

Ph: phenyl
 PPh₃: triphenylphosphine
 Ac: acetyl
 EtOAc: ethyl acetate
 5 DMF: N, N-dimethylformamide
 DMA: N, N-dimethylacetoamide
 TFA: trifluoroacetic acid
 DMSO: dimethyl sulfoxide
 THF: tetrahydrofuran
 10 WSC: 1-Ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride
 HATU: 1-[Bis(dimethylamino)methylene]-1H-1,2,3-triazolo[4,5-b]pyridinium; 3-Oxide, hexafluorophosphate
 DCC: N,N'-Dicyclohexylcarbodiimide
 HOBt: hydrxybenzotriazole
 15 Boc: t-butoxycarbonyl
 t: tertially
 Cbz: benzyloxycarbonyl
 dppf: 1,1'-Bis(diphenylphosphino)ferrocene
 Pd₂(dba)₃: Tris(dibenzylideneacetone)dipalladium
 20 PdCl₂(dppf): [1,1'-Bis(diphenylphosphino)ferrocene]palladium(II) dichloride
 Pd(PPh₃)₄: Tetrakis(triphenylphosphine) palladium(0)
 PdCl₂(PPh₃)₂: Bis(triphenylphosphine)palladium dichloride
 [0199]

25 NMR analysis of each example was performed by 400 MHz using deuterated dimethyl sulfoxide (d₆-DMSO) or deuteriochloroform (CDCl₃). In the case of indicating NMR data, there are cases in which not all measured peaks are described.

30 "RT" in the specification means a retention time of LC/MS: liquid chromatography/mass spectrometry, and the measurement conditions are as follows.

(Method A)
 UHPLC/MS data of the compounds were measured under the following condition.
 Column: ACQUITY UPLC®BEH C18 (1.7μm, i.d.50x2.1mm) (Waters)
 Flow rate: 0.8 mL/min
 35 UV detection wavelength: 254nm
 Mobile phase: [A] is 0.1% formic acid-containing aqueous solution, and [B] is 0.1% formic acid-containing acetonitrile solution.
 Gradient: Linear gradient of 5% to 100% solvent [B] for 3.5 minutes was performed, and 100% solvent [B] was maintained for 0.5 minute."

40 (Method B)
 UHPLC/MS data of the compounds were measured under the following condition.
 Column: ACQUITY UPLC®BEH C18 (1.7μm, i.d.50x2.1mm) (Waters)
 Flow rate: 0.8 mL/min
 45 UV detection wavelength: 254nm
 Mobile phase: [A] is 10mM ammonium carbonate-containing aqueous solution, and [B] is acetonitrile.
 Gradient: Linear gradient of 5% to 100% solvent [B] for 3.5 minutes was performed, and 100% solvent [B] was maintained for 0.5 minute.

acetate) to yield Compound 5 (543mg, yield: 64%) as a yellow solid.

¹H-NMR (CDCl₃) δ: 7.39 (1H, dd, J = 8.4, 1.9 Hz), 7.30 (1H, dd, J = 12.5, 1.9 Hz), 7.14 (2H, d, J = 8.3 Hz), 6.95 (1H, t, J = 9.2 Hz), 6.92 (2H, d, J = 8.3 Hz), 3.99-3.90 (1H, m), 3.55-3.50 (1H, m), 3.42-3.40 (1H, m), 3.35-3.26 (3H, m), 3.20-3.11 (1H, m), 1.16 (3H, d, J = 6.4 Hz)

Step.3

To a solution of Compound 5 (546 mg, 1.50mmol) in MeOH (15mL) were added 5% Pd-C (320mg, 0.150mmol) and Conc.HCl (1.5mL), and the solution was stirred at room temperature for 5 hours under hydrogen atmosphere. The reaction mixture was filtered, the filtrate was concentrated under reduced pressure. To the reaction mixture were added aqueous NaOH solution and ethyl acetate. The organic layer was washed with water and brine, dried with anhydrous sodium sulfate and filtered. The organic layer was concentrated under reduced pressure to yield Compound 6 (440mg, yield: 80%) as a yellow solid.

