromethyl)ethyl, 1-methyl-2,2,3,3,3-pentafluoropropyl, 4-chlorobutyl, or 4,4,4-trifluorobutyl.

Among these, the above R^1 is preferably (C_1-C_4)alkyl, more preferably methyl or ethyl.

R^2 is hydrogen; halogen, e.g., fluorine, chlorine, bromine, or iodine; or alkyl which may be substituted. The alkyl for R^2, which may be substituted, may be selected from the same groups mentioned for the above R^1.

Among these, R^2 is preferably hydrogen or halogen.

Q^1 is hydrogen; halogen, e.g., fluorine, chlorine, bromine, or iodine; cyano; hydroxyl; carboxyl; or a group represented by $-X^1R^3$.

In the group represented by $-X^1R^3$ of the above Q^1, X^1 is a single bond, -O-, $-SO_n-$ (n represents an integer of 0 to 2), $-OSO_n-$ (n has the same meaning as described above), -CO-, $-CO_2-$, $-OCO_2-$, or -OC(O)-, and is preferably a single bond, -O-, -S-, or $-SO_2-$, and is particularly preferably -O-.

In the group represented by $-X^1R^3$ of the above Q^1, R^3 is alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, amino which may be substituted, aryl which may be substituted, or a heterocyclic group which may be substituted. The heterocycle of the heterocyclic group is a 5- or 6-membered heterocycle containing one or more hetero atoms selected from oxygen, sulfur, or nitrogen and examples thereof include oxirane, oxetane, tetrahydrofuran, furan, thiophene, pyrrole, pyrrolidine, oxazole, oxazoline, oxazolidine, thiazole, thiazoline, thiazolidine, imidazole, imidazoline, imidazolidine, triazole, triazolidine, isoxazole,

isoxazoline, isothiazole, isothiazolidine, pyrazole, pyrazoline, pyrazolidine, tetrazol, tetrahydropyran, pyridine, pyrimidinine, pyridazine, morpholine, thiomorpholine, piperazine, piperidine, and oxazine.

The substituents for the above alkyl, alkenyl, alkynyl, amino, aryl, and heterocyclic group are not particularly limited as far as the resulting compounds exhibit a herbicidal activity. Examples thereof include halogen, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl, alkyl, aryl, and nitro.

Moreover, the above alkyl, alkenyl, and alkynyl have preferably from 1 to 10 carbon atoms, more preferably from 1 to 6 carbon atoms. The above amino, aryl, and heterocyclic group have preferably 15 carbon atoms or less, more preferably 12 carbon atoms or less, particularly preferably 10 carbon atoms or less.

R^3 is preferably halogen, alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, aryl which may be substituted, or a heterocyclic group which may be substituted.

Preferred examples of the above R^3 include (C_1-C_{10})alkyl, e.g., methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, pentyl, isopentyl, hexyl, isohexyl, heptyl, octyl, nonyl, or decyl;

cyclo(C_3-C_6)alkyl , e.g., cyclopropyl, cyclobutyl, cyclopentyl, or cyclohexyl;

halo(C_1-C_{10})alkyl, e.g., chloromethyl, 2-chloroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2,2,2-trichloroethyl, 3-chloropropyl, 3-bromopropyl, 3,3,3-trifluoropropyl, 2,2,3,3-tetrafluoropropyl, 2,2,3,3,3-pentafluoropropyl, 1-methyl-2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-(trifluoromethyl)ethyl, 1-methyl-2,2,3,3,3-pentafluoropropyl, 3-chloropropyl, 3-bromopropyl, 4-chlorobutyl, 4,4,4-trifluorobutyl, 5,5,5-trifluoropentyl, 6,6,6-trifluorohexyl, 7-fluoroheptyl, 8-chlorooctyl, 9-fluorononyl, or 10-fluorodecyl;

(C_1-C_6)alkoxy(C_1-C_6)alkyl, e.g., methoxymethyl, ethoxymethyl, propoxymethyl, isopropoxymethyl, butoxymethyl, pentyloxymethyl, hexyloxymethyl, 2-methoxyethyl, 2-methoxy-1-methylethyl, 2-ethoxyethyl, 2-propoxyethyl, 2-isopropoxyethyl, 2-methoxypropyl, 3-methoxypropyl, 4-methoxybutyl, 5-methoxypentyl, or 6-methoxyhexyl;

halo(C_1-C_6)alkoxy(C_1-C_6)alkyl, e.g., (2,2,2-trifluoroethoxy)methyl, 2-(2,2,2-trifluoroethoxy)ethyl, 3-(2,2,2-trifluoroethoxy)propyl, 4-(2,2,2-trifluoroethoxy)butyl, 5-(2,2,2-trifluoroethoxy)pentyl, 6-(2,2,2-trifluoroethoxy)hexyl, 1-

methyl-2-(2,2,2-trifluoroethoxy)ethyl, (trifluoromethoxy)ethyl, (3-chloropropoxy)ethyl, (4-chlorobutoxy)ethyl, (5-chloropentyloxy)ethyl, or (6-fluorohexyloxy)methyl;

(amino)hydroxy(C_2-C_6)alkyl, e.g., 2-amino-3-hydroxy-2-methylpropyl;

(C_1-C_4)alkyl(C_3-C_6)cycloalkyl, e.g., 1-methyl-cyclopropyl, 2-methyl-cyclopropyl, 2-ethyl-cyclopropyl, 2-propyl-cyclopropyl, 2-butyl-cyclopropyl, 2,2-dimethyl-cyclopropyl, 2-methyl-cyclobutyl, 2-methyl-cyclopentyl, or 4-tert-butyl-cyclohexyl;

cyclo(C_3-C_6)alkyl(C_1-C_4)alkyl, e.g., cyclopropylmethyl, 2-(cyclopropyl)ethyl, 3-(cyclopropyl)propyl, 4-(cyclopropyl)butyl, cyclobutylmethyl, cyclopentylmethyl, or cyclohexylmethyl;

(C_1-C_4)alkylthio(C_1-C_4)alkyl, e.g., methylthiomethyl, ethylthiomethyl, propylthiomethyl, butylthiomethyl, 1-(methylthio)ethyl, 2-(methylthio)ethyl, 3-(methylthio)propyl, or 4-(methylthio)butyl;

(C_1-C_4)alkylsulfinyl(C_1-C_4)alkyl, e.g., methylsulfinylmethyl, ethylsulfinylmethyl, propylsulfinylmethyl, butylsulfinylmethyl, 1-(methylsulfinyl)ethyl, 2-(methylsulfinyl)ethyl, 3-(methylsulfinyl)propyl, or 4-(methylsulfinyl)butyl;

(C_1-C_4)alkylsulfonyl(C_1-C_4)alkyl, e.g., methylsulfonylmethyl, ethylsulfonylmethyl, propylsulfonylmethyl, butylsulfonylmethyl, 1-(methylsulfonyl)ethyl, 2-(methylsulfonyl)ethyl, 3-(methylsulfonyl)propyl, or 4-(methylsulfonyl)butyl;

(C_2-C_6)alkenyl, e.g., vinyl, allyl, methallyl, crotyl, 2-butenyl, 3-butenyl, 2-methyl-2-butenyl, 3-methyl-2-butenyl, 4-pentenyl, or 5-hexenyl;

halo(C_2-C_6)alkenyl, e.g., 2-chloroallyl, 3-chloroallyl, or 4-chloro-2-butenyl;

(C_2-C_6)alkynyl, e.g., ethynyl, propargyl, α-methylpropargyl, 2-butynyl, 3-butynyl, 4-pentynyl, or 5-hexynyl;

halo(C_2-C_6)alkynyl, e.g., 3-chloropropargyl, 4-chloro-2-butynyl, or 5-chloro-3-pentynyl;

phenyl and substituted aryl, e.g., 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethylphenyl, 2,4-dimethylphenyl, 2,5-dimethylphenyl, 2,6-dimethylphenyl, 3,4-dimethylphenyl, 3,5-dimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-bromophenyl, 3-bromophenyl, 4-bromophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 2,6-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 2,6-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,3-dibromophenyl, 2,4-dibromophenyl, 2,5-dibromophenyl, 2,6-

dibromophenyl, 3,4-dibromophenyl, 3,5-dibromophenyl, 2-(difluoromethyl)phenyl, 3-(difluoromethyl)phenyl, 4-(difluoromethyl)phenyl, 2-(difluoromethoxy)phenyl, 3-(difluoromethoxy)phenyl, 4-(difluoromethoxy)phenyl, 2-(difluoromethylthio)phenyl, 3-(difluoromethylthio)phenyl, 4-(difluoromethylthio)phenyl, 2-(trifluoromethyl)phenyl, 3-(trifluoromethyl)phenyl, 4-(trifluoromethyl)phenyl, 2-(trifluoromethoxy)phenyl, 3-(trifluoromethoxy)phenyl, 4-(trifluoromethoxy)phenyl, 2-(trifluoromethylthio)phenyl, 3-(trifluoromethylthio)phenyl, 4-(trifluoromethylthio)phenyl, 2,4-bis(trifluoromethyl)phenyl, 2,5-bis(trifluoromethyl)phenyl, 3,5-bis(trifluoromethyl)phenyl, 2,4-bis(trifluoromethoxy)phenyl, 2,5-bis(trifluoromethoxy)phenyl, 3,5-bis(trifluoromethoxy)phenyl, 2,4-bis(trifluoromethylthio)phenyl, 2,5-bis(trifluoromethylthio)phenyl, 3,5-bis(trifluoromethylthio)phenyl, 2-fluoro-3-(trifluoromethyl)phenyl, 4-fluoro-3-(trifluoromethyl)phenyl, 5-fluoro-3-(trifluoromethyl)phenyl, 6-fluoro-3-(trifluoromethyl)phenyl, 2-chloro-3-(trifluoromethyl)phenyl, 4-chloro-3-(trifluoromethyl)phenyl, 5-chloro-3-(trifluoromethyl)phenyl, 6-chloro-3-(trifluoromethyl)phenyl, 2-bromo-3-(trifluoromethyl)phenyl, 4-bromo-3-(trifluoromethyl)phenyl, 5-bromo-3-(trifluoromethyl)phenyl, 6-bromo-3-(trifluoromethyl)phenyl, 2-methyl-3-(trifluoromethyl)phenyl, 4-methyl-3-(trifluoromethyl)phenyl, 5-methyl-3-(trifluoromethyl)phenyl, 6-methyl-3-(trifluoromethyl)phenyl, 2-methoxy-3-(trifluoromethyl)phenyl, 4-methoxy-3-(trifluoromethyl)phenyl, 5-methoxy-3-(trifluoromethyl)phenyl, 6-methoxy-3-(trifluoromethyl)phenyl, 4-ethoxy-3-(trifluoromethyl)phenyl, 4-n-propoxy-3-(trifluoromethyl)phenyl, 4-isopropoxy-3-(trifluoromethyl)phenyl, 2-(2,2,2-trifluoroethyl)phenyl, 3-(2,2,2-trifluoroethyl)phenyl, 4-(2,2,2-trifluoroethyl)phenyl, 2,6-dichloro-4-(trifluoromethyl)phenyl, 2-nitrophenyl, 3-nitrophenyl, or 4-nitrophenyl;

amino and (C_1-C_{12})amino, e.g., methylamino, dimethylamino, ethylamino, diethylamino, methylethylamino, propylamino, isopropylamino, butylamino, phenylamino, 2-methylphenylamino, 3-methylphenylamino, 4-methylphenylamino, 2-fluorophenylamino, 3-fluorophenylamino, 4-fluorophenylamino, 2-(trifluoromethyl)phenylamino, 3-(trifluoromethyl)phenylamino, 4-(trifluoromethyl)phenylamino, 2-(trifluoromethoxy)phenylamino, 3-(trifluoromethoxy)phenylamino, 4-(trifluoromethoxy)phenylamino, 2-chlorophenylamino, 3-chlorophenylamino, 4-chlorophenylamino, 2-methoxyphenylamino, 3-methoxyphenylamino, 4-methoxyphenylamino, 2-nitrophenylamino, 3-nitrophenylamino, or 4-nitrophenylamino;

a heterocyclic group, e.g., oxiranyl, oxetanyl, tetrahydrofuryl, furyl, thienyl, pyrrolyl, pyrrolidinyl, oxazolidyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolidyl, pyrazolidyl, tetrahydropyranyl, pyridyl, piperidyl, pyrimidinyl, pyridazinyl, morpholinyl, piperazinyl, triazolidyl, 1-pyrrolidinyl, 1-pyrrolyl, 2-isooxazolidinyl, 1-pyrazolyl, 1-imidazolyl, 1-triazolyl, 1-tetrazolyl, 1-piperidyl, 4-morpholinyl, 4-thiomorpholinyl, 2-oxazin-2-yl, or 1-piperazinyl; and

the above described heterocyclic group substituted with halogen, e.g., fluorine, chlorine, bromine, or iodine; (C_1-C_6)alkyl, e.g., methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, pentyl, or hexyl; cyclo(C_3-C_6)alkyl, e.g., cyclopropyl, cyclobutyl, cyclopentyl, or cyclohexyl; (C_1-C_4)haloalkyl, e.g., chloromethyl, trifluoromethyl, 2-chloroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2,2,2-trichloroethyl, 3-chloropropyl, 3-bromopropyl, 3,3,3-trifluoropropyl, 2,2,3,3-tetrafluoropropyl, 2,2,3,3,3-pentafluoropropyl, 1-methyl-2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-(trifluoromethyl)ethyl, 1-methyl-2,2,3,3,3-pentafluoropropyl, 4-chlorobutyl, or 4,4,4-trifluorobutyl; (C_1-C_6)alkoxy, e.g., methoxy, ethoxy, propoxy, isopropoxy, butoxy, or tert-butoxy.

As the above Q^1, preferred is the case that Q^1 is a group represented by OR^3. Preferred examples thereof include (C_1-C_6)alkoxy, e.g., methoxy, ethoxy, propoxy, isopropoxy, butoxy, tert-butoxy, pentyloxy, or hexyloxy;

cyclo(C_3-C_6)alkoxy, e.g., cyclopropoxy, cyclobutoxy, cyclopentyloxy, or cyclohexyloxy;

halo(C_1-C_6)alkoxy, e.g., chloromethoxy, fluoromethoxy, trifluoromethoxy, 2-chloroethoxy, 2-fluoroethoxy, 2,2-difluoroethoxy, 2,2,2-trifluoroethoxy, 2,2,2-trichloroethoxy, 3-chloropropoxy, 3-bromopropoxy, 3,3,3-trifluoropropoxy, 2,2,3,3-tetrafluoropropoxy, 2,2,3,3,3-pentafluoropropoxy, 1-methyl-2,2,2-trifluoroethoxy, 2,2,2-trifluoro-1-(trifluoromethyl)ethoxy, 1-methyl-2,2,3,3,3-pentafluoropropoxy, 3-chloropropoxy, 3-bromopropoxy, 4-chlorobutoxy, 4,4,4-trifluorobutoxy, 5,5,5-trifluoropentyloxy, or 6,6,6-trifluorohexyloxy;

(C_2-C_6)alkenyloxy, e.g., vinyloxy, allyloxy, methallyloxy, crotyloxy, 2-butenyloxy, 3-butenyloxy, 2-methyl-2-butenyloxy, or 3-methyl-2-butenyloxy;

halo(C_2-C_4)alkenyloxy, e.g., 2-chloroallyloxy, 3-chloroallyloxy, or 4-chloro-2-butenyloxy;

halo(C_2-C_4)alkynyloxy, e.g., ethynyloxy, propargyloxy, α-methylpropargyloxy, 2-butynyloxy, or 3-butynyloxy;

halo(C_2-C_4)alkynyloxy, e.g., 3-chloropropargyloxy or 4-chloro-2-butynyloxy;

heterocyclic oxy, e.g., tetrahydrofuryloxy, furyloxy, imidazolyloxy, isoxazolyloxy, isothiazolidyloxy, pyrazolidyloxy, triazolidyloxy, 2-isoxazolidinyloxy, 1-pyrazolyloxy, or 1-imidazolyloxy; and phenoxy or benzyloxy, wherein the heterocyclic oxy, phenoxy, and benzyloxy may be substituted with a substituent selected from the group consisting of halogen, $(C_1$-$C_3)$alkyl, $(C_3$-$C_6)$cycloalkyl, $(C_1$-$C_2)$haloalkyl, and $(C_1$-$C_3)$alkoxy. More preferred is $(C_1$-$C_3)$alkoxy or haloalkoxy.

Q^2 is hydrogen; halogen, e.g., fluorine, chlorine, bromine, or iodine; hydroxyl; or a group represented by $-X^2R^4$.

In the group represented by $-X^2R^4$ of the above Q^2, X^2 may be a group selected from the same groups as those mentioned above for the above X^1, and R^4 may be a group selected from the same groups as those mentioned above for the above R^3.

Among these, preferred examples of Q^2 include:

1) alkylthio, e.g., methylthio, ethylthio, propylthio, isopropylthio, butylthio, isobutylthio, sec-butylthio, tert-butylthio, pentylthio, isopentylthio, or hexylthio; alkylsulfinyl, e.g., methylsulfinyl, ethylsulfinyl, propylsulfinyl, isopropylsulfinyl, butylsulfinyl, isobutylsulfinyl, sec-butylsulfinyl, tert-butylsulfinyl, pentylsulfinyl, isopentylsulfinyl, or hexylsulfinyl; or alkylsulfonyl, e.g., methylsulfonyl, ethylsulfonyl, propylsulfonyl, isopropylsulfonyl, butylsulfonyl, isobutylsulfonyl, sec-butylsulfonyl, tert-butylsulfonyl, pentylsulfonyl, isopentylsulfonyl, or hexylsulfonyl;

2) aryl, aryloxy, arylthio, arylsulfinyl, or arylsulfonyl substituted with at least one substituent which are the same or different and is selected from the group consisting of fluorine-containing alkyl, e.g., fluoromethyl, difluoromethyl, trifluoromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 3-fluoropropyl, 3,3,3-trifluoropropyl, 2,2,3,3-tetrafluoropropyl, 2,2,3,3,3-pentafluoropropyl, 1-methyl-2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-(trifluoromethyl)ethyl, or 1-methyl-2,2,3,3,3-pentafluoropropyl; fluorine-containing alkoxy, e.g., fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2-fluoroethoxy, 2,2-difluoroethoxy, 2,2,2-trifluoroethoxy, 3-fluoropropoxy, 3,3,3-trifluoropropoxy, 2,2,3,3-tetrafluoropropoxy, 2,2,3,3,3-pentafluoropropoxy, 1-methyl-2,2,2-trifluoroethoxy, 2,2,2-trifluoro-1-(trifluoromethyl)ethoxy, or 1-methyl-2,2,3,3,3-pentafluoropropoxy; and fluorine-containing alkylthio, e.g., fluoromethylthio, difluoromethylthio, trifluoromethylthio, 2-fluoroethylthio, 2,2-difluoroethylthio, 2,2,2-trifluoroethylthio, 3-fluoropropylthio, 3,3,3-trifluoropropylthio, 2,2,3,3-tetrafluoropropylthio, 2,2,3,3,3-pentafluoropropylthio, 1-methyl-2,2,2-trifluoroethylthio, 2,2,2-trifluoro-1-(trifluoromethyl)ethylthio, or 1-methyl-2,2,3,3,3-pentafluoropropylthio; and

3) heterocyclic group or heterocyclic oxy which may be substituted with substituent selected from the group consisting of the same fluorine-containing alkyl, fluorine-containing alkoxy, and fluorine-containing alkylthio as above. The heterocycle is 5- or 6-membered heterocycle having one or more hetero atoms selected from oxygen, sulfur, or nitrogen as exemplified for the above Q^1.

The above alkylthio, alkylsulfinyl, and alkylsulfonyl, and the fluorine-containing alkyl, fluorine-containing alkoxy, and fluorine-containing alkylthio which are substituents for the above aryl and the like preferably have from 1 to 6 carbon atoms, more preferably from 1 to 4 carbon atoms.

Preferred specific examples of the aryl, aryloxy, arylthio, arylsulfinyl, or arylsulfonyl substituted with at least one substituent selected from the group consisting of the above fluorine-containing alkyl, fluorine-containing alkoxy, and fluorine-containing alkylthio include 2-(fluoromethyl)phenyl, 2-(fluoromethoxy)phenyl, 2-(fluoromethylthio)phenyl, 3-(fluoromethyl)phenyl, 3-(fluoromethoxy)phenyl, 3-(fluoromethylthio)phenyl, 4-(fluoromethyl)phenyl, 4-(fluoromethoxy)phenyl, 4-(fluoromethylthio)phenyl, 2-(difluoromethyl)phenyl, 2-(difluoromethoxy)phenyl, 2-(difluoromethylthio)phenyl, 3-(difluoromethyl)phenyl, 3-(difluoromethoxy)phenyl, 3-(difluoromethylthio)phenyl, 4-(difluoromethyl)phenyl, 4-(difluoromethoxy)phenyl, 4-(difluoromethylthio)phenyl, 2-(trifluoromethyl)phenyl, 2-(trifluoromethoxy)phenyl, 2-(trifluoromethylthio)phenyl, 3-(trifluoromethyl)phenyl, 3-(trifluoromethoxy)phenyl, 3-(trifluoromethylthio)phenyl, 4-(trifluoromethyl)phenyl, 4-(trifluoromethoxy)phenyl, 4-(trifluoromethylthio)phenyl, 2-(2-fluoroethyl)phenyl, 2-(2-fluoroethoxy)phenyl, 2-(2-fluoroethylthio)phenyl, 3-(2-fluoroethyl)phenyl, 3-(2-fluoroethoxy)phenyl, 3-(2-fluoroethylthio)phenyl, 4-(2-fluoroethyl)phenyl, 4-(2-fluoroethoxy)phenyl, 4-(2-fluoroethylthio)phenyl, 2-(2,2-difluoroethyl)phenyl, 2-(2,2-difluoroethoxy)phenyl, 2-(2,2-difluoroethylthio)phenyl, 3-(2,2-difluoroethyl)phenyl, 3-(2,2-difluoroethoxy)phenyl, 3-(2,2-difluoroethylthio)phenyl, 4-(2,2-difluoroethyl)phenyl, 4-(2,2-difluoroethoxy)phenyl, 4-(2,2-difluoroethylthio)phenyl, 2-(2,2,2-trifluoroethyl)phenyl, 2-(2,2,2-trifluoroethoxy)phenyl, 2-(2,2,2-tridifluoroethylthio)phenyl, 3-(2,2,2-trifluoroethyl)phenyl, 3-(2,2,2-trifluoroethoxy)phenyl, 3-(2,2,2-tridifluoroethylthio)phenyl, 4-(2,2,2-trifluoroethyl)phenyl, 4-(2,2,2-trifluoroethoxy)phenyl, 4-(2,2,2-tridifluoroethylthio)phenyl, and phenoxys and phenylthios having the same substituents as those of the phenyls exemplified in the above. Among these, preferred are 3-(fluoromethyl)phenyl, 3-(fluoromethoxy)phenyl, 3-(fluoromethylthio)phenyl, 3-(difluoromethyl)phenyl, 3-(difluoromethoxy)phenyl, 3-(difluoromethylthio)phenyl,

3-(trifluoromethyl)phenyl, 3-(trifluoromethoxy)phenyl, 3-(trifluoromethylthio)phenyl, 3-(2-fluoroethyl)phenyl, 3-(2-fluoroethoxy)phenyl, 3-(2-fluoroethylthio)phenyl, 3-(2,2-difluoroethyl)phenyl, 3-(2,2-difluoroethoxy)phenyl, 3-(2,2-difluoroethylthio)phenyl, 3-(2,2,2-trifluoroethyl)phenyl, 3-(2,2,2-trifluoroethoxy)phenyl, 3-(2,2,2-trifluoroethylthio)phenyl, and phenoxys and phenylthios having the same substituents as those of the phenyls exemplified in the above.

The heterocycle for the heterocyclic group or heterocyclic oxy which may be substituted with a substituent selected from the group consisting of the above fluorine-containing alkyl group, fluorine-containing alkoxy, and fluorine-containing alkylthio is preferably a nitrogen-containing heterocycle which may be substituted with the substituent, particularly preferably a nitrogen-containing 5- or 6-membered heterocycle.

Preferred specific examples of the heterocyclic group or heterocyclic oxy which may be substituted with a substituent selected from the group consisting of the fluorine-containing alkyl, fluorine-containing alkoxy, and fluorine-containing alkylthio include 3-(trifluoromethyl)pyrazol-1-yl, 3-(trifluoromethoxy)pyrazol-1-yl, 3-(trifluoromethylthio)pyrazol-1-yl, 1-[3-(trifluoromethylthio)]pyrazolyloxy, 3-(1,1,2,2,2-pentafluoroethyl)pyrazol-1-yl, 3-(1,1,2,2,2-pentafluoroethoxy)pyrazol-1-yl, 3-(1,1,2,2,2-pentafluoroethylthio)pyrazol-1-yl, 4-(trifluoromethyl)pyrazol-1-yl, 4-(trifluoromethoxy)pyrazol-1-yl, 4-(trifluoromethylthio)pyrazol-1-yl, 1-[3-(1,1,2,2,2-pentafluoroethyl)]pyrazolyloxy, 1-[3-(1,1,2,2,2-pentafluoroethoxy)]pyrazolyloxy, 1-[3-(1,1,2,2,2-pentafluoroethylthio)]pyrazolyloxy, 1-[4-(trifluoromethyl)]pyrazolyloxy, 1-[3-(trifluoromethyl)]pyrazolyloxy, 1-[3-(trifluoromethoxy)]pyrazolyloxy, 1-[4-(trifluoromethoxy)]pyrazolyloxy, 1-[4-(trifluoromethylthio)]pyrazolyloxy, 2-(trifluoromethyl)imidazol-1-yl, 2-(trifluoromethoxy)imidazol-1-yl, 4-(trifluoromethyl)imidazol-1-yl, 4-(trifluoromethoxy)imidazol-1-yl, 4-(trifluoromethylthio)imidazol-1-yl, 2-(trifluoromethylthio)imidazol-1-yl, 4-(1,1,2,2,2-pentafluoroethyl)imidazol-1-yl, 4-(1,1,2,2,2-pentafluoroethoxy)imidazol-1-yl,

4-(1,1,2,2,2-pentafluoroethylthio)imidazol-1-yl,
1-[2-(trifluoromethyl)]imidazolyloxy,
1-[2-(trifluoromethoxy)]imidazolyloxy,
1-[2-(trifluoromethylthio)]imidazolyloxy,
1-[4-(trifluoromethyl)]imidazolyloxy,
1-[4-(trifluoromethoxy)]imidazolyloxy, and
1-[4-(trifluoromethylthio)]imidazolyloxy. Among these, preferred are 3-(trifluoromethyl)pyrazol-1-yl,
3-(trifluoromethoxy)pyrazol-1-yl,
3-(trifluoromethylthio)pyrazol-1-yl,
3-(1,1,2,2,2-pentafluoroethyl)pyrazol-1-yl,
3-(1,1,2,2,2-pentafluoroethoxy)pyrazol-1-yl,
3-(1,1,2,2,2-pentafluoroethylthio)pyrazol-1-yl,
2-(trifluoromethyl)imidazol-1-yl,
2-(trifluoromethoxy)imidazol-1-yl,
2-(trifluoromethylthio)imidazol-1-yl,
4-(trifluoromethyl)imidazol-1-yl,
4-(trifluoromethoxy)imidazol-1-yl, and
4-(trifluoromethylthio)imidazol-1-yl.

2. Novel compounds represented by formula (I)

Among the compounds represented by the above formula (I) to be used as the herbicide of present invention, the compounds to be described below are novel compounds and are more preferable as the herbicide.

In the above formula (I),

(1) When A is A1, R^1 and R^2 have the same meanings as described above, and Q^1 is $-OR^3$ wherein R^3 has the same meaning as described above, Q^2 is hydrogen; halogen, e.g., fluorine, chlorine, or bromine; hydroxyl, or $-X^2R^4$ wherein X^2 and R^4 have the same meanings as described above, provided that R^4 is not amino, mono(C_1-C_6)alkylamino, or monohalo(C_1-C_6)alkylamino in the case that X^2 represents a single bond, and R^4 is not (C_1-C_{10})alkyl in the case that X^2 is -O-.

(2) When A is A1, R^1 and R^2 have the same meanings as described above, and Q^1 is hydrogen, halogen, e.g., fluorine, chlorine, or bromine; hydroxyl, or $-X^1R^3$ wherein X^1 is a single bond, $-SO_n-$ (n has the same meaning as described above), $-OSO_n-$ (n has the same meaning as described above), -CO-, $-CO_2-$, $-OCO_2-$, or -OC(O)- and R^3 has the same meaning as described above, Q^2 is aryl, aryloxy, arylthio,

arylsulfinyl, or arylsulfonyl substituted with at least one substituent selected from the group consisting of the fluorine-containing alkyl, fluorine-containing alkoxy, and fluorine-containing alkylthio, provided that Q^2 is not substituted aryloxy which is substituted with at least one fluorine-containing alkyl in the case that Q^1 represents (C_1-C_6)alkyl wherein X^1 represents a single bond and R^3 represents (C_1-C_6)alkyl.

(3) When A is A2, R^1 and R^2 have the same meanings as described above, and Q^1 is $-OR^3$, Q^2 is hydrogen; halogen, e.g., fluorine, chlorine, or bromine; hydroxyl, or $-X^2R^4$ wherein X^2 is a single bond, $-SO_n-$ (n has the same meaning as described above), $-OSO_n-$ (n has the same meaning as described above), -CO-, $-CO_2-$, $-OCO_2-$, or -OC(O)- and R^4 is alkyl which may be substituted, alkenyl which may be substituted, amino which may be substituted, or aryl which may be substituted, provided that R^4 is not amino which may be substituted or aryl which may be substituted in the case that X^2 represents a single bond.

(4) When A is A2, R^1 and R^2 have the same meanings as described above, and Q^1 is halogen, e.g., fluorine, chlorine, or bromine; hydroxyl, or $-X^1R^3$ wherein X^1 is a single bond, $-SO_n-$ (n has the same meaning as described above), $-OSO_n-$ (n has the same meaning as described above), -CO-, $-CO_2-$, $-OCO_2-$, or -OC(O)-, and R^3 has the same meaning as described above, Q^2 is aryl, aryloxy, arylthio, arylsulfinyl, or arylsulfonyl substituted with at least one substituent selected from the group consisting of the fluorine-containing alkyl, fluorine-containing alkoxy, and fluorine-containing alkylthio.

