

lymphoma, myeloma, brain tumor, head and neck cancer, esophageal cancer, thyroid cancer, small-cell lung cancer, non-small cell lung cancer, breast cancer, stomach cancer, gallbladder or bile duct cancer, liver cancer, pancreatic
5 cancer, colon cancer, rectal cancer, ovarian cancer, chorioepithelioma, endometrial cancer, cervical cancer, urothelial cancer, renal cell cancer, prostate cancer, testicular tumor, Wilms' tumor, malignant melanoma, neuroblastoma, osteosarcoma, Ewing's sarcoma, or soft
10 tissue sarcoma.

[0050]

[43] A medicament comprising the compound according to any one of [1] to [39] or a pharmaceutically acceptable salt thereof in combination with another anti-cancer agent
15 selected from the group consisting of an anticancer alkylating agent, an anticancer antimetabolite, an anticancer antibiotic, a plant-based anti-cancer agent, an anticancer platinum coordination compound, an anticancer camptothecin derivative, an anticancer tyrosine kinase
20 inhibitor, a serine-threonine kinase inhibitor, a phospholipid kinase inhibitor, a monoclonal antibody, an interferon, a biological response modifier, a hormone preparation, an immune checkpoint inhibitor, an epigenetics-related molecule inhibitor, a post-
25 translational protein modification inhibitor, and other anti-cancer agent or a pharmaceutically acceptable

"C₁₋₆ alkyl-carbonyloxy group" include acetoxy, propionyloxy, and butyryloxy.

[0079] The term "aminosulfonyl group" used herein means a sulfo group wherein hydroxy group therein is substituted
5 with amino group.

[0080] Examples of the substituent in the terms "optionally-substituted C₁₋₆ alkyl group", "optionally-substituted C₂₋₆ alkenyl group", "optionally-substituted C₂₋₆ alkynyl group", "optionally-substituted C₁₋₄ alkylene
10 group", "optionally-substituted C₃₋₄ alkenylene group", "optionally-substituted C₃₋₄ alkynylene group", "optionally-substituted C₁₋₆ alkoxy group", "optionally-substituted C₁₋₆ alkyl-carbonyl group", "optionally-substituted C₁₋₆ alkylsulfonyl group", "optionally-substituted C₁₋₆ alkoxy-carbonyl
15 group", "optionally-substituted C₁₋₆ alkyl-carbonylamino group", "optionally-substituted C₁₋₆ alkylsulfonylamino group", "optionally-substituted C₁₋₆ alkoxy-carbonylamino group", "optionally-substituted C₁₋₆ alkyl-carbonyloxy group" include hydroxy group, halogen
20 atom, C₃₋₇ cycloalkyl group, and C₁₋₆ alkoxy group, and preferably fluorine atom.

[0081] Examples of the substituent in the terms "optionally-substituted C₆₋₁₀ aryl group", "optionally-substituted C₃₋₁₀ cycloalkyl group", "optionally-substituted
25 5- to 10-membered heteroaryl group", "optionally-substituted 5- or 6-membered cyclic amino group", and "optionally-substituted 5- or 6-membered cyclic aminocarbonyl group" include

- (a) halogen atom,
- 30 (b) C₁₋₆ alkyl which may be optionally substituted with the same or different 1 to 3 groups independently selected from the group consisting of halogen atom, hydroxy, and C₁₋₆ alkoxy,

- (c) C₁₋₆ alkoxy which may be optionally substituted with the same or different 1 to 3 groups independently selected from the group consisting of halogen atom, hydroxy, and C₁₋₆ alkoxy,
- 5 (d) cyano,
- (e) C₆₋₁₀ aryl which may be optionally substituted with the same or different 1 to 4 groups independently selected from the group consisting of halogen atom, C₁₋₆ alkyl, and C₁₋₆ alkoxy, and
- 10 (f) 5- or 6-membered heteroaryl which may be optionally substituted with the same or different 1 to 4 groups independently selected from the group consisting of halogen atom, C₁₋₆ alkyl, and C₁₋₆ alkoxy,
- (g) C₆₋₁₀ aryloxy which may be optionally substituted with
- 15 the same or different 1 to 4 groups independently selected

typically about -20°C to the boiling point of the used solvent, but is not limited thereto. The reaction time is typically 10 minutes to 48 hours, which may vary according to various conditions such as a reaction temperature, a
5 condensation agent, a starting material, and a solvent to be used.

[0121] Specific examples of the condensation agent include dicyclohexylcarbodiimide (DCC), diisopropylcarbodiimide (DIPC), 1-ethyl-3-(3-
10 dimethylaminopropyl)-carbodiimide (WSC), benzotriazol-1-yl-tris(dimethylamino)phosphonium hexafluorophosphate (BOP), diphenylphosphoryl azide (DPPA), N,N-carbonyldiimidazole (CDI), O-(benzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate (HBTU), O-(7-azabenzotriazol-1-yl)-
15 N,N,N',N'-tetramethyluronium hexafluorophosphate (HATU), and diphenyl chlorophosphate. As appropriate, the reaction may be carried out with the addition of an additive such as N-hydroxysuccinimide (HOSu), 1-hydroxybenzotriazole (HOBT), and 3-hydroxy-4-oxo-3,4-dihydro-1,2,3-benzotriazine
20 (HOObt).