¹H-NMR (CDCl₃) δ: 7.16-7.10 (2H, m), 7.07-7.00 (2H, m), 6.98-6.88 (3H, m), 3.99-3.91 (1H, m), 3.86-3.80 (2H, m), 3.40-3.21 (4H, m), 3.17-3.10 (1H, m), 3.05-2.99 (1H, m), 1.19 (3H, d, J = 6.4 Hz).

Step.4

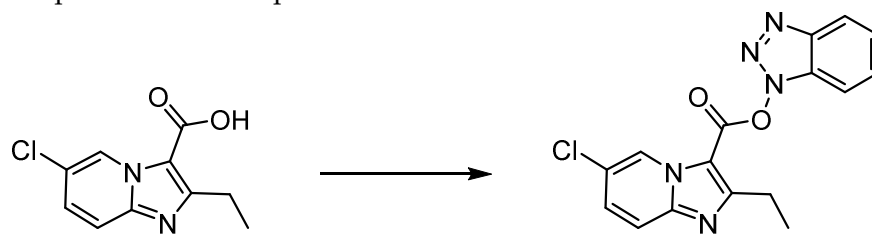
To a solution of Compound 6 (80mg, 0.209mmol) in acetonitrile (2.0mL) were added Compound 7 (52mg, 0.230mmol), triethylamine (0.087mL, 0.626mmol), 3-(((ethylimino)methylene)amino)-N,N-dimethylpropan-1-amine (48.6mg, 0.313mmol) and HOBT (28.2mg, 0.209mmol), the solution was stirred at 80°C for 1 hour. To the reaction solution was added water, and extracted with ethyl acetate. The organic layer was washed with brine, dried with anhydrous sodium sulfate and filtered. The organic layer was concentrated under reduced pressure, the residue was purified by silica gel chromatography (CHCl₃ / methanol) to yield Compound I-1-3 (55mg, yield: 45%) as a white solid.

LC/MS Method A: m/z=590.2. [M+H]⁺, retention time: 3.0 min

[Example 2]

[0201]

Preparation of Compound 8



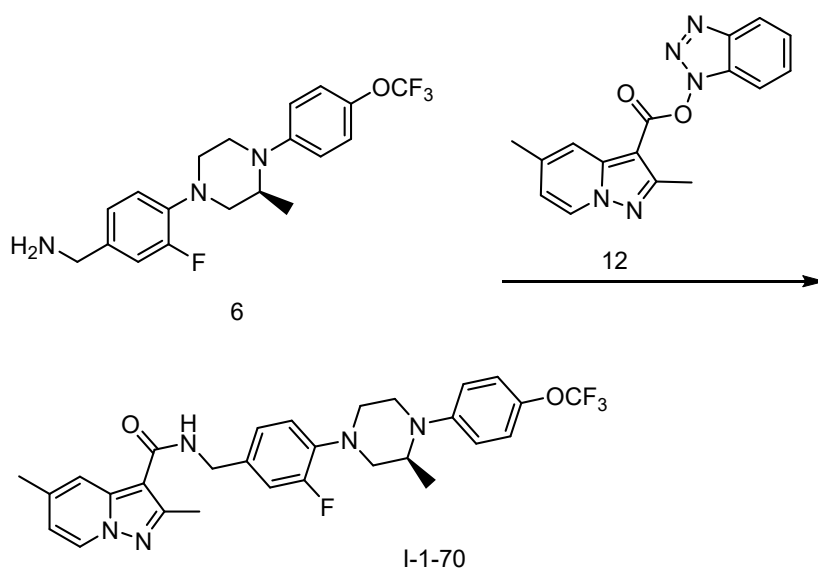
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To a solution of Compound 7 (20g, 89mmol) in acetonitrile (200mL) were added N-methylmorpholine (19.6mL, 178mmol), 3-(((ethylimino)methylene)amino)-N,N-dimethylpropan-1-amine hydrochloride (18.8g, 98mmol) and HOBT (18.01g, 98mmol), the solution was stirred at room temperature for over 15 hours. To the reaction solution was added water, and the reaction mixture was filtered. The residue was washed with water and dried under reduced