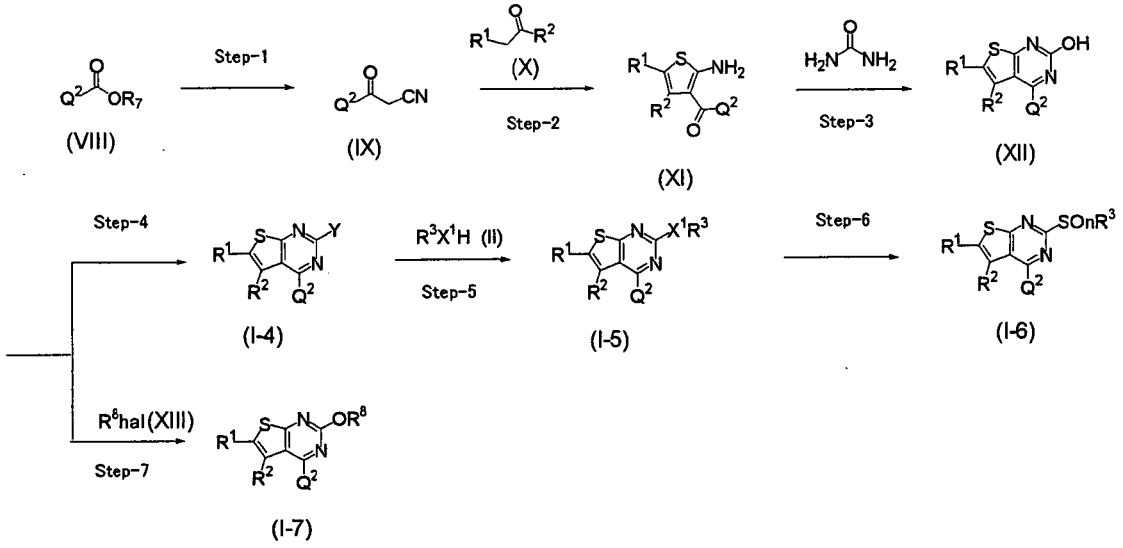
The above Q^2 is preferably aryl, aryloxy, arylthio, arylsulfinyl, or arylsulfonyl substituted with at least one substituent selected from the group consisting of the fluorine-containing alkyl, fluorine-containing alkoxy, and fluorine-containing alkylthio.

Moreover, the compound wherein A is A1 and Q^1 is halogen or hydroxyl itself has a herbicidal activity and further, is also useful as an intermediate for synthesizing other compounds to be used as the herbicide of the present invention.

3. Process for producing substituted thienopyrimidine derivatives and intermediates thereof

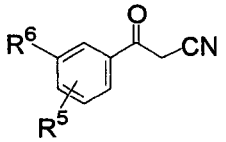
The compounds represented by the above formula (I) can be produced according to known methods, similar methods thereof, or combinations thereof. In particular, novel compounds are preferably produced according to Methods 1 to 8 shown below.

Production Method 1



wherein R^1, R^2, R^3, Q^2, n, Y, and X^1 have the same meanings as described above, R^7 represents methyl, ethyl, or propyl, R^8 represents alkylsulfonyl, arylsulfonyl, acyl, or carbamoyl which may be substituted, and hal represents halogen.

Step 1 is a step of reacting an ester derivative represented by formula (VIII) with acetonitrile to produce acylacetonitrile derivative represented by formula (IX). Therein, ethyl 3-(trifluoromethoxy)benzoate is a novel compound and among the acylacetonitrile derivatives represented by formula (IX), a substituted benzoylacetonitrile derivative represented by the following formula (VII):



(VII)

wherein R^5 represents hydrogen, halogen, or alkyl which may be substituted, R^6 represents fluorine-containing alkyl, fluorine-containing alkoxy, and fluorine-containing alkylthio,
is a novel compound.

The reaction of this step is preferably carried out in the presence of a base in view of the yield. As the base, an alkali metal base, e.g., sodium hydride, potassium hydride, lithium amide, sodium amide, lithium diisopropylamide (LDA), n-butyllithium, sec-butyllithium, t-butyllithium, lithium hexamethyldisilazide, or potassium t-butoxide may be used. The objective compound can be obtained in good yields by using the base in an amount of 0.1 to 2.0 equivalents to the substrate.

The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as a

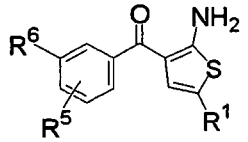
nitrile solvent, e.g., acetonitrile; an aromatic hydrocarbon solvent, e.g., benzene, toluene, or xylene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; liquid ammonia; or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of -78°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Step 2 is a step of reacting an acylacetonitrile derivative represented by formula (IX), a compound represented by formula (X), and sulfur to produce a 2-aminothiophene derivative represented by formula (XI). Among the 2-aminothiophene derivatives represented by formula (XI), a 2-amino-3-(substituted benzoyl)thiophene derivative represented by the following formula (V):



(V)

wherein R^1, R^5, and R^6 have the same meanings as described above,
is a novel compound.

This step can be carried out in accordance with the method described in *J. Med. Chem.*, Vol. 16, p. 214 (1973) and it is preferable to carry out the reaction in the presence of a base in view of the yield. As the base, an organic base, e.g., triethylamine, diisopropylethylamine, tributylamine, N-methylmorpholine, N,N-dimethylaniline (DMA), N,N-diethylaniline, 4-t-butyl-N,N-dimethylaniline, pyridine, 4-(dimethylamino)pyridine (DMAP), picoline, lutidine, 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO), or imidazole; or an alkali metal base, e.g., sodium hydride, potassium hydride, lithium amide, sodium amide, lithium diisopropylamide (LDA), butyllithium, t-butyllithium, lithium hexamethyldisilazide, sodium methoxide, sodium ethoxide, or potassium t-butoxide can be used. The objective compound can be obtained in good yields by using the base in an amount of 0.1 to 2.0 equivalents to the substrate.

The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide (DMAC), or N-methylpyrrolidone (NMP); an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide; an alcoholic solvent, e.g., methanol, ethanol, or isopropanol (IPA); or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of 0°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Step 3 is a step of reacting the 2-aminothiophene derivative represented by formula (XI) with urea to produce a 2-hydroxythieno[2,3-d]pyrimidine derivative represented by formula (XII).

This step can be carried out in accordance with the method described in *Chem. Pharm. Bull.*, Vol. 28, p. 3172 (1980).

The reaction can be carried out in a solvent or without solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide (DMAC), or N-methylpyrrolidone (NMP); a nitrile solvent, e.g., acetonitrile or propionitrile; an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide (DMSO); an alcoholic solvent, e.g., methanol, ethanol, or isopropanol (IPA); or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of room temperature to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Step 4 is a step of halogenating the hydroxyl of the 2-hydroxythieno[2,3-d]pyrimidine derivative represented by formula (XII) with a halogenating agent to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-4).

This step can be also carried out in accordance with the method described in *Chem. Pharm. Bull.*, Vol. 28, p. 3172 (1980). That is, as the halogenating agent to be used in the step, use can be made of a halogenating agent, e.g., sulfuryl chloride, thionyl chloride, phosphorus oxychloride, phosgene, phosphorus trichloride, phosphorus pentachloride, or phosphorus tribromide. At that time, the objective compound can be obtained in good yields by using, as a catalyst for the halogenation reaction, N,N-dimethylformamide (DMF), N,N-dimethylacetamide, N,N-dimethylaniline, or N,N-diethylaniline as well as an alkylamine, e.g., triethylamine, diisopropylethylamine, or tributylamine. The amount to be used may be from about 0.1 to about 2 equivalents to the substrate.

The reaction can be also carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, water; an aromatic hydrocarbon solvent, e.g., chlorobenzene or dichlorobenzene; a halogenated hydrocarbon solvent, e.g., chloroform, dichloromethane, or carbon tetrachloride; or a mixed solvent thereof can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of -20°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Step 5 is a step of reacting an thieno[2,3-d]pyrimidine derivative represented by formula (I-4) with the compound represented by formula (II) wherein R^3 and X^1 have the same meanings as described above to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-5).

It is preferable to carry out the reaction of this step in the presence of a base in view of the yield. As the base, an alkali metal base, e.g., sodium hydride, potassium hydride, lithium amide, sodium amide, lithium diisopropylamide (LDA), n-butyllithium, sec-butyllithium, t-butyllithium, trimethylsilyllithium, lithium hexamethyldisilazide, sodium carbonate, potassium carbonate, sodium hydroxide, potassium hydroxide, sodium methoxide, sodium ethoxide, or potassium t-butoxide; or an organic base, e.g., triethylamine, diisopropylethylamine, tributylamine, N-methylmorpholine, N,N-dimethylaniline (DMA), N,N-diethylaniline, 4-t-butyl-N,N-dimethylaniline, pyridine, 4-(dimethylamino)pyridine (DMAP), picoline, lutidine, 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO), or imidazole can be used. The objective compound can be obtained in good yields by using the base in an amount of 0.1 to 2.0 equivalents to the substrate.

The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as water; an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide (DMAC), or N-methylpyrrolidone (NMP); a nitrile solvent, e.g., acetonitrile or propionitrile; an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide (DMSO); an alcoholic solvent, e.g., methanol, ethanol, or isopropanol (IPA); or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of -78°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Step 6 is a step of oxidizing the compound of the thieno[2,3-d]pyrimidine derivative represented by formula (I-5), wherein X^1 is represented by -S-, to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-6).

This step can be also carried out by using an oxidizing agent. The oxidizing agent to be used includes an oxidizing agent, e.g., m-chloroperbenzoic acid, aqueous hydrogen peroxide, or peracetic acid.

The reaction can be also carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, water; an aromatic hydrocarbon solvent, e.g., toluene, chlorobenzene, or dichlorobenzene; a halogenated hydrocarbon solvent, e.g., chloroform, dichloromethane, or carbon tetrachloride; acetic acid; or a mixed solvent thereof can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of -20°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography.

Step 7 is a step of reacting a 2-hydroxythieno[2,3-d]pyrimidine derivative represented by formula (XII) with the compound represented by formula (XIII) to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-7).

It is preferable to carry out the reaction of this step in the presence of a base or a trialkylammonium chloride, e.g., trimethylamine hydrochloride. As the base, an organic base, e.g., triethylamine, diisopropylethylamine, tributylamine, N-methylmorpholine, N,N-dimethylaniline (DMA), N,N-diethylaniline, 4-t-butyl-N,N-dimethylaniline, pyridine, 4-(dimethylamino)pyridine (DMAP), picoline, lutidine, 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO), or imidazole can be used. The objective compound can be obtained in good yields by using the base or the trialkylammonium chloride in an amount of 0.1 to 2.0 equivalents to the substrate.

The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as water; an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide (DMAC), or N-methylpyrrolidone (NMP); a nitrile solvent, e.g., acetonitrile or propionitrile; an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF),

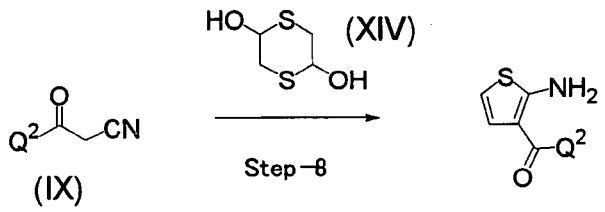
dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide (DMSO); or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of -78°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography.

Production Method 2



wherein Q^2 has the same meaning as described above.

Step 8 is a step of reacting an acylacetonitrile derivative represented by formula (IX), with 2,5-dihydroxy-1,4-dithian represented by formula (XIV) to produce a 2-aminothiophene derivative represented by formula (XV).

In this step, it is preferable to carry out the reaction in the presence of a base in view of the yield. As the base, an organic base, e.g., triethylamine, diisopropylethylamine, tributylamine, N-methylmorpholine, N,N-dimethylaniline (DMA), N,N-diethylaniline, 4-t-butyl-N,N-dimethylaniline, pyridine, 4-(dimethylamino)pyridine (DMAP), picoline, lutidine, 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO), or imidazole; or an alkali metal base, e.g., sodium hydride, potassium hydride, lithium amide, sodium amide, lithium diisopropylamide (LDA), butyllithium, t-butyllithium, trimethylsilyllithium, lithium hexamethyldisilazide, sodium methoxide, sodium ethoxide, or potassium t-butoxide can be used. The objective compound can be obtained in good yields by using the base in an amount of 0.1 to 2.0 equivalents to the substrate.

The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as water; an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide

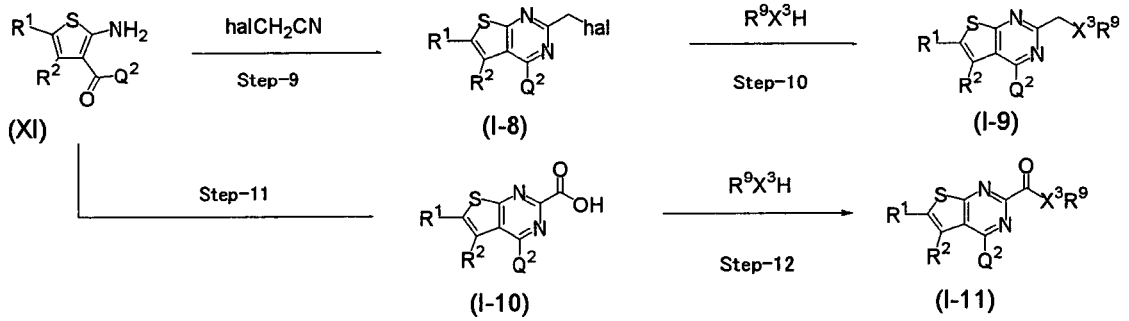
(DMAC), or N-methylpyrrolidone (NMP); a nitrile solvent, e.g., acetonitrile or propionitrile; an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide (DMSO); an alcoholic solvent, e.g., methanol, ethanol, or isopropanol (IPA); or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of 0°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Production Method 3



(XI) (I-8) (I-9) (I-10) (I-11)

wherein R^1, R^2, hal, and Q^2 have the same meanings as described above, X^3 represents a single bond, -O-, or -S-, and R^9 represents an amino which may be substituted, an alkyl which may be substituted, or an aryl which may be substituted.

Step 9 is a step of reacting the aminothiophene derivative represented by formula (XI) with a haloacetonitrile represented by formula (XVI) in the presence of a Lewis acid, e.g., aluminum chloride, to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-8).

This step can be carried out in accordance with the method described in *J. Med. Chem.*, Vol. 39, No. 16, p. 5176 (1996).

The reaction can be also carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, water; an aromatic hydrocarbon solvent, e.g.,

chlorobenzene or dichlorobenzene; a halogenated hydrocarbon solvent, e.g., chloroform, dichloromethane, or carbon tetrachloride; or a mixed solvent thereof can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of 0°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Step 10 is a step of reacting the thieno[2,3-d]pyrimidine derivative represented by formula (I-8) with a compound represented by formula (XVII) in a similar manner to the above-described Step 5 to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-9).

Step 11 is a step of reacting the aminothiophene derivative represented by formula (XI) with glyoxylic acid and ammonium acetate to produce a thieno[2,3-d]pyrimidine carboxylate derivative represented by formula (I-10).

This step can be carried out in accordance with the method described in *Tetrahedron*, Vol. 49, No. 31, p. 6899 (1993).

The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as water; an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide (DMAC), or N-methylpyrrolidone (NMP); a nitrile solvent, e.g., acetonitrile or propionitrile; an alcoholic solvent, e.g., methanol, ethanol, propanol, or isopropanol; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide (DMSO); or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of 0°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or

silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Step 12 is a step of producing an acid chloride from the thieno[2,3-d]pyrimidine carboxylate derivative represented by formula (I-10) using thionyl chloride, phosphorus oxychloride, phosphorus pentachloride, oxalyl chloride, or the like and then reacting the product with the compound represented by formula (XVII) to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-11).

In the step of producing the thieno[2,3-d]pyrimidine derivative represented by formula (I-11) using the acid halide as a starting material, it is preferable to carry out the reaction in the presence of a base. As the base, an organic base, e.g., triethylamine, diisopropylethylamine, tributylamine, N-methylmorpholine, N,N-dimethylaniline (DMA), N,N-diethylaniline, 4-t-butyl-N,N-dimethylaniline, pyridine, 4-(dimethylamino)pyridine (DMAP), picoline, lutidine, 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO), or imidazole can be used. The objective compound can be obtained in good yields by using the base in an amount of 0.1 to 2.0 equivalents to the substrate.

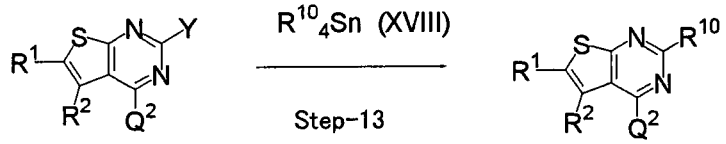
The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as water; an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide (DMAC), or N-methylpyrrolidone (NMP); a nitrile solvent, e.g., acetonitrile or propionitrile; an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide (DMSO); or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of -78°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography.

Production Method 4



(I-4) (I-12)

wherein R^1, R^2, Q^2 and Y have the same meanings as described above and R^{10} represents an alkyl.

Step 13 is a step of reacting the thieno[2,3-d]pyrimidine derivative represented by formula (I-4) with a tin compound represented by formula (XVIII) to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-12).

In this step, the reaction can be carried out in good yields by using a palladium catalyst, e.g., $(Ph_3P)_2PdCl_2$. The amount of the palladium catalyst to be used is suitably selected from the range of 0.0001 to 0.1 molar equivalent to the thieno[2,3-d]pyrimidine derivative represented by formula (I-4).

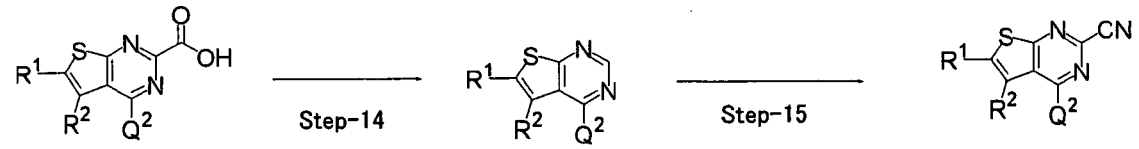
As the solvent, any solvent harmless to the reaction, such as water; an aromatic hydrocarbon solvent, e.g., benzene, toluene, or xylene; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; a halogenated hydrocarbon solvent, e.g., chloroform, dichloromethane, or carbon tetrachloride; or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of 0°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography.

Production Method 5



(I-10) (I-13) (I-14)

wherein R^1, R^2, and Q^2 have the same meanings as described above.

Step 14 is a step of decarboxylating the thieno[2,3-d]pyrimidine derivative represented by formula (I-10) to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-13).

The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as water; an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide (DMAC), or N-methylpyrrolidone (NMP); a nitrile solvent, e.g., acetonitrile or propionitrile; an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide (DMSO); or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of room temperature to a reflux temperature of the solvent used.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Step 15 is a step of oxidizing the nitrogen atom(s) at 1-position and/or 3-position of the thieno[2,3-d]pyrimidine derivative represented by formula (I-13) by the reaction with an oxidizing agent and then treating the product with trimethylsilyl cyanide to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-14).

This step can be also carried out in accordance with the method described in *Synthesis*, p. 681 (1984).

The oxidizing agent to be used in the step includes m-chloroperbenzoic acid, peracetic acid, or the like. The amount of the oxidizing agent to be used may be suitably selected from the range of equimolar to five molar equivalents.

The oxidation reaction can be also carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, water; an aromatic hydrocarbon solvent, e.g., toluene, chlorobenzene, or dichlorobenzene; a halogenated hydrocarbon solvent, e.g., chloroform, dichloromethane, or carbon tetrachloride; or a mixed solvent thereof can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of 0°C to a reflux temperature of the solvent used.

Moreover, the cyanation reaction is preferably carried out in the presence of a base. As the base, an organic base, e.g., triethylamine, diisopropylethylamine, tributylamine, N-methylmorpholine, N,N-dimethylaniline (DMA), N,N-diethylaniline, 4-t-butyl-N,N-dimethylaniline, pyridine, 4-(dimethylamino)pyridine (DMAP), picoline, lutidine, 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO), or imidazole can be used. The objective compound can be obtained in good yields by using the base in an amount of 0.1 to 2.0 equivalents to the substrate.

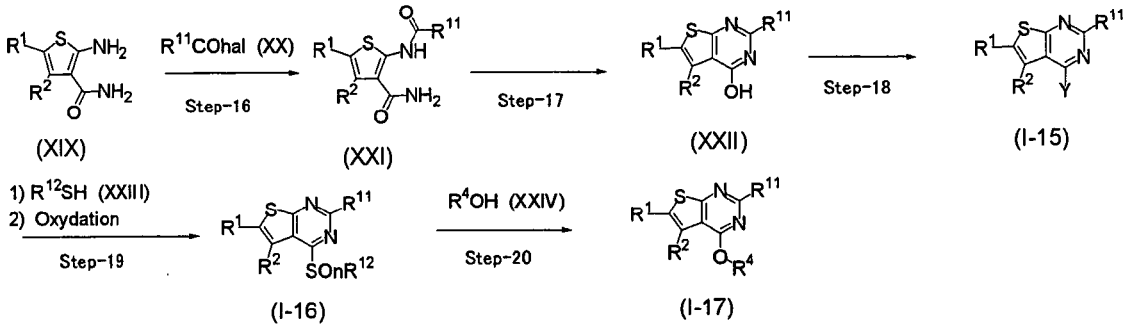
The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as water; an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide (DMAC), or N-methylpyrrolidone (NMP); a nitrile solvent, e.g., acetonitrile or propionitrile; an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide (DMSO); or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of -78°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Production Method 6



wherein R^1, R^2, R^4, Y, hal, n, and Q^2 have the same meanings as described above, R^{11} represents an alkyl which may be substituted or an aryl which may be substituted, and R^{12} represents an alkyl.

Step 16 is a step of acylating an aminothiophene derivative represented by formula (XIX) with an acid halide represented by formula (XX) to produce a thiophene derivative represented by formula (XXI).

In this step, it is preferable to carry out the reaction in the presence of a base. As the base, an organic base, e.g., triethylamine, diisopropylethylamine, tributylamine, N-methylmorpholine, N,N-dimethylaniline (DMA), N,N-diethylaniline, 4-t-butyl-N,N-dimethylaniline, pyridine, 4-(dimethylamino)pyridine (DMAP), picoline, lutidine, 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO), or imidazole can be used. The objective compound can be obtained in good yields by using the base in an amount of 0.1 to 2.0 equivalents to the substrate.

The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as water; an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide (DMAC), or N-methylpyrrolidone (NMP); a nitrile solvent, e.g., acetonitrile or propionitrile; an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide (DMSO); an ester solvent, e.g., ethyl acetate or methyl acetate; a halogenated hydrocarbon solvent, e.g., dichloromethane, chloroform, or carbon tetrachloride; or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of -78°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Step 17 is a step of cyclizing the thiophene derivative represented by formula (XXI) to produce a 4-hydroxythieno[2,3-d]pyrimidine derivative represented by formula (XXII).

In this step, it is preferable to carry out the reaction in the presence of a base. As the base, an alkali metal base, e.g., sodium hydride, potassium hydride, sodium hydroxide, potassium hydroxide, sodium methoxide, sodium ethoxide, or potassium t-butoxide; or an organic base, e.g., triethylamine, diisopropylethylamine, tributylamine, N-methylmorpholine, 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO), or imidazole can be used. The objective compound can be obtained in good yields by using the base in an amount of 0.1 to 2.0 equivalents to the substrate.

The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as water; an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide (DMAC), or N-methylpyrrolidone (NMP); a nitrile solvent, e.g., acetonitrile or propionitrile; an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide (DMSO); an alcoholic solvent, e.g., methanol, ethanol, or isopropanol (IPA); or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of 0°C to a reflux temperature of the solvent used.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Step 18 is a step of halogenating the 4-hydroxythieno[2,3-d]pyrimidine derivative represented by formula (XXII) in a similar manner to the above-described Step 4 to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-15).

Step 19 is a step of reacting the thieno[2,3-d]pyrimidine derivative represented by formula (I-15) with a mercaptan represented by formula (XXIII) and then oxidizing the product to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-16).

In this step, the reaction with the mercaptan represented by formula (XXIII) can be carried out in good yields by using a base. As the base, an alkali metal base, e.g., sodium hydride, potassium hydride, sodium hydroxide, potassium hydroxide, sodium carbonate, or potassium carbonate; or an organic base, e.g., triethylamine, diisopropylethylamine, or tributylamine can be used. The objective compound can be obtained in good yields by using the base in an amount of 0.1 to 2.0 equivalents to the substrate. Also, the compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of 0°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

As the oxidizing agent in this step, an oxidizing agent, e.g., m-chloroperbenzoic acid or peracetic acid can be used. The amount of the oxidizing agent to be used may be suitably selected from the range of equimolar to five molar equivalents.

The oxidation reaction can be also carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, water; an aromatic hydrocarbon solvent, e.g., toluene, chlorobenzene, or dichlorobenzene; a halogenated hydrocarbon solvent, e.g., chloroform, dichloromethane, or carbon tetrachloride; or a mixed solvent thereof can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of 0°C to a reflux temperature of the solvent used.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or

silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Step 20 is a step of reacting the thieno[2,3-d]pyrimidine derivative represented by formula (I-16) with a compound represented by formula (XXIV) to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-17).

In this step, it is preferable to carry out the reaction in the presence of a base. As the base, an alkali metal base, e.g., sodium hydride, potassium hydride, sodium carbonate, potassium carbonate, sodium hydroxide, potassium hydroxide, sodium methoxide, sodium ethoxide, or potassium t-butoxide; or an organic base, e.g., triethylamine, diisopropylethylamine, tributylamine, N-methylmorpholine, pyridine, 4-(dimethylamino)pyridine (DMAP), picoline, lutidine, 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO), or imidazole can be used. The objective compound can be obtained in good yields by using the base in an amount of 0.1 to 2.0 equivalents to the substrate.

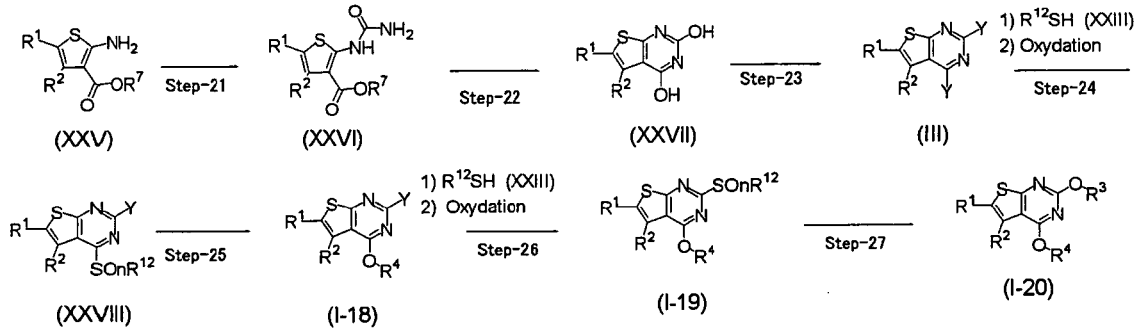
The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as water; an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide (DMAC), or N-methylpyrrolidone (NMP); a nitrile solvent, e.g., acetonitrile or propionitrile; an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide (DMSO); an alcoholic solvent, e.g., methanol, ethanol, or isopropanol (IPA); or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of 0°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography.

Production Method 7



wherein R^1, R^2, R^3, R^4, R^7, R^{12}, Y, and n have the same meanings as described above.

Step 21 is a step of reacting an aminothiophene derivative represented by formula (XXV) with potassium cyanate or sodium cyanate to produce a ureidothiophene derivative represented by formula (XXVI).

The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as water; an amide solvent, e.g., N,N-dimethylformamide (DMF), N,N-dimethylacetamide (DMAC), or N-methylpyrrolidone (NMP); a nitrile solvent, e.g., acetonitrile or propionitrile; an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an aliphatic hydrocarbon solvent, e.g., pentane, hexane, or octane; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; dimethyl sulfoxide (DMSO); acetic acid; an alcoholic solvent, e.g., methanol, ethanol, or isopropanol (IPA); or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of 0°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography. The compound may be also used in the next reaction without isolation.

Step 22 is a step of producing a 2,4-dihydroxythieno[2,3-d]pyrimidine derivative represented by formula (XXVII) from the ureidothiophene derivative represented by formula (XXVI) in a similar manner to the above Step 17.

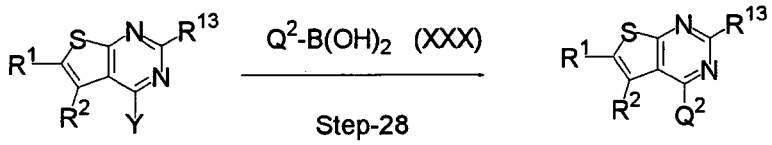
Step 23 is a step of halogenating the 2,4-dihydroxythieno[2,3-d]pyrimidine derivative represented by formula (XXVII) in a similar manner to the above Step 4 to

produce a 2,4-dihalogenothieno[2,3-d]pyrimidine derivative represented by formula (III).

Steps 24 and 26 are steps of producing a thieno[2,3-d]pyrimidine derivative represented by formula (XXVIII) or (I-19) from the halogenothieno[2,3-d]pyrimidine derivative represented by formula (III) or (I-18) in a similar manner to the above Step 19.

Steps 25 and 27 are steps of producing a thieno[2,3-d]pyrimidine derivative represented by formula (I-18) or (I-20) from the thieno[2,3-d]pyrimidine derivative represented by formula (XXVIII) or (I-19) in a similar manner to the above Step 20.

Production Method 8



(XXIX) (I-21)

wherein R^1, R^2, Q^2, and Y have the same meanings as described above and R^{13} represents halogen or trifluoromethylsulfonyloxy.

Step 28 is a step of coupling a 4-halogenothieno[2,3-d]pyrimidine derivative represented by formula (XXIX) with a boronic acid derivative represented by formula (XXX) to produce a thieno[2,3-d]pyrimidine derivative represented by formula (I-21).

This step can be carried out in accordance with the method described in *Tetrahedron Lett.*, Vol. 40, No. 51, p. 9005 (1999).