[0122] Specific examples of the base include an organic base such as triethylamine, diisopropylethylamine, and pyridine; an inorganic base such as potassium carbonate, sodium carbonate, cesium carbonate, potassium hydrogen
25 carbonate, sodium hydrogen carbonate, potassium dihydrogen phosphate, dipotassium hydrogen phosphate, potassium

[0139] Examples of the solvent used include methanol, ethanol, THF, DMF, 1,4-dioxane, and a mixture thereof.

The reaction temperature is typically 0°C to 100°C, preferably 0°C to 50°C, but is not limited thereto. The
5 reaction time is typically 30 minutes to 12 hours, preferably 1 hour to 6 hours.

[0140]

Step 2-6: Preparation process of compound (2-10)

Compound (2-10) is prepared by the Mitsunobu reaction
10 of compound (2-5) and benzenesulfonamide of formula (2-9) in an inert solvent in the presence of a Mitsunobu reagent.

[0141] Examples of the Mitsunobu reagent include diethyl azodicarboxylate (DEAD), diisopropyl azodicarboxylate (DIAD), triphenylphosphine, and tributylphosphine. Also,
15 cyanomethylenetrimethylphosphorane (Tsunoda Reagent) may be used.

Examples of the inert solvent include toluene, benzene, THF, 1,4-dioxane, and a mixture thereof.

The reaction temperature is typically 0°C to 100°C,
20 preferably 0°C to 50°C, but is not limited thereto. The reaction time is typically 1 hour to 48 hours, preferably 12 hours to 24 hours.

[0142]

Step 2-7: Preparation process of compound (2-11)

25 Compound (2-11) is prepared by reacting compound (2-

sodium hydroxide, and potassium hydroxide.

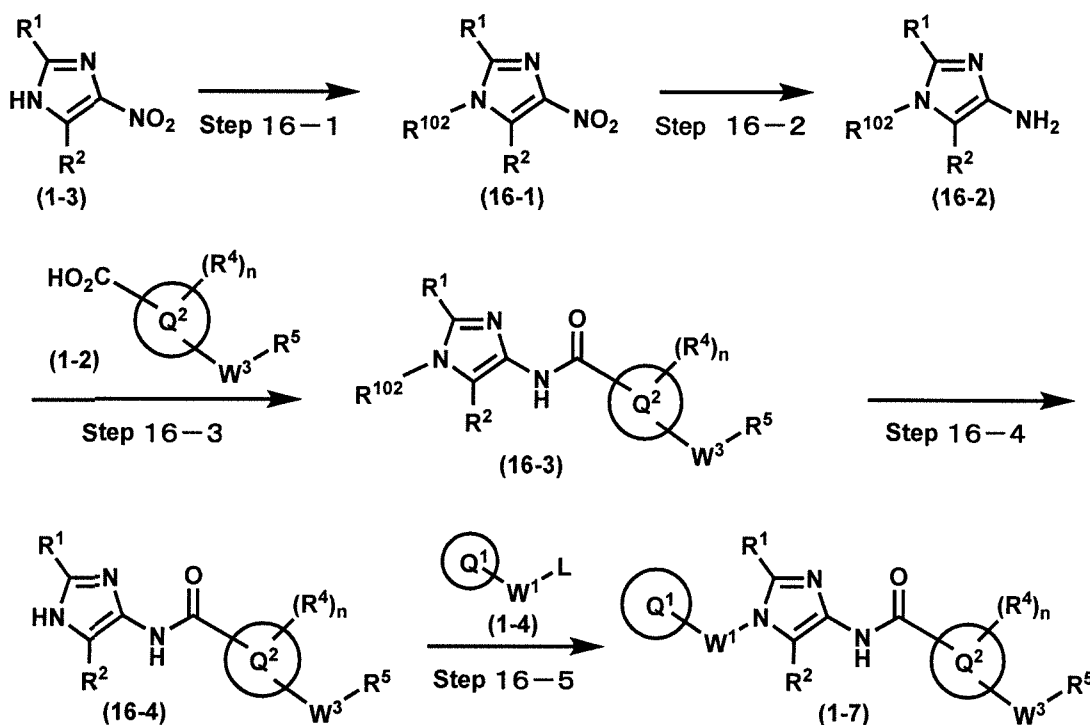
Examples of the inert solvent include 1,4-dioxane, THF, 1,2-dimethoxyethane, water, and a mixture thereof.

The reaction temperature is typically 50°C to 200°C, preferably 80°C to 150°C, but is not limited thereto. The reaction time is typically 1 hour to 48 hours, preferably 6 hours to 18 hours.

[0221]

Preparation 16

One of the compounds of formula (1'), the compound of formula (1-7) is prepared according to, for example, the following process.



wherein W^1 , W^3 , R^1 , R^2 , R^4 , R^5 , n , ring Q^1 , and ring Q^2 are as defined in the above [1]; R^{102} is protective group; and L