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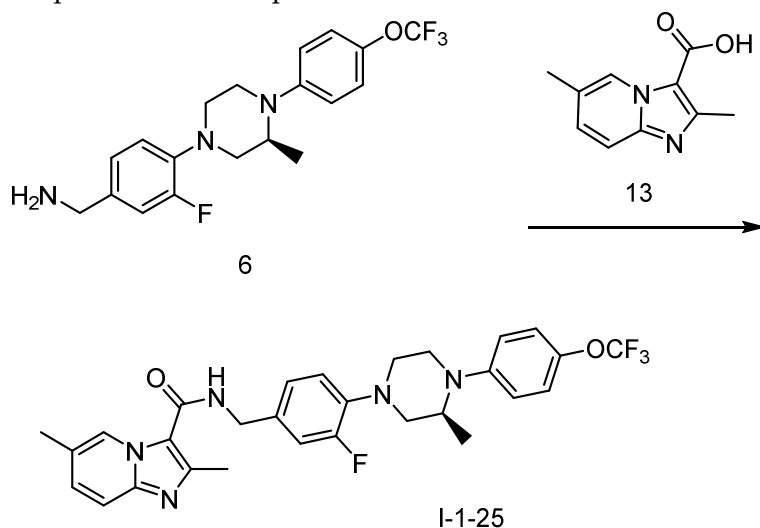


To a solution of Compound 6 (80mg, 0.209mmol) in dichloromethane (0.8mL) were added Compound 12 (64g, 0.209mmol) and triethylamine (0.087mL, 0.626mmol), the solution was stirred at room temperature for 15 hours. The reaction solution was purified by aminosilica gel and silica gel chromatography (CHCl₃ /methanol) to yield Compound I-1-70 (47mg, yield: 41%) as a white solid. LC/MS Method A: m/z=556.25 [M+H]⁺, retention time: 2.94 min

[Example 7]

[0206]