In this step, the reaction can be carried out in good yields by using a catalyst, e.g., triphenylphosphine palladium. The amount of the palladium catalyst to be used is suitably selected from the range of 0.0001 to 0.1 molar equivalent to the 4-halogenothieno[2,3-d]pyrimidine derivative represented by formula (XXIX). Moreover, an alkali metal base, e.g., sodium carbonate or potassium carbonate; or an organic base, e.g., triethylamine, diisopropylethylamine, tributylamine, N-methylmorpholine, N,N-dimethylaniline (DMA), N,N-diethylaniline, 4-t-butyl-N,N-dimethylaniline, pyridine, 4-(dimethylamino)pyridine (DMAP), picoline, lutidine, 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO), or imidazole can be used. The objective compound can be obtained in good yields by using the base in an amount of 0.1 to 2.0 equivalents to the substrate.

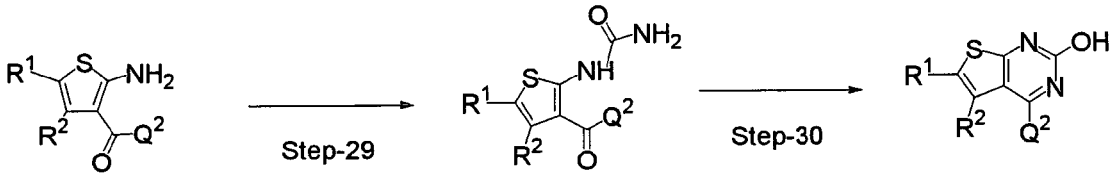
The reaction can be carried out in a solvent and any solvent harmless to the reaction can be used. As the solvent, any solvent harmless to the reaction, such as water; an aromatic hydrocarbon solvent, e.g., benzene, toluene, xylene, or chlorobenzene; an ether solvent, e.g., diethyl ether, diisopropyl ether, tetrahydrofuran (THF), dimethoxyethane (DME), or 1,4-dioxane; a halogenated hydrocarbon solvent, e.g., dichloromethane or chloroform; or a mixed solvent thereof, can be used.

The objective compound can be obtained in good yields by carrying out the reaction at a temperature suitably selected from the range of 0°C to a reflux temperature of the solvent used.

This reaction is an equimolar reaction and hence each reactant may be used in an equimolar amount, but it is also possible to use either reactant in an excess amount.

After completion of the reaction, the objective compound can be isolated in a usual manner and, if necessary, purified by an operation, e.g., recrystallization or silica gel column chromatography.

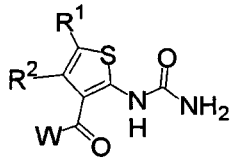
Production Method 9



(XI) (XXXI) (XII)

wherein R^1, R^2, and Q^2 have the same meanings as described above.

Step 29 is a step of reacting the aminothiophene derivative represented by formula (XI) with potassium cyanate or sodium cyanate in a similar manner to the above Step 21 to produce a ureidothiophene derivative represented by formula (XXXI). Therein, the ureidothiophene derivative represented by formula (VI):

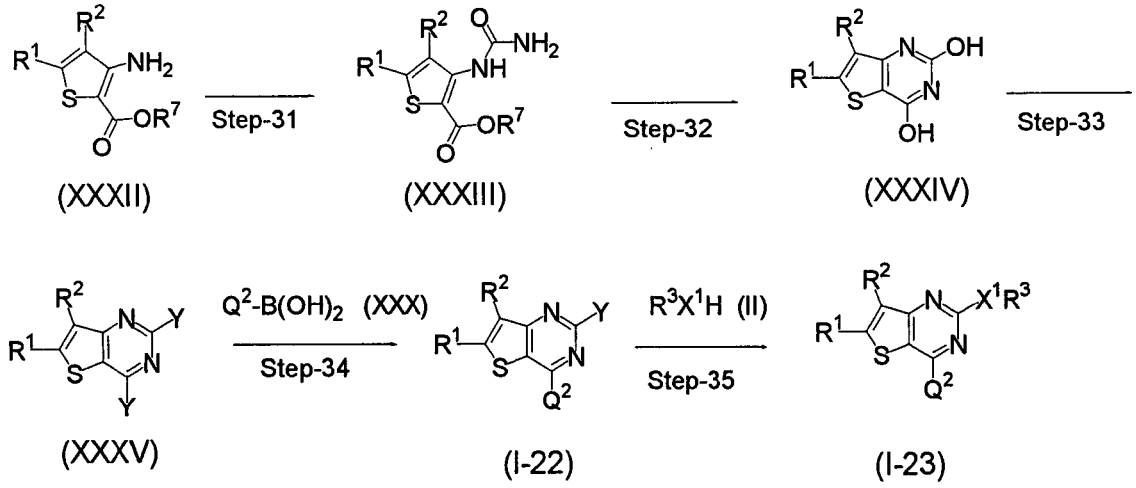


(VI)

wherein R^1 and R^2 have the same meanings as described above and W represents an aryl which may be substituted,
is a novel compound.

Step 30 is a step of producing the 2-hydroxythieno[2,3-d]pyrimidine derivative represented by formula (XII) from the ureidothiophene derivative represented by formula (XXXI) in a similar manner to the above Step 17.

Production Method 10



wherein R^1, R^2, R^3, R^7, X^1, Y, and Q^2 have the same meanings as described above.

Step 31 is a step of reacting an aminothiophene derivative represented by formula (XXXII) with potassium cyanate or sodium cyanate in a similar manner to the above Step 21 to produce a ureidothiophene derivative represented by formula (XXXIII).

Step 32 is a step of producing a 2,4-dihydroxythieno[3,2-d]pyrimidine derivative represented by formula (XXXIV) from the ureidothiophene derivative represented by formula (XXXIII) in a similar manner to the above Step 17.

Step 33 is a step of halogenating the 2,4-dihydroxythieno[3,2-d]pyrimidine derivative represented by formula (XXXIV) in a similar manner to the above-described Step 4 to produce a 2,4-dihalogenothieno[3,2-d]pyrimidine derivative represented by formula (XXXV).

Step 34 is a step of producing a 2-halogenothieno[3,2-d]pyrimidine derivative represented by formula (I-22) from the 2,4-dihalogenothieno[3,2-d]pyrimidine derivative represented by formula (XXXV) in a similar manner to the above Step 28.

Step 35 is a step of producing a thieno[3,2-d]pyrimidine derivative represented by formula (I-23) from the 2-halogenothieno[3,2-d]pyrimidine derivative represented by formula (I-22) in a similar manner to the above Step 5.

4. Herbicides

As the herbicide of the invention, the compound represented by the above formula (I) may be applied directly but is usually, formulated together with appropriate

auxiliary agents into compositions such as wettable powders, granules, emulsifiable concentrates, and flowables.

While it varies depending on the composition form, a suitable content of the compound represented by the above formula (I) in the composition usually ranges from 1 to 90% by weight in wettable powders, from 0.1 to 30% by weight in granules, from 1 to 50% by weight in emulsifiable concentrates, and from 5 to 50% by weight in flowables. The compositions containing the compound of the present invention is used directly or as diluted with water according to the form.

The auxiliary agents to be used in formulating the compositions include solid carriers, such as kaoline, bentonite, talc, diatomaceous earth, white carbon, and starch; liquid carriers, such as water, alcohols (e.g., methanol, ethanol, propanol, butanol, and ethylene glycol), ketones (e.g., acetone, methyl ethyl ketone, cyclohexanone, and isophorone), ethers (e.g., diethyl ether, dioxane, and cellosolve), aliphatic hydrocarbons (e.g., kerosene and coal oil), aromatic hydrocarbons (e.g., benzene, toluene, xylene, cumene, solvent naphtha, and methylnaphthalene), halogenated hydrocarbons (e.g., dichloroethane, carbon tetrachloride, and trichlorobenzene), acid amides (e.g., dimethylformamide and dimethylacetamide), esters (e.g., ethyl acetate, butyl acetate, and fatty acid glycerol esters), and nitriles (e.g., acetonitrile); and surface active agents, such as nonionic surface active agents (e.g., polyoxyethylene glycol alkyl ethers, polyoxyethylene alkyl aryl ethers, polyoxyethylene fatty acid esters, and polyoxyethylene resin acid esters), cationic surface active agents (e.g., alkyldimethylbenzylammonium chlorides and alkylpyridinium chlorides), anionic surface active agents (e.g., alkylbenzenesulfonates, lignin sulfonates, dialkylsulfosuccinates, and higher alcohol sulfate esters), and amphoteric surface active agents (e.g., alkyldimethylbetaines and dodecylaminoethylglycine). These solid carriers, liquid carriers, surface active agents, and the like may be each used either solely or as a mixture of two or more of them according to necessity.

When the composition containing the compound represented by the above formula (I) is applied after dilution with water, an auxiliary agent such as a spreading agent can be added to the applying liquid for the purpose of improving sticking properties and spreading properties to enhance the herbicidal effect. The auxiliary agents such as a spreading agent to be used include surface active agents (the above-described nonionic surface active agents, cationic surface active agents, anionic surface active agents, and amphoteric surface active agents), paraffin, polyvinyl acetate, polyacrylic acid salts, ethylene glycol, polyethylene glycol, crop oil (e.g., mineral oils, vegetable oils and animal oils), liquid fertilizers, and the like. Two or more kinds of

these auxiliary agents can be used simultaneously, if desired. A suitable amount of the auxiliary agent such as a spreading agent is usually from 0.01 to 5% by weight based on the total applying liquid, while it varies depending on the kind of the auxiliary agent. Some of the auxiliary agents can previously be incorporated into the composition as the components.

The amount of the compound represented by the above formula (I) to be applied usually ranges from 2 to 2000 g, preferably 5 to 1000 g, per hectare in terms of the active ingredient, while it varies depending on such conditions as the structure of the compound, the weeds to be controlled, the time of treatment, the method of treatment, the properties of soil, and the like.

The weeds which can be controlled by the herbicides of the present invention include, as those growing in fields, broad-leaved ones such as Chenopodium album, Chenopodium centrorubrum, Polygonum longisetum, Polygonum persicaria, Amaranthus lividus, Amaranthus viridis, Stellaria media, Lamium amplexicaule, Abutilon theophrasi, Xanthium strumarium, Ipomoea purpurea, Datura metel, Brassica juncea, Galium spurium, Viola mandshurica, Matricaria matricarioides, and Bidens pilosa, and narrow-leaved ones such as Digitaria ciliaris, Eleusine indica, Echinochloa crus-galli, and Setaria viridis; and, as those growing in paddies, broad-leaved ones such as Rotala indica, Lindernia procumbens, Monochoria vaginalis, Dopatrium junceum, Elatine triandra, Alisma canaliculatum, Sagittaria trifolia, and Sagittaria pygmaea, and narrow-leaved ones such as Echinochloa oryzicola, Cyperus difformis, Scirpus juncoides, and Cyperus serotinus.

The herbicide of the present invention is effective in controlling the above-described weeds in fields and paddies in any of soil treatment, foliar treatment, and submerged treatment. Furthermore, the herbicide of the invention has small influences on the crops, such as corn, wheat, barley, rice, and soybeans, in either soil treatment or foliar treatment and can be used as a selective herbicide in cultivation of these crops.

The herbicide of the present invention can be used in combination with other agricultural chemicals, such as insecticides, fungicides and plant growth regulators, and fertilizers, which are used in the same field. It is also possible to apply the herbicide of the invention in combination with other herbicides to obtain stabilized herbicidal effects. When the compound represented by the above formula (I) and other herbicide are applied in combination, separately prepared compositions thereof may be mixed on at the time of application or they may be applied as a composition containing both of them beforehand. Examples of the herbicides that can be suitably applied in combination with the compound represented by the above formula (I) are shown below.

Organophosphorus herbicides:

N-(Phosphonomethyl)glycine and salts thereof,

4-[Hydroxy(methyl)phosphinoyl]-DL-homoalanine and salts thereof,

4-[Hydroxy(methyl)phosphinoyl]-L-homoalanyl-L-alanyl-L-alanine and salts thereof,

O-Ethyl O-6-nitro-m-tolyl sec-butylphosphoramidothioate,

S-[N-(4-Clorophenyl)-N-isopropylcarbamoylmethyl] O,O-dimethylphosphorodithioate,

O,O-Diisopropyl S-2-(phenylsulfonylamino)ethyl phosphorodithioate, and the like.

Carbamate herbicides:

2-Chloroallyl diethyldithiocarbamate,

S-2,3-Dichloroallyl diisopropylthiocarbamate,

S-2,2,3-Trichloroallyl diisopropylthiocarbamate,

S-Ethyl dipropylthiocarbamate,

S-Ethyl diisobutylthiocarbamate,

S-Benzyl 1,2-dimethylpropyl(ethyl)thiocarbamate,

S-4-Chlorobenzyl diethylthiocarbamate,

S-Ethyl perhydroazepine-1-thiocarboxylate,

S-Isopropyl perhydroazepine-1-thiocarboxylate,

S-1-Methyl-1-phenylethyl piperidine-1-thiocarboxylate,

O-3-t-Butylphenyl 6-methoxy-2-piridyl(methyl)thiocarbamate,

3-(Methoxycarbonylamino)phenyl 3'-methylphenylcarbamate,

Isopropyl 3'-chlorophenylcarbamate,

Methyl (4-aminophenylsulfonyl)carbamate, and the like.

Urea herbicides:

3-(3,4-Dichlorophenyl)-1,1-dimethylurea,

3-(3,4-Dichlorophenyl)-1-methoxy-1-methylurea,

1,1-Dimethyl-3-[3-(trifluoromethyl)phenyl]urea,

3-[4-(4-Methoxyphenoxy)phenyl]-1,1-dimethylurea,

1-(1-Methyl-1-phenylethyl)3-p-tolylurea,

3-(4-Isopropylphenyl)-1,1-dimethylurea,

3-(5-t-Butylisoxazol-3-yl)-1,1-dimethylurea,

1-(5-t-Butyl-1,3,4-thiadiazol-2-yl)-1,3-dimethylurea,

1-(Benzothiazol-2-yl)-1,3-dimethylurea, and the like.

Amide herbicides:

2-Chloro-N-(pyrazol-1-ylmethyl)aceto-2',6'-xylidide,

2-Chloro-2',6'-diethyl-N-(methoxymethyl)acetanilide,

N-(Butoxymethyl)-2-chloro-2',6'-diethylacetanilide,

2-Chloro-2',6'-diethyl-N-(2-propoxyethyl)acetanilide,
2-Chloro-N-(ethoxymethyl)-6'-ethylaceto-o-toluidide,
2-Chloro-6'-ethyl-N-(2-methoxy-1-methylethyl)aceto-o-toluidide,
2-Chloro-N-(3-methoxy-2-thenyl)-2',6'-dimethylacetanilide,
N-(Chloroacetyl)-N-(2,6-diethylphenyl)glycine ethyl ester,
2-Chloro-N-(2,4-dimethyl-3-thienyl)-N-(2-methoxy-1-methylethyl)acetamide,
3',4'-Dichloropropionanilide,
2',4'-Difluoro-2-[3-(trifluoromethyl)phenoxy]nicotinanilide,
2-(1,3-Benzothiazol-2-yloxy)-N-methylacetanilide,
4'-Fluoro-N-isopropyl-2-(5-trifluoromethyl-1,3,4-thiadiazol-2-yloxy)acetanilide,
2-Bromo-N-(α,α-dimethylbenzyl)-3,3-dimethylbutyramide,
N-[3-(1-Ethyl-1-methylpropyl)isoxazol-5-yl]-2,6-dimethoxybenzamide, and the like.

Dinitroaniline herbicides:

2,6-Dinitro-N,N-dipropyl-4-(trifluoromethyl)aniline,
N-Butyl-N-ethyl-2,6-dinitro-4-(trifluoromethyl)aniline,
2,6-Dinitro-N^1,N^1-dipropyl-4-(trifluoromethyl)-m-phenylenediamine,
4-(Dipropylamino)-3,5-dinitrobenzenesulfonamide,
N-sec-Butyl-4-t-butyl-2,6-dinitroaniline,
N-(1-Ethylpropyl)-2,6-dinitro-3,4-xylidine, and the like.

Carboxylic acid herbicides:

(2,4-Dichlorophenoxy)acetic acid and derivatives thereof,
(2,4,5-Trichlorophenoxy)acetic acid and derivatives thereof,
(4-Chloro-2-methylphenoxy)acetic acid and derivatives thereof,
2-(2,4-Dichlorophenoxy)propionic acid and derivatives thereof,
2-(4-Chloro-2-methylphenoxy)propionic acid and derivatives thereof,
4-(2,4-Dichlorophenoxy)butyric acid and derivatives thereof,
2,3,6-Trichlorobenzoic acid and derivatives thereof,
3,6-Dichloro-2-methoxybenzoic acid and derivatives thereof,
3,7-Dichloroquinoline-8-carboxylic acid and derivatives thereof,
7-Chloro-3-methylquinoline-8-carboxylic acid and derivatives thereof,
3,6-Dichloropyridine-2-carboxylic acid and derivatives thereof,
4-Amino-3,5,6-trichloropyridine-2-carboxylic acid and derivatives thereof,
(3,5,6-Trichloro-2-pyridyloxy)acetic acid and derivatives thereof,
(4-Amino-3,5-dichloro-6-fluoro-2-pyridyloxy)acetic acid and derivatives thereof,
(4-Chloro-2-oxobenzothiazolin-3-yl)acetic acid and derivatives thereof,
2-[4-(2,4-Dichlorophenoxy)phenoxy]propionic acid and derivatives thereof,

2-[4-[5-(Trifluoromethyl)-2-pyridyloxy]phenoxy]propionic acid and derivatives thereof,
2-[4-[3-Chloro-5-(trifluoromethyl)-2-pyridyloxy]phenoxy]propionic acid and derivatives thereof,
2-[4-(6-Chloro-1,3-benzoxazol-2-yloxy)phenoxy]propionic acid and derivatives thereof,
2-[4-(6-Chloroquinoxalin-2-yloxy)phenoxy]propionic acid and derivatives thereof,
2-[4-(4-Cyano-2-fluorophenoxy)phenoxy]propionic acid and derivatives thereof, and the like.

Phenol herbicides:

3,5-Dibromo-4-hydroxybenzonitrile and derivatives thereof,
4-Hydroxy-3,5-diiodobenzonitrile and derivatives thereof,
2-t-Butyl-4,6-dinitrophenol and derivatives thereof, and the like.

Cyclohexanedione hebicides:

Methyl 3-[1-(allyloxyimino)butyl]-4-hydroxy-6,6-dimethyl-2-oxo-3-cyclohexene-1-carboxylate and derivatives thereof,
2-[1-(Ethoxyimino)butyl]-5-[2-(ethylthio)propyl]-3-hydroxy-2-cyclohexen-1-one,
2-[1-(Ethoxyimino)butyl]-3-hydroxy-5-(thian-3-yl)-2-cyclohexen-1-one,
2-[1-(Ethoxyimino)propyl]-3-hydroxy-5-(2,4,6-trimethylphenyl)-2-cyclohexen-1-one,
5-(3-Butyryl-2,4,6-trimethylphenyl)-2-[1-(ethoxyimino)propyl]-3-hydroxy-2-cyclohexen-1-one,
2-[1-(3-Chloroallyloxyimino)propyl]-5-[2-(ethylthio)propyl]-3-hydroxy-2-cyclohexen-1-one,
2-[1-(3-Chloroallyloxyimino)propyl]-3-hydroxy-5-perhydropyran-4-yl-2-cyclohexen-1-one,
2-[2-Chloro-4-(methylsulfonyl)benzoyl]cyclohexane-1,3-dione, and the like.

Diphenyl ether herbicides:

4-Nitrophenyl 2,4,6-trichlorophenyl ether,
5-(2,4-Dichlorophenoxy)-2-nitroanisole,
2-Chloro-4-(trifluoromethyl)phenyl 3-ethoxy-4-nitrophenyl ether,
Methyl 5-(2,4-dichlorophenoxy)-2-nitrobenzoate,
5-[2-Chloro-4-(trifluoromethyl)phenoxy]-2-nitrobenzoic acid and salts thereof,
Ethyl O-[5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrobenzoyl]glycolate
Ethyl O-[5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrobenzoyl]-DL-lactate
5-[2-Chloro-4-(trifluoromethyl)phenoxy]-N-(methylsulfonyl)-2-nitrobenzamide,
2-Chloro-6-nitro-3-phenoxyaniline, and the like.

Sulfonylurea herbicides:

1-(4,6-Dimethoxypyrimidin-2-yl)-3-mesyl(methyl)sulfamoylurea,

Ethyl 2-(4-chloro-6-methoxypyrimidin-2-ylcarbamoylsulfamoyl)benzoate,
Methyl 2-(4,6-dimethylpyrimidin-2-ylcarbamoylsulfamoyl)benzoate,
Methyl 2-[4,6-bis(difluoromethoxy)pyrimidin-2-ylcarbamoylsulfamoyl]benzoate,
Methyl 2-(4,6-dimethoxypyrimidin-2-ylcarbamoylsulfamoylmethyl)benzoate,
1-(4,6-Dimethoxypyrimidin-2-yl)-3-(2-ethoxyphenoxysulfonyl)urea,
1-[2-(Cyclopropylcarbonyl)phenylsulfamoyl]-3-(4,6-dimethoxypyrimidin-2-yl)urea,
1-(2-Chlorophenylsulfonyl)-3-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)urea,
Methyl 2-(4-methoxy-6-methyl-1,3,5-triazin-2-ylcarbamoylsulfamoyl)benzoate,
Methyl 2-[4-methoxy-6-methyl-1,3,5-triazin-2-yl(methyl)carbamoylsulfamoyl)benzoate,
1-[2-(2-Chloroethoxy)phenylsulfonyl)-3-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)urea,
1-(4,6-Dimethoxy-1,3,5-triazin-2-yl)-3-[2-(2-methoxyethoxy)phenylsulfonyl]urea,
Methyl 2-[4-ethoxy-6-(methylamino)-1,3,5-triazin-2-ylcarbamoylsulfamoyl)benzoate,
Methyl 2-[4-(dimethylamino)-6-(2,2,2-trifluoroethoxy)-1,3,5-triazin-2-ylcarbamoylsulfamoyl)-3-methylbenzoate,
1-(4-Methoxy-6-methyl-1,3,5-triazin-2-yl)-3-[2-(3,3,3-trifluoropropyl)phenylsulfonyl]urea,
Methyl 3-(4-methoxy-6-methyl-1,3,5-triazin-2-ylcarbamoylsulfamoyl)thiophene-2-carboxylate,
Ethyl 5-(4,6-dimethoxypyrimidin-2-ylcarbamoylsulfamoyl)-1-methylpyrazole-4-carboxylate,
Methyl 3-chloro-5-(4,6-dimethoxypyrimidin-2-ylcarbamoylsulfamoyl)-1-methylpyrazole-4-carboxylate,
1-(4,6-Dimetoxypyrimidin-2-yl)-3-[3-(trifluoromethyl)-2-pyridylsulfonyl]urea,
1-(4,6-Dimetoxypyrimidin-2-yl)-3-[3-(ethylsulfonyl)-2-pyridylsulfonyl]urea,
2-(4,6-Dimethoxypyrimidin-2-ylcarbamoylsulfamoyl)-N,N-dimethylnicotinamide,
Methyl 2-(4,6-dimethoxypyrimidin-2-ylcarbamoylsulfamoyl)-6-trifluoromethylnicotinate and salts thereof,
1-(2-Chloroimidazo[1,2-a]pyridin-3-ylsulfonyl)-3-(4,6-dimethoxypyrimidin-2-yl)urea,
1-(4,6-Dimethoxypyrimidin-2-yl)-3-[2-(ethylsulfonyl)imidazo[1,2-a]pyridin-3-ylsulfonyl)urea, and the like.

Bipyridinium herbicides:

1,1'-Dimethyl-4,4'-bipyridinium dichloride,
1,1'-Ethylene-2,2'-bipyridinium dibromide, and the like.

Pyrazole herbicides:

4-(2,4-Dichlorobenzoyl)-1,3-dimethylpyrazol-5-yl toluene-4-sulfonate,
2-[4-(2,4-Dichlorobenzoyl)-1,3-dimethylpyrazol-5-yloxy]acetophenone,

2-[4-(2,4-Dichloro-3-methylbenzoyl)-1,3-dimethylpyrazol-5-yloxy]-4'-methylacetophenone, and the like.

Triazine herbicides:

6-Chloro-N^2,N^4-diethyl-1,3,5-triazine-2,4-diamine,

6-Chloro-N^2-ethyl-N^4-isopropyl-1,3,5-triazine-2,4-diamine,

2-[4-Chloro-6-(ethylamino)-1,3,5-triazin-2-ylamino]-2-methylpropionitrile,

N^2,N^4-Diethyl-6-(methylthio)-1,3,5-triazine-2,4-diamine,

N^2-(1,2-Dimethylpropyl)-N^4-ethyl-6-(methylthio)-1,3,5-triazine-2,4-diamine,

4-Amino-6-t-butyl-3-(methylthio)-1,2,4-triazin-5(4H)-one, and the like.

Imidazolinone Herbicides:

Methyl 2-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)-4(5)-methylbenzoate,

2-(4-Isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)pyridine-3-carboxylic acid and salts thereof,

2-(4-Isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)quinoline-3-carboxylic acid and salts thereof,

5-Ethyl-2-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)pyridine-3-carboxylic acid and salts thereof,

2-(4-Isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)-5-(methoxymethyl)pyridine-3-carboxylic acid and salts thereof, and the like.

Other Herbicides:

3-[2-Chloro-4-(methylsulfonyl)benzoyl)-2-(phenylthio)bicyclo[3.2.1]-2-octen-4-one,

2-[2-(3-Chlorophenyl)-2,3-epoxypropyl]-2-ethylindane-1,3-dione,

1-Methyl-3-phenyl-5-[3-(trifluoromethyl)phenyl]-4-pyridone,

3-Chloro-4-(chloromethyl)-1-[3-(trifluoromethyl)phenyl]-2-pyrrolidinone,

5-(Methylamino)-2-phenyl-4-[3-(trifluoromethyl)phenyl]furan-3-(2H)-one,

4-Chloro-5-(methylamino)-2-[3-(trifluoromethyl)phenyl]pyridazin-3(2H)-one,

N,N-Diethyl-3-(2,4,6-trimethylphenylsulfonyl)-1H-1,2,4-triazole-1-carboxamide,

4-(2-Chlorophenyl)-N-cyclohexyl-N-ethyl-4,5-dihydro-5-oxotetrazole-1-carboxamide,

N-[2,4-Dichloro-5-[4-(dichloromethyl)-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]phenyl]methanesulfonamide,

Ethyl 2-chloro-3-[2-chloro-5-[4-(diflfuoromethyl)-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-4-fluorophenyl]propionate,

3-[4-Chloro-5-(cyclopentyloxy)-2-fluorophenyl)-5-isopropylidene-1,3-oxazolidine-2,4-dione,

5-t-Butyl-3-(2,4-dichloro-5-isopropoxyphenyl)-1,3,4-oxadiazol-2(3H)-one,

Ethyl [2-chloro-5-[4-chloro-5-(difluoromethoxy)-1-methylpyrazol-3-yl]-4-fluorophenoxy]acetate,
Isopropyl 5-(4-bromo-1-methyl-5-trifluoromethylpyrazol-3-yl]-2-chloro-4-fluorobenzoate,
1-[4-Chloro-3-(2,2,3,3,3-pentafluoropropoxymethyl)phenyl]-5-phenyl-1H-1,2,4-triazole-3-carboxamide,
2-(2-Chlorobenzyl)-4,4-dimethylisoxazolidin-3-one,
5-Cyclopropyl-4-[2-(methylsulfonyl)-4-(trifluoromethyl)benzoyl]isoxazole,
S,S'-Dimethyl 2-(difluoromethyl)-4-isobutyl-6-(trifluoromethyl)pyridine-3,5-dicarbothioate,
Methyl 2-(difluoromethyl)-5-(4,5-dihydro-1,3-thiazol-2-yl)-4-isobutyl-6-(trifluoromethyl)pyridine-3-carboxylate,
2-Chloro-6-(4,6-dimethoxypyrimidin-2-ylthio)benzoic acid and salts thereof,
Methyl 2-(4,6-dimethoxypyrimidin-2-yloxy)-6-[1-(methoxyimino)ethyl]benzoate,
2,6-Bis(4,6-dimethoxypyrimidin-2-yloxy)benzoic acid and salts thereof,
5-Bromo-3-sec-butyl-6-methylpyrimidine-2,4(1H,3H)-dione,
3-t-Butyl-5-chloro-6-methylpyrimidine-2,4(1H,3H)-dione,
3-Cyclohexyl-1,5,6,7-tetrahydrocyclopentapyrimidine-2,4(3H)-dione,
Isopropyl 2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]benzoate,
3-[1-(3,5-Dichlorophenyl)-1-methylethyl]-3,4-dihydro-6-methyl-5-phenyl-2H-1,3-oxadin-4-one,
1-Methyl-4-isopropyl-2-[(2-methylphenyl)methoxy]-7-oxabicyclo[2.2.1]heptane,
N-(4-Chlorophenyl)-3,4,5,6-tetrahydrophthalimide,
Pentyl [2-chloro-4-fluoro-5-(1,3,4,5,6,7-hexahydro-1,3-dioxo-2H-isoindol-2-yl)phenoxy]acetate,
2-[7-Fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-4,5,6,7-tetrahydro-1H-isoindole-1,3(2H)-dione,
Ethyl 2-chloro-3-[2-chloro-5-(1,3,4,5,6,7-hexahydro-1,3-dioxo-2H-isoindol-2-yl)phenyl]acrylate,
2-[2,4-Dichloro-5-(2-propynyloxy)phenyl]-5,6,7,8-tetrahydro-1,2,4-triazolo[4,3-a]pyridin-3(2H)-one,
Methyl [[2-chloro-4-fluoro-5-[(tetrahydro-3-oxo-1H,3H-[1,3,4]thiadiazolo[3,4a]pyridazin-1-ylidene)amino]phenyl]thio]acetate,
N-(2,6-Difluorophenyl)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidine-2-sulfonamide,

N-(2,6-Dichloro-3-methylphenyl)-5,7-dimethoxy[1,2,4]triazolo[1,5-a]pyrimidine-2-sulfonamide,
Methyl 3-chloro-2-(5-ethoxy-7-fluoro[1,2,4]triazolo[1,5-c]pyrimidin-2-ylsulfonylamino)benzoate,
2,3-Dihydro-3,3-dimethylbenzofuran-5-yl ethanesulfonate,
2-Ethoxy-2,3-dihydro-3,3-dimethylbenzofuran-5-yl methanesulfonate,
3-Isopropyl-1H-2,1,3-benzothiadiazin-4(3H)-one-2,2-dioxide, and the like.