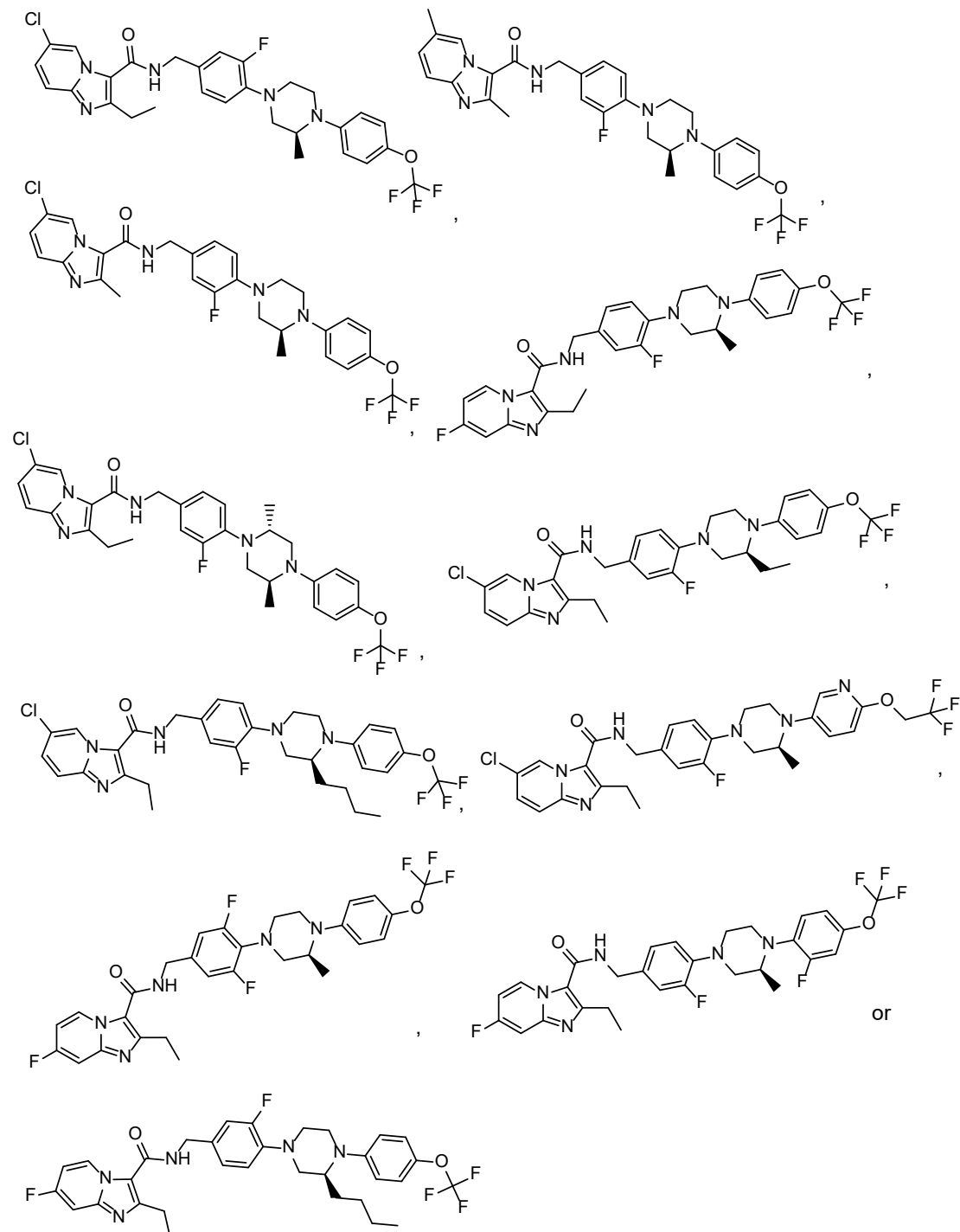
Preparation of Compound I-1-25

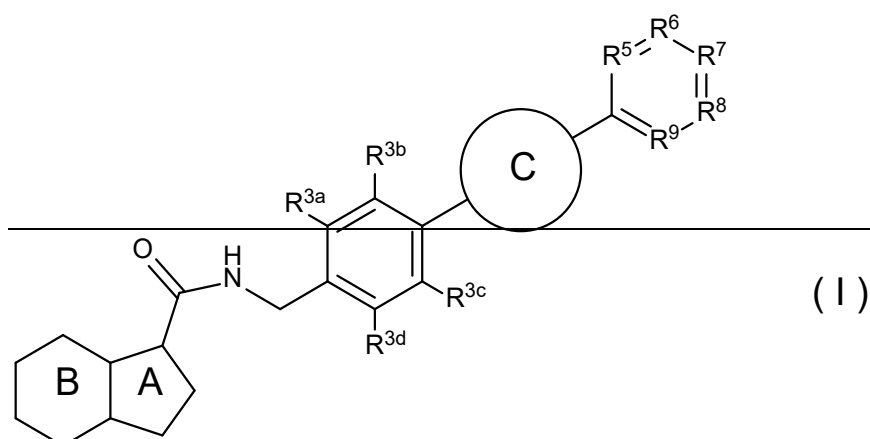


To a solution of Compound 6 (50mg, 0.130mmol) in dichloromethane (0.8mL) were added Compound 13 (29.8mg, 0.156mmol), triethylamine (0.054mL, 0.391mmol), 3-(((ethyylimino)methylene)amino)-N,N-dimethylpropan-1-amine (30.4mg, 0.196mmol) and HOBt (8.8mg, 0.065mmol), the solution was stirred at room temperature for 15 hours. To the reaction solution was added saturated aqueous NaHCO₃ solution, and extracted with dichloromethane. The organic layer was washed with water and brine, and dried with anhydrous magnesium sulfate. The

[Document Name] Claims

1. A compound of ~~represented by~~ formula (I):

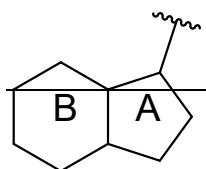




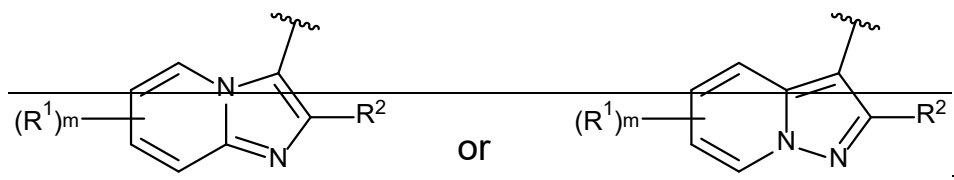
or its pharmaceutically acceptable salt,

wherein

5 a group represented by formula:



is a group represented by formula:



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R^1 is each independently halogen, hydroxy, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted alkyloxy, substituted or unsubstituted alkenyloxy, substituted or unsubstituted alkynyloxy, substituted or unsubstituted alkylsulfanyl, substituted or unsubstituted alkenylsulfanyl, substituted or unsubstituted alkynylsulfanyl, substituted or unsubstituted alkylsulfinyl, substituted or unsubstituted alkenylsulfinyl, substituted or unsubstituted alkynylsulfinyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted alkenylsulfonyl or substituted or unsubstituted alkynylsulfonyl;

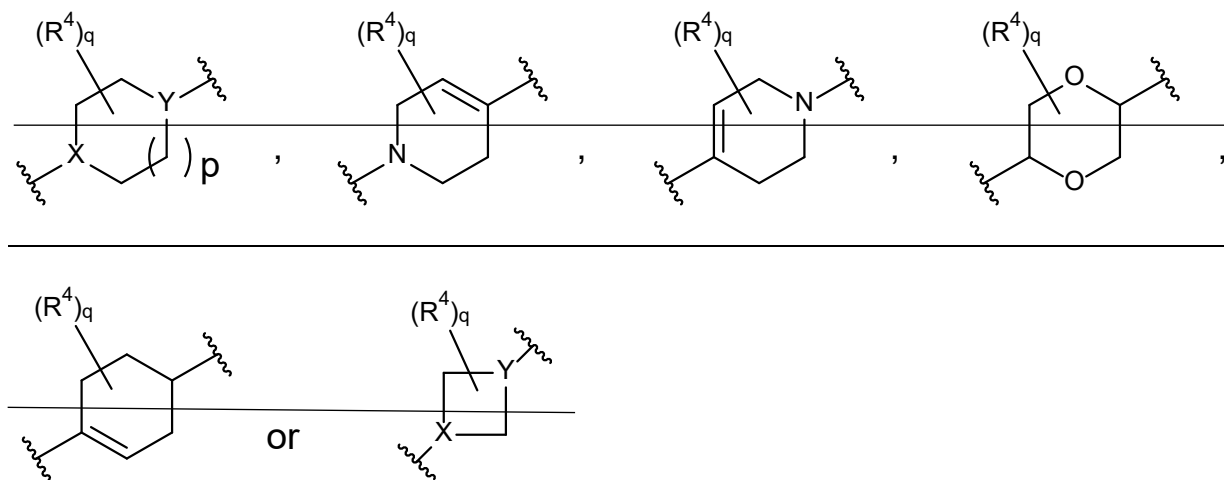
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m is 0, 1, 2, 3 or 4;

~~R² is a hydrogen atom, halogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl or substituted or unsubstituted alkynyl;~~

~~R^{3a}, R^{3b}, R^{3c} and R^{3d} are each independently hydrogen atom, halogen, hydroxy, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl or substituted or unsubstituted alkynyl, with the proviso that R^{3a}, R^{3b}, R^{3c} and R^{3d} are not simultaneously hydrogen atom;~~

ring C is represented as follows:



X is CH or N;

Y is CH or N;