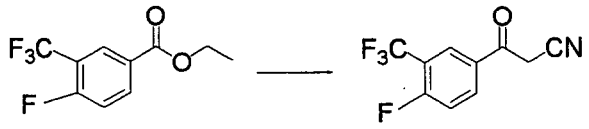
In these days, IPM (integrated pest management) technologies using transgenic crops (herbicide-resistant crops, insect-resistant crops into which a gene producing an insecticidal protein has been introduced, disease-resistant crops into which a gene producing a disease resistance-inducible substance has been introduced, taste-improved crops, storage stability-improved crops, yield-improved crops, *etc.*), insect pheromones (agents for disturbing communication of *tortrix or barathra*), natural enemy insects and the like have been developed. The agricultural composition of the present invention can be used in combination or systematization with those technologies.

The invention is described below in further detail with reference to Examples, Reference Examples, and Test Examples but the invention is not limited to the following Examples, Reference Examples, and Test Examples.

Example 1: Production of ethyl 3-(trifluoromethoxy)benzoate (Compound No. 1-2)

A mixed solution of ethanol (100 mL) and triethylamine (28.0 g, 0.277 mol) was cooled with ice-water and then 3-(trifluoromethoxy)benzoyl chloride (50.0 g, 0.223 mol) was slowly added dropwise thereto, followed by stirring at room temperature for 3 hours. After completion of the reaction, the solvent was removed by evaporation and the resulting residue was dissolved in ethyl acetate. After the solution was washed with water and saturated brine, the solvent was removed by evaporation. The resulting residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 2% AcOEt-Hex) to obtain ethyl 3-(trifluoromethoxy)benzoate (52.0 g, 99%).
^{1}H-NMR (400MHz, $CDCl_3$) : 1.41(t, 3H, J=7.2Hz), 4.40(q, 2H, J=7.2Hz), 7.40(br. d, 1H, J=8.0Hz), 7.48(t, 1H, J=8.0Hz), 7.89(m, 1H), 7.99(dt, 1H, J=8.0Hz, 1.2Hz).
nD(25°C):1.5890

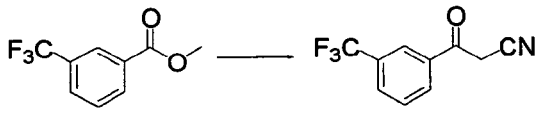
Example 2: Production of [4-fluoro-3-(trifluoromethyl)phenyl]-3-oxopropionitrile (Compound No. 2-9)



An ammonia gas was bubbled into a four-neck flask cooled in a dry ice-acetone bath to collect liquid ammonia (87 g). Thereto was added sodium amide (8.26 g, 0.212 mol) and then were added a toluene solution (10 mL) of acetonitrile (8.69 g, 0.212 mol) and a toluene solution (10 mL) of ethyl 4-fluoro-3-(trifluoromethyl)benzoate (25.0 g, 0.106 mol), followed by stirring at -70°C for 1 hour. While the reaction liquid was warmed to room temperature, the ammonia gas was released outside the system. After completion of the reaction, the reaction liquid was poured into water and extracted with toluene. The resulting aqueous layer from which insoluble matter had been removed was acidified with concentrated hydrochloric acid, followed by extraction with ethyl acetate. After the resulting extract was washed with water and saturated brine, the solvent was removed by evaporation. The resulting residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 40% AcOEt-Hex) to obtain [4-fluoro-3-(trifluoromethyl)phenyl]-3-oxopropionitrile (19.4 g, 79%).

^{1}H-NMR (400MHz, $CDCl_3$) : 4.13(s, 2H), 7.40(t, 1H, J=7.6Hz), 8.17(m, 1H), 8.21(d, 1H, J=7.6Hz)

Example 3: Production of 3-oxo-3-[3-(trifluoromethyl)phenyl]propionitrile (Compound No. 2-2)



Acetonitrile (4.02 g, 97.8 mmol) was added to a THF (50 mL) suspension of sodium hydride (3.91 g, 97.8 mmol), followed by heating at 70°C for 1 minute. The reaction liquid was cooled to room temperature and a THF (20 mL) solution of methyl 3-(trifluoromethyl)benzoate (10.0 g, 48.9 mmol) was added dropwise thereto, followed by heating under stirring at 60°C for 6 hours. After completion of the reaction, solvent was removed by evaporation and the resulting residue was poured into water, followed by extraction with ethyl acetate. After the resulting extract solution was washed with water and brine, the solvent was removed by evaporation. The resulting residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 30% AcOEt-Hex) to obtain 3-oxo-3-[3-(trifluoromethyl)phenyl]propionitrile (9.67 g, 93%).

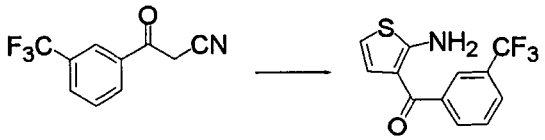
Alternatively, the compound can be also produced by the following method.

Sodium hydride (3.56 g, 89.0 mmol) was added to a toluene (60 mL) solution of methyl 3-(trifluoromethyl)benzoate (9.08 g, 44.5 mmol), followed by heating under stirring to 85°C. While the gas generation state was taken into account, acetonitrile (3.65 g, 89.0 mmol) was slowly added dropwise thereto, followed by continuation of heating under stirring at 85°C for 2 hours. After completion of the reaction, the reaction liquid was poured into water, followed by extraction with toluene. Thereafter, the resulting aqueous layer was cooled with ice water and acidified with concentrated hydrochloric acid. After filtration of precipitated crystals, the resulting crystals were washed with water and hexane and dried to obtain 3-oxo-3-[3-(trifluoromethyl)phenyl] propionitrile (8.17 g, 80%).

^{1}H-NMR (400MHz, $CDCl_3$) : 4.14(s, 2H), 7.71(t, 1H, J=8.0Hz), 7.93(d, 1H, J=8.0Hz), 8.12(d, 1H, J=8.0Hz), 8.18(s, 1H).

mp : 63°C

Example 4: Production of 2-amino-3-[3-(trifluoromethyl)benzoyl]thiophene (Compound No. 3-4)

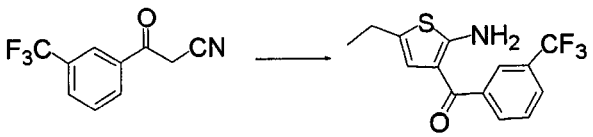


A DMF (30 mL) solution of 3-oxo-3-[3-(trifluoromethyl)phenyl]propionitrile (12.0 g, 0.0563 mol), 2,5-dihydroxy-1,4-dithian (4.56 g, 0.0296 mol), and triethylamine (6.26 g, 0.0620 mol) was heated under stirring at 60°C for 1 hour. After completion of the reaction, the reaction liquid was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) to obtain 2-amino-3-[3-(trifluoromethyl)benzoyl]thiophene (5.75 g, 38%).

^{1}H-NMR (400MHz, $CDCl_3$):6.16(d, 1H, J=5.6Hz), 6.80(d, 1H, J=5.6Hz), 7.01(br.s, 2H), 7.58(t, 1H, J=8.0Hz), 7.75(d, 1H, J=8.0Hz), 7.86(d, 1H, J=8.0Hz), 7.94(s, 1H).

mp : 143-145°C

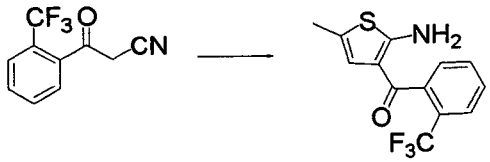
Example 5: Production of 2-amino-5-ethyl-3-[3-(trifluoromethyl)benzoyl]thiophene (Compound No. 3-3)



To a DMF (30 mL) solution of 3-oxo-3-[3-(trifluoromethyl)phenyl]propionitrile (4.60 g, 21.5 mmol), sulfur (0.69 g, 21.5 mmol), and triethylamine (2.18 g, 21.5 mmol) heated under stirring at 40°C was added dropwise an ethanol (2 mL) solution of butyraldehyde (1.71 g, 23.7 mmol), followed by heating under stirring at 60°C for 4 hours. After completion of the reaction, the reaction liquid was poured into water and precipitated crystals were collected by filtration. After the crystals were dissolved in ethyl acetate, the solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 40% AcOEt-Hex) and the resulting crystals were washed with hexane to obtain 2-amino-5-ethyl-3-[3-(trifluoromethyl)benzoyl]thiophene (3.00 g, 47%).

^{1}H-NMR (400MHz, $CDCl_3$) : 1.21(t, 3H, J=7.6Hz), 2.60(q, 2H, J=7.6Hz), 6.42(s, 1H), 6.96(br.s, 2H), 7.50(t, 1H, J=8.0Hz), 7.73(d, 1H, J=8.0Hz), 7.83(d, 1H, J=8.0Hz), 7.92(s, 1H).

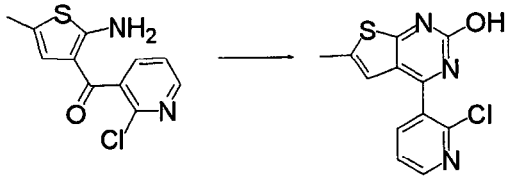
Example 6: Production of 2-amino-5-methyl-3-[2-(trifluoromethyl)benzoyl]thiophene (Compound No. 3-1)



To a DMF (30 mL) solution of 3-oxo-3-[2-(trifluoromethyl)phenyl]propionitrile (3.10 g, 14.5 mmol), sulfur (0.47 g, 14.5 mmol), and triethylamine (1.61 g, 16.0 mmol) heated under stirring at 40°C was added dropwise an ethanol (1 mL) solution of propionaldehyde (0.93 g, 16.0 mmol), followed by heating under stirring at 60°C for 4 hours. After completion of the reaction, the reaction liquid was poured into water and precipitated crystals were collected by filtration. After the crystals were dissolved in ethyl acetate, the solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) and the resulting crystals were washed with hexane to obtain 2-amino-5-methyl-3-[2-(trifluoromethyl)benzoyl]thiophene (1.74 g, 42%).

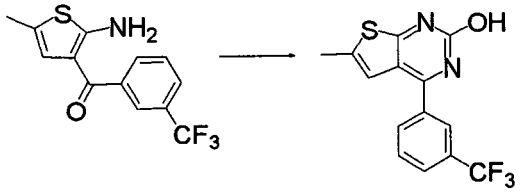
^{1}H-NMR (400MHz, $CDCl_3$) : 2.17(d, 3H, J=1.2Hz), 5.98(d, 1H, J=1.2Hz), 6.90(br.s, 2H), 7.39(d, 1 H,J=7.2Hz), 7.5-7.6(m, 2H), 7.72(m, 1H).
mp : 136-137°C

Example 7: Production of 4-(2-chloropyridine-3-yl)-6-methylthieno[2,3-d]pyrimidine-2-ol (Compound No. 4-22)



An NMP (20 mL) solution of 2-amino-3-[(2-chloropyridin-3-yl)carbonyl]-5-methylthiophene (7.20 g, 0.0285 mol) and urea (8.55 g, 0.143 mol) was heated under stirring at 180°C for 2 hours. After completion of the reaction, the reaction liquid was poured into water and the precipitated crystals were collected by filtration. The crystals were purified by washing with acetone to obtain 4-(2-chloropyridine-3-yl)-6-methylthieno[2,3-d]pyrimidine-2-ol (4.88 g, 53%).
^{1}H-NMR (400MHz, $CDCl_3$) : 2.54(d, 3H, J=1.2Hz), 7.24(d, 1H, J=1.2Hz), 7.37(dd, 1H, J=4.8Hz, 8.0Hz), 8.74(dd, 1H, J=2.0Hz, 4.8Hz), 8.86(dd, 1H, J=2.0Hz, 8.0Hz).
mp : >300°C

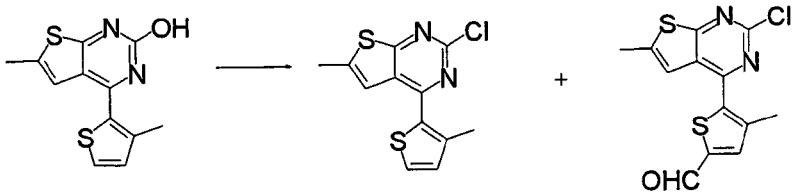
Example 8: Production of 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine-2-ol (Compound No. 4-3)



A NMP (5 mL) solution of 2-amino-5-methyl-3-[3-(trifluoromethyl)benzoyl]thiophene (1.50 g, 5.26 mmol) and urea (1.58 g, 26.3 mmol) was heated under stirring at 180°C for 5 hours. After completion of the reaction, the reaction liquid was poured into water and precipitated crystals were collected by filtration. The crystals were washed with isopropanol and then dried to obtain 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine-2-ol (1.30 g, 80%).
^{1}H-NMR (400MHz, $CDCl_3$) : 2.49(d, 3H, J=1.2Hz), 6.75(d, 1H, J=1.2Hz), 7.78(t, 1H, J=8.0Hz),7.85(d, 1H, J=8.0Hz), 8.02(s, 1H), 8.07(d, 1H, J=8.0Hz).

mp : 251-253°C (dec.)

Example 9: Production of 2-chloro-6-methyl-4-(3-methylthiophen-2-yl)thieno[2,3-d]pyrimidine (Compound No. 5-22) and 2-chloro-4-(5-formyl-3-methylthiophen-2-yl)-6-methylthieno[2,3-d]pyrimidine (Compound No. 5-23)



DMF (8 g) was added dropwise to phosphorus oxychloride (40.2 g, 0.263 mol) and then 6-methyl-4-(3-methylthiophen-2-yl)thieno[2,3-d]pyrimidine-2-ol (8.60 g, 0.0329 mol) was added dropwise thereto, followed by heating under stirring at 100°C for 2 hours. After completion of the reaction, the reaction liquid was poured into ice and precipitated crystals were collected by filtration. After the crystals were dissolved in ethyl acetate, the solution was washed with an aqueous sodium bicarbonate solution, water, and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) to obtain 2-chloro-6-methyl-4-(3-methylthiophen-2-yl)thieno[2,3-d]pyrimidine (8.10 g, 88%) and 2-chloro-4-(5-formyl-3-methylthiophen-2-yl)-6-methylthieno[2,3-d]pyrimidine (0.86 g, 8%).

2-Chloro-6-methyl-4-(3-methylthiophen-2-yl)thieno[2,3-d]pyrimidine

^{1}H-NMR (400MHz, $CDCl_3$) : 2.52(s, 3H), 2.63(d, 3H, J=1.2Hz), 7.03(d, 1H, J=4.8Hz), 7.27(d, 1H, J=1.2Hz), 7.48(d, 1H, J=4.8Hz).

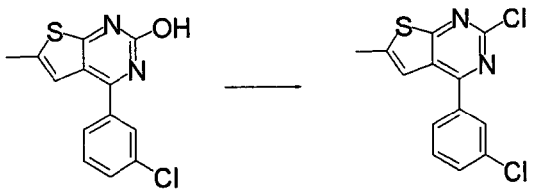
mp : 127°C

2-Chloro-4-(5-formyl-3-methylthiophen-2-yl)-6-methylthieno[2,3-d]pyrimidine

^{1}H-NMR (400MHz, $CDCl_3$) : 2.55(s, 3H), 2.65(d, 3H, J=1.2Hz), 7.28(d, 1H, J=1.2Hz), 7.69(s, 1H), 9.97(s, 1H).

mp : 204-206°C (dec.)

Example 10: Production of 2-chloro-4-(3-chlorophenyl)-6-methylthieno[2,3-d]pyrimidine (Compound No. 5-15)

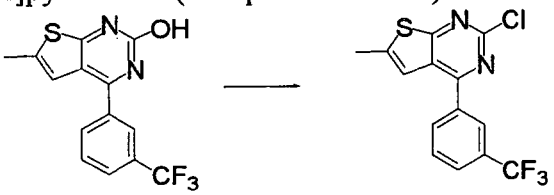


DMF (16 g) was added dropwise to phosphorus oxychloride (42.9 g, 0.280 mol) and then 4-(3-chlorophenyl)-6-methylthieno[2,3-d]pyrimidine-2-ol (15.5 g, 0.0561 mol) was added thereto, followed by heating under stirring at 100°C for 2 hours. After completion of the reaction, the reaction liquid was poured into ice and precipitated crystals were collected by filtration. After the crystals were dissolved in ethyl acetate, the solution was washed with an aqueous sodium bicarbonate solution, water, and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20-30% AcOEt-Hex) to obtain 2-chloro-4-(3-chlorophenyl)-6-methylthieno[2,3-d]pyrimidine (5.73 g, 35%).

^{1}H-NMR (400MHz, $CDCl_3$) : 2.65(d, 3H, J=1.2Hz), 7.19(d, 1H, J=1.2Hz), 7.5(m, 2H), 7.80(dt, 1H, J=1.6Hz, 7.2Hz), 7.92(t, 1H, J=2.0Hz).

mp : 118-120°C

Example 11: Production of 2-chloro-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (Compound No. 5-4)

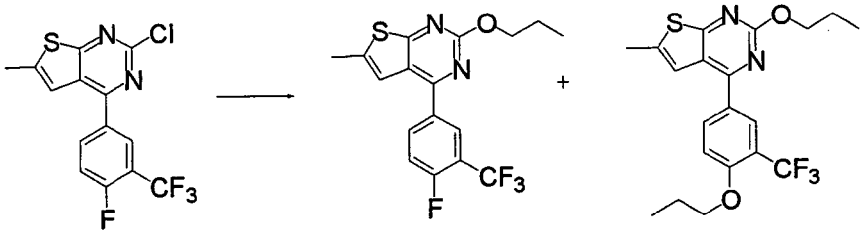


DMF (1.2 g) was added dropwise to phosphorus oxychloride (5.13 g, 33.5 mmol) and then 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine-2-ol (1.30 g, 4.19 mmol) was added thereto, followed by heating under stirring at 100°C for 3 hours. After completion of the reaction, the reaction liquid was poured into ice and precipitated crystals were collected by filtration. After the crystals were dissolved in ethyl acetate, the solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) and the resulting crystals were washed with hexane to obtain 2-chloro-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (1.12 g, 81%).

^{1}H-NMR (400MHz, $CDCl_3$) : 2.66(d, 3H, J=1.2Hz), 7.16(d, 1H, J=1.2Hz), 7.70(t, 1H, J=8.0Hz),7.82(d, 1H, J=8.0Hz), 8.12(d, 1H, J=8.0Hz), 8.19(s, 1H).

mp : 108°C

Example 12: Production of 4-[4-fluoro-3-(trifluoromethyl)phenyl]-6-methyl-2-propoxythieno[2,3-d]pyrimidine (Compound No. 6-29) and 6-methyl-2-propoxy-4-[4-propoxy-3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (Compound No. 6-32)



Sodium hydride (0.10 g, 2.50 mmol) was added to a THF (20 mL) solution of propanol (0.15 g, 2.50 mmol) and then a THF (5 mL) solution of 2-chloro-6-methyl-4-[4-fluoro-3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.30 g, 0.866 mmol) was added dropwise thereto at room temperature, followed by heating under reflux for 2 hours. After completion of the reaction, the solvent was removed by evaporation and the obtained residue was dissolved in ethyl acetate. The solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) to obtain 4-[4-fluoro-3-(trifluoromethyl)phenyl]-6-methyl-2-propoxythieno[2,3-d]pyrimidine (0.12 g, 38%) and 6-methyl-2-propoxy-4-[4-propoxy-3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.066 g, 18%).

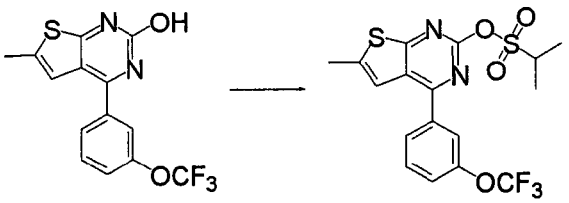
4-[4-Fluoro-3-(trifluoromethyl)phenyl]-6-methyl-2-propoxythieno[2,3-d]pyrimidine

^{1}H-NMR (400MHz, $CDCl_3$) : 1.08(t, 3H, J=7.6Hz), 1.90(sixtet, 2H, J=7.6Hz), 2.59(d, 3H, J=1.2Hz), 4.44(t, 2H, J=7.6Hz), 7.01(d, 1H, J=1.2Hz), 7.36(t, 1H, J=9.6Hz), 8.13(m, 1H), 8.20(d, 1H, J=6.4Hz)

6-Methyl-2-propoxy-4-[4-propoxy-3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine

^{1}H-NMR (400MHz, $CDCl_3$) : 1.07(t, 3H, J=7.6Hz), 1.09(t, 3H, J=7.6Hz), 1.90(sixtet, 2H, J=7.6Hz), 2.58(d, 3H, J=1.2Hz), 4.11(t, 2H, J=7.2Hz), 4.43(t, 2H, J=7.2Hz), 7.06(d, 1H, J=1.2Hz), 7.11(t, 1H, J=8.8Hz), 8.10(dd, 1H, J=2.0Hz, 8.8Hz), 8.18(d, 1H, J=2.0Hz)

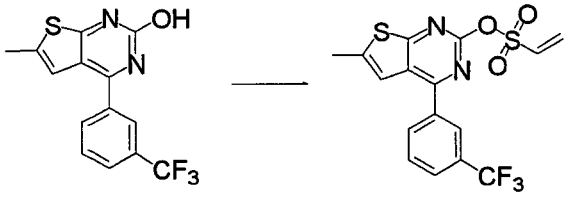
Example 13: Production of 2-(isopropylsulfonyloxy)-6-methyl-4-[3-(trifluoromethoxy)phenyl]thieno[2,3-d]pyrimidine (Compound No. 6-163)



To an acetonitrile (20 mL) solution of 2-hydroxy-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.30 g, 0.920 mmol) were added isopropylsulfonyl chloride (0.36 g, 2.50 mmol), triethylamine (0.25 g, 2.50 mmol), and trimethylamine hydrochloride (0.01 g) at room temperature and then the whole was stirred at room temperature for 4 hours, followed by heating under stirring at 60°C for 6 hours. After completion of the reaction, the reaction liquid was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 30% AcOEt-Hex) to obtain 2-(isopropylsulfonyloxy)-6-methyl-4-[3-(trifluoromethoxy)phenyl]thieno[2,3-d]pyrimidine (60.4 mg, 15%).

^{1}H-NMR (400MHz, $CDCl_3$) : 1.63(d, 6H, J=7.2Hz), 2.66(d, 3H, J=1.2Hz), 3.83(7-plet, 1H, J=7.2Hz), 7.22(d, 1H, J=1.2Hz), 7.41(m, 1H), 7.61(t, 1H, J=8.0Hz), 7.81(s, 1H), 7.90(d, 1H, J=8.0Hz).

Example 14: Production of 6-methyl-4-[3-(trifluoromethyl)phenyl]-2-(vinylsulfonyloxy)thieno[2,3-d]pyrimidine (Compound No. 6-150)

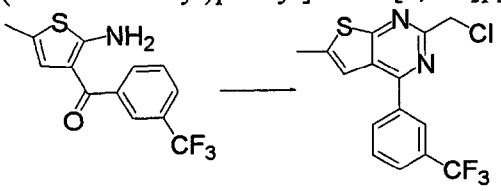


To a methylene chloride (20 mL) solution of 2-hydroxy-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.30 g, 0.968 mmol) were added 2-chloroethylsulfonyl chloride (0.41 g, 2.50 mmol) and triethylamine (0.25 g, 2.50 mmol) at room temperature and then the whole was stirred at room temperature for 12 hours. After completion of the reaction, the reaction liquid was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel

column (Kiesel gel 60 manufactured by MERCK, 30% AcOEt-Hex) to obtain 6-methyl-4-[3-(trifluoromethyl)phenyl]-2-(vinylsulfonyloxy)thieno[2,3-d]pyrimidine (0.23 g, 59%).

^{1}H-NMR (400MHz, $CDCl_3$) : 2.67(d, 3H, J=1.2Hz), 6.27(dd, 1H, J=0.8Hz, 10HZ), 6.64(dd, 1H, J=0.8Hz, 16.8HZ), 7.20(dd, 1H, J=10Hz, 16.8HZ),7.21(d, 1H, J=1.2Hz), 7.71(d, 1H, J=8.0Hz), 7.83(d, 1H, J=8.0Hz), 8.14(d, 1H, J=8.0Hz), 8.19(s, 1H)

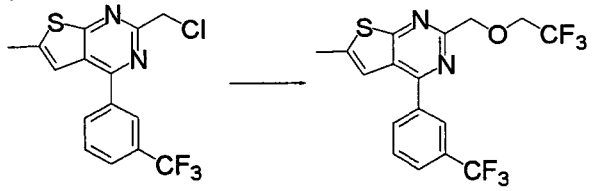
Example 15: Production of 2-(chloromethyl)-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (Compound No. 6-12)



Aluminum chloride (3.65 g, 27.4 mmol) was added to a chloroacetonitrile (15 mL) solution of 2-amino-2-[3-(trifluoromethyl)phenyl]-5-methylthiophene (3.90 g, 13.7 mmol), followed by heating under stirring at 100°C for 2 hours. After completion of the reaction, the reaction liquid was poured into ice-water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 10-20% AcOEt-Hex) to obtain 2-(chloromethyl)-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (2.92 g, 62%).

^{1}H-NMR (400MHz, $CDCl_3$) :2.67(d, 1H, J=2.0Hz), 4.90(s, 2H), 7.18(d, 1H, J=1.2Hz), 7.64(d, 1H, J=8.0Hz), 7.80(t, 1H, J=8.0Hz), 8.13(d, 1H, J=8.0Hz), 8.20(s, 1H)

Example 16: Production of 6-methyl-2-[(2,2,2-trifluoroethoxy)methyl]-4-[3-(trifluoromethoxy)phenyl]thieno[2,3-d]pyrimidine (Compound No. 6-17)



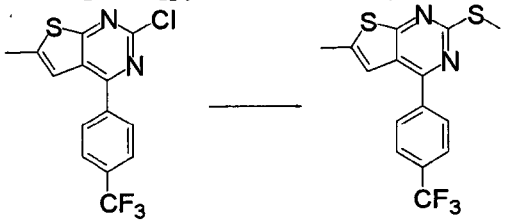
Sodium hydride (0.10 g, 2.50 mmol) was added to a THF (20 mL) solution of 2,2,2-trifluoroethanol (0.25 g, 2.5 mmol) and the whole was stirred at room temperature for 0.5 hour. Then, the mixture was cooled with ice-water and a THF (5 mL) solution of 2-chloromethyl-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.30 g, 0.875 mmol) was added dropwise thereto. The reaction liquid

was warmed to room temperature and then heated under stirring at 60°C for 4 hours. After completion of the reaction, the solvent was removed by evaporation and the obtained residue was dissolved in ethyl acetate. The solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) to obtain 6-methyl-2-[(2,2,2-trifluoroethoxy)methyl]-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.27 g, 75%).

^{1}H-NMR (400MHz, $CDCl_3$) :2.67(d, 1H, J=2.0Hz), 4.16(q, 2H, J=8.4Hz), 5.05(s, 2H), 7.18(d, 1H, J=1.2Hz), 7.70(d, 1H, J=8.0Hz), 7.80(t, 1H, J=8.0Hz), 8.12(d, 1H, J=8.0Hz), 8.20(s, 1H).

mp : 40-41°C

Example 17: Production of 6-methyl-2-methylthio-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (Compound No. 6-171)

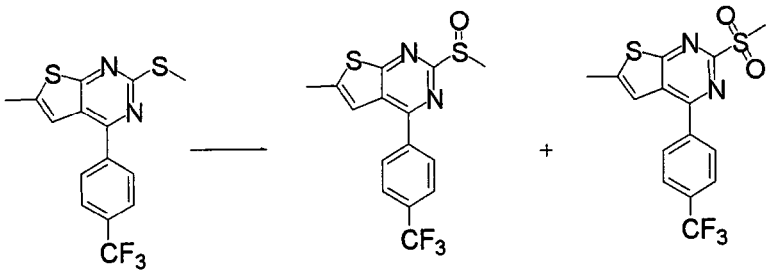


Sodium methanethiolate (0.64 g, 9.13 mmol) was suspended in THF (20 mL) and a THF (5 mL) solution of 2-chloro-6-methyl-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (1.50 g, 4.57 mmol) was added dropwise thereto at room temperature, followed by heating under reflux for 7 hours. After completion of the reaction, the reaction liquid was poured into an aqueous sodium bicarbonate solution and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The resulting crystals were washed with hexane to obtain 6-methyl-2-methylthio-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (1.24 g, 80%).

^{1}H-NMR (400MHz, $CDCl_3$) : 2.60(d, 3H, J=1.2Hz), 2.68(s, 3H), 7.08(d, 1H, J=1.2Hz), 7.79(d, 2H, J=8.0Hz), 8.03(d, 2H, J=8.0Hz).

mp : 113°C

Example 18: Production of 6-methyl-2-(methylsulfonyl)-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (Compound No. 6-173) and 6-methyl-2-(methylsulfinyl)-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (Compound No. 6-175)



To a methylene chloride (30 mL) solution of 6-methyl-2-(methylthio)-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (1.00 g, 2.94 mmol) was added m-chloroperbenzoic acid (0.76 g, 4.41 mmol) at room temperature and then the whole was stirred at room temperature for 7 hours. After completion of the reaction, the reaction liquid was poured into a 1N aqueous sodium hydroxide solution and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex to AcOEt alone) to obtain 6-methyl-2-methylsulfonyl-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.70 g, 64%) and 6-methyl-2-methylsulfinyl-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.20 g, 19%).

6-Methyl-2-methylsulfonyl-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine

^{1}H-NMR (400MHz, $CDCl_3$) : 2.74(d, 3H, J=1.2Hz), 3.46(s, 3H), 7.35(d, 1H, J=1.2Hz), 7.85(d, 2H, J=8.0Hz), 8.11(d, 2H, J=8.0Hz).

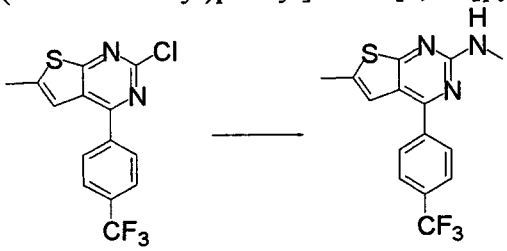
mp : 153°C

6-Methyl-2-methylsulfinyl-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine

^{1}H-NMR (400MHz, $CDCl_3$) : 2.71(d, 3H, J=1.2Hz), 3.05(s, 3H), 7.28(d, 1H, J=1.2Hz), 7.84(d, 2H, J=8.0Hz), 8.08(d, 2H, J=8.0Hz).

mp : 171°C

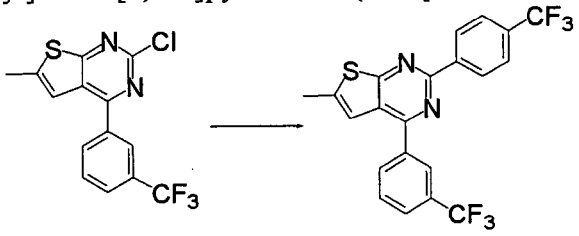
Example 19: Production of 6-methyl-2-(methylamino)-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (Compound No. 6-168)



To a methylamine/methanol solution (20 mL) was added dropwise a THF (5 mL) solution of 2-chloro-6-methyl-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.40 g, 1.22 mmol) at room temperature, followed by stirring for 8 hours. After completion of the reaction, the solvent was removed by evaporation and then the

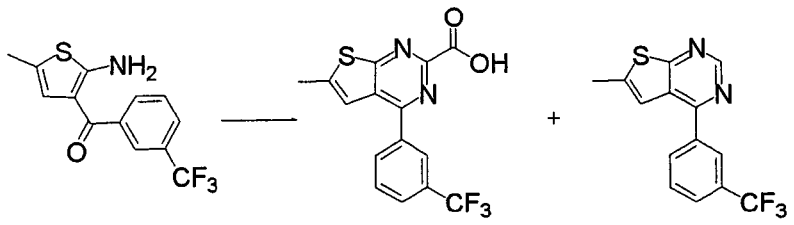
residue was poured into water, followed by extraction with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The resulting crystals were washed with methanol to obtain 6-methyl-2-(methylamino)-4-[4-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.34 g, 87%).
^{1}H-NMR (400MHz, $CDCl_3$) : 2.51(d, 3H, J=1.2Hz), 3.10(d, 3H, J=~5.2Hz), 5.15(br.s, 1H), 6.89(d, 1H, J=1.2Hz), 7.76(d, 2H, J=8.0Hz), 7.96(d, 2H, J=8.0Hz).
mp : 233°C

Example 20: Production of 6-methyl-2-[4-(trifluoromethyl)phenyl]-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (Compound No. 6-18)



To a toluene (2 mL)-water (1 mL) mixed solution of 2-chloro-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.30 g, 0.913 mmol) were added 4-(trifluoromethyl)benzeneboronic acid (0.20 g, 1.07 mmol), sodium carbonate (0.22 g, 2.14 mmol), and tetrakis(triphenylphosphine)palladium (0.062 g, 5 mol%), followed by refluxing under a nitrogen stream for 1.5 hours. After completion of the reaction, the reaction liquid was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 10% AcOEt-Hex) to obtain 6-methyl-2-[4-(trifluoromethyl)phenyl]-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.22 g, 54%).
^{1}H-NMR (400MHz, $CDCl_3$) :2.70(d, 3H, J=1.2Hz), 7.22(d, 1H, J=1.2Hz), 7.72(d, 1H, J=8.0Hz), 7.77(d, 2H, J=8.4Hz), 7.83(d, 1H, J=8.0Hz), 8.23(d, 1H, J=8.0Hz), 8.29(s, 1H), 8.72(d, 2H, J=8.4Hz).
mp: 134-136°C

Example 21: Production of 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine-2-carboxylic acid (Compound No. 6-180) and 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (Compound No. 6-9)



To an ethanol (50 mL) solution of 2-amino-5-methyl-3-[3-(trifluoromethyl)benzoyl]thiophene (4.00 g, 14.0 mmol) were added ammonium acetate (3.24 g, 42.1 mmol) and glyoxylic acid (about 40% aqueous solution, 2.61 g, 14.0 mmol), followed by heating under stirring at 50°C for 24 hours. After completion of the reaction, the solvent was removed by evaporation, the reaction product was poured into water, and precipitated crystals were filtrated. The resulting crystals were washed with ethanol and then dried to obtain 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine-2-carboxylic acid (0.60 g, 13%).

^{1}H-NMR (400MHz, $CDCl_3$) :2.64(d, 3H, J=1.2Hz), 7.39(d, 1H, J=1.2Hz), 7.84(d, 1H, J=8.0Hz), 7.95(d, 1H, J=8.0Hz), 8.22(s, 1H), 7.26(d, 1H, J=8.0Hz).

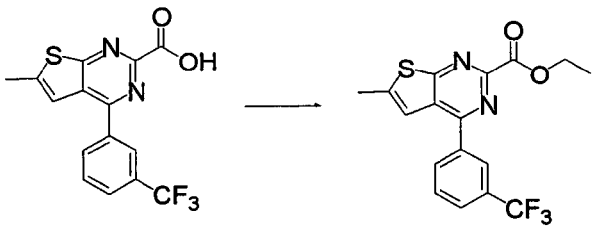
mp: 226-227°C (dec.)

Furthermore, the filtrate was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 10% AcOEt-Hex) to obtain 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (1.10 g, 27%).

^{1}H-NMR (400MHz, $CDCl_3$) :2.67(d, 3H, J=1.2Hz), 7.19(d, 1H, J=1.2Hz), 7.70(d, 1H, J=8.0Hz), 7.80(d, 1H, J=8.0Hz), 8.12(d, 1H, J=8.0Hz), 8.21(s, 1H), 9.09(s, 1H).

mp: 70-72°C

Example 22: Production of ethyl 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine-2-carboxylate (Compound No. 6-181)

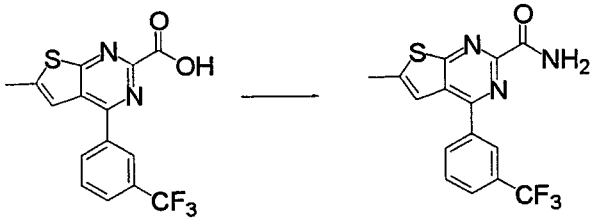


Thionyl chloride (2 mL) was added to 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine-2-carboxylic acid (0.40 g, 1.18 mmol), followed by heating at 80°C under stirring for 1 hour. After completion of the reaction, the reaction liquid was evaporated and half of the obtained residue was added dropwise

to a cooled mixed solution of ethanol (10 mL) and triethylamine (0.5 mL). After completion of the reaction, the reaction liquid was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 10% AcOEt-Hex) to obtain ethyl 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine-2-carboxylate (41.2 mg).
^{1}H-NMR (400MHz, $CDCl_3$) :1.54(t, 3H, J=7.2Hz), 2.72(d, 3H, J=1.2Hz), 4.59(q, 2H, J=7.2Hz), 7.71(t, 1H, J=8.0Hz), 7.82(d, 1H, J=8.0Hz), 8.18(d, 1H, J=8.0Hz), 8.23(s, 1H)

At that time, it was impossible to confirm the proton peak of the thiophene ring since the peak seemed to be included in the chloroform peak.
mp: 134°C

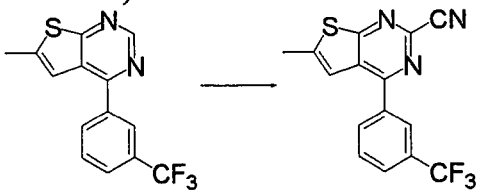
Example 23: Production of 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine-2-carboxamide (Compound No. 6-182)



Thionyl chloride (2 mL) was added to 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine-2-carboxylic acid (0.40 g, 1.18 mmol), followed by heating at 80°C under stirring for 1 hour. After completion of the reaction, the reaction liquid was evaporated and half of the obtained residue was added dropwise to a cooled aqueous ammonia (10 mL). After completion of the reaction, the reaction liquid was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 10% AcOEt-Hex) to obtain 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine-2-carboxamide (82.2 mg).
^{1}H-NMR (400MHz, $CDCl_3$) :2.72(d, 3H, J=1.2Hz), 5.85(br.s, 1H), 7.73(t, 1H, J=8.0Hz), 7.84(d, 1H, J=8.0Hz), 8.15(d, 1H, J=8.0Hz), 8.20(s, 1H)

At that time, it was impossible to confirm the proton peak of the thiophene ring since the peak seemed to be included in the chloroform peak.
mp: 209°C

Example 24: Production of 2-cyano-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (Compound No. 6-10)



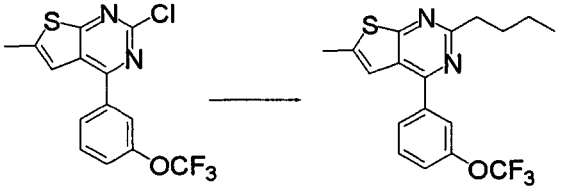
To a methylene chloride (20 mL) solution of 6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.93 g, 3.16 mmol) was added m-chloroperbenzoic acid (0.82 g, 4.74 mmol) and then the whole was stirred at room temperature for 20 hours. After completion of the reaction, the reaction liquid was evaporated and the obtained residue was poured into an aqueous sodium bicarbonate solution, followed by extraction with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20-50% AcOEt-Hex) to obtain an N-oxide (0.56 g, 57%). Upon confirmation on ^{1}H-NMR, the product was found to be a mixture of positional isomers.

Subsequently, trimethylsilyl cyanide (0.54 g, 5.41 mmol) and triethylamine (0.36 g, 3.60 mmol) were added to an acetonitrile (10 mL) solution of the obtained N-oxide (0.56 g, 1.80 mmol), followed by refluxing for 7 hours. After completion of the reaction, the reaction liquid was evaporated and the obtained residue was poured into an aqueous sodium bicarbonate solution, followed by extraction with methylene chloride. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 10% AcOEt-Hex) to obtain 2-cyano-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[2,3-d]pyrimidine (0.20 g, 35%).

^{1}H-NMR (400MHz, $CDCl_3$) :2.75(d, 3H, J=1.2Hz), 7.31(d, 1H, J=1.2Hz), 7.74(t, 1H, J=8.0Hz), 7.85(d, 1H, J=8.0Hz), 8.15(d, 1H, J=8.0Hz), 8.21(s, 1H).

mp: 121-122°C

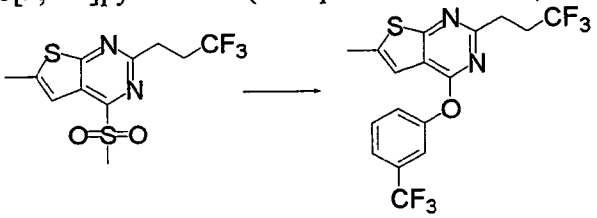
Example 25: Production of 2-butyl-6-methyl-4-[3-(trifluoromethoxy)phenyl]thieno[2,3-d]pyrimidine (Compound No. 6-11)



To a xylene (20 mL) solution of 2-chloro-6-methyl-4-[3-(trifluoromethoxy)phenyl]thieno[2,3-d]pyrimidine (0.50 g, 1.45 mmol) were added tetra-n-butyltin (0.55 g, 1.60 mmol) and bis(triphenylphosphine)palladium dichloride (catalyst amount 0.05 g), followed by heating under stirring at 110°C under a nitrogen stream for 14 hours. After completion of the reaction, the reaction product was poured into water. Insoluble matter was removed by filtration through Celite (trade name) and the filtrate was dissolved in ethyl acetate. The solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 10% AcOEt-Hex) to obtain 2-n-butyl-6-methyl-4-[3-(trifluoromethoxy)phenyl]thieno[2,3-d]pyrimidine (0.30 g, 59%).

^{1}H-NMR (400MHz, $CDCl_3$) : 0.98(t, 3H, J=7.2Hz), 1.47(sextet, 2H, J=7.2Hz), 1.91(m, 2H), 2.63(d, 3H, J=1.2Hz), 3.09(t, 2H, J=7.2Hz), 7.12(d, 1H, J=1.2Hz), 7.37(dt, 1H, J=8.0Hz, 1.2Hz), 7.58(t, 1H, J=8.0Hz), 7.78(s, 1H), 7.86(dt, 1H, J=8.0Hz, 1.2Hz).

Example 26: Production of 6-methyl-4-[3-(trifluoromethyl)phenoxy]-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine (Compound No. 6-130)

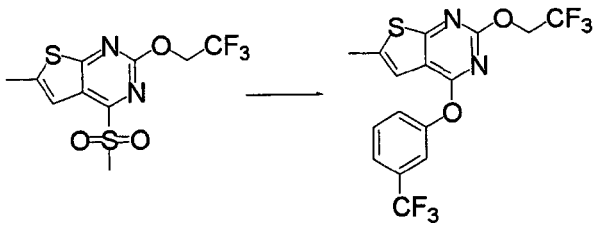


To a DMF (5 mL) solution of 6-methyl-4-(3-methylsulfonyl)-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine (0.30 g, 0.925 mmol) were added 3-(trifluoromethyl)phenol (0.15 g, 0.925 mmol) and potassium carbonate (0.19 g, 1.39 mmol), followed by stirring at room temperature for 1 day. After completion of the reaction, the reaction liquid was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 10% AcOEt-Hex) to obtain 6-methyl-4-[3-(trifluoromethyl)phenoxy]-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine (0.26 g, 68%).

^{1}H-NMR (400MHz, $CDCl_3$) :2.5-2.6(m, 2H), 2.64(d, 3H, J=1.2Hz), 3.09(m, 2H), 7.12(q, 1H, J=1.2Hz), 7.4-7.6(m, 4H).

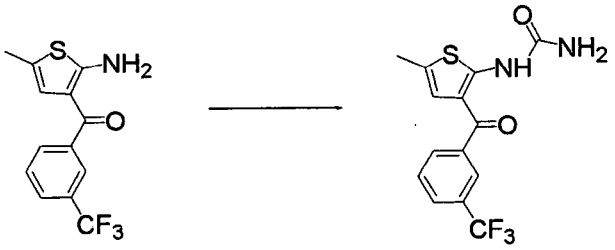
mp: 85°C

Example 27: Production of 6-methyl-2-(2,2,2-trifluoroethoxy)-4-[3-(trifluoromethyl)phenoxy]thieno[2,3-d]pyrimidine (Compound No. 6-129)



To a DMF (20 mL) solution of 6-methyl-4-(methylsulfonyl)-2-(2,2,2-trifluoroethoxy)thieno[2,3-d]pyrimidine (0.50 g, 1.53 mmol) and potassium carbonate (0.23 g, 1.68 mmol) was added 3-(trifluoromethyl)phenol (0.27 g, 1.68 mmol), followed by stirring at room temperature for 1.5 hours. After completion of the reaction, the reaction liquid was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) to obtain 6-methyl-2-(2,2,2-trifluoroethoxy)-4-[3-(trifluoromethyl)phenoxy]thieno[2,3-d]pyrimidine (0.58 g, 94%).
^{1}H-NMR (400MHz, $CDCl_3$) : 2.60(d, 3H, J=1.2Hz), 4.66(q, 2H, J=8.4Hz), 7.07(q, 1H, J=1.2Hz), 7.44(m, 1H), 7.51(m, 1H), 7.57(m, 2H).
mp: 124-125°C

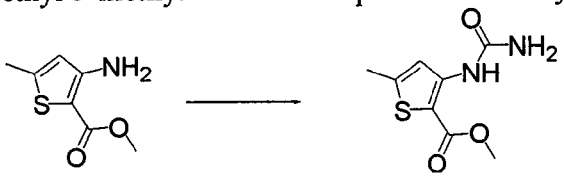
Example 28: Production of 5-methyl-2-ureido-3-[3-(trifluoromethyl)benzoyl]thiophene



To an acetic acid (25 mL) solution of 2-amino-5-methyl-3-[3-(trifluoromethyl)benzoyl]thiophene (2.50 g, 8.76 mmol) was slowly added dropwise a water (60 mL) solution of sodium cyanate (1.03 g, 15.8 mmol). After completion of the reaction, the resulting crystals were filtrated off, washed with water, and then dried to obtain 5-methyl-2-ureido-3-[3-(trifluoromethyl)benzoyl]thiophene (2.56 g, 89%).
^{1}H-NMR (400MHz, $CDCl_3$) : 2.26(d, 3H, J=1.2Hz), 6.41(d, 1H, J=1.2Hz), 6.95(br.s, 2H), 7.57(t, 1H, J=8.0Hz), 7.73(d, 1H, J=8.0Hz), 7.83(d, 1H, J=8.0Hz), 7.91(s, 1H).
mp: 155°C (dec.)

Example 29: Production of 2-chloro-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[3,2-d]pyrimidine (Compound No. 5-34)

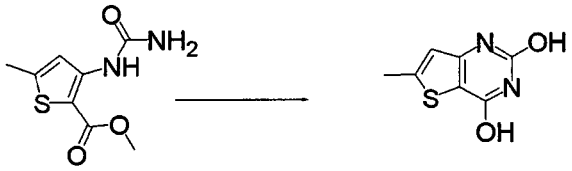
29-1) Production of methyl 5-methyl-3-ureidothiophene-2-carboxylate



To an acetic acid (40 mL) solution of methyl 2-amino-5-methyl-thiophene-2-carboxylate (5.00 g, 29.2 mmol, synthesized with reference to JP-A-5-117263) was slowly added dropwise a water (20 mL) solution of sodium cyanate (5.78 g, 87.6 mmol). After completion of the reaction, the resulting crystals were filtrated off, washed with water, and then dried to obtain methyl 5-methyl-3-ureidothiophene-2-carboxylate (4.54 g, 73%).

^{1}H-NMR (400MHz, $CDCl_3$) : 2.47(d, 3H, J=1.2Hz), 3.84(s, 3H), 4.68(br.s, 2H), 7.71(d, 1H, J=1.2Hz), 9.50(br.s, 1H).

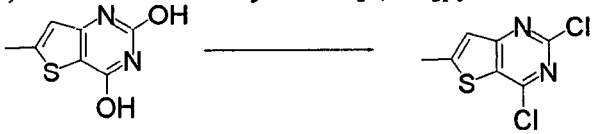
29-2) Production of 2,4-dihydroxy-6-methylthieno[3,2-d]pyrimidine (Compound No. 4-1)



To an ethanol (50 mL) solution of methyl 5-methyl-3-ureidothiophene-2-carboxylate (4.54 g, 19.2 mmol) was added potassium hydroxide (2.54 g, 43.8 mmol), followed by heating under reflux at 80°C for 3 hours. After completion of the reaction, the resulting crystals were filtrated off, washed with ethanol, and then a solution obtained by dissolving the resulting crystals in water was acidified with concentrated hydrochloric acid. The precipitated crystals were filtrated off, washed with water, and then dried to obtain 2,4-dihydroxy-6-methylthieno[3,2-d]pyrimidine (2.72 g, 70%).

mp: >300°C

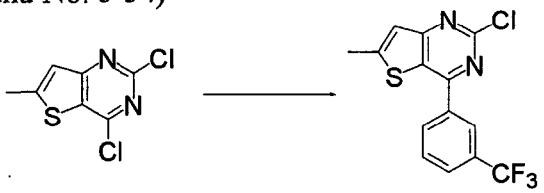
29-3) Production of 2,4-dichloro-6-methylthieno[3,2-d]pyrimidine



Phosphorus oxychloride (6.85 g, 44.8 mmol) was added to a DMF (1 mL) solution of 2,4-dihydroxy-6-methylthieno[3,2-d]pyrimidine (2.72 g, 14.9 mmol),

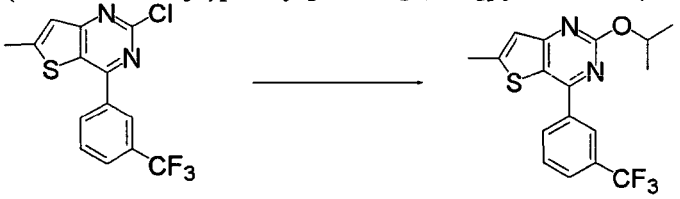
followed by heating under reflux at 100°C for 2 hours. After completion of the reaction, the reaction liquid was poured into ice-water and precipitated crystals were collected by filtration. After the crystals were dissolved in ethyl acetate, the solution was washed with water and brine and then the solvent was removed by evaporation to obtain 2,4-dichloro-6-methylthieno[3,2-d]pyrimidine (3.21 g, 98%).
^{1}H-NMR (400MHz, $CDCl_3$) : 2.73(d, 3H, J=1.2Hz), 7.20(q, 1H, J=1.2Hz).
mp: 152-153°C

29-4) Production of 2-chloro-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[3,2-d]pyrimidine (Compound No. 5-34)



To a toluene (10 mL)-water (1 mL) mixed solution of 2,4-dichloro-6-methylthieno[3,2-d]pyrimidine (1.00 g, 4.56 mmol) were added 3-(trifluoromethyl)benzeneboronic acid (0.87 g, 4.56 mmol), sodium carbonate (0.97 g, 9.13 mmol), and tetrakis(triphenylphosphine)palladium (0.10 g, 5 mol%), followed by heating under reflux under a nitrogen stream for 2 hours. After completion of the reaction, the reaction liquid was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 10% AcOEt-Hex) to obtain 2-chloro-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[3,2-d]pyrimidine (1.33 g, 89%).
^{1}H-NMR (400MHz, $CDCl_3$) : 2.75(d, 3H, J=1.2Hz), 7.26(d, 1H, J=1.2Hz), 7.72(t, 1H, J=8.0Hz), 7.85(d, 1H, J=8.0Hz), 8.36(d, 1H, J=8.0Hz), 8.43(s, 1H).
mp : 174-176°C (dec.)

Example 30: Production of 2-isopropoxy-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[3,2-d]pyrimidine (Compound No. 6-231)

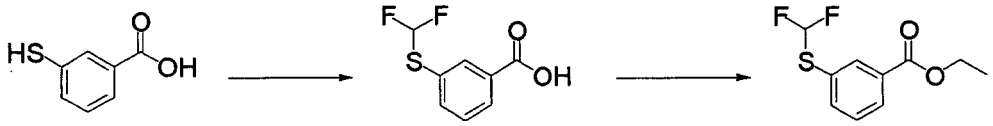


To a THF (10 mL) solution of isopropanol (0.15 g, 2.50 mmol) was added sodium hydride (0.10 g, 2.50 mmol), followed by stirring at room temperature for 0.5

hour. Then, the mixture was cooled with ice-water and a THF (5 mL) solution of 2-chloro-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[3,2-d]pyrimidine (0.40 g, 1.22 mmol) was added dropwise thereto. The reaction liquid was warmed to room temperature and then heated under stirring at 60°C for 2 hours. After completion of the reaction, the solvent was removed by evaporation and the obtained residue was dissolved in ethyl acetate. The solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) to obtain 2-isopropoxy-6-methyl-4-[3-(trifluoromethyl)phenyl]thieno[3,2-d]pyrimidine (0.16 g, 37%).
^{1}H-NMR (400MHz, $CDCl_3$) :1.47(d, 6H, J=6.0Hz), 2.68(d, 3H, J=1.2Hz), 5.45(7-plet, 1H, J=6.0Hz), 7.11(d, 1H, J=1.2Hz), 7.67(t, 1H, J=8.0Hz), 7.79(d, 1H, J=8.0Hz), 8.35(d, 1H, J=8.0Hz), 8.44(s, 1H).
mp: 106°C

Production Examples of a part of intermediates are shown below as Reference Examples.

Reference Example 1: Production of ethyl 3-(difluoromethylthio)benzoate



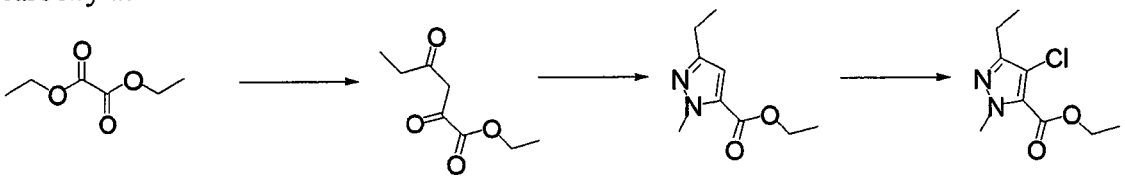
To a dioxane (150 mL) solution of 3-mercaptobenzoic acid (25.0 g, 0.162 mol) were added water (50 mL) and sodium hydroxide (40 g, 1.0 mol), followed by heating under stirring at 60°C. Therein was bubbled Flon 22 (difluorochloromethane) gas for 9 hours. Fron 22 was bubbled in at such a rate that it was gently refluxed by means of a gas trap cooled with dry ice-acetone, and during the time, each 10 g of sodium hydroxide was further added twice. After completion of the reaction, dioxane was removed by evaporation and then the residue was acidified by adding concentrated hydrochloric acid. The resulting residue was dissolved in ethyl acetate and insoluble matter was filtrated through Celite (trade name). Thereafter, the filtrate was washed with water and brine and then the solvent was removed by evaporation. Based on NMR inspection of the residue, the ratio of 3-(difluoromethylthio)benzoic acid to the starting material was found to be about 9:1.

Subsequently, thionyl chloride (28.4 g, 0.239 mol) and toluene (30 mL) were added to crude 3-(difluoromethylthio)benzoic acid (32.5 g) obtained above, followed by heating under stirring at 100°C for 1 hour. After completion of the reaction, the solvent was removed by evaporation to obtain a crude acid chloride.

Then, the acid chloride obtained above was added to a mixed solution of ethanol (100 mL) and triethylamine (24.1 g, 0.239 mol) cooled with ice-water, followed by stirring at room temperature for 3 hours. After completion of the reaction, the solvent was removed by evaporation and the obtained residue was dissolved in ethyl acetate. The solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 2% AcOEt-Hex) to obtain ethyl 3-(difluoromethylthio)benzoate (30.7 g, 84%).

^{1}H-NMR (400MHz, $CDCl_3$) : 1.41(t, 3H, J=7.2Hz), 4.40(q, 2H, J=7.2Hz), 6.86(t, 1H, J=56.8Hz), 7.48(t, 1H, J=8.0Hz), 7.77(dt, 1H, J=8.0Hz, 1.6Hz), 8.10(dt, 1H, J=8.0Hz, 1.6Hz), 8.25(t, 1H, J=1.6Hz).

Reference Example 2: Production of ethyl 4-chloro-3-ethyl-1-methylpyrazole-5-carboxylate

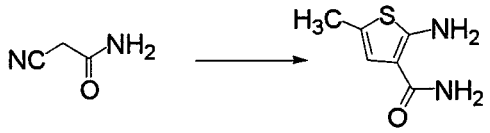


A toluene (150 mL) solution of sodium ethoxide (22.7 g, ca. 90%, 0.30 mol) cooled to -20°C was vigorously stirred and a mixed solution of methyl ethyl ketone (21.6 g, 0.30 mol) and diethyl oxalate (43.8 g, 0.30 mol) was added dropwise thereto. After completion of the reaction, the reaction liquid was neutralized with concentrated hydrochloric acid and washed with water and then the solvent was removed to obtain a crude ketoester compound (53.0 g).

Subsequently, the crude ketoester compound obtained above was added dropwise to a toluene (200 mL) solution of methylhydrazine (15.5 g, 0.34 mol) cooled to -20°C. After completion of the reaction, the reaction liquid was washed with water and the solvent was removed by evaporation to obtain crude ethyl 3-ethyl-1-methylpyrazole-5-carboxylate (38.4 g).

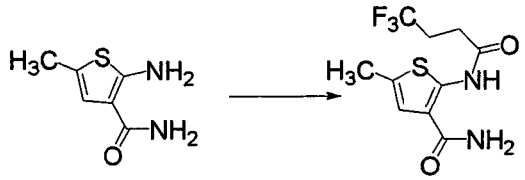
Furthermore, chlorine (16.4 g, 0.21 mmol) separately weighed was bubbled into a methanol (150 mL) solution of crude ethyl 3-ethyl-1-methylpyrazole-5-carboxylate obtained above, the chlorine being spontaneously vaporized. After completion of the reaction, the solvent was removed by evaporation and the residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 10% AcOEt-Hex) to obtain ethyl 4-chloro-3-ethyl-1-methylpyrazole-5-carboxylate (41.0 g).

Reference Example 3: Production of 2-amino-5-methylthiophene-3-carboxamide



To a DMF (100 mL) solution of cyanoacetamide (42.0 g, 0.5 mol), sulfur (16.0 g, 0.5 mol), and triethylamine (50.5 g, 0.5 mol) was slowly added dropwise an ethanol (15 mL) solution of propionaldehyde (31.9 g, 0.55 mol) at room temperature (inner temperature rose to 70°C), followed by heating under stirring at 60°C for 1.5 hours. After completion of the reaction, the reaction mixture was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was washed with methylene chloride to obtain an objective product (42.4 g). The filtrate was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) to obtain 2-amino-5-methylthiophene-3-carboxamide (52.6 g, 67%).
^{1}H-NMR (400MHz, $CDCl_3$) : 2.27(d, 3H, J=1.2Hz), 5.32(br s, 2H), 6.01(br.s, 2H), 6.33(q, 1H, J=1.2Hz).
mp: 140-141°C

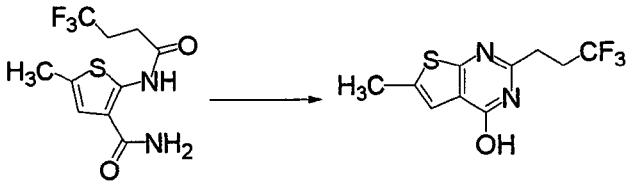
Reference Example 4: Production of 5-methyl-2-[(4,4,4-trifluorobutyryl)amino]thiophene-3-carboxamide



A triethylamine (9.70 g, 96.0 mmol) and ethyl acetate (100 mL) solution of 2-amino-5-methylthiophene-3-carboxamide (10.0 g, 64.0 mmol) was cooled with ice-water, and 4,4,4-trifluorobutyryl chloride (10.3 g, 64.0 mmol) separately prepared was slowly added dropwise thereto. After completion of the reaction, the reaction liquid was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20-40% AcOEt-Hex) to obtain 5-methyl-2-[(4,4,4-trifluorobutyryl)amino]thiophene-3-carboxamide (6.58 g, 37%) in total.