~~R⁴ is each independently halogen, hydroxy, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl or substituted or unsubstituted alkynyl; two R⁴ groups attached to adjacent carbon atoms may be taken together with the carbon atoms to which they are attached to form a substituted or unsubstituted 5- to 6-membered non-aromatic carbocycle or a substituted or unsubstituted 5- to 6-membered non-aromatic heterocycle;~~

~~two R⁴ groups attached to a same carbon atom may be taken together with the carbon atom to which they are attached to form a substituted or unsubstituted 3- to 6-membered non-aromatic carbocycle or a substituted or unsubstituted 3- to 6-membered non-aromatic heterocycle;~~

~~two R⁴ groups may be taken together to form (C2-C4) bridge, in which one of the~~

~~carbon atoms of the bridge may optionally be replaced with an oxygen atom or a nitrogen atom; the carbon atoms of the bridge are each independently substituted with a substituent selected from R^{4C}; and the nitrogen atom of the bridge, if present, is substituted with a substituent selected from R^{4N};~~

5 ~~R^{4C} is each independently a hydrogen atom, halogen, hydroxy, cyano or substituted or unsubstituted alkyl;~~

~~R^{4N} is each independently a hydrogen atom or substituted or unsubstituted alkyl;~~

~~p is 0 or 1;~~

~~q is 0, 1, 2, 3 or 4;~~

10 ~~R⁵ is CR^{5C} or N;~~

~~R⁶ is CR^{6C} or N;~~

~~R⁷ is CR^{7C} or N;~~

~~R⁸ is CR^{8C} or N;~~

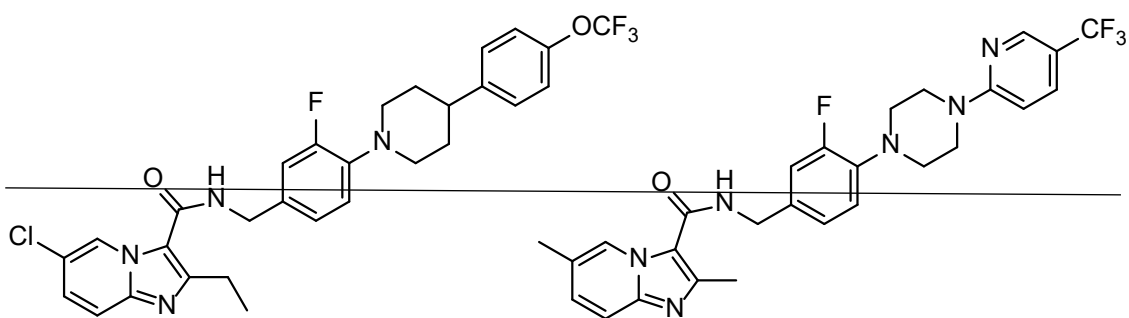
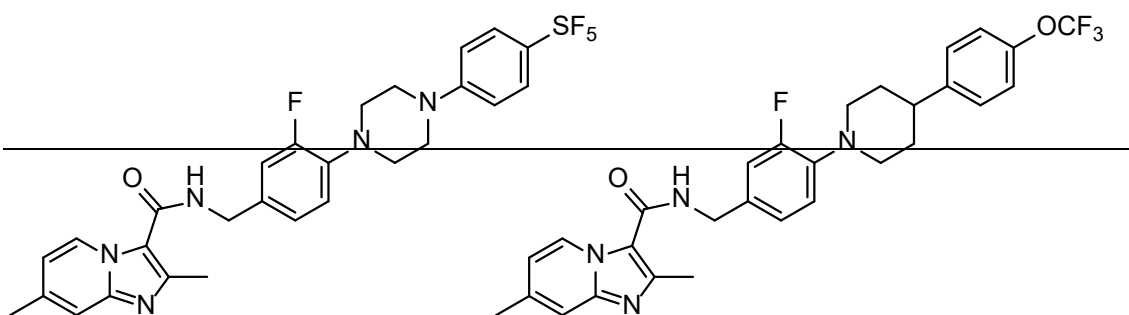
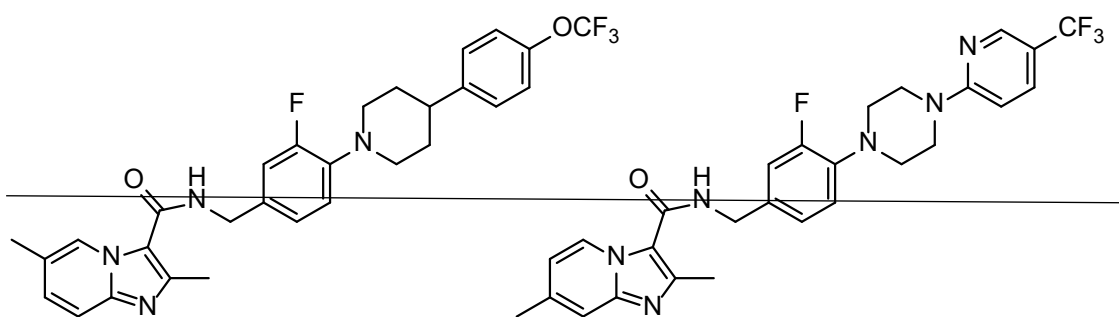
~~R⁹ is CR^{9C} or N;~~

15 ~~with the proviso that R⁵, R⁶, R⁷, R⁸ and R⁹ are not simultaneously N;~~

~~R^{5C}, R^{6C}, R^{7C}, R^{8C} and R^{9C} are each independently hydrogen atom, halogen, hydroxy, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted alkyloxy, substituted or unsubstituted alkenyloxy, substituted or unsubstituted alkynyloxy,~~

20 ~~substituted or unsubstituted aromatic carbocyclyloxy, substituted or unsubstituted non-aromatic carbocyclyloxy, substituted or unsubstituted aromatic heterocyclyloxy, substituted or unsubstituted non-aromatic heterocyclyloxy or pentafluorothio;~~

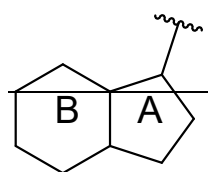
~~with the proviso that compounds shown below are excluded:~~



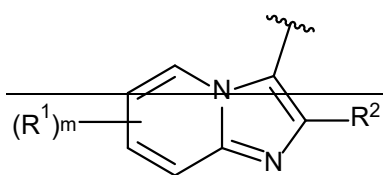
2. The compound according to claim 1 or its pharmaceutically acceptable salt,

5 wherein

a group represented by formula:

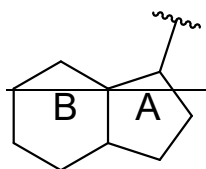


is a group represented by formula:

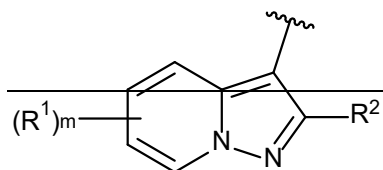


wherein each symbol is as defined in claim 1.

- 5 3. The compound according to claim 1 or its pharmaceutically acceptable salt,
 wherein
 a group represented by formula:



- 10 is a group represented by formula:



wherein each symbol is as defined in claim 1.

- 15 4. The compound according to claim 2 or its pharmaceutically acceptable salt,
 wherein R¹ is halogen or substituted or unsubstituted alkyl.

5. The compound according to claim 3 or its pharmaceutically acceptable salt,
 wherein R¹ is substituted or unsubstituted alkyloxy or substituted or unsubstituted
 20 alkyl.

6. The compound according to any one of claims 1 to 5 or its pharmaceutically
 acceptable salt, wherein m is 1.

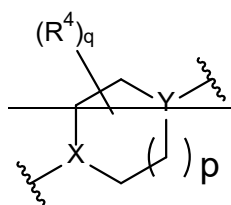
7. The compound according to any one of claims 1 to 6 or its pharmaceutically acceptable salt, wherein R^2 is substituted or unsubstituted alkyl.

5 8. The compound according to any one of claims 1 to 7 or its pharmaceutically acceptable salt, wherein R^{3b} is halogen.