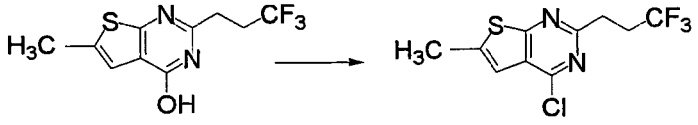
^{1}H-NMR (400MHz, $CDCl_3$) : 2.40(d, 3H, J=1.2Hz), 2.5-2.6(m, 2H), 2.74(m, 2H), 5.64(br, 2H), 6.57(d, 1H, J=1.2Hz).
mp: 151-153°C

Reference Example 5: Production of 4-hydroxy-6-methyl-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine



Potassium hydroxide (3.92 g, 67.7 mmol) was added to an ethanol (50 mL) solution of 5-methyl-2-[(4,4,4-trifluorobutyryl)amino]thiophene-3-carboxamide (6.33 g, 22.6 mmol), followed by heating under reflux at 80°C for 7 hours. After completion of the reaction, the reaction liquid was evaporated under reduced pressure. The residue was poured into water and then insoluble matter was extracted with ethyl acetate. The resulting aqueous layer was acidified with concentrated hydrochloric acid and precipitated crystals were filtrated off. Then, the resulting crystals were washed with water and dried to obtain 4-hydroxy-6-methyl-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine (4.78 g, 81%).
^{1}H-NMR (400MHz, $CDCl_3$) : 2.55(d, 3H, J=1.2Hz), 2.74(m, 2H), 3.04(m, 2H), 7.12(d, 1H, J=1.2Hz), 12.23(br.s, 1H).
mp: 267-269°C (dec.)

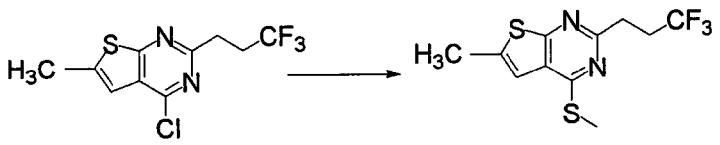
Reference Example 6: Production of 4-chloro-6-methyl-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine



Phosphorus oxychloride (16.1 g, 0.105 mol) was added to a DMF (6 mL) solution of 4-hydroxy-6-methyl-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine (5.53 g, 21.1 mmol), followed by heating under reflux at 100°C for 2 hours. After completion of the reaction, the reaction liquid was poured into ice-water and precipitated crystals were collected by filtration. After the resulting crystals were dissolved in ethyl acetate, the solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column

(Kiesel gel 60 manufactured by MERCK, 10% AcOEt-Hex) and the resulting crystals were washed with hexane to obtain 4-chloro-6-methyl-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine (2.10 g, 35%).

Reference Example 7: Production of 6-methyl-4-(methylthio)-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine

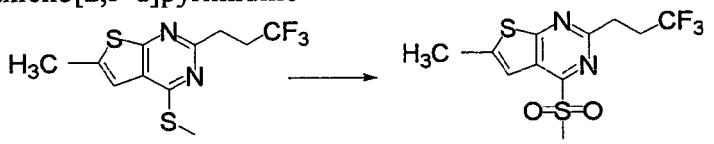


To a THF (30 mL) solution of 4-chloro-6-methyl-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine (2.10 g, 7.48 mmol) was added a 15% aqueous sodium methyl mercaptan solution (5.23 g, 11.2 mmol), followed by heating under reflux for 3 hours. After completion of the reaction, the reaction liquid was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 10% AcOEt-Hex) to obtain 6-methyl-4-(methylthio)-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine (1.80 g, 82%).

^{1}H-NMR (400MHz, $CDCl_3$) : 2.59(d, 3H, J=1.2Hz), 2.67(s, 3H), 2.7-2.8(m, 2H), 3.23(m, 2H), 6.93(q, 1H, J=1.2Hz).

mp: 81-83°C

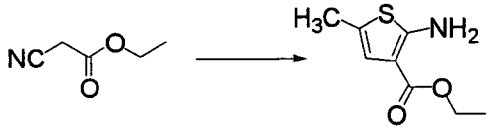
Reference Example 8: Production of 6-methyl-4-methylsulfonyl-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine



To a methylene chloride (20 mL) solution of 6-methyl-4-methylthio-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine (1.60 g, 5.47 mmol) was added m-chloroperbenzoic acid (2.83 g, 16.4 mmol), followed by stirring at room temperature for 1 day. After completion of the reaction, precipitated crystals were filtrated off and the filtrate was poured into water, followed by extraction with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) to obtain 6-methyl-4-(methylsulfonyl)-2-(3,3,3-trifluoropropyl)thieno[2,3-d]pyrimidine (1.17 g, 65%).

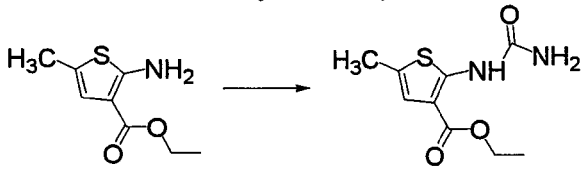
^{1}H-NMR (400MHz, $CDCl_3$) : 2.70(d, 3H, J=1.2Hz), 2.7-2.8(m, 2H), 3.38(s, 3H), 3.38(m, 2H), 7.65(q, 1H, J=1.2Hz).
mp: 60-61°C

Reference Example 9: Production of ethyl 2-amino-5-methylthiophene-3-carboxylate



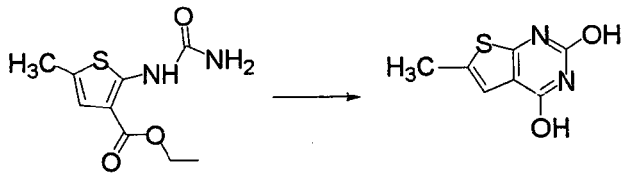
To a DMF (100 mL) solution of ethyl cyanoacetate (56.6 g, 0.5 mol), sulfur (16.0 g, 0.5 mol), and triethylamine (50.5 g, 0.5 mol) was slowly added dropwise an ethanol (15 mL) solution of propionaldehyde (31.9 g, 0.55 mol) at room temperature (inner temperature rose to 60°C), followed by heating under stirring at 60°C. After completion of the reaction, the reaction mixture was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) to obtain ethyl 2-amino-5-methylthiophene-3-carboxylate (81.8 g, 89%).
^{1}H-NMR (400MHz, $CDCl_3$) : 1.33(t, 3H, J=7.2Hz), 2.26(d, 3H, J=1.2Hz), 4.25(q, 2H, J=7.2Hz), 5.76(br.s, 2H), 6.61(q, 1H, J=1.2Hz).

Reference Example 10: Production of ethyl 5-methyl-2-ureidothiophene-3-carboxylate



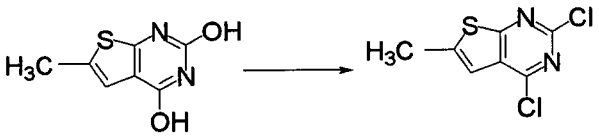
To an acetic acid (80 mL) solution of ethyl 2-amino-5-methylthiophene-3-carboxylate (10.0 g, 54.0 mmol) was slowly added dropwise a water (60 mL) solution of sodium cyanate (7.01 g, 0.108 mol). After completion of the reaction, the resulting crystals were filtrated off, washed with water, and then dried to obtain ethyl 5-methyl-2-ureidothiophene-3-carboxylate (7.60 g, 62%).
^{1}H-NMR (400MHz, $CDCl_3$) : 1.36(t, 3H, J=7.2Hz), 2.35(d, 3H, J=1.2Hz), 4.29(q, 2H, J=7.2Hz), 4.81(br.s, 2H), 6.78(d, 1H, J=1.2Hz), 10.36(br.s, 1H).
mp: 198-199°C (dec.)

Reference Example 11: Production of 2,4-dihydroxy-6-methylthieno[2,3-d]pyrimidine



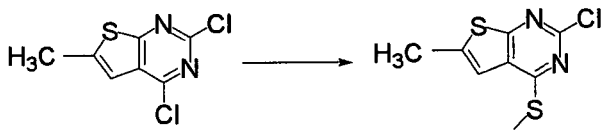
To an ethanol (100 mL) solution of ethyl 5-methyl-2-ureidothiophene-3-carboxylate (7.60 g, 33.3 mmol) was added potassium hydroxide (5.80 g, 0.10 mol), followed by heating under reflux at 80°C for 3 hours. After completion of the reaction, the resulting crystals were filtrated off, washed with ethanol, and then a solution obtained by dissolving the obtained crystals in water was acidified with concentrated hydrochloric acid. Precipitated crystals were filtrated off, washed with water, and then dried to obtain 2,4-dihydroxy-6-methylthieno[2,3-d]pyrimidine (3.60 g, 59%).
^{1}H-NMR (400MHz, $CDCl_3$) : 2.37(d, 3H, J=1.2Hz), 6.82(d, 1H, J=1.2Hz), 11.5(br.s, 1H), 11.7(br.s, 1H).
mp: >300°C

Reference Example 12: Production of 2,4-dichloro-6-methylthieno[2,3-d]pyrimidine



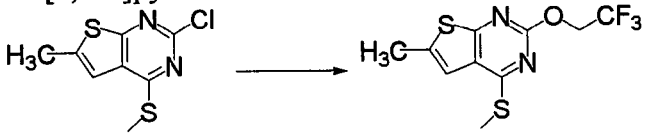
Phosphorus oxychloride (28.1 g, 0.184 mol) was added to a DMF (10 mL) solution of 2,4-dihydroxy-6-methylthieno[2,3-d]pyrimidine (6.70 g, 36.8 mmol), followed by heating under reflux at 100°C for 2.5 hours. After completion of the reaction, the reaction liquid was poured into ice-water and precipitated crystals were collected by filtration. After the resulting crystals were dissolved in ethyl acetate, the solution was washed with water and brine and then the solvent was removed by evaporation to obtain 2,4-dichloro-6-methylthieno[2,3-d]pyrimidine (6.40 g, 79%).
^{1}H-NMR (400MHz, $CDCl_3$) : 2.65(d, 3H, J=1.2Hz), 7.07(q, 1H, J=1.2Hz).
mp: 145-146°C

Reference Example 13: Production of 2-chloro-6-methyl-4-(methylthio)thieno[2,3-d]pyrimidine



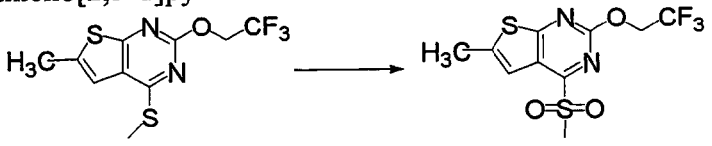
To a THF (50 mL) solution of 2,4-dichloro-6-methylthieno[2,3-d]pyrimidine (3.80 g, 17.3 mmol) was added a 15% aqueous sodium methyl mercaptan solution (9.71 g, 20.8 mmol), followed by heating under reflux for 4 hours. After completion of the reaction, the precipitated salt was filtrated off and the filtrate was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. A part of the product was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) to obtain 2-chloro-6-methyl-4-(methylthio)thieno[2,3-d]pyrimidine. The remainder was used in the next reaction without purification.
^{1}H-NMR (400MHz, $CDCl_3$) : 2.60(d, 3H, J=1.2Hz), 2.70(s, 3H), 6.94(q, 1H, J=1.2Hz).
mp: 111-112°C

Reference Example 14: Production of 6-methyl-4-(methylthio)-2-(2,2,2-trifluoroethoxy)thieno[2,3-d]pyrimidine



Sodium hydride (0.87 g, 21.8 mmol) was added to a THF (50 mL) solution of 2,2,2-trifluoroethanol (2.18 g, 21.8 mmol) and the whole was stirred at room temperature for 0.5 hour. Thereto was added crude 2-chloro-6-methyl-4-(methylthio)thieno[2,3-d]pyrimidine (3.35 g, 14.5 mmol) obtained in Reference Example 13, followed by heating under stirring at 60°C for 6 hours. After completion of the reaction, the reaction liquid was poured into water and extracted with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. A crystallized crude product was washed with hexane to obtain 6-methyl-4-(methylthio)-2-(2,2,2-trifluoroethoxy)thieno[2,3-d]pyrimidine.
^{1}H-NMR (400MHz, $CDCl_3$) : 2.56(q, 3H, J=1.2Hz), 2.68(s, 3H), 4.86(q, 2H, J=8.4Hz), 6.89(q, 1H, J=1.2Hz).
mp: 97°C

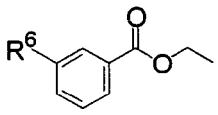
Reference Example 15: Production of 6-methyl-4-(methylsulfonyl)-2-(2,2,2-trifluoroethoxy)thieno[2,3-d]pyrimidine



To a methylene chloride (50 mL) solution of crude 6-methyl-4-(methylthio)-2-(2,2,2-trifluoroethoxy)thieno[2,3-d]pyrimidine (3.35 g, 14.5 mmol) obtained in the above Reference Example 14 was added m-chloroperbenzoic acid (2.82 g, 16.3 mmol), followed by stirring at room temperature for 1 day. After completion of the reaction, precipitated crystals were filtrated off and the filtrate was poured into water, followed by extraction with ethyl acetate. The resulting extract solution was washed with water and brine and then the solvent was removed by evaporation. The residue was purified on a silica gel column (Kiesel gel 60 manufactured by MERCK, 20% AcOEt-Hex) to obtain 6-methyl-4-(methylsulfonyl)-2-(2,2,2-trifluoroethoxy)thieno[2,3-d]pyrimidine (1.18 g).
^{1}H-NMR (400MHz, $CDCl_3$) : 2.65(d, 3H, J=1.2Hz), 3.39(s, 3H), 4.90(q, 2H, J=8.4Hz), 7.59(q, 1H, J=1.2Hz).
mp: 138-140°C

Tables 1 to 6 illustrate the compounds of the present invention obtainable in a similar manner to any of the above Reference Examples and Examples, but the invention is not limited thereto. In the following Tables, "Me" means methyl, "Et" ethyl, "Pr" propyl, "Bu" butyl, "Pen" pentyl, "Hex" hexyl, "Ph" phenyl, "Py" pyridyl, "Ac" acetyl, "Ts" p-toluenesulfonyl, "n-" normal, "i-" iso, "t-" tertiary, and "c-" an alicyclic hydrocarbon group. Moreover, in the X^1 column of Table 6, "-" means a single bond.

Formula (XXXVI)

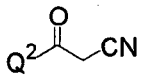


(XXXVI)

Table 1 (3-Substituted benzoic acid ethyl esters)

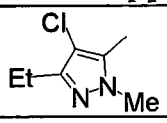
No.	R^6	^{1}H-NMR (CDCl3), δ (ppm)
1-1	$SCHF_2$	1.41(t, 3H, J=7.2Hz), 4.40(q, 2H, J=7.2Hz), 6.86(t, 1H, J=56.8Hz), 7.48(t, 1H, J=8.0Hz), 7.77(dt, 1H, J=8.0Hz, 1.6Hz), 8.10(dt, 1H, J=8.0Hz, 1.6Hz), 8.25(t, 1H, J=1.6Hz).
1-2	OCF_3	1.41(t, 3H, J=7.2Hz), 4.40(q, 2H, J=7.2Hz), 7.40(br. d, 1H, J=8.0Hz), 7.48(t, 1H, J=8.0Hz), 7.89(m, 1H), 7.99(dt, 1H, J=8.0Hz, 1.2Hz).

Formula (IX)

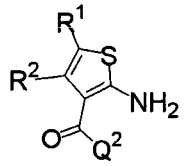


(IX)

Table 2 (Acylacetonitrile derivatives)

No.	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$),δ (ppm)
2-1	2-$CF_3C_6H_4$	71-72
2-2	3-$CF_3C_6H_4$	63
2-3	4-$CF_3C_6H_4$	44-45
2-4	3,5-$(CF_3)_2C_6H_3$	75-77
2-5	3-$CF_3OC_6H_4$	65-66
2-6	3-$CHF_2OC_6H_4$	52-54
2-7	3-$CF_3SC_6H_4$	77-79
2-8	3-$CHF_2SC_6H_4$	62-63
2-9	3-CF_3-4-FC_6H_3	4.13(s, 2H), 7.40(t, 1H, J=7.6Hz), 8.17(m, 1H), 8.21(d, 1H, J=7.6Hz).
2-10	3-ClC_6H_4	83-85(dec.)
2-11	4-ClC_6H_4	127-130
2-12	3-BrC_6H_4	89-90
2-13	2-MeC_6H_4	80-82
2-14	2,6-$Me_2C_6H_3$	2.28(s, 6H), 3.76(s, 2H), 7.07(d, 2H, J=7.2Hz), 7.23(d, 1H, J=7.2Hz).
2-15	2-$MeOC_6H_4$	86-87
2-16	3-$MeOC_6H_4$	87-88
2-17	3-Me-thiophen-2-yl	112
2-18	2-Cl-3-py	114-115
2-19		96
2-20	3-CNC_6H_4	128-130

Formula (XI)

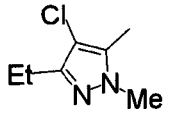


(XI)

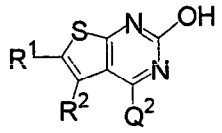
Table 3 (2-Aminothiophene derivatives)

No.	R^1	R^2	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
3-1	Me	H	2-$CF_3C_6H_4$	136-137
3-2	Me	H	3-$CF_3C_6H_4$	158
3-3	Et	H	3-$CF_3C_6H_4$	1.21(t, 3H, J=7.6Hz), 2.60(q, 2H, J=7.6Hz), 6.42(s, 1H), 6.96(br.s, 2H), 7.50(t, 1H, J=8.0Hz), 7.73(d, 1H, J=8.0Hz), 7.83(d, 1H, J=8.0Hz), 7.92(s, 1H).
3-4	H	H	3-$CF_3C_6H_4$	143-145
3-5	Me	H	4-$CF_3C_6H_4$	156
3-6	Me	H	3,5-$(CF_3)_2C_6H_3$	70
3-7	Me	H	3-$CF_3OC_6H_4$	113-114
3-8	Me	H	3-$CHF_2OC_6H_4$	2.25(d, 3H, J=1.2Hz), 6.46(d, 1H, J=1.2Hz), 6.55(t, 1H, J=73.2Hz), 6.91(br.s, 2H),7.23(d, 1H, J=8.4Hz), 7.41(s, 1H), 7.44(t, 1H, J=8.0Hz), 7.50(dt, 1H, J=8.0Hz, 1.2Hz).
3-9	Me	H	3-$CF_3SC_6H_4$	73-75(dec.)
3-10	Me	H	3-$CHF_2SC_6H_4$	2.25(d, 3H, J=1.2Hz), 6.45(d, 1H, J=1.2Hz), 6.87(t, 1H, J=56.8Hz), 6.93(br.s, 2H), 7.47(t, 1H, J=8.0Hz), 7.70(m, 2H), 7.87(t, 1H, J=1.6Hz).
3-11	Me	H	3-CF_3-4-FC_6H_3	115-116
3-12	Me	H	2-ClC_6H_4	161-162
3-13	Me	H	3-ClC_6H_4	112
3-14	Me	H	3-BrC_6H_4	118
3-15	Me	H	2,5-$Cl_2C_6H_3$	193
3-16	Me	H	2-MeC_6H_4	149-151(dec.)
3-17	Me	H	2,6-$Me_2C_6H_3$	131-132
3-18	Me	H	2-$MeOC_6H_4$	171
3-19	Me	H	3-$MeOC_6H_4$	2.24(d, 3H, J=1.2Hz), 3.85(s, 3H), 6.52(d, 1H, J=1.2Hz), 6.86(br.s, 2H), 7.0-7.2(m, 3H), 7.34(t, 1H, J=8.0Hz).

Table 3 (Continued)

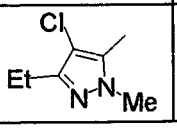
No.	R^1	R^2	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
3-20	Me	H	t-Bu	114
3-21	Me	H	3-Me-thiophen-2-yl	116-118
3-22	Me	H	2-Me-3-py	2.19(d, 3H, J=1.2Hz), 2.56(s, 3H), 6.04(d, 1H, J=1.2Hz), 7.18(dd, 1H, J=4.8Hz, 7.6Hz), 7.57(dd, 1H, J=4.8Hz, 7.6Hz), 8.56(dd, 1H, J=2.0Hz, 4.8Hz).
3-23	Me	H	2-Cl-3-py	120-122
3-24	Me	H	2-furyl	111-112
3-25	Me	H		151-153
3-26	Me	H	3-CNC_6H_4	2.26(d, 3H, J=1.2Hz), 6.38(d, 1H, J=1.2Hz), 7.00(br.s, 2H), 7.57(t, 1H, J=8.0Hz), 7.76(dt, 1H, J=8.0Hz, 1.2Hz), 7.78(dt, 1H, J=8.0Hz, 1.2Hz), 7.93(t, 1H, J=1.2Hz).

Formula (XII)

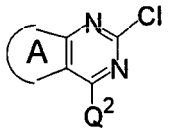


(XII)

Table 4 (2-Hydroxythieno[2,3-d]pyrimidine derivatives)

No.	R^1	R^2	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
4-1	Me	H	OH	>300
4-2	Me	H	2-$CF_3C_6H_4$	274(dec.)
4-3	Me	H	3-$CF_3C_6H_4$	251-253(dec.)
4-4	Et	H	3-$CF_3C_6H_4$	1.33(t, 3H, J=7.6Hz), 2.83(dq, 2H, J=7.6Hz, 1.2Hz), 6.76(s, 1H), 7.78(t, 1H, J=8.0Hz), 7.85(d, 1H, J=8.0Hz), 8.03(s, 1H), 8.07(d, 1H, J=8.0Hz).
4-5	H	H	3-$CF_3C_6H_4$	275-277(dec.)
4-6	Me	H	4-$CF_3C_6H_4$	284(dec.)
4-7	Me	H	3,5-$(CF_3)_2C_6H_3$	241-242
4-8	Me	H	3-$CF_3OC_6H_4$	210(dec.)
4-9	Me	H	3-$CHF_2OC_6H_4$	256-258(dec.)
4-10	Me	H	3-$CF_3SC_6H_4$	247(dec.)
4-11	Me	H	3-$CHF_2SC_6H_4$	240-242
4-12	Me	H	3-CF_3-4-FC_6H_3	230(dec.)
4-13	Me	H	2-ClC_6H_4	280-281(dec.)
4-14	Me	H	3-ClC_6H_4	>300
4-15	Me	H	3-BrC_6H_4	263-265(dec.)
4-16	Me	H	2,5-$Cl_2C_6H_3$	>300
4-17	Me	H	2-MeC_6H_4	>300
4-18	Me	H	2-$MeOC_6H_4$	286(dec.)
4-19	Me	H	3-$MeOC_6H_4$	264-266(dec.)
4-20	Me	H	t-Bu	185-186(dec.)
4-21	Me	H	3-Me-thiophen-2-yl	251-253(dec.)
4-22	Me	H	2-Cl-3-py	>300
4-23	Me	H	2-furyl	2.52(d, 3H, J=1.2Hz), 6.72(dd, 1H, J=2.4Hz, 1.2Hz), 7.12(d, 1H, J=1.6Hz), 7.35(dd, 1H, J=2.4Hz, 1.6Hz), 7.77(m, 1H).
4-24	Me	H		221-222

Formula (I-24)



(I-24)

Table 5 (2-Chlorothienopyrimidine derivatives)

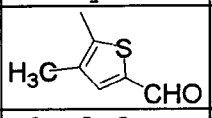
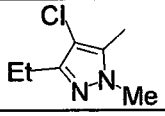
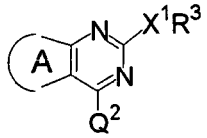
No.	A	R^1	R^2	Q^2	m.p. (°C)
5-1	A1	Me	H	Cl	145-146
5-2	A1	Me	H	SMe	111-112
5-3	A1	Me	H	$2\text{-}CF_3C_6H_4$	82-83
5-4	A1	Me	H	$3\text{-}CF_3C_6H_4$	108
5-5	A1	Et	H	$3\text{-}CF_3C_6H_4$	63
5-6	A1	H	H	$3\text{-}CF_3C_6H_4$	126
5-7	A1	Me	H	$4\text{-}CF_3C_6H_4$	120
5-8	A1	Me	H	$3,5\text{-}(CF_3)_2C_6H_3$	135-136
5-9	A1	Me	H	$3\text{-}CF_3OC_6H_4$	97
5-10	A1	Me	H	$3\text{-}CHF_2OC_6H_4$	116
5-11	A1	Me	H	$3\text{-}CF_3SC_6H_4$	132-133
5-12	A1	Me	H	$3\text{-}CHF_2SC_6H_4$	94-95
5-13	A1	Me	H	$3\text{-}CF_3\text{-}4\text{-}FC_6H_3$	72-73
5-14	A1	Me	H	$2\text{-}ClC_6H_4$	104-105
5-15	A1	Me	H	$3\text{-}ClC_6H_4$	118-120
5-16	A1	Me	H	$3\text{-}BrC_6H_4$	126
5-17	A1	Me	H	$2,5\text{-}Cl_2C_6H_3$	143-145
5-18	A1	Me	H	$2\text{-}MeC_6H_4$	117-118
5-19	A1	Me	H	$2\text{-}MeOC_6H_4$	179-180
5-20	A1	Me	H	$3\text{-}MeOC_6H_4$	138-139
5-21	A1	Me	H	t-Bu	118-119
5-22	A1	Me	H	3-Me-thiophen-2-yl	127
5-23	A1	Me	H		204-206(dec.)
5-24	A1	Me	H	2-Cl-3-py	>300
5-25	A1	Me	H	2-furyl	135
5-26	A1	Me	H		159-160

Table 5 (Continued)

No.	A	R^1	R^2	Q^2	m.p. (°C)
5-27	A1	Me	H	3-CNC_6H_4	189-190
5-28	A1	Me	H	4-MeC_6H_4	119-120
5-29	A1	Me	H	3-MeC_6H_4	104-106
5-30	A1	Me	H	2,3-$Me_2C_6H_3$	129-131
5-31	A1	Me	H	2-$CF_3OC_6H_4$	86
5-32	A2	H	H	3-$CF_3C_6H_4$	146-148
5-33	A2	H	H	3-$CF_3OC_6H_4$	89-90
5-34	A2	Me	H	3-$CF_3C_6H_4$	174-176(dec.)
5-35	A2	Me	H	3-$CF_3OC_6H_4$	107-109
5-36	A2	H	Me	3-$CF_3C_6H_4$	119-120
5-37	A2	H	Me	3-$CF_3OC_6H_4$	95-96

Formula (I-25)



(I-25)

Table 6 (Substituted thienopyrimidine derivatives)

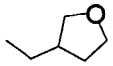
No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
6-1	A1	H	H	O	n-Pr	3-$CF_3C_6H_4$	106
6-2	A1	H	H	O	i-Pr	3-$CF_3C_6H_4$	86-87
6-3	A1	H	H	O	c-Pen	3-$CF_3C_6H_4$	68-70
6-4	A1	H	H	O		3-$CF_3C_6H_4$	88-90
6-5	A1	H	H	O		3-$CF_3C_6H_4$	105
6-6	A1	H	H	O	Me	3-$CF_3C_6H_4$	145-146
6-7	A1	H	H	O	CH(Me)CH_2OMe	3-$CF_3C_6H_4$	67-68
6-8	A1	Et	H	O	n-Pr	3-$CF_3C_6H_4$	1.09(t, 3H, J=7.2Hz), 1.37(t, 3H, J=7.2Hz), 1.90(sixtet, 1H, J=7.2Hz), 2.93(dq, 2H, J=1.2Hz, 7.2Hz), 4.45(t, 2H, J=7.2Hz), 7.05(t, 1H, J=1.2Hz), 7.66(t, 1H, J=7.6Hz), 7.78(d, 1H, J=7.6Hz), 8.11(d, 1H, J=7.6Hz), 8.20(s, 1H).
6-9	A1	Me	H	-	H	3-$CF_3C_6H_4$	70-72
6-10	A1	Me	H	-	CN	3-$CF_3C_6H_4$	121-122
6-11	A1	Me	H	-	n-Bu	3-$CF_3OC_6H_4$	0.98(t, 3H, J=7.2Hz), 1.47(sextet, 2H, J=7.2Hz), 1.91(m, 2H), 2.63(d, 3H, J=1.2Hz), 3.09(t, 2H, J=7.2Hz), 7.12(d, 1H, J=1.2Hz), 7.37(dt, 1H, J=8.0Hz, 1.2Hz), 7.58(t, 1H, J=8.0Hz), 7.78(s, 1H), 7.86(dt, 1H, J=8.0Hz, 1.2Hz).
6-12	A1	Me	H	-	CH_2Cl	3-$CF_3C_6H_4$	2.67(d, 1H, J=2.0Hz), 4.90(s, 2H), 7.18(d, 1H, J=1.2Hz), 7.64(d, 1H, J=8.0Hz), 7.80(t, 1H, J=8.0Hz), 8.13(d, 1H, J=8.0Hz), 8.20(s, 1H).