9. The compound according to any one of claims 1 to 8 or its pharmaceutically acceptable salt, wherein R^{3b} and R^{3c} are each independently halogen.

10 10. The compound according to any one of claims 1 to 7 or its pharmaceutically acceptable salt, wherein R^{3a} is halogen.

11. The compound according to any one of claims 1 to 10 or its pharmaceutically acceptable salt, wherein ring C is represented as follows:



wherein each symbol is as defined in claim 1.

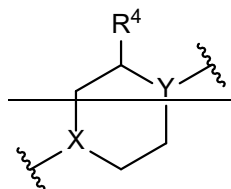
12. The compound according to any one of claims 1 to 11 or its pharmaceutically acceptable salt, wherein p is 1.

13. The compound according to any one of claims 1 to 12 or its pharmaceutically acceptable salt, wherein q is 0.

25 14. The compound according to any one of claims 1 to 12 or its pharmaceutically acceptable salt, wherein q is 1.

15. The compound according to any one of claims 1 to 12 or its pharmaceutically acceptable salt, wherein q is 2.

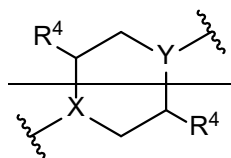
16. ~~The compound according to claim 14 or its pharmaceutically acceptable salt, wherein ring C is represented as follows:~~



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~~wherein each symbol is as defined in claim 1.~~

17. ~~The compound according to claim 15 or its pharmaceutically acceptable salt, wherein ring C is represented as follows:~~



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~~wherein each symbol is as defined in claim 1.~~

18. ~~The compound according to any one of claims 1 to 17 or its pharmaceutically acceptable salt, wherein X and Y are N.~~

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19. ~~The compound according to any one of claims 1 to 17 or its pharmaceutically acceptable salt, wherein one of X and Y is N, and the other of X and Y is CH.~~

20. ~~The compound according to any one of claims 1 to 12 or 14 to 19, or its pharmaceutically acceptable salt, wherein R^4 is each independently substituted or unsubstituted alkyl.~~

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21. ~~The compound according to any one of claims 1 to 20 or its pharmaceutically acceptable salt, wherein R^7 is CR^{7C} , and R^{7C} is substituted or unsubstituted alkyl or substituted or unsubstituted alkyloxy.~~

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~~22. The compound according to claim 21 or its pharmaceutically acceptable salt, wherein R⁵, R⁶, R⁸ and R⁹ are CH.~~

~~23. The compound according to claim 21 or its pharmaceutically acceptable salt, wherein R⁵ is CR^{5C}, R^{5C} is halogen and R⁶, R⁸ and R⁹ are CH.~~

~~24. The compound according to claim 1 or its pharmaceutically acceptable salt, wherein the compound is selected from compounds (I-1-3), (I-1-25), (I-1-29), (I-1-38), (I-1-39), (I-1-42), (I-1-43), (I-1-45), (I-1-95) and (I-1-118).~~

~~25. The compound according to claim 1 or its pharmaceutically acceptable salt, wherein the compound is selected from compounds (I-1-144), (I-1-149) and (I-2-6).~~

~~26. A pharmaceutical composition comprising the compound according to any one of claims 1 to 25 or its pharmaceutically acceptable salt.~~

~~27. The pharmaceutical composition according to claim 26, for use in the treatment and/or prevention of mycobacterial infection.~~

~~28. A method for preventing or treating mycobacterial infection, comprising administering the compound to a subject according to any one of claims 1 to 25, or its pharmaceutically acceptable salt.~~

~~29. The compound according to any one of claims 1 to 25, or its pharmaceutically acceptable salt, for use in the treatment and/or prevention of mycobacterial infection.~~

5. Use of the pharmaceutical composition according to claim 2 in the manufacture of a medicament for the treatment and/or prevention of mycobacterial infection.

6. Use of the compound according to claim 1 or its pharmaceutically acceptable

salt in the manufacture of a medicament for the treatment and/or prevention of mycobacterial infection.