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
6-13	A1	Me	H	-	CH_2OMe	3-$CF_3C_6H_4$	2.67(d, 1H, J=2.0Hz), 3.61(s, 3H), 4.86(s, 2H), 7.15(d, 1H, J=1.2Hz), 7.68(d, 1H, J=8.0Hz),7.79(t, 1H, J=8.0Hz), 8.11(d, 1H, J=8.0Hz), 8.19(s, 1H).
6-14	A1	Me	H	-	CH_2OEt	3-$CF_3C_6H_4$	1.35(t, 3H, J=7.2Hz), 2.65(d, 3H, J=1.2Hz), 3.78(q, 2H, J=7.2Hz), 4.80(s, 2H), 7.14(d, 1H, J=1.2Hz),7.68(d, 1H, J=8.0Hz), 7.78(t, 1H, J=8.0Hz),8.11(d, 1H, J=8.0Hz), 8.19(s, 1H).
6-15	A1	Me	H	-	CH_2O-n-Pr	3-$CF_3C_6H_4$	0.98(t, 3H, J=7.6Hz), 1.75(sextet, 2H, J=7.6Hz), 2.65(d, 3H, J=1.2Hz),3.66(t, 2H, J=7.6Hz), 4.89(s, 2H), 7.15(d, 1H, J=1.2Hz), 7.68(d, 1H, J=8.0Hz),7.78(t, 1H, J=8.0Hz), 8.11(d, 1H, J=8.0Hz), 8.20(s, 1H).
6-16	A1	Me	H	-	CH_2O-i-Pr	3-$CF_3C_6H_4$	1.31(d, 6H, J=6.0Hz),2.65(d, 3H, J=1.2Hz), 3.91(7-plet, 1H, J=6.0Hz), 4.89(s, 2H), 7.14(d, 1H, J=1.2Hz), 7.68(d, 1H, J=8.0Hz),7.78(t, 1H, J=8.0Hz),8.11(d, 1H, J=8.0Hz), 8.20(s, 1H).
6-17	A1	Me	H	-	$CH_2OCH_2CF_3$	3-$CF_3C_6H_4$	40-41
6-18	A1	Me	H	-	4-$CF_3C_6H_4$	3-$CF_3C_6H_4$	134-136
6-19	A1	Me	H	O	3-$CF_3C_6H_4$	3-ClC_6H_4	101-102
6-20	A1	Me	H	O	3-$CF_3C_6H_4$	4-$CF_3C_6H_4$	89-91
6-21	A1	Me	H	O	3-$CF_3C_6H_4$	t-Bu	1.45(s, 9H), 2.58(d, 3H, J=1.2Hz), 7.19(d, 1H, J=1.2Hz), 7.4-7.6(m, 4H).
6-22	A1	Me	H	O	3-$CF_3OC_6H_4$	4-$CF_3C_6H_4$	67-68
6-23	A1	Me	H	O	Me	3-$CF_3C_6H_4$	77
6-24	A1	Me	H	O	Et	3-$CF_3C_6H_4$	98
6-25	A1	Me	H	O	n-Pr	3-$CF_3C_6H_4$	78
6-26	A1	Me	H	O	i-Pr	3-$CF_3C_6H_4$	118-119
6-27	A1	Me	H	O	t-Bu	3-$CF_3C_6H_4$	132-133

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
6-28	A1	Me	H	O	Et	3-CF_3-4-FC_6H_3	1.49(t, 3H, J=7.2Hz),2.59(d, 3H, J=1.2Hz), 4.54(q, 2H,J=7.2Hz),7.02(d, 1H, J=1.2Hz), 7.36(t, 1H, J=9.6Hz), 8.14(m, 1H), 8.21(dd, 1H, J=1.6Hz, 6.8Hz).
6-29	A1	Me	H	O	n-Pr	3-CF_3-4-FC_6H_3	1.08(t, 3H, J=7.6Hz), 1.90(sixtet, 2H, J=7.6Hz), 2.59(d, 3H,J=1.2Hz),4.44(t, 2H, J=7.6Hz), 7.01(d, 1H,J=1.2Hz),7.36(t, 1H, J=9.6Hz), 8.13(m, 1H), 8.20(d, 1H, J=6.4Hz).
6-30	A1	Me	H	O	i-Pr	3-CF_3-4-FC_6H_3	125-126
6-31	A1	Me	H	O	n-Pr	3,5-$(CF_3)_2C_6H_3$	146-147
6-32	A1	Me	H	O	n-Pr	3-CF_3-4-n-$PrOC_6H_3$	1.07(t, 3H, J=7.6Hz),1.09(t, 3H, J=7.6Hz), 1.90(sixtet, 2H, J=7.6Hz), 2.58(d, 3H, J=1.2Hz),4.11(t, 2H, J=7.2Hz), 4.43(t, 2H, J=7.2Hz),7.06(d, 1H, J=1.2Hz), 7.11(t, 1H, J=8.8Hz), 8.10(dd, 1H, J=2.0Hz, 8.8Hz), 8.18(d, 1H, J=2.0Hz).
6-33	A1	Me	H	O	Me	3-$CF_3OC_6H_4$	65-66
6-34	A1	Me	H	O	Et	3-$CF_3OC_6H_4$	72-74
6-35	A1	Me	H	O	n-Pr	3-$CF_3OC_6H_4$	58-60
6-36	A1	Me	H	O	i-Pr	3-$CF_3OC_6H_4$	93
6-37	A1	Me	H	O	n-Bu	3-$CF_3OC_6H_4$	0.99(t, 3H, J=7.6Hz), 1.54(m, 2H), 1.86(m, 2H), 2.58(d, 3H, J=1.2Hz), 4.48(t, 2H, J=7.6H), 7.06(q, 1H, J=1.2Hz), 7.37(m,1H), 7.56(t, 1H, J=8.0Hz), 7.79(s, 1H), 7.87(dt, 1H, J=8.0Hz, 1.2Hz).
6-38	A1	Me	H	O	Et	3-$CF_3SC_6H_4$	98-100
6-39	A1	Me	H	O	n-Pr	3-$CF_3SC_6H_4$	77
6-40	A1	Me	H	O	n-Pr	3-$CHF_2OC_6H_4$	60-63
6-41	A1	Me	H	O	i-Pr	3-$CHF_2OC_6H_4$	56

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$),δ (ppm)
6-42	A1	Me	H	O	n-Pr	3-$CHF_2SC_6H_4$	1.08(t, 3H, J=7.2Hz), 1.90(quant, 2H, J=7.2Hz), 2.57(d, 1H, J=1.2Hz),4.44(t, 2H, J=7.2Hz), 6.90(t, 1H, J=56.4Hz),7.07(d, 1H, J=1.2Hz), 7.56(d, 1H, J=8.0Hz), 7.73(dt, 1H, J=8.0Hz, 1.6Hz), 7.99(dt, 1H, J=8.0Hz, 1.6Hz), 8.15(t, 1H, J=1.6Hz).
6-43	A1	Me	H	O	Et	2-$CF_3C_6H_4$	83-85
6-44	A1	Me	H	O	Et	4-$CF_3C_6H_4$	139
6-45	A1	Me	H	O	Et	2-$MeOC_6H_4$	87-88
6-46	A1	Me	H	O	Et	2-MeC_6H_4	1.46(t, 3H, J=7.2Hz), 2.30(s, 3H), 2.50(d, 3H, J=1.2Hz), 4.50(q, 2H, J=7.2Hz), 6.64(d, 1H, J=1.2Hz), 7.4(m, 4H).
6-47	A1	Me	H	O	C_5H_{11}	2-MeC_6H_4	0.92(t, 3H, J=7.2Hz), 1.3-1.5(m, 4H), 1.85(m, 2H),2.30(s, 3H),2.50(d, 3H, J=1.2Hz), 4.43(t, 2H, J=7.2Hz), 6.63(d, 1H, J=1.2Hz), 7.3-7.4(m, 4H).
6-48	A1	Me	H	O	c-Hex	2-MeC_6H_4	125-126
6-49	A1	Me	H	O	CH(Me)CO_2Et	2-MeC_6H_4	1.19(t,3H,J=7.2Hz), 1.68(d, 3H, J=7.2Hz), 2.28(s, 3H), 2.50(d, 3H, J=1.2Hz), 4.15(m, 2H), 5.36(q, 2H, J=7.2Hz), 6.66(d, 1H, J=1.2Hz), 7.3(m, 4H).
6-50	A1	Me	H	O	Et	3-Me-thiophen-2-yl	54
6-51	A1	Me	H	O	Et	t-Bu	74-76
6-52	A1	Me	H	O	n-Pr	3-ClC_6H_4	103
6-53	A1	Me	H	O	$CH_2C(Me)_3$	3-ClC_6H_4	106-107
6-54	A1	Me	H	O	c-Pen	3-ClC_6H_4	123
6-55	A1	Me	H	O	n-Pr	2,5-$Cl_2C_6H_3$	101-103
6-56	A1	Me	H	O	n-Pr	2-ClC_6H_4	61-63
6-57	A1	Me	H	O	$CH_2C(Me)_3$	2-ClC_6H_4	167-168
6-58	A1	Me	H	O	c-Pen	2-ClC_6H_4	1.6(m, 2H), 1.8-2.0(m, 6H), 2.51(d, 3H, J=1.2Hz), 5.53(7-plet, 1H, J=3.2Hz), 6.63(d, 1H, J=1.2Hz), 7.3-7.6(m, 4H).
6-59	A1	Me	H	O	n-Pr	3-BrC_6H_4	98
6-60	A1	Me	H	O	$CH_2C(Me)_3$	3-BrC_6H_4	86-88
6-61	A1	Me	H	O	c-Pen	3-BrC_6H_4	110-111

Table 6 (Continued)

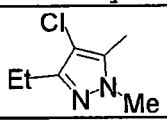
No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
6-62	A1	Me	H	O	n-Pr	3-$MeOC_6H_4$	1.08(t, 3H, J=7.6Hz), 1.89(sixtet, 2H, J=7.6Hz), 2.56(d, 3H, J=1.2Hz), 3.89(s, 3H), 4,44(t, 2H, J=7.6Hz), 7.05(m, 1H), 7.10(q, 1H, J=1.2Hz), 7.4-7.5(m, 3H).
6-63	A1	Me	H	O	$CH_2C(Me)_3$	3-$MeOC_6H_4$	1.10(s, 9H), 2.55(d, 3H, J=1.2Hz), 3.90(s, 3H), 4.17(s, 2H), 7.05(m, 1H), 7.08(q, 1H, J=1.2Hz), 7.4-7.5(m, 3H).
6-64	A1	Me	H	O	c-Pen	3-$MeOC_6H_4$	1.6-2.1(m, 8H), 2.55(d, 3H, J=1.2Hz), 3.89(s, 3H), 5.56(7-plet, 1H, J=2.8Hz), 7.05(m, 1H,), 7.10(d, 1H, J=1.2Hz), 7.4-7.5(m, 3H).
6-65	A1	Me	H	O	n-Pr	2-furyl	84
6-66	A1	Me	H	O	$CH(Me)CO_2Et$	2-furyl	85
6-67	A1	Me	H	O	n-Pr		90-91
6-68	A1	Me	H	O	n-Pr	2-Cl-3-py	126
6-69	A1	Me	H	O	$CH_2C(Me)_3$	3-$CF_3C_6H_4$	102-104
6-70	A1	Me	H	O	$CH(Me)C_3H_7$	3-$CF_3C_6H_4$	83-84
6-71	A1	Me	H	O	$CH_2CH(Me)C_2H_5$	3-$CF_3C_6H_4$	63-65
6-72	A1	Me	H	O	$CH(Me)CH(Me)_2$	3-$CF_3C_6H_4$	90-91
6-73	A1	Me	H	O	$CH(Me)C(Me)_3$	3-$CF_3C_6H_4$	115-117
6-74	A1	Me	H	O	$(CH_2)_5Me$	3-$CF_3C_6H_4$	0.90(t, 3H, J=7.2Hz), 1.35(m, 4H), 1.5(m, 2H), 1.87(fiftet, 2H, J=7.2Hz), 2.58(d, 3H, J=1.2Hz),4.47(t, 2H, J=7.2Hz), 7.04(d, 1H, J=1.2Hz),7.66(t, 1H, J=8.0Hz), 7.77 (d, 1H, J=8.0Hz), 8.10(d, 1H, J=8.0Hz), 8.19(s, 1H).
6-75	A1	Me	H	O	$CH_2CH(Et)_2$	3-$CF_3C_6H_4$	58-59
6-76	A1	Me	H	O	$CH_2CH(Me)C_3H_7$	3-$CF_3C_6H_4$	0.93(t,3H,J=7.2Hz),1.07(d,3H, J=6.8Hz), 1.2-1.5(m, 4H), 2.05(m, 1H), 2.58(d, 3H, J=1.2Hz), 4.25(dd, 1H, J=5.6Hz, 10Hz), 4.36(dd, 1H, J=5.6Hz, 10Hz), 7.03(d, 1H, J=1.2Hz),7.66(t, 1H, J=8.0Hz), 7.77(d,1 H, J=8.0Hz), 8.10(d, 1H, J=8.0Hz), 8.18(s, 1H).

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
6-77	A1	Me	H	O	$CH(Me)CH_2CH(Me)_2$	$3-CF_3C_6H_4$	111-112
6-78	A1	Me	H	O	$C(Et)_2Me$	$3-CF_3C_6H_4$	0.94(t, 3H, J=7.6Hz), 1.60(s, 3H), 2.0(m,2H),2.2(m,2H),2.57(d,3H,J=1.2Hz), 7.02(d,1H,J=1.2Hz),7.66(t,1H, J=8.0Hz), 7.76(d,1H,J=8.0Hz),8.08(d,1H, J=8.0Hz), 8.16(s, 1H).
6-79	A1	Me	H	O	$CH_2C(NH_2)(Me)CH_2OH$	$3-CF_3C_6H_4$	116-117
6-80	A1	Me	H	O	cyclo-Pen	$3-CF_3C_6H_4$	130-131
6-81	A1	Me	H	O	CH_2-c-Hex	$3-CF_3C_6H_4$	102-105
6-82	A1	Me	H	O	4-t-Bu-c-Hex	$3-CF_3C_6H_4$	0.89(s, 9H), 1.0-1.3(m, 4H), 1.5(m, 1H), 1.9(m,2H),2.3(m,2H),2.58(d,3H,J=1.2Hz), 5.0(m, 1H), 7.03(d, 1H, J=1.2Hz), 7.66(t,1H,J=8.0Hz),7.77(d,1H, J=8.0Hz), 8.10(d, 1H, J=8.0Hz), 8.18(s, 1H).
6-83	A1	Me	H	O	c-Pen	$3-CF_3-4-FC_6H_3$	1.6-2.1(m, 8H), 2.58(d, 3H, J=1.2Hz), 5.55(7-plet,1H,J=2.8Hz), 7.00(d,1H,J=1.2Hz),7.36(t,1H, J=9.2Hz), 8.12(m,1H),8.19(dd,1H,J=6.8Hz, 2.0Hz).
6-84	A1	Me	H	O	$CH_2C(Me)_3$	$3-CF_3OC_6H_4$	76
6-85	A1	Me	H	O	c-Pen	$3-CF_3OC_6H_4$	1.8-2.1(m, 8H), 2.57(d, 3H, J=1.2Hz), 5.55(7-plet,1H,J=2.8Hz), 7.05(d,1H,J=1.2Hz),7.36(t1H, J=8.0Hz), 7.55(t, 1H, J=8.0Hz), 7.79(br.s, 1H), 7.86(d, 1H, J=8.0Hz).
6-86	A1	Me	H	O	c-Pen	$3-CF_3SC_6H_4$	85
6-87	A1	Me	H	O	propargyl	$3-CF_3C_6H_4$	91-93
6-88	A1	Me	H	O	allyl	$3-CF_3C_6H_4$	58-60
6-89	A1	Me	H	O	methallyl	$3-CF_3C_6H_4$	62-63

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ(ppm)
6-90	A1	Me	H	O	1-butyn-3-yl	3-$CF_3C_6H_4$	1.74(d, 3H, J=6.8Hz), 2.44(d, 1H, J=2.4Hz), 2.60(d, 1H, J=1.2Hz), 5.85(dq, 1H, J=2.4Hz, 6.8Hz), 7.08(d, 1H, J=1.2Hz),7.67(t, 1H, J=8.0Hz), 7.78(d, 1H, J=8.0Hz),8.14(d, 1H, J=8.0Hz), 8.23(s, 1H).
6-91	A1	Me	H	O	trans-crotyl	3-$CF_3C_6H_4$	77-78
6-92	A1	Me	H	O	3-buten-1-yl	3-$CF_3C_6H_4$	2.58(d, 1H, J=1.2Hz), 2.64(qd, 2H, J=6.8Hz, 1.6Hz), 4.50(t, 2H, J=6.8Hz), 5.11(dd, 1H, J=1.6Hz, 10.4Hz), 5.20(dd, 1H, J=1.6Hz, 17.2Hz), 5.96(dd, 1H, J=10.4Hz, 17.2Hz), 7.05(d, 1H,J=1.2Hz), 7.66(t, 1H, J=8.0Hz), 7.77(d, 1H, J=8.0Hz),8.11(d, 1H, J=8.0Hz), 8.19(s, 1H).
6-93	A1	Me	H	O	2-butyn-1-yl	3-$CF_3C_6H_4$	96
6-94	A1	Me	H	O	1-butyn-3-yl	3-$CF_3OC_6H_4$	1.74(d, 3H, J=6.8Hz),2.43(d, 1H, J=2.0Hz), 2.59(d, 1H, J=1.2Hz), 5.84(dq, 1H, J=2.0Hz, 6.8Hz), 7.09(d, 1H, J=1.2Hz),7.37(d, 1H, J=8.0Hz), 7.57(t, 1H, J=8.0Hz), 7.84(s, 1H), 7.90(dt, 1H, J=8.0Hz, 1.2Hz).
6-95	A1	Me	H	O	1-butyn-3-yl	3-$CF_3SC_6H_4$	98-99
6-96	A1	Me	H	O	C_2H_4F	3-$CF_3C_6H_4$	66-67
6-97	A1	Me	H	O	CH_2CF_3	3-$CF_3C_6H_4$	100-101
6-98	A1	Me	H	O	CH_2CCl_3	3-$CF_3C_6H_4$	120
6-99	A1	Me	H	O	CH_2CHF_2	3-$CF_3C_6H_4$	98-99
6-100	A1	Me	H	O	$CH(Me)CF_3$	3-$CF_3C_6H_4$	95-97
6-101	A1	Me	H	O	$CH_2CF_2CF_3$	3-$CF_3C_6H_4$	43-44
6-102	A1	Me	H	O	$CH(CF_3)_2$	3-$CF_3C_6H_4$	2.64(d, 3H, J=1.2Hz), 6.52(fiftet, 1H, J=6.0Hz), 7.13(d, 1H, J=1.2Hz), 7.71(t, 1H, J=8.0Hz), 7.83(d, 1H, J=8.0Hz), 8.11(d, 1H, J=8.0Hz), 8.17(s, 1H).
6-103	A1	Me	H	O	$CH_2CF_2CHF_2$	3-$CF_3C_6H_4$	100-101
6-104	A1	Me	H	O	C_3H_6Br	3-$CF_3C_6H_4$	128-129
6-105	A1	Me	H	O	C_3H_6Cl	3-$CF_3C_6H_4$	2.68(d, 3H, J=1.2Hz), 3.62(s, 3H), 7.21(d, 2H, J=1.2Hz),7.72(t, 1H, J=8.0Hz), 7.83(d, 1H, J=8.0Hz),8.14(d, 1H, J=8.0Hz), 8.19(s, 1H).

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
6-106	A1	Me	H	O	$CH(Me)CF_2CF_3$	$3-CF_3C_6H_4$	86-88
6-107	A1	Me	H	O	C_2H_4F	$3-CF_3OC_6H_4$	62-64
6-108	A1	Me	H	O	CH_2CF_3	$3-CF_3OC_6H_4$	60-62
6-109	A1	Me	H	O	CH_2CHF_2	$3-CF_3OC_6H_4$	82-83
6-110	A1	Me	H	O	$CH(Me)CF_3$	$3-CF_3OC_6H_4$	70-72
6-111	A1	Me	H	O	$CH_2CF_2CF_3$	$3-CF_3OC_6H_4$	2.61(d, 3H, J=1.2Hz), 5.01(tt, 1H, J=1.2Hz, 12.8Hz), 7.11(d, 1H, J=1.2Hz), 7.40(dt, 1H, J=1.2Hz, 8.0Hz), 7.59(t, 1H, J=8.0Hz), 7.78(s, 1H), 7.86(dt, 1H, J=1.6Hz, 8.0Hz).
6-112	A1	Me	H	O	$CH_2CF_2CHF_2$	$3-CF_3OC_6H_4$	104-106
6-113	A1	Me	H	O	$C_2H_4CF_3$	$3-CF_3OC_6H_4$	2.60(d, 3H, J=1.2Hz), 2.74(m, 2H), 4.72(t, 2H,J=6.8Hz),7.09(d, 1H, J=1.2Hz), 7.38(dt, 1H, J=1.2Hz, 8.0Hz), 7.58(t, 1H, J=8.0Hz), 7.78(s, 1H), 7.86(dt, 1H, J=1.2Hz, 8.0Hz).
6-114	A1	Me	H	O	$CH(Me)CF_2CF_3$	$3-CF_3OC_6H_4$	1.62(d, 3H, J=6.8Hz), 2.60(d, 3H, J=1.2Hz), 6.00(df, 1H, J=8.0Hz, 15.6Hz), 7.10(d, 1H, J=1.2Hz), 7.40(td, 1H, J=8.0Hz, 1.6Hz), 7.58(t, 1H, J=8.0Hz), 7.77(s, 1H),7.86(dt, 1H, J=8.0Hz, 1.6Hz).
6-115	A1	Me	H	O	CH_2CF_3	$3-CF_3SC_6H_4$	73-75
6-116	A1	Me	H	O	CH_2CHF_2	$3-CF_3SC_6H_4$	64-65
6-117	A1	Me	H	O	$CH(Me)CF_3$	$3-CF_3SC_6H_4$	73-74
6-118	A1	Me	H	O	$CH_2CF_2CF_3$	$3-CF_3SC_6H_4$	76-78
6-119	A1	Me	H	O	$CH_2CF_2CHF_2$	$3-CF_3SC_6H_4$	106-107
6-120	A1	Me	H	O	$CH(Me)CF_2CF_3$	$3-CF_3SC_6H_4$	1.62(d, 3H, J=6.4Hz), 2.60(d, 3H, J=1.2Hz), 6.00(df, 1H, J=7.2Hz, 15.6Hz), 7.09(d, 1H, J=1.2Hz),7.62(t, 1H, J=8.0Hz), 7.82(d, 1H, J=8.0Hz), 8.04(dt,1H, J=8.0Hz, 1.2Hz), 8.19(s, 1H).
6-121	A1	Me	H	O	CH_2CF_3	$3-CHF_2OC_6H_4$	40-42
6-122	A1	Me	H	O	CH_2CHF_2	$3-CHF_2OC_6H_4$	60-61

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ(ppm)
6-123	A1	Me	H	O	$CH(Me)CF_3$	3-$CHF_2OC_6H_4$	1.60(d, 3H, J=6.0Hz),2.59(d, 3H, J=1.2Hz), 5.87(quant, 1H, J=6.4Hz), 6.61(t, 1H, J=73.6Hz), 7.11(d, 1H, J=1.2Hz), 7.30(dd, 1H, J=8.0Hz, 2.0Hz), 7.54(t, 1H, J=8.0Hz), 7.69(t, 1H, J=2.0Hz), 7.77(dt, 1H, J=8.0Hz, 1.2Hz).
6-124	A1	Me	H	O	$CH_2CF_2CF_3$	3-$CHF_2OC_6H_4$	2.60(d, 3H, J=1.2Hz), 5.00(dt, 1H, J=1.2Hz, 12.8Hz), 6.61(t, 1H,J=73.2Hz),7.12(d, 1H, J=1.2Hz), 7.31(dd, 1H, J=8.0Hz, 2.4Hz), 7.55(t, 1H, J=8.0Hz), 7.69(s, 1H), 7.77(dt, 1H, J=8.0Hz, 1.2Hz).
6-125	A1	Me	H	O	$CH_2CF_2CHF_2$	3-$CHF_2OC_6H_4$	91-92
6-126	A1	Me	H	O	$C_2H_4CF_3$	3-$CHF_2OC_6H_4$	2.59(d, 3H, J=1.2Hz), 2.73(m, 2H), 4.72(t, 2H,J=6.8Hz), 6.60(t, 1H, J=73.2Hz), 7.10(d, 1H, J=1.2Hz), 7.29(dd, 1H, J=8.0Hz, 2.0Hz), 7.54(t, 1H, J=8.0Hz), 7.69(s, 1H), 7.77(dt, 1H, J=8.0Hz, 1.2Hz).
6-127	A1	Me	H	O	CH_2CF_3	3-$CHF_2SC_6H_4$	2.67(d, 3H, J=1.2Hz), 6.27(dd, 1H, J=0.8Hz, 10HZ), 6.64(dd, 1H, J=0.8Hz, 16.8HZ), 7.20(dd, 1H, J=10Hz, 16.8HZ), 7.21(d, 1H, J=1.2Hz), 7.71(d, 1H, J=8.0Hz), 7.83(d, 1H, J=8.0Hz), 8.14(d, 1H, J=8.0Hz), 8.19(s, 1H).
6-128	A1	Me	H	O	CH_2CF_3	OCH_2CF_3	101
6-129	A1	Me	H	O	CH_2CF_3	3-$CF_3C_6H_4O$	124-125
6-130	A1	Me	H	-	$C_2H_4CF_3$	3-$CF_3C_6H_4O$	85
6-131	A1	Me	H	-	$CH(=CHOH)CH_2CF_3$	4-$CF_3C_6H_4$	147-148
6-132	A1	Me	H	O	CH_2CF_3	3-$CF_3OC_6H_4O$	89
6-133	A1	Me	H	-	$C_2H_4CF_3$	3-$CF_3OC_6H_4O$	2.5-2.6(m, 2H), 2.64(d, 3H, J=1.2Hz), 3.10(m, 2H), 7.10(q, 1H, J=1.2Hz), 7.16(m, 3H), 7.46(m, 1H).
6-134	A1	Me	H	O	CH_2CF_3	SMe	97
6-135	A1	Me	H	-	$C_2H_4CF_3$	SMe	81-83
6-136	A1	Me	H	O	CH_2CF_3	SOMe	121-122

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) ^{1}H-NMR ($CDCl_3$), δ(ppm)
6-137	Al	Me	H	O	CH_2CF_3	SO_2Me	138-140
6-138	Al	Me	H	-	$C_2H_4CF_3$	SO_2Me	60-61
6-139	Al	Me	H	-	$C_2H_4CF_3$	OH	267-269(dec.)
6-140	Al	Me	H	S	Me	SMe	89-90
6-141	Al	Me	H	SO_2	Me	SO_2Me	199
6-142	Al	Me	H	O	CH(Me)CH_2OMe	3-$CF_3C_6H_4$	63-65
6-143	Al	Me	H	O	$C_2H_4SC_2H_5$	3-$CF_3C_6H_4$	2.37(s, 6H), 2.58(d, 3H, J=1.2Hz), 2.82(t, 2H, J=6.0Hz), 4.60(t, 2H, J=6.0Hz), 7.05(q, 1H, J=1.2Hz), 7.66(t, 1H, J=8.0Hz), 7.77(d, 1H, J=8.0Hz),8.11(d, 1H, J=8.0Hz), 8.20(s, 1H)
6-144	Al	Me	H	O	$C_2H_4NMe_2$	3-$CF_3C_6H_4$	62-63
6-145	Al	Me	H	O	$C_2H_4SO_2Me$	3-$CF_3C_6H_4$	231(dec.)
6-146	Al	Me	H	O	Ph	3-$CF_3C_6H_4$	101-102
6-147	Al	Me	H	O	Benzyl	3-$CF_3C_6H_4$	2.59(d, 3H, J=1.2Hz), 5.56(s, 2H), 7.05(d, 1H, J=1.2Hz), 7.3(m, 3H), 7.54(m, 2H), 7.66(t, 1H, J=8.0Hz),7.77(d, 1H, J=8.0Hz),8.09(d, 1H, J=8.0Hz), 8.17(s, 1H).
6-148	Al	Me	H	O	SO_2Me	3-$CF_3C_6H_4$	109-110
6-149	Al	Me	H	O	SO_2CH_2Cl	3-$CF_3C_6H_4$	95-97
6-150	Al	Me	H	O	$SO_2CH=CH_2$	3-$CF_3C_6H_4$	2.67(d, 3H, J=1.2Hz), 6.27(dd, 1H, J=0.8Hz, 10HZ), 6.64(dd, 1H, J=0.8Hz, 16.8HZ), 7.20(dd, 1H, J=10Hz, 16.8HZ), 7.21(d, 1H, J=1.2Hz),7.71(d, 1H, J=8.0Hz), 7.83(d, 1H, J=8.0Hz), 8.14(d, 1H, J=8.0Hz), 8.19(s, 1H).
6-151	Al	Me	H	O	SO_2Et	3-$CF_3C_6H_4$	1.64(t, 3H, J=7.2Hz), 2.67(d, 3H, J=1.2Hz), 3.78(q, 2H, J=7.2Hz),7.20(d, 1H, J=1.2Hz), 7.71(d, 1H, J=8.0Hz),7.82(d, 1H, J=8.0Hz), 8.14(d, 1H, J=8.0Hz), 8.20(s, 1H).
6-152	Al	Me	H	O	SO_2n-Pr	3-$CF_3C_6H_4$	90-92
6-153	Al	Me	H	O	SO_2i-Pr	3-$CF_3C_6H_4$	1.64(d, 6H, J=6.8Hz), 2.67(d, 3H, J=1.2Hz), 4.20(m, 1H), 7.21(d, 1H, J=1.2Hz), 7.71(t, 1H,J=8.0Hz),7.82(d, 1H,J=8.0Hz), 8.14(d, 1H, J=8.0Hz), 8.20(s, 1H).

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
6-154	A1	Me	H	O	SO_2n-Bu	3-$CF_3C_6H_4$	93-94
6-155	A1	Me	H	O	SO_2CF_3	3-$CF_3C_6H_4$	64-66
6-156	A1	Me	H	O	$SO_2CH_2CF_3$	3-$CF_3C_6H_4$	106-108
6-157	A1	Me	H	O	$SO_2(CH_2)_3Cl$	3-$CF_3C_6H_4$	2.58(m, 2H), 2.68(d, 3H, J=1.2Hz), 3.78(t, 2H, J=6.4Hz), 3.99(t, 2H, 7.6Hz), 7.22(d, 1H,J=1.2Hz), 7.71(d, 1H, J=8.0Hz), 7.84(d, 1H,J=8.0Hz),8.15(d,1H, J=8.0Hz), 8.20(s, 1H).
6-158	A1	Me	H	O	$SO_2C_6H_{13}$	3-$CF_3C_6H_4$	0.89(t, 3H,J=7.2Hz), 1.34(m, 4H), 1.54(m, 2H), 2.11(m, 2H), 2.67(d, 3H, J=1.2Hz), 3.76(m, 2H), 7.21(d, 1H, J=1.2Hz),7.71(d, 1H, J=8.0Hz), 7.83(d, 1H, J=8.0Hz), 8.14(d, 1H, J=8.0Hz), 8.20(s, 1H).
6-159	A1	Me	H	O	Ts	3-$CF_3C_6H_4$	2.46(s, 3H), 2.64(d, 3H, J=1.2Hz), 7.16(d, 2H, J=1.2Hz), 7.36(t, 2H, J=8.0Hz), 7.67(d, 1H, J=8.0Hz), 7.80(d, 1H, J=8.0Hz), 8.03(d, 2H, J=8.0Hz), 8.03(m, 2H).
6-160	A1	Me	H	O	SO_2NMe_2	3-$CF_3C_6H_4$	65-67
6-161	A1	Me	H	O	SO_2Me	3-$CF_3OC_6H_4$	79-80
6-162	A1	Me	H	O	SO_2CH_2Cl	3-$CF_3OC_6H_4$	2.68(d, 3H, J=1.2Hz), 5.30(s, 2H), 7.24(d, 1H, J=1.2Hz), 7.44(m, 1H), 7.63(t, 1H, J=8.0Hz), 7.79(s, 1H), 7.88(dt, 1H, J=8.0Hz, 1.6Hz)
6-163	A1	Me	H	O	SO_2i-Pr	3-$CF_3OC_6H_4$	1.63(d, 6H, J=7.2Hz), 2.66(d, 3H, J=1.2Hz), 3.83(7-plet, 1H, J=7.2Hz), 7.22(d, 1H, J=1.2Hz), 7.41(m, 1H), 7.61(t, 1H, J=8.0Hz), 7.81(s, 1H), 7.90(d, 1H, J=8.0Hz).
6-164	A1	Me	H	O	SO_2Me	3-$CF_3SC_6H_4$	115-117
6-165	A1	Me	H	O	SO_2CH_2Cl	3-$CF_3SC_6H_4$	85-87
6-166	A1	Me	H	O	SO_2Me	3-$CHF_2SC_6H_4$	76-78
6-167	A1	Me	H	-	NHMe	3-$CF_3C_6H_4$	181-183
6-168	A1	Me	H	-	NHMe	4-$CF_3C_6H_4$	233
6-169	A1	Me	H	-	NMe_2	3-$CF_3C_6H_4$	107
6-170	A1	Me	H	S	Me	3-$CF_3C_6H_4$	113
6-171	A1	Me	H	S	Me	4-$CF_3C_6H_4$	113

Table 6 (Continued)

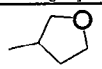
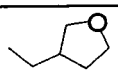
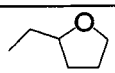
No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ(ppm)
6-172	A1	Me	H	SO	Me	3-$CF_3C_6H_4$	2.75(d, 3H, J=1.2Hz), 3.46(s, 3H), 7.33(d, 1H, J=1.2Hz),7.74(t, 1H, J=8.0Hz), 7.85(d, 1H, J=8.0Hz),8.19(d, 1H, J=8.0Hz), 8.22(s, 1H).
6-173	A1	Me	H	SO	Me	4-$CF_3C_6H_4$	171
6-174	A1	Me	H	SO_2	Me	3-$CF_3C_6H_4$	173
6-175	A1	Me	H	SO_2	Me	4-$CF_3C_6H_4$	153
6-176	A1	Me	H	OC(O)	Me	3-$CF_3C_6H_4$	94-95
6-177	A1	Me	H	OCO_2	Et	3-$CF_3C_6H_4$	61-62
6-178	A1	Me	H	OC(O)	NMe_2	3-$CF_3C_6H_4$	2.66(d, 3H, J=1.2Hz), 3.07(s, 3H), 3.19(s, 3H), 7.15(d, 1H, J=1.2Hz), 7.68(t, 1H, J=8.0Hz),7.79(d, 1H, J=8.0Hz), 8.12(d, 1H, J=8.0Hz), 8.19(s, 1H).
6-179	A1	Me	H	OC(O)	c-Pen	3-$CF_3C_6H_4$	1.7-2.0(m, 4H), 2.1(m, 4H), 2.65(d, 3H, J=1.2Hz),3.12(fif, 1H, J=8.0Hz), 7.17(d, 1H, J=1.2Hz), 7.68(t, 1H, J=8.0Hz), 7.79(d, 1H, J=8.0Hz), 8.12(d, 1H, J=8.0Hz), 8.19(s, 1H).
6-180	A1	Me	H	CO_2	H	3-$CF_3C_6H_4$	226-227(dec.)
6-181	A1	Me	H	CO_2	Et	3-$CF_3C_6H_4$	134
6-182	A1	Me	H	CO	NH_2	3-$CF_3C_6H_4$	209
6-183	A1	Me	H	CO	NH-4-FC_6H_4	3-$CF_3C_6H_4$	218-220(dec.)
6-184	A1	Me	H	O		3-$CF_3C_6H_4$	133-134
6-185	A1	Me	H	O		3-$CF_3C_6H_4$	1.82(m, 1H), 2.17(m, 1H), 2.59(d, 3H, J=1.2Hz), 2.87(m, 1H), 3.8(m, 2H), 4.0(m, 2H), 4.41(dd, 1H, J=8.0Hz, 10.4Hz), 4.47(dd, 1H, J=6.8Hz, 10.4Hz), 7.04(d, 1H, J=1.2Hz), 7.67(t, 1H, J=8.0Hz), 7.78(d, 1H, J=8.0Hz), 8.10(d, 1H, J=8.0Hz), 8.17(s, 1H).
6-186	A1	Me	H	O		3-$CF_3C_6H_4$	72-73

Table 6 (Continued)

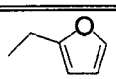
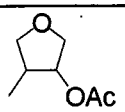
No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
6-187	A1	Me	H	O		3-$CF_3C_6H_4$	115-117
6-188	A1	Me	H	O		3-$CF_3C_6H_4$	cis-rotational isomer: 2.04(s, 3H), 2.61(d, 3H, J=1.2Hz), 3.89(dd, 1H, J=5.2Hz, 9.2Hz), 4.10(m, 1H),4.33(dd, 1H, J=6.0Hz, 10Hz), 4.60(br.s, 1H), 5.54(q, 1H, J=5.4Hz), 7.07(d, 1H, J=1.2Hz), 7.69(t, 1H, J=8.0Hz), 7.80(d, 1H, J=8.0Hz),8.09(d, 1H, J=8.0Hz), 8.16(s, 1H). cis-rotational isomer : 1.99(s, 3H), 2.59(d, 3H, J=1.2Hz), 3.99(dd, 1H, J=4.8Hz, 10Hz), 4.08(dd, 1H, J=5.6Hz, 10Hz), 4.21(dd, 1H, J=5.6Hz, 10Hz), 4.32(dd, 1H, J=6.4Hz, 10Hz), 5.57(q, 1H, J=5.2Hz), 5.74(q, 1H, J=6.4Hz), 7.06(d, 1H, J=1.2Hz), 7.68(t, 1H, J=8.0Hz), 7.79(d, 1H, J=8.0Hz), 8.10(d, 1H, J=8.0Hz), 8.17(s, 1H). trans form : 2.09(s, 3H), 2.51(d, 3H, J=1.2Hz), 3.82(dd, 1H, J=4.4Hz, 10Hz), 4.1(m, 1H), 4.29(dd, 1H, J=5.2Hz, 9.2Hz), 4.4(m, 1H), 5.33(m, 1H), 6.06(fiftet, 1H, J=4.0Hz), 6.87(d, 1H, J=1.2Hz), 7.52(t, 1H, J=8.0Hz), 7.68(d, 1H, J=8.0Hz),7.86(d, 1H, J=8.0Hz), 8.17(s, 1H).
6-189	A1	Me	H	-	pyrrol-1-yl	3-$CF_3C_6H_4$	148-149
6-190	A1	Me	H	-	imidazol-1-yl	3-$CF_3C_6H_4$	179-180
6-191	A1	Me	H	-	imidazol-1-yl	2-$CF_3C_6H_4$	169
6-192	A1	Me	H	-	pyrazol-1-yl	3-$CF_3C_6H_4$	153-155
6-193	A1	Me	H	-	triazol-1-yl	3-$CF_3C_6H_4$	2.69(d, 1H, J=1.2Hz), 7.23(d, 1H, J=1.2Hz), 7.75(t, 1H, J=8.0Hz),7.86(d, 1H, J=8.0Hz), 8.19(d, 1H, J=8.0Hz), 8.21(s, 1H), 8.24(s, 1H), 9.35(s, 1H).
6-194	A1	Me	H	-	2-methylimidazol-1-yl	3-$CF_3C_6H_4$	157

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
6-195	A1	Me	H	-	3,5-dimethyl pyrazol-1-yl	3-$CF_3C_6H_4$	143-144
6-196	A1	Me	H	-	thiazolidin-3-yl	3-$CF_3C_6H_4$	2.56(d, 1H, J=1.2Hz), 2.91(br.t, 2H,J=7.6Hz), 3.35(br.t, 2H,J=7.6Hz), 3.61(br.s, 2H), 7.00(d, 1H, J=1.2Hz), 7.63(t, 1H, J=8.0Hz), 7.73(d, 1H, J=8.0Hz),8.06(d, 1H, J=8.0Hz), 8.21(s, 1H).
6-197	A1	Me	H	-	2-Et-4-Me-imidazol-1-yl	3-$CF_3C_6H_4$	102-103
6-198	A1	Me	H	-	3-CF_3-pyrazol-1-yl	3-$CF_3C_6H_4$	147-148
6-199	A1	Me	H	-	3-CF_3-pyrazol-1-yl	3-$CF_3OC_6H_4$	116-117
6-200	A1	Me	H	-	3-CF_3-pyrazol-1-yl	3-CF_3-pyrazol-1-yl	117-118
6-201	A1	Me	H	-	3-CF_3-pyrazol-1-yl	3-$CF_3C_6H_4O$	159-161
6-202	A1	Me	H	-	3-CF_3-pyrazol-1-yl	3-$CF_3OC_6H_4O$	153-155
6-203	A1	Me	H	-	3-CF_3-pyrazol-1-yl	SMe	141-142
6-204	A1	Me	H	-	3-CF_3-pyrazol-1-yl	SOMe	146-147
6-205	A1	Me	H	-	3-CF_3-pyrazol-1-yl	SO_2Me	171-173
6-206	A1	Me	H	-	3-CF_3-pyrazol-1-CH_2	3-$CF_3C_6H_4$	2.66(d, 3H, J=1.2Hz), 5.71(s, 2H), 6.61(d, 1H, J=2.0Hz), 7.18(d, 1H, J=1.2Hz), 7.67(d, 1H, J=8.0Hz), 7.74(m, 1H), 7.78(t, 1H, J=8.0Hz),8.06(d, 1H, J=8.0Hz), 8.13(s, 1H).
6-207	A1	Me	H	O	CH_2CF_3	3-CF_3-4-F-C_6H_3	2.62(d, 3H, J=1.2Hz), 4.92(q, 2H, J=8.4Hz), 7.07(d, 1H, J=1.2Hz), 7.39(t, 1H, J=9.0Hz), 8.13(m, 1H), 8.19(dd, 1H, J=2.1Hz, 6.0Hz).

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
6-208	A1	Me	H	O	CH_2CF_3	3-CF_3-4-CF_3CH_2O-C_6H_3	2.61(d, 3H, J=1.2Hz), 4.54(q, 2H, J=7.8Hz), 4.92(q, 2H, J=8.4Hz), 7.08(d, 1H, J=1.2Hz), 7.15(d, 1H, J=8.7Hz), 8.15(dd, 1H, J=2.1Hz, 8.7Hz),8.22(d, 1H, J=2.1Hz).
6-209	A1	Me	H	O	i-Pr	3-CNC_6H_4	117-118
6-210	A1	Me	H	O	CH_2CF_3	3-CNC_6H_4	132-133
6-211	A1	Me	H	O	$CH_2CF_2CHF_2$	3-CNC_6H_4	141
6-212	A1	Me	H	O	SO_2Me	3-CNC_6H_4	121-122
6-213	A1	Me	H	O	i-Pr	4-MeC_6H_4	1.44(d, 6H, J=6.0Hz), 2.44(s, 3H), 2.55 (d, 3H, J=1.2Hz), 5.43(7-plet, 1H, J=6.4Hz), 7.10(q, 1H, J=1.2Hz),7.32(d, 2H, J=8.0Hz), 7.83(d, 2H, J=8.0Hz).
6-214	A1	Me	H	O	CH_2CF_3	4-MeC_6H_4	109-110
6-215	A1	Me	H	O	i-Pr	3-MeC_6H_4	78-80
6-216	A1	Me	H	O	CH_2CF_3	3-MeC_6H_4	74-76
6-217	A1	Me	H	O	CH_2CF_3	2-MeC_6H_4	87-88
6-218	A1	Me	H	O	$CH_2CF_2CHF_2$	2-MeC_6H_4	111-112
6-219	A1	Me	H	O	$CH_2CH=CHCF_3$	3-$CF_3C_6H_4$	94-96
6-220	A1	Me	H	O	$CH_2CH=CHCF_3$	3-$CF_3OC_6H_4$	97-98
6-221	A1	Me	H	O	$CH_2CH=CHCF_3$	3-$CF_3SC_6H_4$	84-86
6-222	A1	Me	H	-	4-$CF_3C_6H_4$	3-$CF_3C_6H_4O$	142-143
6-223	A1	Me	H	-	4-$CF_3C_6H_4$	3-$CF_3OC_6H_4O$	157-159
6-224	A2	H	H	O	CH_2CF_3	3-$CF_3C_6H_4$	106
6-225	A2	H	H	O	CH_2CF_3	3-$CF_3OC_6H_4$	101-103
6-226	A2	H	H	O	i-Pr	3-$CF_3C_6H_4$	83-85
6-227	A2	H	H	O	i-Pr	3-$CF_3OC_6H_4$	52-54
6-228	A2	H	H	-	3-CF_3-pyrazol-1-yl	3-$CF_3OC_6H_4$	131
6-229	A2	H	H	O	CH_2CF_3	3-$CF_3C_6H_4O$	4.72(q, 2H, J=8.4Hz), 7.40(d, 1H, J=5.2Hz), 7.49(dt, 2H, J=2.0Hz, 6.4Hz), 7.56(br.s, 1H), 7.59(m, 2H), 7.99(d, 2H, J=5.2Hz).

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ(ppm)
6-230	A2	H	H	O	CH_2CF_3	3-$CF_3OC_6H_4O$	4.72(q, 2H, J=8.4Hz), 7.19(m, 2H), 7.25(ddd, 1H, J=0.8Hz, 2.0Hz, 8.4Hz), 7.40(d, 2H, J=5.6Hz), 7.49(t, 2H, J=8.4Hz), 7.98(d, 1H, J=5.6Hz).
6-231	A2	Me	H	O	i-Pr	3-$CF_3C_6H_4$	106
6-232	A2	Me	H	O	CH_2CF_3	3-$CF_3C_6H_4$	107-109
6-233	A2	Me	H	-	3-CF_3-pyrazol-1-yl	3-$CF_3C_6H_4$	141-142
6-234	A2	Me	H	O	i-Pr	3-$CF_3OC_6H_4$	88-90
6-235	A2	Me	H	O	CH_2CF_3	3-$CF_3OC_6H_4$	77-78
6-236	A2	Me	H	O	1-butyn-3-yl	3-$CF_3OC_6H_4$	87-88
6-237	A2	Me	H	O	$CH(Me)CH_2OMe$	3-$CF_3OC_6H_4$	1.45(d, 3H, J=6.4Hz), 2.68(d, 1H, J=1.2Hz), 3.43(s, 3H), 3.55(m, 1H), 3.58(dd, 1H, J=4.8Hz, 10.4Hz), 3.74(dd, 1H, J=6.4Hz, 10.4Hz), 7.10(d, 1H, J=1.2Hz), 7.39(dt, 1H, J=1.2Hz, 8.0Hz), 7.57(d, 1H, J=8.0Hz), 8.05(s, 1H), 8.10(dt, 1H, J=1.2Hz, 8.0Hz).
6-238	A2	H	Me	O	i-Pr	3-$CF_3C_6H_4$	73-74
6-239	A2	H	Me	O	CH_2CF_3	3-$CF_3C_6H_4$	99-100
6-240	A2	H	Me	O	$CH_2CF_2CHF_2$	3-$CF_3C_6H_4$	74-76
6-241	A2	H	Me	O	i-Pr	3-$CF_3OC_6H_4$	63-65
6-242	A2	H	Me	O	CH_2CF_3	3-$CF_3OC_6H_4$	95-96
6-243	A2	H	Me	O	$CH_2CF_2CHF_2$	3-$CF_3OC_6H_4$	48-50
6-244	A1	Me	H	O	i-Pr	2,3-$Me_2C_6H_4$	1.42(d, 6H, J=6.4Hz), 2.15(s, 1H), 2.36(s, 3H), 2.49(d, 1H, J=1.2Hz), 5.38(7-plet, 1H, J=6.4Hz), 6.59(d, 1H, J=1.2Hz), 7.2-7.3(m, 3H).
6-245	A1	Me	H	O	CH_2CF_3	2,3-$Me_2C_6H_4$	98-99
6-246	A1	Me	H	O	$CH_2CF_2CHF_2$	2,3-$Me_2C_6H_4$	114
6-247	A1	Me	H	O	i-Pr	2-$CF_3C_6H_4$	1.41(d, 6H, J=6.4Hz), 2.49(d, 1H, J=1.2Hz), 5.38(7-plet, 1H, J=6.4Hz), 6.51(d, 1H, J=1.2Hz), 7.45(d, 1H, J=8.0Hz), 7.64(m, 2H), 7.83(d, 1H, J=8.0Hz).

Table 6 (Continued)

No.	A	R^1	R^2	X^1	R^3	Q^2	m.p.(°C) or ^{1}H-NMR ($CDCl_3$), δ (ppm)
6-248	A1	Me	H	O	CH_2CF_3	2-$CF_3C_6H_4$	2.53(d, 1H, J=1.2Hz),4.87(q, 2H, J=8.4Hz), 6.61(d, 1H, J=1.2Hz),7.47(d, 1H, J=8.0Hz), 7.66(m, 2H), 7.86(d, 1H, J=8.0Hz).
6-249	A1	Me	H	O	$CH_2CF_2CHF_2$	2-$CF_3C_6H_4$	93-95
6-250	A1	Me	H	O	i-Pr	2-$CF_3OC_6H_4$	1.43(d, 6H, J=6.4Hz), 2.52(d, 1H, J=1.2Hz), 5.39(7-plet, 1H, J=6.4Hz), 6.67(d, 1H, J=1.2Hz), 7.41(dt, 1H, J=8.0Hz, 1.2Hz), 7.43(dt, 1H, J=8.0Hz, 1.2Hz), 7.53(ddd, 1H, J=8.0Hz, 8.0Hz, 1.2Hz), 7.63(dd, 1H, J=8.0Hz, 2.0Hz).
6-251	A1	Me	H	O	CH_2CF_3	2-$CF_3OC_6H_4$	2.56(d, 1H, J=1.2Hz), 4.90(q,2H, J=8.4Hz), 6.75(d, 1H, J=1.2Hz), 7.44(dt, 1H, J=8.0Hz, 1.2Hz), 7.46(dt, 1H, J=8.0Hz, 1.2Hz), 7.57(ddd, 1H, J=8.0Hz, 8.0Hz, 1.2Hz), 7.63(dd, 1H, J=8.0Hz, 2.0Hz).
6-252	A1	Me	H	O	$CH_2CF_2CHF_2$	2-$CF_3OC_6H_4$	2.56(d, 1H, J=1.2Hz), 4.86(dt, 1H, J=12Hz, 1.2Hz), 6.15(tt, 1H, J=2.8Hz, 53.2Hz), 6.74(d, 1H, J=1.2Hz), 7.44(dt, 1H, J=8.0Hz, 1.2Hz), 7.46(dt, 1H, J=8.0Hz, 1.2Hz), 7.58(ddd, 1H, J=8.0Hz, 8.0Hz, 1.2Hz), 7.63(dd, 1H, J=8.0Hz, 2.0Hz).
6-253	A1	Me	H	-	NH_2	3-$CF_3OC_6H_4$	Solvent:DMSO-d6 2.2(br., 2H), 2.65(d, 3H, J=1.2Hz), 4.03(s, 2H), 7.41(d, 1H, J=1.2Hz), 7.85(t, 1H, J=8.0Hz), 7.98(d, 1H, J=8.0Hz), 8.26(s, 1H), 8.29(d, 1H, J=8.0Hz)

Formulation Examples of the present invention are shown below. Parts and percents below are parts by weight and percents by weight, respectively.

Formulation Example 1 Wettable Powder

Forty parts of each of the compounds shown in Tables 1 to 6, 20 parts of Carplex #80 (trademark, Shionogi & Co., Ltd.), 35 parts of Kaoline Clay (trademark, Tsuchiya Kaoline K.K.), and 5 parts of a higher alcohol sulfate ester type surface active

agent Sorpol 8070 (trademark, Toho Chemical Industry Co., Ltd.) were mixed and then uniformly ground to obtain a wettable powder containing 40% of the active ingredient.

Formulation Example 2 Emulsifiable Concentrate

Ten parts of each of the compounds shown in Tables 1 to 6 were dissolved in a mixed solvent of 40 parts of an aromatic hydrocarbon type solvent Solvesso 200 (trademark, Exxon Chemical Co.) and 40 parts of N,N-dimethylacetamide. Then, 10 parts of a polyoxyethylene type surface active agent Sorpol 3005X (trademark, Toho Chemical Industry Co., Ltd.) was added thereto and dissolved to obtain an emulsifiable concentrate containing 10% of the active ingredient.

Formulation Example 3 Flowable Agent

To 10 parts of each of the compounds shown in Tables 1 to 6 were added and dispersed 5 parts of Runox 1000C (trademark, Toho Chemical Industry Co., Ltd.), 3 parts of Carplex #80 (trademark, Shionogi & Co., Ltd.), 8 parts of ethylene glycol, and 54 parts of water. The resulting slurry mixture was wet type ground in Dynomill (trademark, WAB Co.). Then, 20 parts of a 1% aqueous xanthan gum solution which had been mixed and dissolved beforehand were added thereto and uniformly mixed to obtain a flowable agent containing 10% of the active ingredient.

Formulation Example 4 Granules

One part of each of the compounds shown in Tables 1 to 6, 43 parts of clay (manufactured by Nihon Talc K.K.), 55 parts of bentonite (manufactured by Hojun Yoko K.K.), and 1 part of a succinate type surface active agent Airrol CT-1 (trademark, Toho Chemical Industry Co., Ltd.) were mixed and ground. The resulting ground material were kneaded with 20 parts of water. Thereafter, the blend was extruded from an extrusion granulator through nozzles having a diameter of 0.6 mm, dried at 60°C for 2 hours, and then chopped to a length of 1 to 2 mm to obtain granules containing 1% of the active ingredient.

Test Examples of the herbicides of the present invention are shown below.

Test Example 1: Field Foliar Treatment Test

Alluvial soil from a field was put in a resin-made vat having an area of 200 cm^2. After fertilization, the seeds of Echinochloa crus-galli, Brassica juncea, and Ipomoea purpurea were cast on the soil and uniformly covered with the soil. Then, cultivation was continued in a greenhouse, and when the weeds to be tested reached the 1.0- to 2.0-leaf stage, the wettable powder obtained in Formulation Example 1 was

diluted with water and a predetermined amount thereof was sprayed uniformly to the weeds by means of a small-sized power pressure spray to give 10 g of the active ingredient per an are. Thereafter, cultivation was further continued in the greenhouse, and the herbicidal effect was examined on the 21st day from the treatment. The results obtained are shown in Table 7 (the compound numbers in Table 7 correspond to those in Tables 1 to 6).

The herbicidal effect was evaluated in terms of herbicidal rate obtained from the following equation:

Herbicidal rate (%) = [1 - (Weight of weeds above the ground in a treated plot)/(Weight of weeds above the ground in a non-treated plot)] x 100

and was expressed by herbicidal index according to the following criteria.

Herbicidal index	Herbicidal rate (%)
0	0 to 5
1	6 to 30
2	31 to 50
3	51 to 70
4	71 to 90
5	91 to 100

In the following, the test results in Tables 7 to 9 are described by the index.

Table 7

No.	Active ingredient amount (g/a)	Herbicidal effect		
		Echinochloa crus-galli	Brassica juncea	Ipomoea purpurea
5-31	10	4	5	5
6-25	10	4	5	5
6-29	10	4	4	5
6-35	10	5	5	5
6-39	10	5	4	5
6-40	10	4	5	5
6-61	10	4	4	4
6-80	10	5	5	5
6-83	10	5	4	5
6-85	10	5	4	5
6-90	10	5	5	5
6-92	10	5	5	4
6-96	10	4	5	5
6-97	10	5	5	5
6-98	10	5	4	5
6-109	10	5	5	4
6-112	10	5	5	5
6-115	10	5	5	5
6-125	10	5	5	5
6-130	10	2	4	3
6-142	10	5	4	5
6-184	10	5	4	5
6-187	10	4	5	5
6-192	10	4	4	5
6-193	10	4	4	5
6-194	10	4	5	5
6-202	10	4	4	5
6-207	10	5	5	5
6-215	10	5	5	5
6-217	10	4	5	5
6-231	10	4	5	5
6-235	10	5	5	5
6-236	10	5	5	5
6-245	10	4	5	5
6-249	10	4	5	5
6-251	10	5	5	5

Test Example 2: Field soil Treatment Test

Alluvial soil from a field was put in a resin-made vat having an area of 200 cm^2. After fertilization, the seeds of Digitaria ciliaris, Chenopodium album and Polygonum lapathifolium were cast on the soil and uniformly covered with the soil. The wettable powder obtained in Formulation Example 1 was diluted with water and a predetermined amount thereof was sprayed uniformly to the soil by means of a small-sized power pressure spray so as to give 10 g of the active ingredient per an are. Thereafter, cultivation was further continued in the greenhouse, and the herbicidal effect was examined on the 21st day from the treatment. The results obtained are shown in Table 8 (the compound numbers in Table 8 correspond to those in Tables 1 to 6).

Table 8

No.	Active ingredient amount (g/a)	Herbicidal effect		
		Digitaria ciliaris	Polygonum lapathifolium	Chenopodium album
6-27	10	5	4	5
6-30	10	5	5	5
6-36	10	5	5	5
6-43	10	5	2	5
6-88	10	5	5	5
6-100	10	5	5	5
6-101	10	5	4	5
6-103	10	5	5	5
6-107	10	5	5	5
6-108	10	5	5	5
6-110	10	5	5	5
6-111	10	5	5	5
6-119	10	5	5	5
6-121	10	5	5	5
6-122	10	5	5	5
6-148	10	5	4	5
6-189	10	5	3	4
6-198	10	5	5	5
6-199	10	5	5	5

Test Example 3: Submerged Foliar Treatment Test

Alluvial soil from a paddy field was put in a resin-made vat having an area of 200 cm^2. After fertilization, seeds of Echinochloa oryzicola, Rotala indica and Scirpus juncoides were cast on the soil and uniformly covered with the soil, and water was poured onto the soil to keep a water depth of 3 cm. Then, cultivation was continued in a greenhouse, and when the weeds to be tested reached the cotyledon stage to 1-leaf stage, the wettable powder obtained in Formulation Example 1 was diluted with water and a predetermined amount thereof was added dropwise uniformly to the weeds by means of a pipette to give 10 g of the active ingredient per an are. Thereafter, cultivation was further continued in the greenhouse, and the herbicidal effect was examined on the 28th day from the treatment. The results obtained are shown in Table 9 (the compound numbers in Table 9 correspond to those in Tables 1 to 6).

Table 9

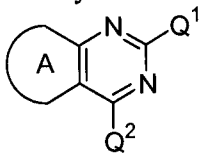
No.	Active ingredient amount (g/a)	Herbicidal effect		
		Echinochloa oryzicola	Scirpus juncoides	Rotala indica
5-3	10	5	3	5
5-9	10	5	4	5
5-15	10	5	3	5
6-5	10	3	5	5
6-8	10	5	4	4
6-10	10	5	4	5
6-17	10	5	3	5
6-18	10	3	1	4
6-24	10	5	4	5
6-34	10	5	5	5
6-41	10	5	4	5
6-47	10	4	1	3
6-50	10	3	3	4
6-52	10	5	3	5
6-54	10	5	4	5
6-56	10	5	4	5
6-60	10	5	5	5
6-62	10	5	5	5
6-72	10	5	3	5
6-86	10	5	4	5
6-116	10	5	4	5
6-127	10	5	4	5
6-129	10	5	2	4
6-146	10	4	2	5
6-149	10	5	4	5
6-157	10	5	4	5
6-160	10	5	4	5
6-164	10	5	4	5
6-169	10	5	2	5
6-174	10	1	3	5
6-188	10	5	4	5
6-191	10	5	3	5
6-200	10	3	3	5
6-201	10	5	3	5

Industrial Applicability

According to the present invention, compounds which exhibit a high herbicidal effect and a sufficient safety for important crops and which are useful as herbicides can be obtained.

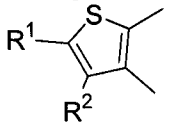
The claims defining the invention are as follows:

1. A herbicide comprising, as an active ingredient, a substituted thienopyrimidine derivative represented by formula (I):



(I)

wherein A represents the following A1:



A1

wherein R^1 represents hydrogen or $(C_1\text{-}C_6)$alkyl, and

R^2 represents hydrogen or $(C_1\text{-}C_6)$alkyl,

Q^1 represents $-X^1R^3$;

wherein X^1 is –O-, and

R^3 represents halo$(C_1\text{-}C_{10})$alkyl;

Q^2 represents $-X^2R^4$;

wherein X^2 represents a single bond, and

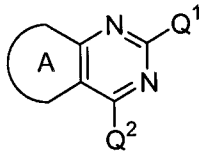
R^4 represents phenyl or substituted phenyl having one or more substiutents which are the same or different and are selected from the group consisting of halogen, cyano, $(C_1\text{-}C_6)$alkyl, halo$(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$alkoxy, halo$(C_1\text{-}C_6)$alkoxy and halo$(C_1\text{-}C_6)$alkylthio.

2. The herbicide of claim 1, wherein the substituted thienopyrmidine derivative represented by formula (I) is formulated together with an auxiliary agent into a composition such as a wettable powder, granules, an emulsifiable concentrate or a flowable.

3. The herbicide of claim 2, wherein the auxiliary agent is selected from the group consisting of solid carriers, liquid carriers, surface active agents, and mixtures thereof.

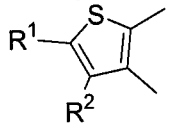
4. A herbicidal method, which comprises carrying out a soil treatment, a field foliar treatment, or an irrigation treatment with an effective amount of the herbicide according to any one of claims 1 to 3.

5. A substituted thienopyrmidine derivative represented by formula (I):



(I)

wherein A represents the following A1:



A1

wherein R^1 represents hydrogen or $(C_1\text{-}C_6)$alkyl,

R^2 represents hydrogen or $(C_1\text{-}C_6)$alkyl,

Q^1 represents $-X^1R^3$;

wherein X^1 is –O-,

R^3 represents halo$(C_1\text{-}C_{10})$alkyl;

Q^2 represents $-X^2R^4$;

wherein X^2 is a single bond, and

R^4 represents phenyl or substituted phenyl having one or more substiutents which are the same or different and are selected from the group consisting of halogen, cyano, $(C_1\text{-}C_6)$alkyl, halo$(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$alkoxy, halo$(C_1\text{-}C_6)$alkoxy and halo$(C_1\text{-}C_6)$alkylthio.

Dated 9 July, 2009

Nihon Nohyaku Co., Ltd

Patent Attorneys for the Applicant/Nominated Person

SPRUSON & FERGUSON